ANNUAL REPORT 2008

TRANSPORTING THE POWER OF PHARMACEUTICALS

To our Stockholders:

Our significant achievements over the last year have positioned the company for a potentially transformational 2009. In short, we reported positive results from the final pivotal trials of XP13512, which is now known as *Solzira*™ in the U.S., for the treatment of moderate-to-severe primary restless legs syndrome (RLS) patients. We contributed to the filing by our collaborator, GSK, of the new drug application (NDA) for approval of *Solzira* to treat RLS patients. We also advanced the clinical development program for XP19986, completing a Phase 2 trial in gastroesophageal reflux disease (GERD) patients and initiating two new Phase 2 clinical trials in spinal cord injury patients with spasticity and in patients with painful acute back spasms. In addition, we completed two Phase 1 trials of XP21279, adding to the evidence that the safety and pharmacokinetic profile of this product candidate may lead to an improved treatment for patients with Parkinson's disease.

COLLABORATOR PROGRESS

Beyond filing the NDA, GSK also made considerable advancements in its development of *Solzira*. It initiated four major Phase 2 clinical trials, three in neuropathic pain indications and one testing the potential of *Solzira* in preventing migraine headaches. GSK also commenced a Phase 3b polysomnography trial that is designed to objectively measure the potential sleep benefits of *Solzira* in RLS patients.

Astellas, our collaborator for XP13512/ASP8825 in Japan and five other Asian countries, reported results from Phase 2 clinical trials in painful diabetic neuropathy (PDN) and RLS patients. The RLS trial confirmed in Japanese subjects the efficacy results we have shown in our U.S. trials. Astellas' PDN clinical trial was terminated based on the results of a planned interim analysis indicating that continuation of the study was not likely to demonstrate a statistically significant advantage of XP13512 over placebo on the primary endpoint of the study. There were no safety concerns identified in the interim analysis. Astellas is now determining the next steps for both of these therapeutic areas, and they remain enthusiastic about continuing the development of XP13512/ASP8825.

CLINICAL DEVELOPMENT

With our collaborators progressing the development of XP13512, we have focused on the development of our other product candidates. In December, we announced the results from a second Phase 2 clinical trial of XP19986 monotherapy in GERD patients. Although it missed the primary endpoint, several pre-specified secondary analyses demonstrated that patients who had previously taken proton pump inhibitor (PPI) medications experienced relief from their GERD symptoms. We are aggressively moving forward to initiate a Phase 2b clinical trial of XP19986 as an adjunct therapy to PPIs in GERD patients who are incomplete responders to PPI therapy. It has been estimated that nearly 40% of GERD sufferers continue to experience symptoms while taking a PPI.

Our expansion of the XP19986 development program beyond GERD offers the opportunity to add value to this asset. We plan to complete the Phase 2 spasticity clinical trial by mid-year. The painful acute back spasm clinical trial, which was initiated in December, should be completed by year end. These are two indications where we believe there are substantial unmet medical needs that XP19986 could potentially address.

We also made good progress on the development of XP21279, our Transported Prodrug of levodopa. This early-stage product candidate is designed to optimize the treatment of Parkinson's disease patients by improving the pharmacokinetic profile of the current standard of care, levodopa. Results from a recent trial of these new formulations provided evidence that XP21279 may offer a better pharmacokinetic profile, which could lead to an improved treatment option for patients with Parkinson's disease.

In addition to our clinical development programs, we have maintained a robust preclinical program and believe that we have identified a number of promising product candidates.

BUSINESS STRATEGY

We remain focused on building a specialty pharmaceutical company that can create value through a commercialization strategy that enables us to participate in the sale of our products. Our first opportunity for realizing this ambition could come through our exercise of the co-promotion option that was negotiated as part of the GSK collaboration agreement. This election would enable us to build a small sales force of 50 to 100 representatives who would detail *Solzira* to physicians who specialize in central nervous system disorders. Given our pipeline of product candidates, we believe there could be opportunities to expand the impact of this specialty sales force through the addition of product candidates from our pipeline. We have been carefully considering this important choice and will report our decision at the appropriate time.

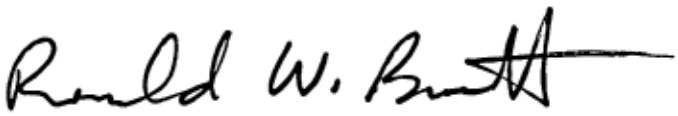
FINANCIAL STRENGTH

During this tough economic environment, the company has taken several steps to conserve cash while continuing to pursue value-enhancing activities. In addition, we completed a $40 million registered direct public offering in December, and we ended 2008 with approximately $153 million in cash and cash equivalents. We believe that this financial strength should allow us to continue to meet our major business objectives.

CLOSING REMARKS

Finally, I would like to thank our employees, our business partners and our clinical trial participants for their contributions to our success. I would like to especially thank our stockholders for their continued support and interest in our progress.

Sincerely,



Ronald W. Barrett, Ph.D.

Chief Executive Officer

XenoPort, Inc.

March 20, 2009

UNITED STATES SECURITIES AND EXCHANGE COMMISSION
Washington, D.C. 20549

Form 10-K

☑ **ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**
For the fiscal year ended December 31, 2008

or

☐ **TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

For the transition period from to

Commission File Number 000-51329

XenoPort, Inc.

(Exact name of registrant as specified in its charter)

Delaware *(State or other Jurisdiction of Incorporation or Organization)*	**94-3330837** *(IRS Employer Identification No.)*
3410 Central Expressway, Santa Clara, California *(Address of principal executive offices)*	**95051** *(Zip Code)*

(408) 616-7200
(Registrant's telephone number, including area code)

Securities registered pursuant to Section 12(b) of the Act:

Title of Each Class	Name of Each Exchange on Which Registered
Common stock, par value $0.001 per share Preferred share purchase rights	The NASDAQ Stock Market LLC

Securities registered pursuant to Section 12(g) of the Act:
None

Indicate by check mark if the registrant is a well-known seasoned issuer, as defined in Rule 405 of the Securities Act. Yes ☑ No ☐

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Exchange Act. Yes ☐ No ☑

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes ☑ No ☐

Indicate by check mark if disclosure of delinquent filers pursuant to Item 405 of Regulation S-K is not contained herein, and will not be contained, to the best of registrant's knowledge, in definitive proxy or information statements incorporated by reference in Part III of this Form 10-K or any amendment to this Form 10-K. ☐

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See the definitions of "large accelerated filer," "accelerated filer" and "smaller reporting company" in Rule 12b-2 of the Exchange Act. (Check One):

Large accelerated filer ☑ Accelerated filer ☐ Non-accelerated filer ☐ Smaller reporting company ☐
(Do not check if a smaller reporting company)

Indicate by check mark whether the registrant is a shell company (as defined in Rule 12b-2 of the Exchange Act). Yes ☐ No ☑

As of June 30, 2008 (the last business day of the registrant's most recently completed second quarter), the aggregate market value of the registrant's common stock held by non-affiliates of the registrant was approximately $915.9 million based on the closing sale price as reported on The NASDAQ Global Market for such date. Excludes an aggregate of 1,734,947 shares of the registrant's common stock held by officers, directors and stockholders that the registrant has concluded are affiliates of the registrant. Exclusion of such shares should not be construed to indicate that the holder of any such shares possesses the power, direct or indirect, to direct or cause the direction of the management or policies of the registrant or that such person is controlled by or under common control with the registrant.

Indicate the number of shares outstanding of each of the registrant's classes of common stock, as of the latest practicable date.

Class	Outstanding at January 30, 2009
Common stock, par value $0.001 per share	27,285,656 shares

DOCUMENTS INCORPORATED BY REFERENCE

Document	Parts Into Which Incorporated
Portions of the Definitive Proxy Statement for the Annual Meeting of Stockholders to be held on or about May 7, 2009 (Proxy Statement) to be filed with the Securities and Exchange Commission pursuant to Regulation 14A not later than 120 days after the end of the fiscal year covered by this Form 10-K are incorporated by reference	Part III, Items 10-14

XENOPORT, INC.

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XenoPort and Transported Prodrug are trademarks of XenoPort, Inc.
Solzira, Requip and Requip XL are trademarks of GlaxoSmithKline.

This Annual Report on Form 10-K contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, which are subject to the "safe harbor" created by those sections. Forward-looking statements are based on our management's beliefs and assumptions and on information currently available to our management. All statements other than statements of historical facts are "forward-looking statements" for purposes of these provisions, including any projections or earnings. In some cases, you can identify forward-looking statements by terms such as "anticipate," "believe," "could," "estimate," "expect," "intend," "may," "plan," "potential," "predict," "project," "should," "will," "would" and similar expressions intended to identify forward-looking statements. These statements involve known and unknown risks, uncertainties and other factors, which may cause our actual results, performance, time frames or achievements to be materially different from any future results, performance, time frames or achievements expressed or implied by the forward-looking statements. We discuss many of these risks, uncertainties and other factors in this Annual Report on Form 10-K in greater detail under the heading "Risk Factors." Given these risks, uncertainties and other factors, you should not place undue reliance on these forward-looking statements. Also, these forward-looking statements represent our estimates and assumptions only as of the date of this filing. You should read this Annual Report on Form 10-K completely and with the understanding that our actual future results may be materially different from what we expect. We hereby qualify our forward-looking statements by these cautionary statements. Except as required by law, we assume no obligation to update these forward-looking statements publicly, or to update the reasons actual results could differ materially from those anticipated in these forward-looking statements, even if new information becomes available in the future.

PART I.

Item 1. *Business.*

Overview

We are a biopharmaceutical company focused on developing a portfolio of internally discovered product candidates that utilize the body's natural nutrient transport mechanisms to improve the therapeutic benefits of existing drugs. We intend to focus our development and commercialization efforts on potential treatments of central nervous system, or CNS, disorders. Our most advanced product candidate, XP13512, known in the United States by the trade name *Solzira* (gabapentin enacarbil) Extended Release Tablets, is being developed for the treatment of a number of CNS disorders. A new drug application, or NDA, has been submitted by our partner, Glaxo Group Limited, or GSK, to the U.S. Food and Drug Administration, or FDA, for approval to market *Solzira* in the United States for the treatment of moderate-to-severe primary restless legs syndrome, or RLS. RLS is a common, under-diagnosed neurological condition that frequently manifests itself as a sleep disorder.

XP13512 has also successfully completed a Phase 2a clinical trial for the management of post-herpetic neuralgia, or PHN, in the United States. GSK is evaluating XP13512 for the potential treatment of PHN and painful diabetic neuropathy, or PDN, and as a potential prophylactic therapy for migraine headaches. Astellas Pharma Inc., our partner in Japan and five Asian countries, is evaluating this product candidate in Japan as a potential treatment for RLS.

We are evaluating our second product candidate, XP19986, for the potential treatment of gastroesophageal reflux disease, or GERD, spasticity in patients with spinal cord injury and acute back spasms of musculoskeletal origin. We are evaluating our third product candidate, XP21279, for the potential treatment of Parkinson's disease.

Each of our product candidates is an orally available, patented or patentable new chemical entity that addresses large potential markets. Our innovative product candidates, which we refer to as Transported Prodrugs, are created by modifying the chemical structure of currently marketed drugs, referred to as parent drugs, and are designed to correct deficiencies in the oral absorption, distribution and/or metabolism of the parent drug. We have designed our current Transported Prodrugs to be actively transported from the gastrointestinal, or GI, tract into the bloodstream, where they are metabolized to release the parent drug.

A key component of our strategy is to reduce the risks and time associated with drug development by capitalizing on the known safety, efficacy and established drug development history of the parent drugs. In

addition, our product candidates are designed to be metabolized to release the parent drugs and natural substances with favorable safety characteristics. We believe that these features will increase the probability of successfully developing our product candidates. In addition, we intend to seek approval of our product candidates in indications for which the parent drugs have not been approved, but are nevertheless used by physicians after having demonstrated efficacy in clinical trials. We believe that the improved characteristics of our product candidates will provide meaningful therapeutic benefits compared to existing drugs, as well as allow for approval to market in indications for which the parent drugs are not currently approved or promoted.

We plan to enter into agreements with pharmaceutical companies: (1) when access to a primary care physician sales force is necessary to maximize the commercial potential of our product candidates in the United States; (2) for the development and commercialization of our product candidates outside the United States; or (3) to develop and commercialize product candidates that fall outside our primary CNS focus. To date, we have entered into two separate agreements for the development and commercialization of XP13512. In December 2005, we entered into an agreement in which we licensed to Astellas exclusive rights to develop and commercialize XP13512 in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan (collectively referred to as the Astellas territory). In February 2007, we announced an exclusive collaboration with GSK to develop and commercialize XP13512 in all countries of the world other than the Astellas territory. In addition, in October 2007, we licensed to Xanodyne Pharmaceuticals, Inc. exclusive rights to develop and commercialize another of our product candidates, XP21510, in the United States, including for the potential treatment of women diagnosed with menorrhagia, or heavy menstrual bleeding. Aside from the above mentioned license arrangements, XenoPort holds the rights to all other product candidates in its product portfolio.

Our current portfolio of proprietary product candidates includes the following:

- *XP13512 for RLS.* XP13512 is a Transported Prodrug of gabapentin. RLS is characterized by an irresistible urge to move one's legs, usually accompanied by unpleasant sensations or pain in the legs. XP13512 has been evaluated for the treatment of RLS in a Phase 3 clinical program in the United States. We have announced top-line data from three RLS Phase 3 clinical trials that demonstrated statistically significant improvements compared to placebo on the primary endpoints of these trials and that XP13512 was generally well tolerated. In January 2009, GSK submitted to the FDA an NDA requesting approval of *Solzira* for the treatment of moderate-to-severe primary RLS. GSK has also initiated a Phase 3b polysomnography clinical trial of XP13512 to evaluate the potential sleep benefits of XP13512 in patients with RLS. Our partner, Astellas, is evaluating XP13512 in a Phase 2 clinical trial in RLS subjects in Japan.
- *XP13512 for Neuropathic Pain.* Neuropathic pain results from injury to nerve fibers that are damaged, dysfunctional or injured, creating pain at the site of injury and areas around the injury. We have shown in a Phase 2a clinical trial that XP13512 improved clinical endpoints associated with PHN, a chronic type of neuropathic pain that can follow the resolution of shingles. GSK has initiated a neuropathic pain clinical program that includes two Phase 2 clinical trials designed to evaluate the safety and efficacy of XP13512 in the management of PHN, as well as a Phase 2 clinical trial designed to evaluate the safety and efficacy of XP13512 in the treatment of PDN.
- *XP13512 for Migraine Prophylaxis.* Migraine is a neurological disorder characterized by recurrent headache attacks that are usually accompanied by various combinations of symptoms, including nausea and vomiting, as well as distorted vision and sensitivity to light and sound. Migraine prophylaxis treatment is designed to reduce the frequency and severity of migraine attacks. GSK has initiated a Phase 2 clinical trial designed to show the safety and efficacy of XP13512 in the prophylactic treatment of migraine headaches.
- *XP19986 for GERD.* XP19986 is a Transported Prodrug of R-baclofen. GERD is a digestive system disorder caused primarily by transient relaxations of the lower esophageal sphincter, or LES, which is a combination of muscles that controls the junction between the esophagus and the stomach. An abnormally functioning LES can allow stomach contents to pass back into the esophagus too easily, which can result in discomfort and potential damage to the lining of the esophagus. In December 2008, we reported preliminary top-line results from a four-week Phase 2 clinical trial that evaluated the ability of XP19986

to reduce symptoms experienced by patients with GERD. The primary analysis of this trial, which included GERD patients who had been treated with proton pump inhibitors, or PPIs, previously (PPI Experienced) as well as patients who had not been treated with PPIs previously, did not reach statistical significance. In pre-specified secondary analyses of the PPI Experienced patients, XP19986 showed improvement over placebo in several measures of symptom relief. XP19986 was generally well tolerated at all dose levels.

- *XP19986 for Spasticity.* Spasticity is a condition in which certain muscles are continuously contracted, interfering with movement or speech. Racemic baclofen, which contains both R-baclofen and S-baclofen, is currently approved in the United States for the treatment of spasticity resulting from multiple sclerosis, spinal cord injury and other spinal cord diseases. We believe that spasticity patients may benefit from XP19986 due to less frequent dosing and a more desirable pharmacokinetic profile than racemic baclofen. We are currently conducting a Phase 2 clinical trial of XP19986 in spinal cord injury patients with spasticity.
- *XP19986 for Acute Back Spasms.* Acute back spasms are involuntary and, frequently, painful contractions of the muscles of the lower back. We are evaluating XP19986 as a potential treatment for the relief of discomfort from painful musculoskeletal conditions associated with acute back spasms, and we initiated in December 2008 a Phase 2 clinical trial of XP19986 in patients with acute back spasms of neuromuscular origin. The primary objective of this trial is to evaluate the safety and tolerability of XP19986.
- *XP21279 for Parkinson's Disease.* XP21279 is a Transported Prodrug of levodopa, or L-Dopa. Parkinson's disease is a neurological disorder of the elderly, characterized by tremor, rigidity and loss of reflexes. In February 2009, we announced positive results from a second Phase 1 clinical trial with two different sustained-release formulations of XP21279 that demonstrated that the formulations of XP21279 produced a more sustained exposure of L-Dopa compared to oral L-Dopa dosed in the same healthy subjects and that XP21279 was well-tolerated in this trial.
- *XP21510 for the Treatment of Menorrhagia.* XP21510 is a Transported Prodrug of tranexamic acid. Tranexamic acid is a man-made derivative of the naturally occurring amino acid lysine and works to inhibit, on a molecular basis, the break down of blood clots. It is approved in many countries in Europe and Asia for the treatment of women with menorrhagia. In October 2007, we licensed to Xanodyne exclusive rights to develop and commercialize XP21510 in the United States, including for the potential treatment of women diagnosed with menorrhagia.

Transported Prodrugs

Critical to the success of any drug is its ability to access the targeted tissues, achieve and maintain effective concentrations at the site of therapeutic action for an appropriate period of time and have minimal side effects. In addition, convenient administration is frequently necessary to ensure patient compliance. Many marketed drugs do not possess all of these attributes, leading to limitations in their therapeutic benefit and commercial potential.

The conventional approach to designing new oral drugs is to rely on the drug's ability to passively diffuse through the intestinal wall to enter the bloodstream and reach the targeted tissue. However, this can be a difficult task, since the chemical and physical properties that allow a drug to bind to its cellular target and cause the intended therapeutic effect frequently impair the drug's ability to passively diffuse through the wall of the intestines. If the medical need is high, drugs with poor absorption from the GI tract are still developed and marketed, but with suboptimal therapeutic benefit. In some cases, drugs that are poorly absorbed from the GI tract are marketed as injectable medicines, which is inconvenient for patients. Another problem frequently encountered by drug designers occurs when a drug is well absorbed from the intestines but does not last in the bloodstream for a sufficient period of time to maintain a therapeutic benefit. In this situation, frequent oral dosing is required, which is inconvenient for patients and can lead to poor compliance. In addition, drugs requiring frequent dosing often exhibit unwanted side effects when the drug is present in high concentration and then ineffectiveness when the concentration of the drug is insufficient. Sustained-release formulations that deliver

medicine slowly as a pill travels through the entire GI tract can sometimes improve the utility of drugs that exhibit suboptimal therapeutic properties. However, drugs absorbed only in the upper GI tract do not benefit from sustained-release formulations.

Since most nutrients contain chemical features that prevent effective passive diffusion through cellular barriers, the human body contains specific membrane proteins, known as transporters, that are responsible for carrying nutrients into cells and across cell barriers. There are hundreds of different transporters in the human body that vary in the types of molecules they recognize and their localization to certain cells and tissue barriers. Active transport refers to cellular transporter mechanisms that capture nutrients and carry them across membranes.

Our proprietary technology utilizes the body's natural mechanisms for actively transporting nutrients through cellular barriers to permit certain parent drugs with suboptimal oral absorption to be effectively and efficiently delivered into the body after the oral administration of our product candidate.

Our scientists identify specific, high-capacity nutrient transporter proteins in the intestines and chemically modify the structure of the parent drug to create a Transported Prodrug that utilizes these transporters to gain efficient absorption into the bloodstream through active transport. Our Transported Prodrugs are engineered to split apart, releasing the parent drug and natural substances that generally have well-studied, favorable safety characteristics. In some cases, our product candidates target transporter proteins that are present throughout the entire GI tract, including the colon, so they can be formulated using sustained-release technology and thereby maintain effective blood concentrations for an extended period after dosing. As a result of their improved oral absorption, our product candidates may have improved therapeutic benefits compared to the parent drugs, such as superior clinical efficacy, reduced side effects and less frequent dosing, which result in improved patient convenience and compliance.

Our Product Candidates

Our current portfolio of proprietary product candidates includes the following:

XenoPort Product Candidate	Commercialization Rights	Target Indications	Development Status
XP13512	XenoPort: U.S. co-promotion option with GSK	• RLS • PHN	• U.S. NDA filed • Phase 2a successfully completed
Partner Designation: ASP8825	Astellas: six Asian countries	• RLS	• Japan Phase 2 clinical trial ongoing
Solzira/GSK1838262	GSK: worldwide, excluding the Astellas territory	• RLS	• Phase 3b polysomnography clinical trial ongoing
		• Migraine prophylaxis	• Phase 2 clinical trial ongoing
		• PDN	• Phase 2 clinical trial ongoing
		• PHN	• Phase 2 clinical trials ongoing
XP19986	Retained by XenoPort	• GERD	• Phase 2 clinical trial completed
		• Spasticity	• Phase 2 clinical trial ongoing
		• Acute Back Spasms	• Phase 2 clinical trial ongoing
XP21279	Retained by XenoPort	• Parkinson's disease	• Phase 1 clinical trials completed
XP21510	XenoPort: worldwide, excluding the U.S. Xanodyne: U.S.	• Menorrhagia	• Preclinical

XP13512 — A Transported Prodrug of Gabapentin

Our most advanced product candidate, XP13512, is currently being developed for the treatment of RLS, PDN and PHN and for the prophylactic treatment of migraine headaches. In January 2009, our partner, GSK, filed an NDA with the FDA for approval to market *Solzira* in the United States as a treatment for moderate-to-severe primary RLS. We hold composition-of-matter patents and methods of synthesis patents on XP13512 in the United States and composition-of-matter, formulation and methods of use patents on XP13512 outside of the United States. We also hold pending patent applications in the United States and outside the United States that are directed to the composition-of-matter, formulations and methods of synthesis and use of XP13512.

Parent Drug Background

XP13512 is metabolized by the body to release gabapentin, a drug that has been sold by Pfizer Inc as Neurontin since 1993 and is currently sold as a generic drug by a number of companies. Gabapentin is approved for marketing in the United States as adjunctive therapy in the treatment of partial seizures in patients with epilepsy and for the management of PHN. In addition, based on a variety of published medical studies, gabapentin is prescribed by physicians, off-label, to treat a wide range of psychiatric, neurological and pain conditions, including RLS and other forms of neuropathic pain besides PHN. Gabapentin has a side effect profile that is considered favorable, with dizziness and somnolence, or drowsiness, as the most commonly reported side effects.

Despite its substantial commercial success, we believe that gabapentin therapy can be significantly improved. Gabapentin absorption is highly variable among patients, and there is a limit on the gabapentin exposure that can be achieved by direct oral administration of the parent drug. Published results from clinical trials of gabapentin in epilepsy patients indicated that, for the same dose level, some patients absorbed as little as 10% of the dose of gabapentin administered while others absorbed more than 70%. We have also conducted a clinical trial of gabapentin in neuropathic pain patients in which the high variability of gabapentin absorption was confirmed. In addition, the short duration of gabapentin in blood after oral dosing requires that it be administered three times a day, which may lead to poor compliance with the dosing regimen and, therefore, reduced efficacy in some patients.

We believe that these suboptimal characteristics of gabapentin result from the mechanism responsible for the absorption of gabapentin. Gabapentin is actively transported across the GI tract after administration. However, the specific transporter mechanism responsible for gabapentin absorption appears to have limited capacity, which seems to vary among individuals, and which is predominantly expressed in the upper GI tract. Due to gabapentin's poor absorption in the lower GI tract, the use of sustained-release formulations to correct the frequent dosing requirement has not been possible.

Our Transported Prodrug

XP13512 is designed to address the deficiencies of gabapentin by targeting high-capacity nutrient transporter mechanisms expressed throughout the length of the intestines. We believe that this approach can address the variable and suboptimal exposure to gabapentin experienced by patients. By targeting transporters expressed throughout the length of the intestines, we have been able to develop a sustained-release formulation of XP13512 that we believe provides more consistent absorption of gabapentin and has overcome the need for frequent dosing of gabapentin.

XP13512 is designed to rapidly convert to gabapentin once absorbed from the GI tract, resulting in limited systemic exposure to the intact Transported Prodrug. In addition to producing gabapentin, XP13512 is metabolized to release other components with well-studied, favorable safety characteristics. We believe that XP13512 has demonstrated a favorable safety profile in clinical trials conducted in humans to date, comparable to that of gabapentin.

Phase 1 Clinical Trials

We have completed multiple safety, tolerability and pharmacokinetic Phase 1 clinical trials of XP13512. The results of these Phase 1 clinical trials indicated that XP13512 was generally well tolerated at all doses. Reported adverse events were consistent with those reported previously for gabapentin. In addition, these clinical trials indicated that XP13512 was rapidly absorbed and converted to gabapentin. Exposure to the intact Transported Prodrug was low and transient compared to the level of gabapentin produced at all dose levels.

Initial Target Indications

Restless Legs Syndrome

Background on RLS. RLS is a common neurological condition that causes an irresistible urge to move the legs. This urge is usually accompanied by unpleasant sensations of burning, creeping, tugging or tingling inside the patients' legs, ranging in severity from uncomfortable to painful. These RLS-related symptoms typically begin or worsen during periods of rest or inactivity, particularly when lying down or sitting, and may be temporarily relieved by movement such as walking or massaging the legs. Symptoms often worsen at night, and disturbed sleep is a common result of RLS. Left untreated, RLS may cause exhaustion, daytime fatigue, inability to concentrate and impaired memory.

Potential Market. According to the National Institute of Neurological Disorders and Stroke, RLS is the third most common sleep disorder, after insomnia and sleep apnea. Although the exact prevalence rate of RLS is uncertain, a study published in the May 2004 issue of *Sleep Medicine* indicated that approximately 2% of patients visiting primary care physicians in the United States and four European countries (France, Germany, Spain and the United Kingdom) experience RLS symptoms severe enough to disrupt their quality of life.

Current Treatments. Current treatments of RLS include dopamine agonists, opioids, benzodiazepines and anticonvulsants, such as gabapentin. In May 2005, GSK received approval from the FDA to market the dopamine agonist ropinirole, known as Requip, for the treatment of moderate-to-severe primary RLS. In addition, in November 2006, Boehringer Ingelheim GmbH received approval from the FDA to market pramipexole, known as Mirapex, for the treatment of moderate-to-severe primary RLS. In April 2006, both ropinirole and pramipexole were approved in the European Union by the European Commission for the treatment of moderate-to-severe primary RLS.

Phase 2a Clinical Trial Results. We have completed a Phase 2a clinical trial of XP13512 as a treatment for RLS. The trial included 38 patients diagnosed with RLS using the International RLS Study Group diagnostic criteria at nine clinical sites in the United States. The objective of this Phase 2a clinical trial was to further assess the safety and pharmacokinetics of the sustained-release tablet formulation of XP13512, as well as to assess preliminary efficacy in patients after two weeks of XP13512 therapy. The trial was a randomized, double-blind, placebo-controlled, crossover clinical trial designed to test XP13512 versus placebo in patients with RLS. XP13512 was dosed twice a day, once at 5:00 p.m. (600 mg) and again one hour before bedtime (1200 mg). The primary endpoint of the clinical trial was the change in the IRLS rating scale score from baseline to the end of the treatment period. A number of secondary endpoints were also examined, including objective sleep measures obtained by polysomnogram, or sleep laboratory measurements, which were conducted prior to, and at the end of, each treatment.

This Phase 2a clinical trial demonstrated that after 14 days of therapy, XP13512 produced a highly statistically significant improvement in the IRLS rating scale score compared to placebo. We determined statistical significance based on a widely used, conventional statistical method that establishes the p-value of clinical results. The statistical significance level comparing XP13512 to placebo was $p<0.0001$. A p-value of 0.05 or less generally represents a statistically significant difference in treatments. A lower p-value indicates greater confidence in the result. A statistically significant improvement in the IRLS rating scale score was also seen after one week of XP13512 treatment. Twenty-nine patients (85% of the patients who completed the trial) reported themselves "much improved" or "very much improved" at the end of the XP13512 treatment period as compared to five patients (15%) at the end of the placebo treatment period. Additionally, compared to placebo, XP13512 was associated with statistically significant improvements in a number of objective sleep measures, including an increase in total sleep time, an increase in the amount of slow-wave sleep, a reduction in the amount of time awake after sleep onset and a reduction in the number of times periodic limb movements woke patients from sleep. XP13512 was well tolerated. The most common side effects of XP13512 were dizziness and somnolence, which are established side effects of gabapentin.

Phase 2b Clinical Trial Results. We have completed a Phase 2b clinical trial of XP13512 as a treatment for RLS. The trial included 95 patients diagnosed with RLS using the International RLS Study Group diagnostic criteria at 14 clinical sites in the United States. The objective of this Phase 2b clinical trial was to assess the safety and efficacy of lower doses of XP13512 given once daily. The trial was a randomized, double-blind, placebo-controlled clinical trial designed to test 600 mg and 1200 mg of XP13512 versus placebo administered once per day with the evening meal for 14 days. The primary endpoint of the clinical trial was the change in the IRLS rating scale score from baseline to the end of the treatment period. A number of secondary endpoints were also examined, including Patient and Investigator Clinical Global Impression of Improvement, or CGI-I, scales, which are recognized measures of patient and physician assessments of clinical change, subjective measures of sleep and symptom severity throughout the day, assessed with a 24-hour diary.

The Phase 2b clinical trial demonstrated that treatment with 1200 mg of XP13512 was associated with a highly statistically significant improvement in the IRLS rating scale score at the end of 14 days of treatment (mean change from baseline: -16.1 for 1200 mg of XP13512; -8.9 for placebo; $p<0.0001$). A statistically significant improvement in the IRLS rating scale score was also seen after one week of treatment with 1200 mg of XP13512. Treatment with 1200 mg of XP13512 resulted in a statistically significant improvement in both Patient and Investigator CGI-I scales (both $p<0.0001$ compared to placebo), which were used to assess overall patient improvements. Based on Investigator CGI-I, 81% of the patients who received 1200 mg of XP13512 were "much improved" or "very much improved," as compared to 48% of patients who received placebo. Treatment with 1200 mg of XP13512 was associated with statistically significant improvements in a number of subjective

measures of sleep, including overall quality of sleep, the number of awakenings per night due to RLS symptoms and the number of hours awake per night due to RLS symptoms (all p<0.005 compared to placebo). Finally, treatment with 1200 mg of XP13512, compared to placebo, was associated with a statistically significant reduction in the severity of RLS symptoms in the evening (8:00 p.m. to midnight) as measured using a 24-hour RLS symptom diary on the final day of treatment (p=0.01 compared to placebo). Clinical effects measured by the above endpoints in patients treated with 600 mg of XP13512 were not statistically different from patients treated with placebo.

XP13512 was generally well tolerated. There were no serious adverse events. The most common side effects were somnolence (15% placebo, 14% 600 mg of XP13512 and 36% 1200 mg of XP13512) and dizziness (3% placebo, 14% 600 mg of XP13512 and 18% 1200 mg of XP13512). Similar side effects have been reported previously for gabapentin.

Phase 3 Clinical Program and Regulatory Filing. We have evaluated XP13512 in a Phase 3 clinical program for the treatment of RLS, and in January 2009, GSK filed the NDA with the FDA for *Solzira* as a treatment for moderate-to-severe primary RLS. The FDA has not yet accepted the NDA.

The Phase 3 clinical program encompassed multiple U.S. trials, including one 12-week, randomized, double-blind, placebo-controlled trial, known as the PIVOT RLS I (Patient Improvement in Vital Outcomes following Treatment for RLS I) clinical trial (previously known as XP052) designed to evaluate the safety and efficacy of 1200 mg of XP13512 versus placebo administered once a day at approximately 5:00 p.m., and a second 12-week randomized, double-blind, placebo-controlled trial, known as the PIVOT RLS II clinical trial (previously known as XP053), designed to evaluate the safety and efficacy of 600 mg or 1200 mg of XP13512 versus placebo administered once a day at approximately 5:00 p.m. The co-primary outcome measures for these trials were defined to be the change from baseline in the IRLS rating scale score and the Investigator CGI-I scale at the end of treatment. Secondary endpoints for both trials include onset of efficacy and subjective sleep, pain, mood and quality of life assessments.

The PIVOT RLS I trial, which commenced in March 2006, enrolled 222 patients at 23 sites who were diagnosed with moderate-to-severe primary RLS. In April 2007, we reported top-line results demonstrating that treatment with 1200 mg of XP13512 was associated with a statistically significant improvement in the co-primary endpoints compared to placebo. Improvements in the IRLS rating scale were significantly greater for XP13512 than for placebo (-13.2 vs. -8.8; p=0.0002). At the end of treatment, significantly more patients treated with XP13512 were reported as “much improved” or “very much improved” on the Investigator CGI-I scale compared to those treated with placebo (76% vs. 39%; p < 0.0001). During treatment over the 12-week period, the most commonly reported adverse events for XP13512 versus placebo were somnolence (27% XP13512; 7% placebo) and dizziness (20% XP13512; 5% placebo). There were no reported serious adverse events in XP13512-treated patients.

The PIVOT RLS II trial, which commenced in August 2006, enrolled 325 patients who were diagnosed with moderate-to-severe primary RLS. In February 2008, we reported top-line results demonstrating that treatment with 1200 mg of XP13512 was associated with a statistically significant improvement in the co-primary endpoints compared to placebo. Improvements in the IRLS rating scale score were significantly greater for 1200 mg of XP13512 than for placebo (-13.0 vs. -9.8; p=0.0015). At the end of treatment, significantly more patients treated with 1200 mg of XP13512 were reported as “much improved” or “very much improved” on the Investigator CGI-I scale compared to those treated with placebo (78% vs. 45% for placebo; p< 0.0001).

This trial also demonstrated that treatment with 600 mg of XP13512 was associated with a statistically significant improvement in the co-primary endpoints compared to placebo. Improvements in the IRLS rating scale score were significantly greater for 600 mg of XP13512 than for placebo (-13.8 vs. -9.8. p<0.0001). At the end of treatment, significantly more patients treated with 600 mg of XP13512 were reported as “much improved” or “very much improved” on the Investigator CGI-I scale compared to those treated with placebo (73% vs. 45%, p<0.0001).

During the 12-week treatment period, the most commonly reported adverse events for XP13512 were dizziness (24% 1200 mg XP13512; 10% 600 mg XP13512; 5% placebo) and somnolence (18% 1200 mg

XP13512; 22% 600 mg XP13512; 2% placebo). These adverse events were generally mild or moderate in intensity. Withdrawals due to adverse events were 7% in the 1200 mg XP13512 group, 6% in the 600 mg XP13512 group and 6% in the placebo group. There were three reported serious adverse events in the study (one in the placebo group, two in the 600 mg XP13512 group), none of which were considered treatment-related.

In addition to these two 12-week trials, the Phase 3 program also included a clinical trial, known as the PIVOT RLS Maintenance clinical trial (previously known as XP060), to assess the long-term efficacy of XP13512. The trial, which commenced in May 2006, was designed to evaluate the potential of XP13512 to maintain efficacy over the course of nine months in patients with RLS. The multi-center, double-blind, randomized, placebo-controlled, parallel-group clinical trial enrolled 327 patients diagnosed with moderate-to-severe primary RLS. All patients were administered 1200 mg of XP13512, taken at approximately 5:00 p.m., for 24 weeks. Patients were assessed to determine treatment response at the end of this single-blind phase, and responders then entered the 12-week, randomized, double-blind phase of the clinical trial. Patients randomized to the placebo group received 600 mg of XP13512 for two weeks and then received placebo for an additional ten weeks. Patients randomized to the XP13512 treatment group continued to receive 1200 mg of XP13512 for the entire 12-week, double-blind period. In January 2008, we reported top-line results that showed that XP13512 was generally well-tolerated during the treatment period and that there was a statistically significant difference between the percentage of patients treated with XP13512 and placebo who met a pre-specified relapse criteria during the randomized phase of the study. Two hundred twenty one patients completed the 24-week, single-blind portion of the clinical trial, of which 194 (88%) met the responder criteria and were randomized to double-blind treatment. Analysis of the primary endpoint indicated that treatment with XP13512 resulted in a statistically significant lower proportion of relapses compared to placebo during the double-blind treatment period (23% placebo compared to 9% XP13512; p= 0.0158).

The most commonly reported adverse events during the single-blind phase of this clinical trial were somnolence (30%) and dizziness (22%), which were generally mild or moderate in intensity and transient in nature. The incidence of somnolence and dizziness in XP13512-treated patients during the double-blind portion of the trial were 3% and 2%, respectively. During the trial, there was one death that was determined to be unrelated to XP13512 treatment. There were five other serious adverse events, only one of which was judged as possibly related to XP13512 treatment.

Clinical Development of XP13512 in RLS. We have also conducted clinical trials and collected information that is typically required for submission of an NDA to the FDA, including an examination of the exposure/response relationship, pharmacokinetics in a special population, drug/drug interactions, cognition, driving proficiency and cardiovascular safety. In addition, we have completed an extension study that included patients from the two 12-week clinical trials to enable assessment of the safety of XP13512 treatment extending up to 12 months. Data from this trial was also included in the NDA filing. The results of the Phase 3 clinical trials, combined with the results from other XP13512 clinical trials in RLS patients, are intended to meet the International Committee for Harmonization, or ICH, guidelines for safety assessment.

In addition, GSK has initiated a polysomnography, or sleep laboratory measurement, study of XP13512 in RLS patients to explore further the potential sleep benefits of XP13512, and, in September 2007, Astellas initiated a 12-week randomized, double-blind, placebo-controlled Phase 2 clinical trial in RLS patients in Japan. This trial completed enrollment in October 2008.

Neuropathic Pain

Background on Neuropathic Pain. Neuropathic pain is pain that results from damage to nerves. The damage may result from a variety of causes, including injury or illnesses such as diabetes, HIV and shingles. In addition, the toxic effects of therapy used to treat patients with cancer or HIV may also cause nerve damage leading to neuropathic pain.

One form of chronic neuropathic pain is PHN. PHN is a complication of shingles, a painful outbreak of rash or blisters on the skin caused by a reactivation of the same virus that causes chicken pox. PHN is often characterized as constant stabbing, burning or electric shock-like sensations in the area affected by shingles after the rash has cleared. Approximately 10% to 15% of all patients with shingles develop PHN, which can persist for many years.

PDN is another form of neuropathic pain that is associated with a family of nerve disorders caused by diabetes. Over time, people with diabetes can damage nerves leading to numbness and sometimes pain and weakness in the hands, feet and legs.

Potential Market. Decision Resources estimates that the prevalence of PHN during 2007 was 552,000 patients in the United States and six other major pharmaceutical markets, collectively. In May 2006, Merck & Co. received FDA approval for Zostavax, a live attenuated vaccine, to help prevent shingles. In October 2006, the U.S. Centers for Disease Control and Prevention's Advisory Committee on Immunization Practices voted unanimously to recommend that adults 60 years of age and older be vaccinated with Zostavax for the prevention of shingles. While Zostavax is not a treatment for shingles or PHN, the availability of this vaccine could impact the future market for therapies for PHN.

Diabetes is the leading cause of neuropathy in the Western world, and neuropathy is the most common complication and greatest source of morbidity and mortality in diabetes patients. Decision Resources estimates that the prevalence of PDN during 2007 was 6.8 million patients in the United States and six other major pharmaceutical markets, collectively.

Current Treatments. Current classes of drugs used to treat patients with neuropathic pain include anticonvulsants, antidepressants and trycyclic drugs, with anticonvulsants representing the largest share of the neuropathic pain market. Of the anticonvulsants, gabapentin is the market leader for the treatment of neuropathic pain. Local application of lidocaine is also used in selected patients. Neurontin was the first oral drug approved by the FDA for the management of PHN. In September 2005, Pfizer launched pregabalin for the treatment of epilepsy and of neuropathic pain associated with diabetic peripheral neuropathy and PHN. Pfizer is marketing pregabalin under the trade name Lyrica. Pfizer received European Commission approval in July 2004 to market Lyrica in European Union member states for the treatment of peripheral neuropathic pain and approval in September 2006 to market Lyrica in European Union member states for the treatment of central neuropathic pain. Eli Lilly and Company has also received approval from the FDA to market duloxetine, under the trade name Cymbalta, for the management of diabetic peripheral neuropathy.

Phase 2a Clinical Trial Results. We have completed a Phase 2a clinical trial of XP13512 for the management of PHN. The trial included 101 patients at 18 clinical sites in the United States. The objective of this randomized, double-blind, placebo-controlled clinical trial was to assess the preliminary safety, tolerability, pharmacokinetics and efficacy of 1200 mg of XP13512 administered twice a day for 14 days and to compare the response to XP13512 against the response to placebo. While clinical trials required for obtaining FDA approval to market product candidates for the management of PHN have required treatment periods of eight weeks, published studies of gabapentin for the management of PHN have shown efficacy in as short as 14 days of treatment.

After establishing baseline pain scores and prior to entering the randomized treatment period, all patients in this clinical trial received increasing doses of Neurontin of up to 1800 mg per day and were maintained at this dose for seven days. At the end of this Neurontin treatment period, pharmacokinetics and clinical endpoints were assessed. Patients were then immediately randomized to 1200 mg of XP13512 administered twice a day or placebo treatment. Treatment continued for an additional 14 days, at which time pharmacokinetics and clinical endpoints were again assessed. The primary endpoint of this clinical trial was the change in average pain score between the seven days of baseline assessment to the final seven days of XP13512 or placebo treatment using an 11-point numerical pain scale.

This Phase 2a clinical trial demonstrated that treatment with XP13512 was associated with a statistically significant reduction in pain as measured by an 11-point numerical pain scale ($p=0.032$) compared to placebo. Statistically significant improvements in pain were also observed using a different pain scale. Additionally, compared to placebo, treatment with XP13512 was associated with a statistically significant reduction in sleep interference. The clinical benefit of XP13512 over placebo was also supported by observed statistically significant improvements in both Patient and Investigator CGI-I scales. XP13512 was well tolerated. The most common side effect of XP13512 was dizziness, which is an established side effect of gabapentin.

Because of the structure of this Phase 2a clinical trial, we were able to compare blood levels of Neurontin and to test for a trend toward improved pain reduction with XP13512 compared to Neurontin in the same

patients. Accordingly, additional analyses were conducted on data from those patients who received both Neurontin and XP13512 and for whom pharmacokinetic data was complete. A daily dose of 2400 mg of XP13512 has the potential to release 1248 mg per day of gabapentin into the bloodstream, which equates to approximately two-thirds of the daily dose administered during the Neurontin treatment period. Despite this lower dose, XP13512 produced on average a 17% increase in the steady-state average blood concentration of gabapentin compared to that produced by Neurontin dosing (p=0.014) in the evaluated patients because of the higher bioavailability of XP13512. Thirty-six percent of evaluated patients had an increased steady-state average blood concentration of greater than 30%. For all patients who received XP13512, the change in average pain score between the last seven days of the Neurontin treatment and the final seven days of XP13512 treatment was determined. A statistically significant reduction in pain score at the end of XP13512 treatment was observed (p=0.045).

Clinical Development of XP13512 in Neuropathic Pain. In July 2007, Astellas, initiated an eight-week, double-blind, placebo-controlled Phase 2 clinical trial of XP13512 (known by Astellas as ASP8825) in patients with PDN. In November 2008, Astellas terminated this clinical trial based on the results of a planned interim analysis. An independent data monitoring committee determined that continuation of the study was not likely to demonstrate a statistically significant advantage of XP13512 over placebo on the primary endpoint of the study given the planned number of patients. There were no safety concerns identified in the interim analysis.

In the first quarter of 2008, GSK initiated a neuropathic pain program that includes separate dose-ranging, Phase 2 clinical trials of XP13512 (known by GSK as *Solzira* in the United States and as GSK1838262 in other countries within its territory) in PHN and PDN, as well as a Phase 2 clinical trial in PHN patients who have not responded to treatment with gabapentin. In November 2008, GSK completed enrollment in the Phase 2 dose-ranging PDN clinical trial.

Migraine Prophylaxis

Background on Migraine. Migraine is a neurological disorder characterized by recurrent headache attacks that are usually accompanied by various combinations of symptoms, including nausea and vomiting, as well as distorted vision and sensitivity to light and sound.

Potential Market. According to the American Migraine Prevalence and Prevention Study, migraine affects approximately 30 million individuals in the United States and approximately 40% of migraine sufferers could benefit from preventive therapies.

Current Treatments. Current treatments for migraine include abortive therapies for individual migraine episodes and prophylactic therapies that are designed to prevent or reduce the number of migraine attacks. Abortive therapies include non-prescription analgesics, such as aspirin, ibuprofen and acetaminophen, and prescription drugs. According to Decision Resources, the most widely prescribed prescription drugs are triptans, and include sumatriptan/naproxen (Treximet) from GSK/POZEN Inc., rizatriptan (Maxalt) from Merck/Eisai Co., Ltd./Kyorin Pharmaceutical Co., Ltd., zolmitriptan (Zomig) from AstraZeneca Pharmaceuticals LP and eletriptan (Relpax) from Pfizer.

Migraine prophylaxis is designed to reduce the frequency and severity of migraine attacks, to make acute migraine attacks more responsive to abortive therapy and to improve the quality of life for patients. According to Decision Resources, the leading branded prescription treatments for migraine prophylaxis are topiramate (Topamax) from Johnson & Johnson and divalproex sodium (Depakote) from Abbott Laboratories.

Planned Development. In the third quarter of 2008, GSK, initiated a Phase 2 clinical trial of XP13512 for the prophylactic treatment of migraine headaches.

Development and Commercialization Strategy

Due to the large market potential for XP13512, the requirement of a primary care physician sales force to address these markets in the United States and our desire to focus our commercialization efforts in the United States, we have entered into agreements with pharmaceutical partners to maximize the potential commercial

value of XP13512. In December 2005, we entered into a license agreement with Astellas for exclusive rights to develop and commercialize XP13512 in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan, which we collectively refer to as the Astellas territory. Astellas made an up-front payment to us of $25.0 million, has paid additional milestones of $15.0 million and may make additional milestone payments to us of up to $45.0 million. We will receive royalties on any net sales of XP13512 in the Astellas territory. Astellas may terminate the collaboration at its discretion. In such event, all XP13512 product rights would revert to us and we would be entitled to specified transition assistance from Astellas.

Additionally, in February 2007, we announced an exclusive collaboration with GSK to develop and commercialize XP13512 worldwide, excluding the Astellas territory. GSK made an up-front payment to us of $75.0 million, has paid additional milestones of $65.0 million and may make additional payments of up to $210.0 million upon the achievement of clinical and regulatory milestones and up to $290.0 million upon the achievement of specified sales levels. Under the terms of the agreement, GSK is responsible for all future development costs. We would be entitled to a percentage of net sales of XP13512 in the GSK territory for a specified period of time, unless we elect the option to co-promote XP13512 in the United States. In the event that we elect the co-promotion option for XP13512, we would share marketing and commercialization costs and would be entitled to a share of operating profits from net sales of XP13512 in the United States for so long as XP13512 is sold, as well as receive payments on details we perform in the United States on Requip XL, GSK's product for Parkinson's disease. Upon approval from the FDA of the NDA for *Solzira*, we would co-promote XP13512 in the United States to those same prescribers. We have granted GSK an exclusive license to develop and market XP13512 in all markets outside the United States other than the Astellas territory. GSK has the right to terminate the agreement in its entirety for any reason at its discretion upon 60-days' written notice to us prior to, and 120-days' written notice to us following, the first commercial sale of XP13512. In such event, all XP13512 product rights would revert to us and we would be entitled to specified transition assistance from GSK. In the event of a termination by GSK after it has obtained marketing approval and has commercially launched XP13512 for neuropathic pain in certain identified countries, we will be obligated to pay to GSK royalties on net sales of the product for at least ten years.

XP19986 (arbaclofen placarbil) — A Transported Prodrug of R-baclofen

We are developing our product candidate, XP19986, a Transported Prodrug of R-baclofen, for the treatment of patients with GERD and for the potential treatment of the symptoms of spasticity and acute back spasms. We hold a composition-of-matter patent in the United States on XP19986 and have filed patent applications directed to the XP19986 methods of synthesis and use in the United States and other jurisdictions.

Parent Drug Background

Baclofen is thought to act selectively on the target that is known as the GABA(B) receptor. Baclofen is racemic, which means it is a mixture of R and S isomers. Only the R isomer is active at GABA(B) receptors. Baclofen, which is now sold as a generic drug in the United States, has been used since 1977 for the alleviation of the signs and symptoms of spasticity in patients with multiple sclerosis, as well as spinal cord injury and other pain and spasm conditions. Published studies indicate that baclofen may also be effective in treating GERD. Although baclofen has acceptable oral absorption, its short duration in blood of three to four hours necessitates dosing at least three times per day. This dosing regimen produces substantial peaks and troughs in drug exposure, which may be the cause of side effects such as significant drowsiness, weakness and dizziness during peak drug levels and diminished efficacy during trough drug levels. However, due to its poor absorption in the colon, a less frequently dosed sustained-release formulation of baclofen that produces a more constant level of baclofen in the blood is not technically feasible. To address these deficiencies of oral baclofen, an implantable pump that delivers baclofen directly into the spinal cord fluid via a catheter has been developed. However, physicians typically reserve this invasive surgical procedure for those few patients who are not suitable for oral baclofen.

Our Transported Prodrug

XP19986 was designed to address the deficiencies of baclofen by targeting high-capacity nutrient transporter mechanisms expressed throughout the length of the entire GI tract, including the colon. By targeting

these transporters, we believe that XP19986 can be formulated in a sustained-release pill and thereby require less frequent dosing than baclofen. XP19986 is a chiral molecule, which means that it exists as a single isomeric form, and produces only the R isomer of baclofen, known as R-baclofen.

XP19986 was designed to rapidly convert to R-baclofen upon absorption, with limited systemic exposure to the intact Transported Prodrug. Once absorbed, XP19986 converts to R-baclofen and natural substances that have well-studied, favorable safety characteristics. We believe that the inherently safe nature of the metabolic breakdown products of XP19986 could provide XP19986 with a safety profile that is comparable to, and potentially better than, that seen with racemic baclofen.

We are developing sustained-release formulations of XP19986 that may be suitable for once- or twice-daily dosing for the potential treatment of GERD, spasticity and acute back spasms.

Phase 1 Clinical Trials

We have completed multiple Phase 1 clinical trials of XP19986 that included a total of over 200 healthy volunteers. The results of these Phase 1 clinical trials indicated that XP19986 was well absorbed and rapidly converted to the R isomer of baclofen. Exposure to the intact Transported Prodrug was low and transient. Comparison of these data with historical pharmacokinetic data for racemic baclofen suggests that XP19986 taken once a day or twice a day should be associated with a decreased peak-to-trough ratio of R-baclofen blood levels over 24 hours compared to racemic baclofen dosed three or four times a day.

Initial Target Indications

Gastroesophageal Reflux Disease

Background on GERD. GERD is a chronic digestive system disorder caused primarily by transient relaxations of the lower esophageal sphincter, or LES, which is a combination of muscles that controls the junction between the esophagus and the stomach. This results in frequent, undesirable passage of stomach contents into the esophagus that can cause heartburn, regurgitation and potential damage to the lining of the esophagus. Current treatments for GERD reduce the acidity of stomach contents but do not treat the underlying transient relaxations of the LES, resulting in inadequate treatment of GERD in many patients.

Potential Market. According to IMS Health, IMS Midas, over $25 billion worldwide was spent in 2007 on PPI therapeutics and it is estimated that GERD affects approximately 25% of the U.S. Population. While PPI therapy improves symptoms in the majority of GERD patients, an estimated 40% of patients on once-daily PPI therapy continue to experience breakthrough symptoms. There are no drugs currently approved to treat patients with GERD that are incomplete responders to PPIs.

Current Treatments. Conventional treatment for GERD encompasses medications that suppress stomach acid, including PPIs, such as Nexium, Prilosec and Prevacid, H_2 receptor antagonists, such as Tagamet, Pepcid and Zantac, as well as over-the-counter antacids. However, these treatments are not effective in all patients, and there is a subset of patients who suffer from reflux of stomach contents that are not acidic, such as bile, who do not respond to these acid-suppression treatments.

Baclofen has been the subject of clinical trials indicating that it may also be effective in treating GERD. Unlike acid suppressing agents, baclofen exerts its effects on the function of the LES that controls passage of material between the esophagus and the stomach. Baclofen reduces the frequency of transient LES relaxations and, therefore, passage of gastric contents into the esophagus. Such a mechanism potentially may be effective alone or in combination with acid suppressants to increase the effectiveness of existing therapies. One study published in 2003 indicated that baclofen was effective when compared to placebo in reducing the number of reflux episodes and the percentage of time that the esophagus was acidic. Another study published in 2003 indicated that baclofen, when combined with a PPI, was more effective in reducing the number of reflux episodes as compared to the PPI alone. In these studies, baclofen was taken three or four times a day.

While these studies suggest a potential role for baclofen in the treatment of GERD, it is currently not approved for this indication, and we believe that it is unlikely that an approval of baclofen for this indication will

be pursued because of the requirement for frequent dosing. We believe that providing a steady exposure of the R isomer of baclofen to patients with a once- or twice-daily dosage of XP19986 may result in reduced side effects compared to racemic baclofen and may demonstrate improved efficacy in the treatment of GERD.

Phase 2a Clinical Trial Results. XP19986 has generated positive data in a Phase 2a clinical trial that evaluated the reduction in the number of reflux episodes in patients with GERD. The single-dose, randomized, double-blind, placebo-controlled, crossover clinical trial enrolled 50 patients at three sites in the United States. Enrolled patients had a history of GERD symptoms at least three times per week and met a screening criterion of 20 or more reflux events in the two hours following a reflux-provoking meal. Reflux was monitored using a pH/impedance probe placed in the esophagus. The pH/impedance probe allows the monitoring of both acid and non-acid reflux episodes. Each patient who met the entry criteria received single doses of XP19986 or placebo in separate test periods with four to seven days between testing periods. On the testing days, dosing occurred one hour after probe placement. Reflux-provoking meals were consumed at two hours and six hours after dosing, and patients were required to lie on their right side for two hours after each meal to further provoke LES relaxations. Reflux was monitored continually for 12 hours. Patients also recorded when they experienced heartburn or regurgitation symptoms. In addition, blood samples were taken at regular time intervals for the purpose of pharmacokinetic assessment. Separate cohorts of patients were administered single doses of 10, 20, 40 or 60 mg of XP19986, which was formulated in a prototype controlled-release capsule that had been tested previously in healthy subjects.

The pre-specified primary endpoint for the clinical trial was the total number of reflux episodes over the 12-hour monitoring period following the dose of XP19986 or placebo. Acid and non-acid reflux were analyzed as secondary endpoints. Reflux episodes by hour and the number of heartburn and regurgitation events were also analyzed. The median number of total reflux episodes over 12 hours after placebo treatment for the combined dose groups was 50.5 (N=44). The median change in total reflux episodes after XP19986 treatment compared to placebo treatment was -9.5 (p=0.005).

XP19986 treatment, compared to placebo, was also associated with a statistically significant reduction in the number of acid reflux episodes during the 12-hour monitoring period. The median number of acid reflux episodes during placebo treatment for the combined dose groups was 39.0, with a median reduction of -9.5 (p=0.0027) following XP19986 treatment. Further evaluation of individual dose groups showed that XP19986 dosing was associated with statistically significant reductions in acid reflux episodes in both the 40 mg (p=0.0498) and 60 mg (p=0.0039) dose groups, with 18 of 20 patients in these two dose groups having fewer acid reflux episodes in the 12 hours after XP19986 treatment compared to placebo treatment. In addition, analysis of the combined doses for reflux occurring during each hour interval after dosing indicated that the number of reflux episodes increased after each meal, as expected. XP19986 treatment resulted in a reduction, compared to placebo, of reflux episodes at all periods beyond the third hour, with reductions reaching statistical significance in the fourth, eighth, ninth and tenth hours.

Single-dose treatment with XP19986 resulted in a statistically significant reduction, compared with placebo, in the number of heartburn events that were associated with a reflux episode over the 12-hour monitoring period (p=0.0294 for the combined dose groups). XP19986 was well tolerated at all dose levels with few reported adverse events. Pharmacokinetic results indicated that exposure to R-baclofen was proportional to dose with an extended length of exposure, similar to that observed previously in Phase 1 clinical trials in healthy subjects.

Phase 2 Multi-Dose Clinical Trial Results. XP19986 has generated data in a randomized, parallel-group, double-blind, placebo-controlled Phase 2 clinical trial that evaluated the efficacy, safety and tolerability of a sustained-release formulation of XP19986 in patients with symptomatic GERD. The trial enrolled 156 subjects at 16 sites in the United States. Enrolled subjects had reflux symptoms occurring at least three days a week and had either no history of taking PPIs (PPI Naïve) or a history of at least a partial symptom response to PPI therapy (PPI Experienced). Enrolled subjects discontinued prior therapy for GERD other than rescue antacids. During the second week of a two-week washout period, baseline data regarding frequency and severity of GERD symptoms were recorded in an electronic diary as they occurred. Each subject who met the entry criteria was randomized to one of five treatment arms: placebo; three dose levels of XP19986 (20 mg, 40 mg or 60 mg) administered once a day in the morning; or XP19986 (30 mg) administered twice daily. PPI history was used as a stratification

criterion during randomization. The treatment period was four weeks, which included an up-titration period. At the end of four weeks, subjects were tapered off treatment.

The primary efficacy analysis involved the difference in the change in total number of weekly heartburn episodes between the XP19986 dose groups and placebo through four weeks of treatment. The primary efficacy analysis compared pooled XP19986 treatment groups (60 mg dosed once a day and 30 mg dosed twice a day; and 60 mg and 40 mg dosed once a day) with the placebo group and included both PPI Experienced and PPI Naïve subjects. This analysis did not reach statistical significance.

The primary analysis indicated that the status of a subject as either PPI Naïve or PPI Experienced had a significant impact on the outcome of the analysis. The prospective statistical analysis plan specified separate analyses of the PPI Naïve and the PPI Experienced populations. In the PPI Experienced population, which represented 63% of all subjects, XP19986 demonstrated a significantly greater reduction in heartburn episodes compared to placebo for the 30 mg twice-daily dosage group.

A number of pre-defined secondary analyses were conducted on subjects in the PPI Experienced population. All XP19986 dose groups showed a greater adjusted mean percent reduction from baseline at week four in weekly heartburn episodes that was statistically significant compared to placebo.

In addition, a dose-dependent effect on the complete relief of heartburn symptoms during the last seven days of the four-week treatment period was observed for subjects in the PPI Experienced population. The comparison of the 30 mg twice-daily group with the placebo group was statistically significant.

XP19986 was generally well tolerated at all dose levels. There were no treatment emergent serious adverse events. Among all subjects receiving study medication, the most common adverse events for placebo, 20 mg, 40 mg and 60 mg dosed once daily and 30 mg of XP19986 dosed twice daily were somnolence, at rates of 3%, 3%, 12%, 16% and 13%, respectively, and dizziness, at rates of 10%, 10%, 6%, 13% and 20%, respectively. Most reported adverse events were mild or moderate in severity. Withdrawals due to adverse events were 6%, 0%, 3%, 9% and 10%, respectively.

Clinical Development of XP19986 in GERD. We expect to commence in the second half of 2009 a Phase 2 clinical trial of XP19986 as a potential treatment for subjects with GERD who are incomplete responders to PPIs.

Spasticity

Background on Spasticity. Spasticity is a debilitating condition that is associated with some common neurological disorders, such as multiple sclerosis, stroke and cerebral palsy, as well as spinal cord injury. Spasticity is a condition in which certain muscles are continuously contracted, interfering with movement or speech.

Potential Market. According to "We Move", a non-profit organization providing patient information and continuing medical education to professionals, 2 out of every 1000 people in North America suffer from multiple sclerosis, and 2% of the U.S. population between 25 to 74 years are stroke victims. Roughly 200,000 people in the U.S. suffer from spinal cord injury. It is estimated that spasticity affects between 37% and 78% of multiple sclerosis patients, 40% of spinal cord injury patients, 35% of stroke victims and more than 90% of cerebral palsy patients.

According to data from Wolters Kluwer Health, Source® Pharmaceutical Audit Suite, for the 12 months ended December 31, 2008, there were approximately 7.0 million prescriptions written in the United States for the two most widely prescribed drugs for the treatment of spasticity, baclofen and tizanidine. Besides baclofen and tizanidine, treatments for spasticity include diazepam and dantrolene sodium. Although these medications may provide symptom relief in some people, they are often only partially effective and generally require dosing three or more times a day. In addition, these medications are often associated with unwanted side effects such as sedation and weakness, as well as issues with bladder, bowel and sexual function. We believe that a Transported Prodrug of R-baclofen that can be taken twice each day to provide a steady exposure of R-baclofen may more adequately address the needs of spasticity patients than current therapies, including racemic baclofen.

Clinical Development of XP19986 in Spasticity. We have initiated a multiple-dose, randomized, placebo-controlled, crossover Phase 2 clinical trial of XP19986 to evaluate the efficacy, safety and tolerability of a twice-a-day sustained-release formulation of XP19986 in approximately 36 patients with spasticity due to spinal cord injury. The clinical trial is being conducted at multiple study centers in the United States and Canada. Three doses of XP19986 are being assessed in a randomized crossover comparison versus placebo. Twelve subjects will be enrolled in each dose level. Eligible subjects undergo a washout and baseline period, followed by XP19986 (10 mg, 20 mg or 30 mg) or placebo, administered twice a day in the first treatment segment. After a washout period, each subject receives the other treatment in the second treatment segment. The primary outcome measure in this study is the Ashworth Scale assessment of muscle tone. We expect the results of this trial by mid-year 2009.

Acute Back Spasms

Background on Acute Back Spasms. Acute back spasms are involuntary and, frequently, painful contractions of the muscles of the lower back.

Potential Market. It is estimated that the prevalence of lower back pain is approximately 25% in the adult population of the United States. Lower back pain is the fifth leading cause of physician visits in the United States, accounting for more than 15 million outpatient visits every year. Muscle relaxants can help alleviate the pain that is often associated with acute back spasms. Treatments for acute back spasms include cyclobenzaprine (Flexiril and Amrix as well as generic offerings), carisoprodol (Soma as well as generic offerings) and metaxalone (Skelaxin). According to data from Wolters Kluwer Health, Source® Pharmaceutical Audit Suite, there were more than 35 million prescriptions for these drugs in the United States in 2008.

Clinical Development of XP19986 in Acute Back Spasms. We have initiated a multiple-dose, randomized, placebo-controlled, Phase 2 clinical trial of XP19986 to evaluate the efficacy, safety and tolerability of a twice-a-day sustained-release formulation of XP19986 in approximately 160 patients with acute back spasms of neuromuscular origin. The clinical trial is being conducted at multiple study centers in the United States. The primary outcome measure is safety and tolerability of XP19986 for 14 days of treatment. The secondary outcome measure is the change in pain severity using the Visual Analog Scale at day four from baseline.

Development, Commercialization and Partnering Strategy

Due to the likely need for a primary care physician sales force to address the GERD and acute back spasms markets, we may seek a partner for the development and commercialization of XP19986 for the potential treatment of GERD and acute back spasms. Since the spasticity market could be served through a smaller, focused sales force, we may seek to retain promotional rights to XP19986 in the United States for spasticity indications.

XP21279 — A Transported Prodrug of L-Dopa

We are developing our product candidate, XP21279, a Transported Prodrug of L-Dopa, for the treatment of Parkinson's disease. We hold a composition-of-matter patent in the United States on XP21279 and have filed patent applications directed to the XP21279 methods of synthesis and use in the United States. We have also filed applications directed to the XP21279 composition-of-matter, methods of synthesis and use in other jurisdictions.

Parent Drug Background

Patients with Parkinson's disease have a deficiency of the neurotransmitter dopamine resulting from neuronal degeneration within certain nerve cells in an area of the brain collectively known as the substantia nigra. L-Dopa is an immediate precursor of dopamine that, unlike dopamine, readily crosses the blood brain barrier. When administered in conjunction with carbidopa (and, in some cases, with benzerazide or carbidopa and entacapone), L-Dopa is protected from rapid degradation by enzymes that are outside of the brain and is able to be converted to dopamine at its desired site of action in the brain.

L-Dopa is widely viewed as one of the most effective treatments of Parkinson's disease, and virtually all patients with Parkinson's disease ultimately require it. However, L-Dopa has many undesirable pharmacokinetic characteristics, including its rapid breakdown by gastric and other peripheral enzymes, a short duration in blood after oral dosing that leads to the fluctuation of drug plasma concentrations upon frequent dosing and a narrow absorption window within the GI tract. The poor colonic absorption of L-Dopa has precluded the development of a satisfactory sustained-release formulation of L-Dopa that would prolong absorption beyond the small intestine.

Our Transported Prodrug

We believe that XP21279 has the potential to improve upon the deficiencies of L-Dopa. XP21279 is designed to engage natural nutrient transport mechanisms located throughout the length of the GI tract and then be rapidly converted to L-Dopa by the body's naturally occurring enzymes. In addition to L-Dopa, the metabolic breakdown products of XP21279 are substances with favorable safety characteristics. Because XP21279 is designed to be well absorbed from the lower GI tract, we believe that it can be formulated for sustained release, thus reducing fluctuations of L-Dopa levels in the bloodstream. From December 2002 to December 2004, we were engaged in a collaboration with the ALZA division of Johnson & Johnson to jointly develop Transported Prodrugs of L-Dopa. In March 2005, ALZA relinquished all rights to such Transported Prodrugs, subject to a royalty upon net sales of certain product candidates if they are ultimately commercialized.

Following a Phase 1 clinical trial of a prototype sustained-release formulation of XP21279 that included a total of 12 healthy volunteers, we evaluated several new sustained-release formulations of XP21279. In February 2009, we reported positive results from a second Phase 1 clinical trial of XP21279 that evaluated two of these new formulations.

Target Indication

Parkinson's Disease

Background on Parkinson's Disease. Parkinson's disease is a motor system disorder that results from the loss of dopamine-producing nerve cells in the brain. Dopamine is a chemical that is naturally produced by the body. It is responsible for smooth, coordinated function of the body's muscles and movement. When approximately 80% of dopamine-producing cells are damaged, the symptoms of Parkinson's disease appear. The primary symptoms of Parkinson's disease are tremor or shaking, slowness of movement, rigidity or stiffness and difficulty with balance.

Potential Market. According to Datamonitor, Parkinson's disease is primarily a disease of elderly individuals with a peak age at onset of 55 to 66 years. Approximately 1% of the U.S. population over 65 years old has been diagnosed with Parkinson's disease. The Parkinson's Disease Foundation estimates that there are about 60,000 new cases of Parkinson's disease diagnosed in the United States each year. According to the IMS National Prescription Audit Report and the IMS National Disease and Therapeutic Index Report, there were approximately 6.5 million prescriptions written in the United States in 2005 for treating the symptoms of Parkinson's disease.

Current Treatments. At present, there is no cure for Parkinson's disease, but a variety of medications provide relief from the symptoms. L-Dopa acts to replenish dopamine in the brain. It is usually administered with benzerazide or carbidopa, or a combination of carbidopa and entacapone, which delays the premature conversion of L-Dopa to dopamine in peripheral tissues. According to the National Institute of Neurological Disorders and Stroke, treatment with L-Dopa helps patients in at least three-quarters of Parkinson's disease cases.

Another class of drugs, called dopamine agonists, is also commonly used to treat Parkinson's disease. Dopamine agonists, which include bromocriptine, pergolide, pramipexole and ropinirole, mimic the role of dopamine in the brain, which causes neurons to react as they would to dopamine. In spite of their wide use, both L-Dopa and dopamine agonists remain suboptimal in treating the symptoms of Parkinson's disease. L-Dopa therapy has been associated with "wearing-off," a condition where treatment effects diminish over time as the disease progresses, and "on-off" dyskinesias, or impairment of movement, due to changes in L-Dopa plasma

concentrations. Dopamine agonists are generally considered the next most powerful drug class in treating the symptoms of Parkinson's disease, but are more likely to cause hallucinations, confusion and psychosis, especially in the elderly.

Development, Commercialization and Partnering Strategy

We plan to continue development of XP21279 and retain rights to this product candidate in the United States, while seeking a partner for the development and commercialization of XP21279 as a treatment for Parkinson's disease outside the United States.

XP21510 — A Transported Prodrug of Tranexamic Acid

Our fourth product candidate is XP21510, a Transported Prodrug of tranexamic acid, for the treatment of menorrhagia, or heavy menstrual bleeding. We hold a composition-of-matter patent directed to XP21510, and patent applications directed to the methods of synthesis and use in the United States and other jurisdictions.

Parent Drug Background

Tranexamic acid is a man-made derivative of the naturally occurring amino acid lysine and works to inhibit, on a molecular basis, the break down of blood clots. It is approved in many countries in Europe and Asia for the treatment of women with menorrhagia.

Our Transported Prodrug

We believe that XP21510 has the potential to improve upon the deficiencies of tranexamic acid. XP21510 is designed to engage natural nutrient transport mechanisms located throughout the length of the GI tract and then be rapidly converted to tranexamic acid by the body's naturally occurring enzymes. In addition to tranexamic acid, the metabolic breakdown products of XP21510 are substances with favorable safety characteristics.

Planned Clinical Development

In October 2007, we licensed to Xanodyne exclusive rights to develop and commercialize XP21510 in the United States, including for the potential treatment of women diagnosed with menorrhagia. In exchange for these rights, we have received and recognized non-refundable cash payments totaling $12.0 million. We have received $1.0 million upon the achievement of a milestone, and are eligible to receive aggregate cash payments of up to an additional $129.0 million upon the achievement of certain development, regulatory and commercial milestones with respect to XP21510, as well as aggregate cash payments of up to $5.0 million upon the achievement of certain development, regulatory and commercial milestones with respect to Xanodyne's tranexamic acid product candidate, known as XP12B, that reported positive results from two pivotal studies in 2008. In addition, we are entitled to receive tiered, double-digit royalty payments on potential future net sales of XP21510, as well as escalating single-digit royalties on potential future net sales of XP12B. Xanodyne may terminate the agreement at its discretion upon 120-days' prior written notice. In such event, all XP21510 rights would revert to us, and we would be entitled to specified transition assistance from Xanodyne. Xanodyne is currently conducting preclinical development of XP21510 and has recently submitted an NDA to the FDA for XP12B.

Initial Target Indications

Menorrhagia. Menorrhagia is abnormally heavy and prolonged menstrual periods at regular intervals. While a normal menses cycle lasts 21 to 35 days with an average of five days of bleeding and total blood flow between 25 and 80 milliliters, women with menorrhagia can have seven or more days of bleeding and lose more than 80 milliliters of blood per menses. It is estimated that nine to 14 percent of healthy women suffer from menorrhagia. Because quantitative means of diagnosing menorrhagia are generally impractical, healthcare

professionals often diagnose menorrhagia symptomatically by considering frequency of tampon or sanitary napkin change, spotting and staining events, presence of constant pain in the lower abdomen, interference with regular work and social routines and measurements of anemia. We believe that an oral Transported Prodrug of tranexamic acid may provide more optimal delivery of tranexamic acid and thereby improve the efficacy and safety profile of this product.

Future Applications for Our Transported Prodrugs

We believe that there are a number of other generic parent drugs that could be candidates for our Transported Prodrug technology. We will apply our proprietary technology to selected parent drugs that have low or regionally restricted absorption in the GI tract that results in suboptimal therapy, have a chemical structure that is amenable to prodrug manipulation and are economical to manufacture.

Additionally, we believe that our proprietary technology has broad applicability beyond improving absorption from the GI tract, such as improving the penetration of drugs into the CNS. We also believe that there is a significant opportunity to use our proprietary technology to improve drug candidates that otherwise would not be successfully developed due to poor oral absorption, distribution and/or metabolism.

Blood Brain Barrier

We have efforts underway to further extend our proprietary technology to transporters found in the blood brain barrier with a goal of improving CNS penetration. The blood brain barrier is an important obstacle to the effectiveness of compounds acting on CNS targets. The highly restrictive endothelium of the brain capillary bed and the protective epithelial layer of a part of the brain known as the choroid plexus comprise a formidable barrier of cells through which drugs must pass from the blood to enter the brain. However, many natural compounds needed to feed the high metabolic activity of the brain are selectively absorbed into the CNS, particularly through the extensive capillary beds in the brain. In some cases, large amounts of these compounds are actively pumped from the blood to the brain by transporter proteins. From November 2003 to November 2005, we were engaged in a collaboration with Pfizer to jointly develop transporter technology to enhance the delivery of drugs to the brain. The program was exclusive during the term of the collaboration and provided Pfizer with non-exclusive rights to resulting technologies.

Third-Party Compounds

We believe that our proprietary technology can be utilized to rehabilitate those product candidates of third parties that initially demonstrated potential therapeutic benefits but whose limitations in absorption, distribution and pharmacokinetics have prevented successful drug development or commercialization. We will select other drug molecules for this approach based on our ability to license from third parties these product candidates, the medical need for an improved version of the third party's drug, the size of the commercial opportunity and the amenability of our chemistry to the drug's particular structure.

Our Strategic Alliances

Astellas Pharma Inc.

In December 2005, we entered into an agreement in which we licensed to Astellas exclusive rights to develop and commercialize XP13512 in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan. Under the terms of this agreement, we received an initial license payment of $25.0 million and have subsequently received $15.0 million in milestone payments. In addition, we are eligible to receive clinical and regulatory milestone payments totaling up to an additional $45.0 million. We will provide Astellas both clinical and commercial supplies of XP13512 and will receive royalties on any net sales of XP13512 in the Astellas territory at a royalty rate in the mid-teens on a percentage basis. Astellas may terminate the collaboration at its discretion. In such event, all XP13512 product rights would revert to us and we would be entitled to specified transition assistance from Astellas.

Glaxo Group Limited

In February 2007, we announced an exclusive collaboration with GSK to develop and commercialize XP13512 worldwide, excluding the Astellas territory. GSK made an up-front payment to us of $75.0 million, has paid additional milestones of $65.0 million and may make additional payments of up to $210.0 million upon the achievement of clinical and regulatory milestones and up to $290.0 million upon the achievement of specified sales levels. In addition, GSK is responsible for all future development costs. GSK filed an NDA for moderate-to-severe primary RLS with the FDA in January 2009. GSK would lead the development and registration of XP13512 for all other indications, including neuropathic pain and migraine prophylaxis. GSK is solely responsible for the manufacture of XP13512 to support its development and commercialization within the licensed territories. We would be entitled to receive royalties based upon a percentage of net sales of XP13512 in the GSK territory for a specified period of time, unless we elect the option to co-promote XP13512 in the United States. In the event that we elect the co-promotion option for XP13512, we would share marketing and commercialization costs and would be entitled to a share of operating profits from net sales of XP13512 in the United States for so long as XP13512 is sold, as well as receive payments on details we perform in the United States on Requip XL, GSK's product for Parkinson's disease. Upon FDA approval of the NDA for *Solzira*, we would co-promote XP13512 in the United States to those same prescribers. GSK may terminate our collaboration agreement in its entirety for any reason. In such event, certain XP13512 product rights would revert to us and we would be entitled to specified transition assistance from GSK.

Xanodyne Pharmaceuticals, Inc.

In October 2007, we licensed to Xanodyne exclusive rights to develop and commercialize XP21510 in the United States, including for the potential treatment of women diagnosed with menorrhagia. In exchange for these rights, we have received and recognized non-refundable cash payments totaling $12.0 million. We have received a $1.0 million milestone, and are eligible to receive aggregate cash payments of up to an additional $129.0 million upon the achievement of certain development, regulatory and commercial milestones with respect to XP21510, as well as aggregate cash payments of up to $5.0 million upon the achievement of certain development, regulatory and commercial milestones with respect to Xanodyne's tranexamic acid product candidate, known as XP12B, which has generated positive data in two Phase 3 clinical trials. In addition, we are entitled to receive tiered, double-digit royalty payments on potential future net sales of XP21510, as well as escalating single-digit royalties on potential future net sales of XP12B. Xanodyne may terminate the agreement at its discretion upon 120-days' prior written notice. In such event, all XP21510 rights would revert to us and we would be entitled to specified transition assistance from Xanodyne.

Patents and Proprietary Rights

We will be able to protect our technology from unauthorized use by third parties only to the extent that our technology is covered by valid and enforceable patents or effectively maintained as trade secrets and able to be utilized without infringing the proprietary rights of others. Our success in the future will depend in part on obtaining patent protection for our technologies and product candidates. Accordingly, patents and other proprietary rights are essential elements of our business. Our policy is to actively seek in the United States and selected foreign countries patent protection for novel technologies and compositions of matter that are commercially important to the development of our business.

Issued U.S. and foreign patents generally expire 20 years after filing. We currently hold a number of issued patents in the United States, including composition-of-matter patents on XP13512, XP19986, XP21279 and XP21510. We have a number of pending patent applications in the United States. Of the U.S. patents that we hold, many patents are related to compounds, pharmaceutical compositions containing the compounds and therapeutic methods of using the compounds and compositions. We also have U.S. patents that are related to methods of synthesis, proteomics methodology and screening methodology. We also hold a number of issued foreign patents. We have pending Patent Cooperation Treaty, known as PCT, regional applications that permit us to pursue patents outside of the United States, pending European regional patent applications that permit us to pursue patents in various European countries and foreign national patent applications. The claims in these various patents and patent applications are directed to compositions of matter, including claims covering product

candidates, lead compounds and key intermediates, pharmaceutical compositions, methods of use and processes for making our compounds, along with methods of design, synthesis, selection and use of Transported Prodrugs in general and to our research and development programs in particular.

The patent rights relating to XP13512, its synthesis, formulations and methods of use are owned by us and consist of issued U.S. patents that expire at the earliest in 2022 and a number of pending U.S. patent applications. We also own pending counterpart PCT regional patent applications, issued foreign patents and foreign national applications in a number of jurisdictions, including Asia and Europe. Rights under these patents and applications have been exclusively licensed to GSK and Astellas within their respective licensed territories. The patent rights relating to XP19986 and its synthesis, formulations and methods of use are owned by us and consist of issued U.S. patents that expire at the earliest in 2023, a number of pending U.S. patent applications, an issued foreign patent and foreign national applications. The patent rights relating to XP21279 and its synthesis, formulations and methods of use are owned by us and consist of an issued U.S. patent that expires in 2025, pending U.S. patent applications and counterpart PCT applications and a number of foreign national applications. The patent rights relating to XP21510, its synthesis and methods of use are owned by us and consist of issued U.S. patents that expire at the earliest in 2025, pending U.S. patent applications and a number of pending foreign patent applications.

The composition-of-matter patent on gabapentin, the parent drug of XP13512, expired in 2000, but Pfizer sold gabapentin exclusively based on a formulation patent until September 2004. This formulation patent is the subject of ongoing litigation between Pfizer and several generic manufacturers, including Alpharma, Inc. and Teva Pharmaceutical Industries, Ltd. Pfizer currently markets generic gabapentin through its Greenstone Ltd. subsidiary. Alpharma and Teva, along with many others, currently market gabapentin as a generic drug. In July 2006, the United States District Court for the District of New Jersey ruled in favor of the generic gabapentin makers, including Teva, and Pfizer appealed that ruling. In September 2007, the Court of Appeals for the Federal Circuit overturned the July 2006 District Court ruling that was in favor of the generic gabapentin makers, including Teva, and the suit has been remanded to the District Court to continue with the trial. We are not a party to this litigation, and we believe that our manufacturing process for XP13512 does not infringe the patent that is the subject of this litigation. However, in case of an adverse event in this litigation, such as a decision to enjoin or limit the sale of generic gabapentin, we may be limited in our choices of potential suppliers. This could increase the cost of supply of generic gabapentin and potentially impair our or our collaborative partners' ability to commercialize this product candidate.

We also rely on trade secret protection and confidentiality agreements to protect our proprietary know-how that is not patentable, processes for which patents are difficult to enforce and any other elements of our drug discovery process that involve proprietary know-how and technology that is not covered by patent applications, especially where patent protection is not believed to be appropriate or obtainable. We require all of our employees, consultants and advisors to enter into confidentiality agreements. Where it is necessary to share our proprietary information or data with outside parties, our policy is to make available only that information and data required to accomplish the desired purpose and only pursuant to a duty of confidentiality on the part of those parties.

Manufacturing

We do not currently own or operate manufacturing facilities for the production of clinical or commercial quantities of any of our product candidates. To date, we have relied on, and we expect to continue to rely on, a limited number of third-party compound manufacturers and active pharmaceutical ingredient, or API, formulators for the production of preclinical, clinical and commercial quantities of our product candidates. We do not have commercial supply agreements with any of these third parties, and our agreements with these parties are generally terminable at will by either party at any time.

Under the terms of our collaboration with GSK, GSK is solely responsible for the manufacture of XP13512 to support its development and commercialization within its licensed territory. However, we will continue to be responsible for providing Astellas both clinical and commercial supplies of XP13512. Thus, we expect to continue to rely on a limited number of third-party manufacturers to meet our clinical and commercial supply obligations to Astellas for XP13512.

We have purchased substantial amounts of gabapentin, which is the active agent used to make XP13512, from Teva pursuant to purchase orders issued from time to time. Currently, we believe that there are at least five alternative manufacturers that could supply our requirements of gabapentin in the event that Teva determines to not sell gabapentin to us at a price that is commercially attractive. In addition, we believe that there will be an increasing number of qualified alternative suppliers of gabapentin in the future. We have recently qualified two alternative sources of gabapentin, from Hikal Ltd. and Divis Laboratories Ltd., for use in the manufacture of XP13512.

In support of our supply obligations under the Astellas collaboration, we purchase XP13512 from Lonza Ltd. in API form under a manufacturing services and product supply agreement. The parties have agreed to specific transfer prices for this API under a quotation that forms a part of the agreement. Our current agreement with Lonza does not provide for the entire supply of API necessary to support ongoing development and full-scale commercialization in the Astellas territory. However, the manufacturing services and product supply agreement obligates the parties to negotiate in good faith on the terms and conditions for Lonza to supply some or all of our total requirements for the commercial supply of API for XP13512. The API is manufactured using a four-step synthetic process that uses commercially available starting materials for each step. There are no complicated chemistries or unusual equipment required in the manufacturing process. We may terminate this agreement upon 30 days' notice. Either party may terminate this agreement for cause upon notice and a failure to cure by the other party. Unless earlier terminated for the reasons stated above, this agreement terminates in July 2009, unless extended by the mutual agreement of the parties. In the event that Lonza terminates the agreement following a breach by us, we would not be able to manufacture the API until a qualified alternative supplier is identified.

We rely on Patheon Pharmaceuticals, Inc. as a single source supplier for XP13512 formulated in sustained-release tablets for clinical trials at specified transfer prices under a quotation agreed upon by the parties as a part of a master services agreement. We may terminate this agreement at any time. Patheon may terminate this agreement if we do not cure a breach within 30 days of receiving notice from Patheon. In the event that Patheon terminates the agreement under the specified circumstances, we would not be able to manufacture XP13512 sustained-release tablets until a qualified alternative supplier is selected.

If either of these agreements is terminated by us, we are contractually obligated to reimburse Lonza or Patheon for costs incurred up to the termination date, as well as any specific costs incurred by either party in connection with the termination.

We currently rely on Excella GmbH (formerly Heumann Pharma GmbH) as our single source supplier of R-baclofen, the active agent used to make XP19986, under purchase orders issued from time to time. We are aware of two alternative suppliers of R-baclofen, and we are in the process of qualifying them as alternative suppliers.

We currently rely on Lonza as the single source supplier of our current worldwide requirements of XP19986 in API form under a manufacturing services and product supply agreement. Our current agreement with Lonza does not provide for the entire supply of the API necessary for additional Phase 2 and Phase 3 clinical trials nor for full-scale commercialization. In the event that the parties cannot agree to the terms and conditions for Lonza to provide some or all of our API clinical and commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The API is currently manufactured using a four-step synthetic process that uses commercially available starting materials for each step. There are no complicated chemistries or unusual equipment required in the manufacturing process.

Xcelience, LLC produces new sustained-release tablet formulations of XP19986. We also recently qualified DSM Pharmaceuticals, Inc. to produce sustained-release formulations targeted for future clinical trials and as a potential supplier for commercial manufacturing-scale quantities. DSM is now our future supplier for XP19986 sustained-release formulations.

DSM will supply our requirements of XP19986 for future clinical trials in the form of sustained-release tablets at specified transfer prices under a quotation agreed upon by the parties as a part of a master services

agreement. We rely on DSM as a single source supplier for tablets of XP19986. In the event that DSM terminates the agreement under specified circumstances, we would not be able to commercialize XP19986 until a qualified alternative supplier is qualified. In addition, we currently transport some of our XP19986 shipments using refrigerated containers. We anticipate that manufacturing improvements we will make will alleviate the need to ship this product candidate for commercial sale using refrigerated containers. If we are unable to achieve these manufacturing improvements, we may incur additional expenses and delays that could impair our ability to generate product revenue.

We currently rely on Ajinomoto Company as our single source supplier of L-Dopa, the active pharmaceutical ingredient used to make XP21279, under purchase orders issued from time to time. We are aware of several alternative suppliers of L-Dopa, and we believe at least one alternative manufacturer could potentially supply L-Dopa in the event that Ajinomoto determines to not sell L-Dopa to us at a price that is commercially attractive.

We have purchased from Raylo Chemicals, Inc., a subsidiary of Gilead Sciences, Inc., all of our current worldwide requirements of XP21279 in API form through our Phase 1 clinical trials under a manufacturing services and product supply agreement. We are in the process of qualifying an alternative supplier for the manufacture of XP21279 in API form. The API is currently manufactured by a four-step synthetic process that uses commercially available starting materials. There are no complicated chemistries or unusual equipment required in the manufacturing process.

UPM Pharmaceuticals, Inc. provided our initial requirements of XP21279 for clinical trials in the form of sustained-release tablets. We currently rely on UPM as our supplier for tablets of XP21279, but we have also recently qualified Metrics, Inc. as an alternative supplier for XP21279 tablets. Metrics will supply our future requirements of XP21279 sustained-release tablets. In the event that Metrics terminates its agreement under specified circumstances, we would not be able to manufacture XP21279 sustained-release tablets until an alternative supplier is qualified.

Our contract manufacturers may own process technology related to the manufacture of our compounds. This would increase our reliance on this manufacturer. However, we have been successful in negotiating agreements with our contract manufacturers that include licenses, with the right to grant sublicenses, to any technology incorporated into the manufacture of our compounds or that is invented by employees of the contract manufacturers during the course of work conducted on our product candidates.

Research and Development

Since inception, we have devoted a significant amount of resources to develop our product candidates. For the years ended December 31, 2008, 2007 and 2006, we recorded $83.2 million, $74.4 million and $65.4 million, respectively, in research and development expenses.

Marketing and Sales

In order for us to commercialize any of our product candidates, we must either make arrangements with third parties to perform sales, marketing or distribution services for us or acquire or develop internal sales, marketing and distribution capabilities, or both. In December 2005, we entered into a collaboration with Astellas to develop and commercialize XP13512 in the Astellas territory. Under the terms of our agreement, we will receive royalties on any net sales of XP13512 in the Astellas territory. In February 2007, we announced an exclusive collaboration with GSK to develop and commercialize XP13512 worldwide, excluding the Astellas territory. Under the terms of the agreement, we have the option, pending regulatory approval, to co-promote XP13512 in the United States. In the event we elect the co-promotion option for XP13512, we will share marketing and commercialization costs and will be entitled to a share of operating profits from net sales of XP13512 in the United States. We will also have the right, for a period of time, to detail Requip XL, GSK's product for Parkinson's disease in the United States. If we elect the co-promotion option under our GSK collaboration, we plan to establish a focused sales and marketing organization in North America to market and sell product candidates, for which marketing approval is ultimately received, to specialty physicians, including neurologists, psychiatrists and sleep specialists, for target indications in which specialists significantly influence the market and to selectively co-promote to primary care physicians.

We plan to establish additional development and commercialization partnerships with pharmaceutical and biotechnology companies to accelerate the completion of regulatory approval and product introduction and to maximize the breadth of the commercial opportunity of our other product candidates.

We also plan to license to third parties for development, marketing and sales other potential drug candidates that are discovered by XenoPort but do not fall within our primary therapeutic area of interest of CNS disorders. For example, in October 2007, we licensed to Xanodyne exclusive rights to develop and commercialize XP21510 in the United States, including for the potential treatment of women diagnosed with menorrhagia. We are entitled to receive tiered, double-digit royalty payments on potential future net sales of XP21510, as well as escalating single-digit royalties on potential future net sales of Xanodyne's product candidate, XP12B.

Competition

The pharmaceutical and biotechnology industries are intensely competitive. Any product candidate developed by us would compete with existing drugs and therapies. There are many pharmaceutical companies, biotechnology companies, public and private universities, government agencies and research organizations actively engaged in research and development of products targeting the same markets as our product candidates. Many of these organizations have substantially greater financial, technical, manufacturing and marketing resources than we have. Several of them have developed or are developing therapies that could be used for treatment of the same diseases that we are targeting. In addition, many of these competitors have significantly greater commercial infrastructures than we have. Our ability to compete successfully will depend largely on our ability to leverage our experience in drug discovery and development to:

- discover and develop products that are superior to other products in the market;
- attract and retain qualified scientific, product development and commercial personnel;
- obtain patent and/or other proprietary protection for our products and technologies;
- obtain required regulatory approvals; and
- successfully collaborate with pharmaceutical companies in the discovery, development and commercialization of new products.

We expect to compete on, among other things, product efficacy and safety, time to market, price, extent of adverse side effects experienced and convenience of treatment procedures. In order to compete successfully, we will need to identify, secure the rights to and develop pharmaceutical products and exploit these products commercially before others are able to develop competitive products.

In addition, our ability to compete may be affected if insurers and other third-party payors seek to encourage the use of generic products, making branded products less attractive to buyers from a cost perspective.

We believe that our product development programs will be subject to significant competition from companies utilizing alternative technologies. In addition, as the principles of active transport become more widely known and appreciated based on patent and scientific publications and regulatory filings, we expect the field to become highly competitive. Pharmaceutical companies, biotechnology companies and academic and research institutions may succeed in developing products based upon the principles underlying our proprietary technologies earlier than us, obtaining approvals for such products from the FDA more rapidly than us or developing products that are safer, more effective and/or more cost effective than those under development or proposed to be developed by us.

Except for XP13512, our research and development efforts are at an early stage. Our objective is to discover, develop and commercialize new medicines with superior efficacy, convenience, tolerability and/or safety. To the extent that we are able to develop medicines, they are likely to compete with existing drugs that have long histories of effective and safe use and with new therapeutic agents. We expect that any medicines that we commercialize with our collaborative partners or on our own will compete with existing, market-leading medicines.

XP13512. We anticipate that, if approved, XP13512 would compete with generic gabapentin. We believe that it is unlikely that a healthcare provider would require the use of gabapentin in preference to XP13512 in an indication for which XP13512 is approved and gabapentin is not approved. Other drugs targeting RLS, neuropathic pain and/or migraine prophylaxis will represent substantial competition. These include duloxetine (marketed by Eli Lilly as Cymbalta), pramipexole (marketed by Boehringer Ingelheim as Mirapex), pregabalin (marketed by Pfizer as Lyrica), ropinirole (marketed by GSK as Requip) and/or lacosamide (marketed by UCB group as Vimpat). In addition, transdermal patches containing the anesthetic known as lidocaine are sometimes used for the management of PHN. We anticipate that, if approved for migraine prophylaxis, XP13512 would compete with existing products on the market, including topiramate (marketed by Johnson & Johnson as Topamax) and divalproex sodium (marketed by Abbott as Depakote).

Other products that may achieve FDA approval could pose additional competitive threats to XP13512. These products include: a controlled-release form of gabapentin, Gabapentin GR (being developed by Depomed, Inc. and its partner for pain indications, Solvay Pharmaceuticals, Inc.), which is currently being evaluated for PHN, PDN and menopausal hot flashes; and the rotigotine transdermal system being developed by UCB, which filed an NDA with the FDA in late 2007 for the treatment of moderate-to-severe RLS.

XP19986. We anticipate that, if approved, XP19986 would compete with generic baclofen and other drugs for the alleviation of symptoms of spasticity, as well as other drugs targeted at GERD and acute back spasms. These include approved treatments for spasticity, such as diazepam, dantrolene sodium and tizanidine, and many therapies in development, such as Fampridine-SR from Acorda Therapeutics, Inc. These also include GERD treatments, such as esomeprazole and omeprazole (marketed by AstraZeneca as Nexium and Prilosec, respectively), lansoprazole (marketed by Takeda Pharmaceutical Company Limited as Prevacid), pantoprazole sodium (marketed by Wyeth as Protonix), rabeprazole (marketed by Eisai/Johnson & Johnson) as Aciphex and generic H2 receptor antagonists such as cimetidine, ranitidine, famotidine and nizatidine. These also include treatments for acute back spasms, such as cyclobenzaprine (marketed by Johnson & Johnson as Flexiril and by Cephalon, Inc. as Amrix, as well as generic offerings), carisoprodol (marketed in the U.S. by Meda Pharmaceuticals, Inc. as Soma, as well as generic offerings), and metaxalone (marketed by King Pharmaceuticals, Inc. as Skelaxin).

In addition, ADX10059 (being developed by Addex Pharmaceuticals) and AZ3355 (being developed by AstraZeneca) are among multiple product candidates in late-stage clinical trials for the treatment of GERD and represent potential competition for XP19986.

XP21279. We anticipate that, if approved, XP21279 would compete with generic L-Dopa/carbidopa drugs and other drugs for the treatment of Parkinson's disease. These include a combination therapy of L-Dopa/carbidopa/entacapone (marketed in the United States by Novartis Group as Stalevo) and dopamine agonists (marketed by Boehringer-Ingelheim, GSK and UCB as Mirapex, Requip and Neupro, respectively). Other therapies under development in the United States include levodopa-carbidopa formulations. In addition, Duodopa (an intervenous levodopa-carbidopa gel being developed by Solvay) is among the product candidates in development that represent potential competition for XP19986.

Government Regulation

The testing, manufacturing, labeling, advertising, promotion, export and marketing of our product candidates are subject to extensive regulation by governmental authorities in the United States and other countries. The FDA, under the Federal Food, Drug and Cosmetic Act, or FFDCA, regulates pharmaceutical products in the United States. The steps required before a drug may be approved for marketing in the United States generally include:

- preclinical laboratory tests and animal tests;
- the submission to the FDA of an investigational new drug application, or IND, for human clinical testing, which must become effective before human clinical trials commence;
- adequate and well-controlled human clinical trials to establish the safety and efficacy of the product;

- the submission to the FDA of a new drug application, or NDA;
- FDA acceptance, review and approval of the NDA; and
- satisfactory completion of an FDA inspection of the manufacturing facilities at which the product is made to assess compliance with current Good Manufacturing Practices, or cGMPs.

The testing and approval process requires substantial time, effort and financial resources, and the receipt and timing of any approval is uncertain. The FDA may suspend clinical trials at any time on various grounds, including a finding that the subjects or patients are being exposed to an unacceptable health risk.

Preclinical studies include laboratory evaluations of the product candidate, as well as animal studies to assess the potential safety and efficacy of the product candidate. The results of the preclinical studies, together with manufacturing information and analytical data, are submitted to the FDA as part of the IND, which must become effective before clinical trials may be commenced. The IND will become effective automatically 30 days after receipt by the FDA, unless the FDA raises concerns or questions about the conduct of the trials as outlined in the IND prior to that time. In this case, the IND sponsor and the FDA must resolve any outstanding concerns before clinical trials can proceed.

Clinical trials involve the administration of the product candidates to healthy volunteers or subjects under the supervision of a qualified principal investigator. Further, each clinical trial must be reviewed and approved by an independent institutional review board, or IRB, at each institution at which the clinical trial will be conducted. The IRB will consider, among other things, ethical factors, the safety of human subjects and the possible liability of the institution.

Clinical trials typically are conducted in three sequential phases prior to approval, but the phases may overlap. These phases generally include the following:

Phase 1. Represents the initial introduction of a product candidate into human subjects, frequently healthy volunteers. In Phase 1, the product candidate is usually tested for safety, including adverse effects, dosage tolerance, absorption, distribution, metabolism, excretion and pharmacodynamics.

Phase 2. Phase 2 clinical trials usually involve studies in a limited patient population to (1) evaluate the efficacy of the product candidate for specific indications, (2) determine dosage tolerance and optimal dosage and (3) identify possible adverse effects and safety risks. Although there are no statutory definitions for Phase 2a and Phase 2b, Phase 2a is commonly used to describe a Phase 2 clinical trial evaluating efficacy, adverse effects and safety risks, and Phase 2b is commonly used to describe a subsequent Phase 2 clinical trial that also evaluates dosage tolerance and optimal dosage.

Phase 3. If a product candidate is found to be potentially effective and to have an acceptable safety profile in Phase 2 studies, the clinical trial program will be expanded to further demonstrate clinical efficacy, optimal dosage and safety within an expanded patient population at geographically dispersed clinical study sites.

Phase 4 clinical trials are conducted after approval to gain additional experience from the treatment of patients in the intended therapeutic indication and to document a clinical benefit in the case of drugs approved under accelerated approval regulations. If the FDA approves a product while a company has ongoing clinical trials that were not necessary for approval, a company may be able to use the data from these clinical trials to meet all or part of any Phase 4 clinical trial requirement. These clinical trials are often referred to as Phase 3/4 post-approval clinical trials. Failure to promptly conduct Phase 4 clinical trials could result in withdrawal of approval for products approved under accelerated approval regulations.

The results of preclinical studies and clinical trials, together with detailed information on the manufacture and composition of the product, are submitted to the FDA in the form of an NDA requesting approval to market the product. The FDA has substantial discretion in the approval process and may refuse to accept any application or decide that our or our collaborative partners' data is insufficient for approval and require additional preclinical, clinical or other studies. For example, in November 2008, GSK and we agreed to withdraw the NDA for *Solzira* following discussions with the FDA in which the FDA requested that we reformat existing data for a

submitted Phase 3 clinical trial. The NDA for this product candidate was resubmitted in January 2009 with the appropriate data reformatted. Generally, regulatory approval of a new drug by the FDA may follow one of three routes. The most traditional of these routes is the submission of a full NDA under Section 505(b)(1) of the FFDCA. A second route, which is possible where an applicant chooses to rely in part on data generated or approvals obtained previously by other parties, is to submit a more limited NDA described in Section 505(b)(2) of the FFDCA. The final route is the submission of an Abbreviated New Drug Application for products that are shown to be pharmaceutically and therapeutically equivalent to previously approved drug products as permitted under Section 505(j) of the FFDCA.

Both Section 505(b)(1) and Section 505(b)(2) applications are required by the FDA to contain full reports of investigations of safety and effectiveness. However, in contrast to a traditional NDA submitted pursuant to Section 505(b)(1) in which the applicant submits all of the data demonstrating safety and effectiveness, we believe an application submitted pursuant to Section 505(b)(2) can rely upon findings by the FDA that the parent drug is safe and effective in that indication. As a consequence, the preclinical and clinical development programs leading to the submission of an NDA under Section 505(b)(2) may be less expensive to carry out and can be concluded in a shorter period of time than programs required for a Section 505(b)(1) application. In its review of any NDA submissions, however, the FDA has broad discretion to require an applicant to generate additional data related to safety and efficacy, and it is impossible to predict the number or nature of the studies that may be required before the FDA will grant approval.

Pursuant to the terms of our collaboration with GSK, GSK has filed an NDA for *Solzira* for moderate-to-severe primary RLS with the FDA, and GSK will lead the development and registration of XP13512 for all other indications, including neuropathic pain and migraine prophylaxis. In the NDA submissions for our other product candidates that are currently undergoing clinical trials, we intend to follow the development pathway permitted under the FFDCA that will maximize the commercial opportunities for these Transported Prodrugs. We are currently pursuing the traditional NDA route for our Transported Prodrugs under Section 505(b)(1) of the FFDCA. In the event that we decide to utilize Section 505(b)(2) of the FFDCA to pursue an approval of our Transported Prodrugs in indications for which the relevant parent drug has previously been approved, we will engage in discussions with the FDA to determine which, if any, portions of our development program can be modified.

Before approving an NDA, the FDA will inspect the facilities at which the product is manufactured and will not approve the product unless the manufacturing facility complies with cGMPs. Once the NDA submission has been accepted for filing, the FDA typically takes one year to review the application and respond to the applicant. The review process is often significantly extended by FDA requests for additional information or clarification. The FDA may delay approval of an NDA if applicable regulatory criteria are not satisfied, require additional testing or information and/or require post-marketing testing and surveillance to monitor safety or efficacy of a product. FDA approval of any NDA submitted by us will be at a time the FDA chooses. Also, if regulatory approval of a product is granted, such approval may entail limitations on the indicated uses for which such product may be marketed. Once approved, the FDA may withdraw the product approval if compliance with pre- and post-marketing regulatory standards is not maintained or if problems occur after the product reaches the marketplace. In addition, the FDA may require Phase 4 post-marketing studies to monitor the effect of approved products, and may limit further marketing of the product based on the results of these post-marketing studies.

If we or our collaborative partners obtain regulatory approval for a product, this clearance will be limited to those diseases and conditions for which the product is effective, as demonstrated through clinical trials. Even if this regulatory approval is obtained, a marketed product, its manufacturer and its manufacturing facilities are subject to continual review and periodic inspections by the FDA. Discovery of previously unknown problems with a medicine, manufacturer or facility may result in restrictions on the marketing or manufacturing of an approved product, including costly recalls or withdrawal of the product from the market. The FDA has broad post-market regulatory and enforcement powers, including the ability to suspend or delay issuance of approvals, seize or recall products, withdraw approvals, enjoin violations and institute criminal prosecution.

The Controlled Substances Act imposes various registration, record-keeping and reporting requirements, procurement and manufacturing quotas, labeling and packaging requirements, security controls and a restriction

on prescription refills on certain pharmaceutical products. A principal factor in determining the particular requirements, if any, applicable to a product is its actual or potential abuse profile. The U.S. Drug Enforcement Agency, or DEA, regulates chemical compounds as Schedule I, II, III, IV or V substances, with Schedule I substances considered to present the highest risk of substance abuse and Schedule V substances the lowest risk. Pregabalin is classified as a controlled substance (Schedule V), which could increase the possibility that XP13512 would be classified as a controlled substance since they are believed to act on the same therapeutic target. If any of our product candidates contains a scheduled substance, it would be subject to DEA regulations relating to manufacturing, storage, distribution and physician prescription procedures, and the DEA would regulate the amount of the scheduled substance that would be available for clinical trials and commercial distribution.

We and our collaborative partners also will be subject to a variety of foreign regulations governing clinical trials and the marketing of our products. Outside the United States, our ability to market a product depends upon receiving a marketing authorization from the appropriate regulatory authorities. The requirements governing the conduct of clinical trials, marketing authorization, pricing and reimbursement vary widely from country to country. In any country, however, we and our collaborative partners will only be permitted to commercialize our products if the appropriate regulatory authority is satisfied that we have presented adequate evidence of safety, quality and efficacy. Whether or not FDA approval has been obtained, approval of a product by the comparable regulatory authorities of foreign countries must be obtained prior to the commencement of marketing of the product in those countries. The time needed to secure approval may be longer or shorter than that required for FDA approval. The regulatory approval and oversight process in other countries includes all of the risks associated with the FDA process described above.

Pharmaceutical Pricing and Reimbursement

Political, economic and regulatory influences are subjecting the healthcare industry in the United States to fundamental change. Initiatives to reduce the federal deficit and to reform healthcare delivery are increasing cost-containment efforts. We anticipate that Congress, state legislatures and the private sector will continue to review and assess alternative benefits, controls on healthcare spending through limitations on the growth of private health insurance premiums and Medicare and Medicaid spending, the creation of large insurance purchasing groups, price controls on pharmaceuticals and other fundamental changes to the healthcare delivery system. Any proposed or actual changes could limit or eliminate our spending on development projects and affect our ultimate profitability. Legislative debate is expected to continue in the future, and market forces are expected to drive reductions of healthcare costs. The adoption of any federal or state healthcare reform measures or future private sector reforms could further limit reimbursement for medical products.

In both domestic and foreign markets, sales of any products for which we or our collaborative partners receive regulatory approval for commercial sale will depend in part on the availability of reimbursement from third-party payors. Third-party payors include government health administrative authorities, managed care providers, private health insurers and other organizations. These third-party payors are increasingly challenging the price and examining the cost-effectiveness of medical products and services. In addition, significant uncertainty exists as to the reimbursement status of newly approved healthcare product candidates. We or our collaborative partners may need to conduct expensive pharmacoeconomic studies in order to demonstrate the cost-effectiveness of our products. Our product candidates may not be considered cost-effective.

In December 2003, the Medicare Prescription Drug Improvement and Modernization Act of 2003, or the 2003 Medicare Act, was enacted. Medicare beneficiaries are now eligible to obtain subsidized prescription drug coverage from a choice of private sector plans. Over 90 percent of Medicare beneficiaries now have coverage for prescription medicines. It remains difficult to predict the long-term impact of the 2003 Medicare Act on pharmaceutical companies. The use of pharmaceuticals has increased slightly among some patients as the result of the expanded access to medicines afforded by coverage under Medicare. However, such expanded utilization has been largely offset by increased pricing pressure and competition due to the enhanced purchasing power of the private sector plans that negotiate on behalf of Medicare beneficiaries and by an increase in the use of generic medicines in this population.

Facilities

We lease approximately 162,000 square feet of office and laboratory space in two adjacent buildings in Santa Clara, California, where we conduct our operations. The leases expire concurrently in August 2013, although we have the option to extend both leases for two additional terms of five years each. The 2008 aggregate annual rental amount payable under the leases was approximately $4.0 million, subject to periodic increases. Although our facilities are adequate for our existing needs, we may require additional space as our business expands.

Employees

As of December 31, 2008, we had 222 full-time employees, 160 of whom were engaged in research and product development activities. One hundred and twenty-seven employees hold post-graduate degrees, including five with M.D. degrees and 56 with Ph.D. degrees. Our employees are not represented by a collective bargaining agreement. We believe our relations with our employees are good.

Executive Officers of the Registrant

The following sets forth certain information regarding our executive officers as of January 30, 2009:

Name	Age	Position
Ronald W. Barrett, Ph.D.	53	Chief Executive Officer and Director
William J. Rieflin	48	President
Vincent J. Angotti	41	Senior Vice President, Chief Commercialization Officer
Kenneth C. Cundy, Ph.D.	49	Senior Vice President of Preclinical Development
Mark A. Gallop, Ph.D.	46	Senior Vice President of Research
William G. Harris	50	Senior Vice President of Finance and Chief Financial Officer
David R. Savello, Ph.D.	63	Senior Vice President of Development
David A. Stamler, M.D.	48	Senior Vice President, Chief Medical Officer

Ronald W. Barrett is one of our founders and has served as our chief executive officer since September 2001. He served as our chief scientific officer from 1999 to 2001. Dr. Barrett has been a director since August 1999. From 1989 to 1999, he held various positions at Affymax Research Institute, a company employing combinatorial chemistry and high-throughput target screening for drug discovery, the most recent of which was senior vice president of research. Glaxo Wellcome plc, a pharmaceutical company, acquired Affymax Research Institute in 1995. Glaxo Wellcome subsequently merged with SmithKline Beecham plc, a pharmaceutical company, in 2000 to form GlaxoSmithKline plc, a pharmaceutical company. Prior to Affymax Research Institute, Dr. Barrett was a molecular pharmacologist in the Neuroscience Group at Abbott Laboratories, a healthcare company, from 1986 to 1989. Dr. Barrett received a B.S. from Bucknell University and a Ph.D. in pharmacology from Rutgers University.

William J. Rieflin has been our president since September 2004. From 1996 to 2004, he held various positions with Tularik Inc., a biotechnology company focused on the discovery and development of product candidates based on the regulation of gene expression, the most recent of which was executive vice president, administration, chief financial officer, general counsel and secretary. Amgen Inc., a biotechnology company, acquired Tularik in 2004. Mr. Rieflin received a B.S. from Cornell University, an M.B.A. from the University of Chicago Graduate School of Business and a J.D. from Stanford Law School.

Vincent J. Angotti has been our senior vice president and chief commercialization officer since May 2008. From 2001 to 2008, he held several positions with Reliant Pharmaceuticals, Inc., a pharmaceutical company, the most recent of which was senior vice president of sales and marketing. GlaxoSmithKline acquired Reliant Pharmaceuticals in 2008. Prior to Reliant Pharmaceuticals, from 1991 to 2001, Mr. Angotti held several positions

at Novartis Pharmaceuticals Corporation, a pharmaceutical company, most recently as executive director, field operations. Mr. Angotti received a B.S. from Cornell University and an M.B.A. from Columbia University.

Kenneth C. Cundy has been our senior vice president of preclinical development since January 2004. He was previously our vice president of biopharmaceutics from 2000 to 2004. From 1992 to 2000, he was senior director of biopharmaceutics at Gilead Sciences, Inc., a biopharmaceutical company. Prior to Gilead Sciences, Dr. Cundy was principal research investigator at Sterling Drug, a pharmaceutical division of Eastman Kodak Company, an imaging and photographic equipment company, from 1988 to 1992. He received a B.S. from the University of Manchester and a Ph.D. in pharmaceutical sciences from the University of Kentucky.

Mark A. Gallop is one of our founders and has been our senior vice president of research since January 2004. He was previously our vice president of chemistry since 1999. From 1990 to 1999, Dr. Gallop held several positions at Affymax Research Institute, the most recent of which was senior director of combinatorial chemistry. Dr. Gallop received a B.Sc. from the University of Auckland and a Ph.D. in inorganic chemistry from the University of Cambridge.

William G. Harris has been our senior vice president of finance and chief financial officer since November 2001. From 1996 to 2001, he held several positions with Coulter Pharmaceutical, Inc., a biotechnology company engaged in the development of novel therapies for the treatment of cancer and autoimmune diseases, the most recent of which was senior vice president and chief financial officer. Corixa Corp., a developer of immunotherapeutic products, acquired Coulter Pharmaceutical in 2000. Prior to Coulter Pharmaceutical, from 1990 to 1996, Mr. Harris held several positions at Gilead Sciences, the most recent of which was director of finance. Mr. Harris received a B.A. from the University of California, San Diego and an M.B.A. from Santa Clara University, Leavey School of Business and Administration.

David R. Savello has been our senior vice president of development since February 2007. He was previously responsible for our regulatory affairs, quality and project management from 2005 to 2007. From 1999 to 2005, Dr. Savello was executive vice president and chief scientific officer for the Pharmaceutical Technology and Services Sector of Cardinal Health, Inc., a pharmaceutical services company. Prior to joining Cardinal Health, from 1997 to 1999, he was senior vice president for drug development at Guilford Pharmaceuticals Inc., a biotechnology company. From 1985 to 1997, Dr. Savello held several positions at Glaxo and Glaxo Wellcome including both vice president of drug development and vice president of regulatory affairs and compliance. Prior to that, he held R&D management and executive management positions at Boehringer Ingelheim GmbH, a pharmaceutical company, and 3M Company, a pharmaceutical company. Dr. Savello received his B.S. degree from the Massachusetts College of Pharmacy and an M.S. and Ph.D. in pharmaceutics from the University of Maryland School of Pharmacy.

David A. Stamler has been our senior vice president and chief medical officer since July 2008. From 2005 to 2008, Dr. Stamler was chief scientific officer and head of drug development for Prestwick Pharmaceuticals, Inc., a pharmaceutical company, where he led clinical and pre-clinical development activities for a portfolio of CNS compounds. Prior to Prestwick, from 1997 to 2005, Dr. Stamler held several positions with Fujisawa Pharmaceutical Company, a pharmaceutical company, the most recent of which was senior global project leader for CNS Diseases and vice president of research and development, Medical Sciences for Fujisawa's U.S. subsidiary, Fujisawa Healthcare, Inc. From 1993 to 1997, Dr. Stamler held several positions with Abbott Laboratories, the most recent of which was director of clinical research, Pharmaceutical Products for the International Division. Dr. Stamler received B.A. and M.D. degrees from the University of Chicago.

About XenoPort

We were incorporated in Delaware in May 1999. Our principal offices are located at 3410 Central Expressway, Santa Clara, California 95051, and our telephone number is (408) 616-7200. Our Web site address is www.XenoPort.com. Information found on, or accessible through, our Web site is not a part of, and is not incorporated into, this Annual Report on Form 10-K. XENOPORT, the XenoPort logo and Transported Prodrug are our trademarks. Service marks, trademarks and trade names appearing in this Annual Report on Form 10-K are the property of their respective owners. Unless the context requires otherwise, references in this Annual Report on Form 10-K to "the company," "we," "us" and "our" refer to XenoPort, Inc.

Available Information

We file electronically with the U.S. Securities and Exchange Commission our annual reports on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K and amendments to those reports filed or furnished pursuant to Section 13(a) or 15(d) of the Securities Exchange Act of 1934. We make available on our Web site at www.XenoPort.com, free of charge, copies of these reports as soon as reasonably practicable after we electronically file such material with, or furnish it to, the SEC. Further, copies of these reports are located at the SEC's Public Reference Room at 100 F Street, NE, Washington, D.C. 20549. Information on the operation of the Public Reference Room can be obtained by calling the SEC at 1-800-SEC-0330. The SEC maintains a Web site that contains reports, proxy and information statements, and other information regarding our filings, at www.sec.gov.

Item 1A. *Risk Factors.*

The following risks and uncertainties may have a material adverse effect on our business, financial condition or results of operations. Investors should carefully consider the risks described below before making an investment decision. The risks described below are not the only ones we face. Additional risks not presently known to us or that we currently believe are immaterial may also significantly impair our business operations. Our business could be harmed by any of these risks. The trading price of our common stock could decline due to any of these risks, and investors may lose all or part of their investment.

Risks Related to Our Business and Industry

We have incurred cumulative operating losses since inception, we expect to continue to incur losses for the foreseeable future and we may never sustain profitability.

We have a limited operating history and have incurred cumulative losses of $238.6 million since our inception in May 1999, including net income (loss) of $(62.5) million, $28.2 million and $(64.3) million for the years ended December 31, 2008, 2007 and 2006, respectively. Due to the recognition of revenues from up-front and milestone payments from our collaborations with Glaxo Group Limited, or GSK, Astellas Pharma Inc. and Xanodyne Pharmaceuticals, Inc., we were profitable in the three-month periods ended June 30, September 30, December 31, 2007, and for the year ended December 31, 2007. However, while recognition of these revenues resulted in a profitable year for 2007, we continue to expect to incur losses in 2009. We expect our research and development expenses to remain relatively constant with 2008 levels for the next two years. Subject to regulatory approval of any of our product candidates, we expect to incur significant expenses associated with the establishment of a North American specialty sales force. Annual losses have had, and will continue to have, an adverse effect on our stockholders' equity.

Because of the numerous risks and uncertainties associated with drug development, we are unable to predict the timing or amount of increased expenses or when, or if, we will be able to achieve or sustain profitability. Currently, we have no products approved for commercial sale and, to date, we have not generated any product revenues. We have financed our operations primarily through the sale of equity securities, non-equity payments from collaborative partners, capital lease and equipment financings and government grants. We have devoted substantially all of our efforts to research and development, including clinical trials. If we or our collaborative partners are unable to develop and commercialize any of our product candidates, if development is delayed or if sales revenue from any product candidate that receives marketing approval is insufficient, we may never become profitable. Even if we do become profitable, we may not be able to sustain or increase our profitability on a quarterly or annual basis.

Our success depends substantially on our most advanced product candidates, which are still under development. If we or our collaborative partners are unable to bring any or all of these product candidates to market, or experience significant delays in doing so, our ability to generate product revenue and our likelihood of success will be harmed.

Our ability to generate product revenue in the future will depend heavily on the successful development and commercialization of our product candidates. In January 2009, GSK submitted to the U.S. Food and Drug

Administration, or FDA, a new drug application, or NDA, requesting approval of *Solzira* (gabapentin enacarbil) Extended Release Tablets, the U.S. trade name for XP13512, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS. The NDA has not yet been accepted by the FDA. If the FDA does not accept the NDA in a timely manner, our ability to generate revenue will be delayed, and our business will be harmed. XP13512 has been evaluated in a Phase 3 clinical program for RLS in the United States and is currently being evaluated in a Phase 2 clinical trial for RLS in Japan and in Phase 2 clinical trials for neuropathic pain and migraine prophylaxis in the United States. Our other product candidates are either in Phase 1 or Phase 2 clinical development or in various stages of preclinical development. Any of our product candidates could be unsuccessful if it:

- does not demonstrate acceptable safety and efficacy in preclinical studies or clinical trials or otherwise does not meet applicable
- regulatory standards for approval;
- does not offer therapeutic or other improvements over existing or future drugs used to treat the same conditions;
- is not capable of being produced in commercial quantities at acceptable costs; or
- is not accepted in the medical community and by third-party payors.

We do not expect any of our current product candidates to be commercially available before the end of 2009, if at all. If we or our collaborative partners are unable to make our product candidates commercially available, we will not generate substantial product revenues and we will not be successful. The results of our clinical trials to date do not provide assurance that acceptable efficacy or safety will be shown upon completion of future clinical trials.

If we or our partners are not able to obtain required regulatory approvals, we or our partners will not be able to commercialize our product candidates, our ability to generate revenue will be materially impaired and our business will not be successful.

Our product candidates and the activities associated with their development and commercialization are subject to comprehensive regulation by the FDA and other agencies in the United States and by comparable authorities in other countries. In February 2007, we announced an exclusive collaboration with GSK to develop and commercialize XP13512, known in the United States by the trade name *Solzira*, and by the designation ASP8825 in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwand (collectively referred to as the Astellas territory), for the treatment of moderate-to-severe primary RLS, in all countries of the world other than the Astellas territory. Pursuant to the terms of our agreement, GSK submitted to the FDA an NDA requesting approval of *Solzira* for the treatment of moderate-to-severe primary RLS and GSK will lead the development and registration of XP13512 for all indications other than RLS in the United States and all indications in the remainder of GSK's licensed territory. The inability to obtain FDA approval or approval from comparable authorities in other countries would prevent us and our collaborative partners from commercializing our product candidates in the United States or other countries. We or our collaborative partners may never receive regulatory approval for the commercial sale of any of our product candidates. Moreover, if the FDA requires that any of our product candidates be scheduled by the U.S. Drug Enforcement Agency, or DEA, we or our collaborative partners will be unable to begin commercial sale of that product until the DEA completes scheduling proceedings. If any of our product candidates is classified as a controlled substance by the DEA, we or our collaborative partners would have to register annually with the DEA and those product candidates would be subject to additional regulation.

Neither we nor our collaborative partners have received regulatory approval to market any of our product candidates in any jurisdiction. We have only limited experience in preparing and filing the applications necessary to gain regulatory approvals. The process of applying for regulatory approval is expensive, often takes many years and can vary substantially based upon the type, complexity and novelty of the product candidates involved. The application process begins with the submission of an NDA that the FDA initially reviews and either accepts

or rejects for filing. NDA submissions are complex electronic filings, which include vast compilations of data sets, integrated documents and data calculations. The FDA has substantial discretion in the submission process and may refuse to accept an NDA submission if there are errors or omissions relating to the electronic transmittal process, data entry, data compilation or formatting. For example, in November 2008, GSK withdrew a previously submitted NDA for *Solzira* for the treatment of moderate-to-severe primary RLS in connection with the FDA's request that the data in a single study be reformatted. The NDA for *Solzira* was resubmitted in January 2009, but has not yet been, and may not be, accepted for filing.

Changes in the regulatory approval policy during the development period, changes in, or the enactment of additional, regulations or statutes or changes in regulatory review for each submitted product application may cause delays in the approval or rejection of an NDA. For example, the FDA recently announced that, due to staffing and resource limitations, it has given its managers discretion to miss certain timing goals for completing reviews of NDAs set forth under the Prescription Drug User Fee Act, or PDUFA. If the FDA were to miss a PDUFA timing goal for one of our product candidates, the development and commercialization of the product candidate could be delayed or impaired. In addition, the Food and Drug Administration Amendments Act of 2007, or FDAAA, mandates FDA advisory committee reviews of all new molecular entities as part of the NDA approval process, although the FDA maintains discretion under the FDAAA to approve NDAs for new molecular entities without advisory committee reviews in certain instances. *Solzira* is classified as a new molecular entity. The advisory committee review process can be a lengthy and uncertain process that could delay the FDA's NDA approval process and delay or impair the development and commercialization of our product candidates.

The FDA has substantial discretion in the approval process and may refuse to approve any application or decide that our or our collaborative partners' data is insufficient for approval and require additional preclinical, clinical or other studies. For example, varying interpretations of the data obtained from preclinical and clinical testing could delay, limit or prevent regulatory approval of any of our product candidates. Even if the FDA or other regulatory agency approves a product candidate, the approval may impose significant restrictions on the indicated uses, conditions for use, labeling, advertising, promotion, marketing and/or production of such product and may impose ongoing requirements for post-approval studies, including additional research and development and clinical trials. The FDA and other agencies also may impose various civil or criminal sanctions for failure to comply with regulatory requirements, including withdrawal of product approval.

We and our collaborative partners will need to obtain regulatory approval from authorities in foreign countries to market our product candidates in those countries. Neither we nor our collaborative partners have filed for final regulatory approval to market our product candidates in any foreign jurisdictions. Approval by one regulatory authority does not ensure approval by regulatory authorities in other jurisdictions. If we or our collaborative partners fail to obtain approvals from foreign jurisdictions, the geographic market for our product candidates would be limited.

We depend on collaborations to complete the development and commercialization of some of our product candidates. These collaborations may place the development of our product candidates outside our control, may require us to relinquish important rights or may otherwise be on terms unfavorable to us.

In December 2005, we entered into a collaboration with Astellas for the development and commercialization of XP13512 in the Astellas territory. In February 2007, we entered into an exclusive collaboration with GSK to develop and commercialize XP13512 worldwide, excluding the Astellas territory. In October 2007, we entered into a collaboration with Xanodyne for the development and commercialization of XP21510 in the United States. We may enter into additional collaborations with third parties to develop and commercialize some of our other product candidates. Our dependence on Astellas and GSK for the development and commercialization of XP13512 and Xanodyne for the development and commercialization of XP21510 subjects us to, and our dependence on future collaborators for development and commercialization of additional product candidates will subject us to, a number of risks, including:

- we may not be able to control the amount and timing of resources that our collaborators may devote to the development or commercialization of product candidates or to their marketing and distribution;

- collaborators may delay clinical trials, provide insufficient funding for a clinical trial program, stop a clinical trial or abandon a product candidate, repeat or conduct new clinical trials or require a new formulation of a product candidate for clinical testing;
- disputes may arise between us and our collaborators that result in the delay or termination of the research, development or commercialization of our product candidates or that result in costly litigation or arbitration that diverts management's attention and resources;
- collaborators may experience financial difficulties;
- collaborators may not be successful in their efforts to obtain regulatory approvals in a timely manner, or at all;
- collaborators may not properly maintain or defend our intellectual property rights or may use our proprietary information in such a way as to invite litigation that could jeopardize or invalidate our proprietary information or expose us to potential litigation;
- business combinations or significant changes in a collaborator's business strategy may also adversely affect a collaborator's willingness or ability to complete its obligations under any arrangement;
- a collaborator could independently move forward with a competing product candidate developed either independently or in collaboration with others, including our competitors; and
- the collaborations may be terminated or allowed to expire, which would delay the development and may increase the cost of developing our product candidates.

For example, pursuant to the terms of our agreement, GSK is responsible for all future development costs of XP13512 and the submission of the NDA for FDA approval of *Solzira* for moderate-to-severe primary RLS. In January 2009, GSK submitted the NDA for FDA approval of *Solzira* for moderate-to-severe primary RLS. In addition, GSK will lead the development and registration of XP13512 for all indications other than RLS in the United States and all indications in the remainder of GSK's licensed territory. We cannot control the process for securing FDA approval of *Solzira* for moderate-to-severe primary RLS. We cannot control the amount and timing of resources that GSK or Astellas may devote to the development or commercialization of XP13512, or that Xanodyne may devote to the development and commercialization of XP21510, or to their respective marketing and distribution. In addition, GSK, Astellas or Xanodyne could independently direct their respective development and marketing resources to the development or commercialization of competitive products, which could delay or impair the commercialization of XP13512 or XP21510, as the case may be, and harm our business.

If we do not establish collaborations for our product candidates other than XP13512 and XP21510, we will have to alter our development and commercialization plans.

Our strategy includes selectively collaborating with leading pharmaceutical and biotechnology companies to assist us in furthering development and potential commercialization of some of our product candidates. We intend to do so especially for indications that involve a large, primary care market that must be served by large sales and marketing organizations. We face significant competition in seeking appropriate collaborators, and these collaborations are complex and time consuming to negotiate and document. We may not be able to negotiate additional collaborations on acceptable terms, or at all. We are unable to predict when, if ever, we will enter into any additional collaborations because of the numerous risks and uncertainties associated with establishing additional collaborations. If we are unable to negotiate additional collaborations, we may have to curtail the development of a particular product candidate, reduce or delay its development program or one or more of our other development programs, delay its potential commercialization or reduce the scope of our sales or marketing activities or increase our expenditures and undertake development or commercialization activities at our own expense. If we elect to increase our expenditures to fund development or commercialization activities on our own, we may need to obtain additional capital, which may not be available to us on acceptable terms, or at all. If we do not have sufficient funds, we will not be able to bring our product candidates to market and generate product revenues.

We will need additional funding and may be unable to raise capital when needed, which would force us to delay, reduce or eliminate our product development programs or commercialization efforts.

We will need to raise additional capital to fund our operations and complete the development of our product candidates. If any product candidates receive regulatory approval for commercial sale, we may need to raise additional capital to fund our commercialization efforts. Our future funding requirements will depend on many factors, including:

- the scope, rate of progress, results and cost of our preclinical testing, clinical trials and other research and development activities;
- the timing of potential receipt of FDA approval of *Solzira* and its potential commercialization;
- the cost of manufacturing clinical and establishing commercial supplies of our product candidates and any products that we may develop;
- the timing of any milestone payments under our collaborative arrangements;
- the number and characteristics of product candidates that we pursue;
- the cost, timing and outcomes of regulatory approvals;
- the cost and timing of establishing sales, marketing and distribution capabilities;
- the terms and timing of any collaborative, licensing and other arrangements that we may establish;
- the timing, receipt and amount of sales, profit sharing or royalties, if any, from our potential products;
- the cost of preparing, filing, prosecuting, defending and enforcing any patent claims and other intellectual property rights; and
- the extent to which we acquire or invest in businesses, products or technologies, although we currently have no commitments or agreements relating to any of these types of transactions.

Until we can generate a sufficient amount of product revenues, if ever, we expect to finance future cash needs through public or private equity offerings, debt financings or corporate collaboration and licensing arrangements, as well as through interest income earned on cash balances. However, the credit markets and the financial services industry have recently been experiencing a period of unusual turmoil and upheaval characterized by the bankruptcy, failure, collapse or sale of various financial institutions and an unprecedented level of intervention from the United States federal government. These events have generally made equity and debt financing more difficult to obtain.

If we raise additional funds by issuing equity securities, our stockholders may experience dilution. Any debt financing or additional equity that we raise may contain terms that are not favorable to our stockholders or us. To the extent that we raise additional capital through licensing arrangements or arrangements with collaborative partners, we may be required to relinquish, on terms that are not favorable to us, rights to some of our technologies or product candidates that we would otherwise seek to develop or commercialize ourselves.

We believe that our existing capital resources and expected milestone payments, together with interest thereon, will be sufficient to meet our projected operating requirements into the second quarter of 2011. We have based this estimate on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we currently expect. Further, our operating plan may change, and we may need additional funds to meet operational needs and capital requirements for product development and commercialization sooner than planned. We currently have no credit facility or committed sources of capital other than potential milestones receivable under our current collaborations.

Additional funds may not be available when we need them on terms that are acceptable to us, or at all. If adequate funds are not available on a timely basis, we may:

- terminate or delay clinical trials for one or more of our product candidates;
- delay our establishment of sales and marketing capabilities or other activities that may be necessary to commercialize our product candidates; or
- curtail significant drug development programs that are designed to identify new product candidates.

For example, in January 2009, we suspended preclinical development activities for XP20925, our Transported Prodrug of propofol, to focus our resources on development of later-stage product candidates.

If our preclinical studies do not produce successful results or our clinical trials do not demonstrate safety and efficacy in humans, we will not be able to commercialize our product candidates.

To obtain the requisite regulatory approvals to market and sell any of our product candidates, we must demonstrate, through extensive preclinical studies and clinical trials, that the product candidate is safe and effective in humans. Preclinical and clinical testing is expensive, can take many years and has an uncertain outcome. A failure of one or more of our clinical trials could occur at any stage of testing. In addition, success in preclinical testing and early clinical trials does not ensure that later clinical trials will be successful, and interim results of a clinical trial do not necessarily predict final results. We may experience numerous unforeseen events during, or as a result of, preclinical testing and the clinical trial process, which could delay or prevent our or our collaborative partners' ability to commercialize our product candidates, including:

- regulators or institutional review boards may not authorize us to commence a clinical trial at a prospective trial site;
- our preclinical testing or clinical trials may produce negative or inconclusive results, which may require us to conduct additional preclinical or clinical testing or to abandon projects that we expect to be promising;
- we may suspend or terminate our clinical trials if the participating patients are being exposed to unacceptable health risks;
- risks associated with clinical trial design may result in a failure of the clinical trial to show statistically significant results even if the product candidate is effective;
- regulators or institutional review boards may suspend or terminate clinical research for various reasons, including noncompliance with regulatory requirements; and
- the effects of our product candidates may not be the desired effects or may include undesirable side effects.

As an example of an unforeseen event, after having been discharged from a Phase 1 clinical trial in which a single dose of XP13512 was administered almost two days earlier, a volunteer died of a self-inflicted gunshot wound following a domestic dispute. We do not believe that this incident was related to XP13512. However, any unforeseen event could cause us to experience significant delays in, or the termination of, clinical trials. Any such events would increase our costs and could delay or prevent our ability to commercialize our product candidates, which would adversely impact our financial results.

Any failure or delay in commencing or completing clinical trials for our product candidates could severely harm our business.

To date, we have not completed all of the clinical trials required for regulatory approval of any product candidate. The commencement and completion of clinical trials for our product candidates may be delayed or terminated as a result of many factors, including:

- delays in patient enrollment, which we have experienced in the past, and variability in the number and types of patients available for clinical trials;
- our inability or the inability of our collaborators or licensees to manufacture or obtain from third parties materials sufficient for use in preclinical studies and clinical trials;
- difficulty in maintaining contact with patients after treatment, resulting in incomplete data;
- poor effectiveness of product candidates during clinical trials;
- unforeseen safety issues or side effects; and
- governmental or regulatory delays and changes in regulatory requirements, policy and guidelines.

For example, based on the results of a planned interim analysis of the clinical data, although no safety concerns were noted, Astellas recently terminated its Phase 2 clinical trial of XP13512 as a potential treatment for PDN due to difficulty in demonstrating a statistically significant advantage of XP13512 over placebo under the current clinical trial design. Any delay in commencing or completing clinical trials for our product candidates would delay commercialization of our product candidates and severely harm our business and financial condition. In addition, unforeseen safety issues or side effects could result from our collaborators' current or future clinical trials, which could delay or negatively impact commercialization of our product candidates. It is also possible that none of our product candidates will complete clinical trials in any of the markets in which we or our collaborators intend to sell those product candidates. Accordingly, we or our collaborators would not receive the regulatory approvals needed to market our product candidates, which would severely harm our business and financial condition.

We rely on third parties to conduct our clinical trials. If these third parties do not perform as contractually required or expected, we may not be able to obtain regulatory approval for, or commercialize, our product candidates.

We do not have the ability to independently conduct clinical trials for our product candidates, and we must rely on third parties, such as contract research organizations, medical institutions, clinical investigators, collaborative partners and contract laboratories, to conduct our clinical trials. We have, in the ordinary course of business, entered into agreements with these third parties. Nonetheless, with the exception of XP21510 in the United States and XP13512 outside the United States for RLS and all other indications around the world, we are responsible for confirming that each of our clinical trials is conducted in accordance with its general investigational plan and protocol. Moreover, the FDA requires us to comply with regulations and standards, commonly referred to as good clinical practices, for conducting and recording and reporting the results of clinical trials to assure that data and reported results are credible and accurate and that the trial participants are adequately protected. Our reliance on third parties that we do not control does not relieve us of these responsibilities and requirements. For example, we need to prepare, and ensure our compliance with, various procedures required under good clinical practices, even though third-party contract research organizations have prepared and are complying with their own, comparable procedures. If these third parties do not successfully carry out their contractual duties or regulatory obligations or meet expected deadlines, if the third parties need to be replaced or if the quality or accuracy of the data they obtain is compromised due to the failure to adhere to our clinical protocols or regulatory requirements or for other reasons, our preclinical development activities or clinical trials may be extended, delayed, suspended or terminated, and we may not be able to obtain regulatory approval for, or successfully commercialize, our product candidates.

If some or all of our patents expire, are invalidated or are unenforceable, or if some or all of our patent applications do not yield issued patents or yield patents with narrow claims, competitors may develop competing products using our intellectual property and our business will suffer.

Our success will depend in part on our ability to obtain and maintain patent and trade secret protection for our technologies and product candidates both in the United States and other countries. We have a number of U.S. and foreign patents, patent applications and rights to patents related to our compounds, product candidates and technology, but we cannot guarantee that issued patents will be enforceable or that pending or future patent applications will result in issued patents. Alternatively, a third party may successfully circumvent our patents. Our rights under any issued patents may not provide us with sufficient protection against competitive products or otherwise cover commercially valuable products or processes.

The degree of future protection for our proprietary technologies and product candidates is uncertain because legal means afford only limited protection and may not adequately protect our rights or permit us to gain or keep our competitive advantage. For example:

- we might not have been the first to make the inventions covered by each of our pending patent applications and issued patents;
- we might not have been the first to file patent applications for these inventions;

- others may independently develop similar or alternative technologies or duplicate any of our technologies;
- it is possible that none of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators may not provide a basis for commercially viable products or may be challenged by third parties; or
- the patents of others may have an adverse effect on our ability to do business.

Even if valid and enforceable patents cover our product candidates and technologies, the patents will provide protection only for a limited amount of time.

Our and our collaborators' ability to obtain patents is highly uncertain because, to date, some legal principles remain unresolved, there has not been a consistent policy regarding the breadth or interpretation of claims allowed in patents in the United States and the specific content of patents and patent applications that are necessary to support and interpret patent claims is highly uncertain due to the complex nature of the relevant legal, scientific and factual issues. Furthermore, the policies governing biotechnology patents outside the United States are even more uncertain. Changes in either patent laws or interpretations of patent laws in the United States and other countries may diminish the value of our intellectual property or narrow the scope of our patent protection.

Even if patents are issued regarding our product candidates or methods of using them, those patents can be challenged by our competitors who can argue such patents are invalid and/or unenforceable. For example, in September 2008, a law firm on behalf of an undisclosed client filed an opposition against the patent grant of one of our European patent applications covering XP13512. Unlike in the United States, where an issued patent is presumed valid, in Europe, third parties have nine months following the grant of a patent in which to file an opposition during which there is no presumption of patent validity. Accordingly, the grant of the European patent will be subject to a full review. While we cannot predict the duration or result of this opposition proceeding, a corresponding U.S. patent was issued, and the most important of the prior art that was cited in the European opposition as a basis for challenging the issuance of the European patent covering XP13512 was cited to the European Patent Office during the prosecution of that European patent. The possible revocation of the European patent or amendment of its granted claims would not preclude us from developing and commercializing XP13512 in Europe, but could increase the risk of competition. Patents also may not protect our product candidates if competitors devise ways of making these or similar product candidates without legally infringing our patents. The Federal Food, Drug and Cosmetic Act and FDA regulations and policies provide incentives to manufacturers to challenge patent validity and these same types of incentives encourage manufacturers to submit NDAs that rely on literature and clinical data not prepared for or by the drug sponsor.

Subject to possible patent term extension, the entitlement for which and the term of which we cannot predict, patent protection in the United States covering XP13512, our product candidate that is a Transported Prodrug of gabapentin, will expire no earlier than 2022. We believe that in all countries in which we hold or have licensed rights to patents or patent applications related to XP13512, the composition-of-matter patents relating to gabapentin have expired. For XP19986, our product candidate that is a Transported Prodrug of R-baclofen, U.S. composition-of-matter patents have issued that will expire no earlier than 2025. For XP21279, our product candidate that is a Transported Prodrug of L-dopa, a U.S. composition-of-matter patent has issued that will expire no earlier than 2025. For XP21510, our product candidate that is a Transported Prodrug of tranexamic acid, a U.S. composition-of-matter patent has issued that will expire no earlier than 2026. Although third parties may challenge our rights to, or the scope or validity of, our patents, to date, other than the European opposition described above, we have not received any communications from third parties challenging our patents or patent applications covering our product candidates.

We may obtain patents for certain product candidates many years before marketing approval is obtained for those products. Because patents have a limited life, which may begin to run prior to the commercial sale of the related product, the commercial value of the patent may be limited. However, we may be able to apply for patent term extensions.

As part of the approval process of our product candidates in the United States, the FDA may determine that the product candidates be granted an exclusivity period during which other manufacturers' applications for approval of generic versions of our products will not be granted. Generic manufacturers often wait to challenge the patents protecting products that have been granted exclusivity until one year prior to the end of the exclusivity period. It is possible that generic manufacturers are considering attempts to seek FDA approval for a similar or identical drug as our product candidate through an abbreviated NDA, which is the application form typically used by manufacturers seeking approval of a generic drug. For example, from time to time, we have noticed advertisements for the synthesis of our compounds. If our patents are subject to challenges, we may need to spend significant resources to defend such challenges and we may not be able to defend our patents successfully.

We also rely on trade secrets to protect our technology, especially where we do not believe that patent protection is appropriate or obtainable. However, trade secrets are difficult to protect. Our employees, consultants, contractors, outside scientific collaborators and other advisors may unintentionally or willfully disclose our confidential information to competitors. Enforcing a claim that a third party illegally obtained and is using our trade secrets is expensive and time-consuming, and the outcome is unpredictable. Failure to obtain or maintain trade secret protection could adversely affect our competitive business position.

Our research and development collaborators may have rights to publish data and other information in which we have rights. In addition, we sometimes engage individuals or entities to conduct research that may be relevant to our business. The ability of these individuals or entities to publish or otherwise publicly disclose data and other information generated during the course of their research is subject to certain contractual limitations. In most cases, these individuals or entities are, at the least, precluded from publicly disclosing our confidential information and are only allowed to disclose other data or information generated during the course of the research after we have been afforded an opportunity to consider whether patent and/or other proprietary protection should be sought. If we do not apply for patent protection prior to such publication or if we cannot otherwise maintain the confidentiality of our technology and other confidential information, then our ability to receive patent protection or protect our proprietary information may be jeopardized.

Third-party claims of intellectual property infringement would require us to spend significant time and money and could prevent us from developing or commercializing our products.

Our commercial success depends in part on not infringing the patents and proprietary rights of other parties and not breaching any licenses that we have entered into with regard to our technologies and products. Because others may have filed, and in the future are likely to file, patent applications covering products or other technologies of interest to us that are similar or identical to ours, patent applications or issued patents of others may have priority over our patent applications or issued patents. For example, we are aware of a family of third-party patent applications relating to prodrugs of gabapentin. We believe the applications have been abandoned in the United States, the European Patent Office, Canada, Australia and the United Kingdom. Additionally, we are aware of third-party patents relating to the use of baclofen in the treatment of gastroesophageal reflux disease, or GERD. If the patents are determined to be valid and construed to cover XP19986, the development and commercialization of XP19986 could be affected. With respect to the claims contained in these patent applications and patents, we believe that our activities do not infringe the patents at issue and/or that the third-party patent or patent applications are invalid. However, it is possible that a judge or jury will disagree with our conclusions regarding non-infringement and/or invalidity, and we could incur substantial costs in litigation if we are required to defend against patent suits brought by third parties or if we initiate these suits. Any legal action against our collaborators or us claiming damages and seeking to enjoin commercial activities relating to the affected products and processes could, in addition to subjecting us to potential liability for damages, require our collaborators or us to obtain a license to continue to manufacture or market the affected products and processes. Licenses required under any of these patents may not be available on commercially acceptable terms, if at all. Failure to obtain such licenses could materially and adversely affect our ability to develop, commercialize and sell our product candidates. We believe that there may continue to be significant litigation in the biotechnology and pharmaceutical industry regarding patent and other intellectual property rights. If we become involved in litigation, it could consume a substantial portion of our management and financial resources and we may not prevail in any such litigation.

Furthermore, our commercial success will depend, in part, on our ability to continue to conduct research to identify additional product candidates in current indications of interest or opportunities in other indications. Some of these activities may involve the use of genes, gene products, screening technologies and other research tools that are covered by third-party patents. Court decisions have indicated that the exemption from patent infringement afforded by 35 U.S.C. § 271(e)(1) does not encompass all research and development activities associated with product development. In some instances, we may be required to obtain licenses to such third-party patents to conduct our research and development activities, including activities that may have already occurred. It is not known whether any license required under any of these patents would be made available on commercially acceptable terms, if at all. Failure to obtain such licenses could materially and adversely affect our ability to maintain a pipeline of potential product candidates and to bring new products to market. If we are required to defend against patent suits brought by third parties relating to third-party patents that may be relevant to our research activities, or if we initiate such suits, we could incur substantial costs in litigation. Moreover, an adverse result from any legal action in which we are involved could subject us to damages and/or prevent us from conducting some of our research and development activities.

If third parties do not manufacture our product candidates in sufficient quantities or at an acceptable cost, clinical development and commercialization of our product candidates would be delayed.

We do not currently own or operate manufacturing facilities for the production of clinical or commercial quantities of any of our product candidates. To date, we have relied on, and we expect to continue to rely on, a limited number of third-party compound manufacturers and active pharmaceutical ingredient, or API, formulators for the production of preclinical, clinical and commercial quantities of our product candidates. We do not have commercial supply agreements with any of these third parties, and our agreements with these parties are generally terminable at will by either party at any time. If, for any reason, these third parties are unable or unwilling to perform under our agreements or enter into new agreements, we may not be able to locate alternative manufacturers or formulators or enter into favorable agreements with them. Any inability to acquire sufficient quantities of our product candidates in a timely manner from these third parties could delay clinical trials and prevent us or our partners from developing and commercializing our product candidates in a cost-effective manner or on a timely basis.

Under the terms of our collaboration with GSK, GSK is solely responsible for the manufacture of XP13512 to support its development and commercialization within its licensed territory. As a result, if GSK fails to manufacture sufficient quantities of XP13512, development and commercialization of this product candidate could be impaired or delayed in the GSK licensed territory. In addition, we will continue to be responsible for providing Astellas both clinical and commercial supplies of XP13512. Thus, we expect to continue to rely on a limited number of third-party manufacturers to meet our clinical and commercial supply obligations to Astellas for XP13512. We purchase substantial amounts of gabapentin, which is used to make XP13512, from Teva Pharmaceutical Industries, Ltd. pursuant to purchase orders issued from time to time. Teva's sale of gabapentin is the subject of ongoing litigation brought by Pfizer Inc alleging infringement of a patent held by Pfizer. In September 2007, the Court of Appeals for the Federal Circuit overturned a July 2006 District Court ruling that was in favor of the generic gabapentin makers, including Teva, and the suit has been remanded to the District Court to continue with the trial. We have also recently qualified two additional potential suppliers of gabapentin, Hikal Ltd. and Divis Laboratories Ltd. In the event that Teva or these additional suppliers decides not to sell gabapentin to us, or decides to sell gabapentin to us at a price that is not commercially attractive, or, as a result of this litigation, ceases producing gabapentin, we would not be able to manufacture XP13512 until an alternative supplier was qualified. This could impair our ability to meet our supply obligations to Astellas and delay their ability to commercialize this product candidate.

We currently rely on Lonza Ltd. as the single source supplier of our current requirements of XP13512 API. We have agreed to purchase XP13512 API from Lonza under a manufacturing services and product supply agreement. In the event that Lonza terminates the agreement in response to a breach by us, we would not be able to manufacture the API until a qualified alternative supplier is identified. This could delay the development of, and impair the ability of us or Astellas to commercialize, this product candidate. In addition, our current agreement with Lonza does not provide for the entire supply of API that we require to support Astellas' planned

clinical trials or full-scale commercialization. However, the manufacturing services and product supply agreement obligates the parties to negotiate in good faith on the terms and conditions for Lonza to supply some or all of our total requirements for the commercial supply of XP13512 API. In the event that the parties cannot agree to the terms and conditions for Lonza to provide some or all of our API commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified. This could impair our ability to satisfy our contractual obligations to Astellas and could also delay or impair Astellas' ability to develop and commercialize XP13512. Unless earlier terminated, our current agreement with Lonza expires in July 2009.

In addition, we currently rely on Patheon Pharmaceuticals, Inc. as our single source supplier for XP13512 formulated in sustained-release tablets for clinical trials at specified transfer prices under a quotation agreed upon by the parties that forms a part of a master services agreement. In the event that Patheon terminates the agreement under specified circumstances, we would not be able to manufacture XP13512 sustained-release tablets until a qualified alternative supplier is identified. This could impair our ability to satisfy our contractual obligations to Astellas and could also delay or impair Astellas' ability to develop and commercialize XP13512.

We currently rely on Excella GmbH (formerly Heumann Pharma GmbH) as our single source supplier of R-baclofen, the active agent used to make XP19986, under purchase orders issued from time to time. We are aware of two alternative suppliers of R-baclofen, and we are in the process of qualifying them as alternative suppliers. In the event that Excella determines to not sell R-baclofen to us at a price that is commercially attractive, and if we were unable to qualify an alternative supplier of R-baclofen, this could delay the development of, and impair our ability to commercialize, this product candidate.

We currently rely on Lonza as the single source supplier of our current worldwide requirements of XP19986 in API form under a manufacturing services and product supply agreement. Our current agreement with Lonza does not provide for the entire supply of the API necessary for our Phase 2 and Phase 3 clinical trials or for full-scale commercialization. In the event that the parties cannot agree to the terms and conditions for Lonza to provide some or all of our API clinical and commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified, which could also delay the development of, and impair our ability to commercialize, this product candidate.

We currently rely on DSM Pharmaceuticals, Inc. as our single source supplier for XP19986 formulated in sustained-release tablets for future clinical trials at specified transfer prices under quotations agreed upon by the parties as a part of a master services agreement. In the event that DSM terminates the agreement under specified circumstances, we would not be able to commercialize XP19986 sustained-release tablets until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, XP19986. In addition, we currently transport some of our XP19986 shipments using refrigerated containers. We anticipate that manufacturing improvements we will make will alleviate the need to ship this product candidate for commercial sale using refrigerated containers. If we are unable to achieve these manufacturing improvements, we may incur additional expenses and delays that could impair our ability to generate product revenue.

We currently rely on Ajinomoto Company as our single source supplier of L-Dopa, which is used to make XP21279, under purchase orders issued from time to time. We are aware of several alternative suppliers of L-Dopa, and we believe at least one alternative manufacturer could potentially supply L-Dopa, in the event that Ajinomoto determines to not sell L-Dopa to us at a price that is commercially attractive. If we were unable to qualify an alternative supplier of L-Dopa, this could delay the development of, and impair our ability to commercialize, XP21279.

We have purchased from Raylo Chemicals, Inc., a subsidiary of Gilead Sciences, Inc., all of our current worldwide requirements of XP21279 in API form through our Phase 1 clinical trials under a manufacturing services and product supply agreement. We are in the process of qualifying an alternative supplier for manufacture of XP21279 in API form. If we were unable to qualify an alternative supplier of XP21279, this could delay the development of, and impair our ability to commercialize, this product candidate.

We currently rely on Metrics, Inc. as our single source supplier for XP21279 formulated in sustained-release tablets at specified transfer prices under quotations agreed upon by the parties as a part of a master services agreement. In the event that Metrics terminates the agreement under specified circumstances, we would not be

able to manufacture XP21279 sustained-release tablets until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, XP21279.

If we are required to obtain alternate third-party manufacturers, it could delay or prevent the clinical development and commercialization of our product candidates.

We may not be able to maintain or renew our existing or any other third-party manufacturing arrangements on acceptable terms, if at all. If we are unable to continue relationships with Teva, Divis, Hikal, Lonza or Patheon for XP13512, Excella, Lonza or DSM for XP19986 or Ajinomoto, Raylo or Metrics for XP21279, to continue relationships at an acceptable cost or if these suppliers fail to meet our requirements for these product candidates for any reason, we would be required to obtain alternative suppliers. Any inability to obtain qualified alternative suppliers, including an inability to obtain, or delay in obtaining, approval of an alternative supplier from the FDA, would delay or prevent the clinical development and commercialization of these product candidates, and could impact our ability to meet our supply obligations to Astellas.

Use of third-party manufacturers may increase the risk that we or our partners will not have adequate supplies of our product candidates.

Our current reliance, and our and our partners' anticipated future reliance, on third-party manufacturers will expose us and our partners to risks that could delay or prevent the initiation or completion of clinical trials by us or our partners, the submission of applications for regulatory approvals, the approval of our products by the FDA or foreign regulatory authorities or the commercialization of our products or could result in higher costs or lost product revenues. In particular, our contract manufacturers:

- could encounter difficulties in achieving volume production, quality control and quality assurance or suffer shortages of qualified personnel, which could result in their inability to manufacture sufficient quantities of drugs to meet clinical schedules or to commercialize our product candidates;
- could terminate or choose not to renew manufacturing agreements, based on their own business priorities, at a time that is costly or inconvenient for us;
- could fail to establish and follow FDA-mandated current good manufacturing practices, or cGMPs, which are required for FDA approval of our product candidates, or fail to document their adherence to cGMPs, either of which could lead to significant delays in the availability of material for clinical study and delay or prevent marketing approval for our product candidates;
- could encounter financial difficulties that would interfere with their obligations to supply our product candidates; and
- could breach, or fail to perform as agreed under, manufacturing agreements.

As an example, one of our third-party manufacturers previously released financial results indicating that its earnings were adversely affected due to certain circumstances at two of its manufacturing operations. If such financial difficulties interfere with its ability to satisfy its contractual obligations to supply our product candidates, there could be a delay in commencing or completing our or our collaborative partners' clinical trials, which could also delay the development of, and impair our or our partners' ability to commercialize, our product candidates.

If we are not able to obtain adequate supplies of our product candidates, it will be more difficult to develop our product candidates and compete effectively. Our product candidates and any products that we may develop may compete with other product candidates and products for access to manufacturing facilities. For example, gabapentin is also marketed as generic gabapentin by Teva, one of our third-party manufacturers.

In addition, the manufacturing facilities of Excella, Lonza, Teva, Hikal, Divis and Ajinomoto are located outside of the United States. This may give rise to difficulties in importing our product candidates or their components into the United States or other countries as a result of, among other things, regulatory agency import inspections, incomplete or inaccurate import documentation or defective packaging.

Safety issues with the parent drugs or other components of our product candidates, or with approved products of third parties that are similar to our product candidates, could give rise to delays in the regulatory approval process, restrictions on labeling or product withdrawal.

Discovery of previously unknown problems with an approved product may result in restrictions on its permissible uses, including withdrawal of the medicine from the market. The FDA approved gabapentin, the parent drug for our XP13512 product candidate, in 1993, and, to date, it has been used in at least 12 million patients. Baclofen, the R-isomer of which is the parent drug for our XP19986 product candidate, has been used since 1977. The FDA has not approved the R-isomer of baclofen for use in humans. The FDA approved levadopa, or L-Dopa, the parent drug for our XP21279, in 1967. The FDA has not approved oral tranexamic acid, which is the parent drug for our XP21510 product candidate, although it has been used in European countries and other countries for many years and is approved in intravenous form in the United States for tooth extractions in hemophiliacs. Although gabapentin, baclofen, L-Dopa and tranexamic acid have been used successfully in patients for many years, newly observed toxicities, or worsening of known toxicities, in patients receiving gabapentin, baclofen, L-Dopa or tranexamic acid could result in increased regulatory scrutiny of XP13512, XP19986, XP21279 and XP21510, respectively.

Our product candidates are engineered to be broken down by the body's natural metabolic processes and to release the parent drug and other metabolic substances. While these breakdown products are generally regarded as safe, it is possible that there could be unexpected toxicity associated with these breakdown products that will cause any or all of XP13512, XP19986, XP21279 and XP21510 to be poorly tolerated by, or toxic to, humans. Any unexpected toxicity of, or suboptimal tolerance to, our Transported Prodrugs would delay or prevent commercialization of these product candidates.

Additionally, problems with approved products marketed by third parties that utilize the same therapeutic target or belong to the same therapeutic class as the parent drug of our product candidates could adversely affect the development of our product candidates. For example, the product withdrawals of Vioxx from Merck & Co., Inc. and Bextra from Pfizer in 2005 due to safety issues has caused other drugs that have the same therapeutic target, such as Celebrex from Pfizer, to receive additional scrutiny from regulatory authorities. If either gabapentin or pregabalin, drugs from Pfizer that are marketed as Neurontin and Lyrica, respectively, encounters unexpected toxicity problems in humans, the FDA may delay or prevent the regulatory approval of XP13512 since it is believed to share the same therapeutic target as gabapentin and pregabalin. In 2005, the FDA requested that all makers of epilepsy drugs analyze their clinical trial data to determine whether these drugs increase the risk of suicide in patients. In December 2008, the FDA added warnings to 11 antiepileptic drugs, including gabapentin, regarding an increased risk of suicide or suicidal thoughts. At this time, it is unclear if XP13512, as a compound that is believed to share the same therapeutic target as gabapentin and pregabalin, would, if approved by the FDA, require a similar warning in its label. Finally, if the FDA determines that a drug may present a risk of substance abuse, it can recommend to the DEA that the drug be scheduled under the Controlled Substances Act. While gabapentin is not a scheduled drug at the present time, pregabalin has been scheduled as a controlled substance. Since pregabalin is a scheduled drug, it is possible that the FDA may require additional testing of XP13512, the results of which could lead the FDA to conclude that XP13512 should be scheduled as well. Scheduled substances are subject to DEA regulations relating to manufacturing, storage, distribution and physician prescription procedures, and the DEA regulates the amount of a scheduled substance that is available for clinical trials and commercial distribution. Accordingly, any scheduling action that the FDA or DEA may take with respect to XP13512 may delay its clinical trial and approval process. Any failure or delay in commencing or completing clinical trials or obtaining regulatory approvals for our product candidates would delay commercialization of our product candidates and severely harm our business and financial condition.

We may not be successful in our efforts to identify or discover additional Transported Prodrug candidates.

An important element of our strategy is to identify, develop and commercialize Transported Prodrugs that improve upon the absorption, distribution and/or metabolism of drugs that have already received regulatory approval. Other than XP13512, XP19986 and XP21279, all of our research and development programs are at a preclinical stage. Research programs to identify new product candidates require substantial technical, financial

and human resources. These research programs may initially show promise in identifying potential product candidates, yet fail to yield product candidates for clinical development for a number of reasons, including:

- the research methodology used may not be successful in identifying potential product candidates; or
- potential product candidates may, on further study, be shown to have inadequate efficacy, harmful side effects, suboptimal pharmaceutical profile or other characteristics suggesting that they are unlikely to be effective products.

If we are unable to develop suitable product candidates through internal research programs or otherwise, we will not be able to increase our revenues in future periods, which could result in significant harm to our financial position and adversely impact our stock price.

Our product candidates will remain subject to ongoing regulatory review, even if they receive marketing approval. If we or our collaborative partners fail to comply with continuing regulations, these approvals could be rescinded and the sale of our products could be suspended.

Even if we or our collaborative partners receive regulatory approval to market a particular product candidate, the approval could be conditioned on conducting additional, costly, post-approval studies or could limit the indicated uses included in the labeling. Moreover, the product may later cause adverse effects that limit or prevent its widespread use, force us or our collaborative partners to withdraw it from the market or impede or delay our or our collaborative partners' ability to obtain regulatory approvals in additional countries. In addition, the manufacturer of the product and its facilities will continue to be subject to FDA review and periodic inspections to ensure adherence to applicable regulations. After receiving marketing approval, the manufacturing, labeling, packaging, adverse event reporting, storage, advertising, promotion and record keeping related to the product will remain subject to extensive regulatory requirements.

If we or our collaborative partners fail to comply with the regulatory requirements of the FDA and other applicable U.S. and foreign regulatory authorities or previously unknown problems with our products, manufacturers or manufacturing processes are discovered, we and our partners could be subject to administrative or judicially imposed sanctions, including:

- restrictions on the products, manufacturers or manufacturing processes;
- warning letters;
- civil or criminal penalties or fines;
- injunctions;
- product seizures, detentions or import bans;
- voluntary or mandatory product recalls and publicity requirements;
- suspension or withdrawal of regulatory approvals;
- total or partial suspension of production; and
- refusal to approve pending applications for marketing approval of new drugs or supplements to approved applications.

Because we have a number of product candidates and are considering a variety of target indications, we may expend our limited resources to pursue a particular candidate or indication and fail to capitalize on candidates or indications that may be more profitable or for which there is a greater likelihood of success.

Because we have limited financial and managerial resources, we must focus on research programs and product candidates for the specific indications that we believe are the most promising. As a result, we may forego or delay pursuit of opportunities with other product candidates or other indications that later prove to have greater commercial potential. Our resource allocation decisions may cause us to fail to capitalize on viable

commercial products or profitable market opportunities. In addition, we may spend valuable time and managerial and financial resources on research programs and product candidates for specific indications that ultimately do not yield any commercially viable products. If we do not accurately evaluate the commercial potential or target market for a particular product candidate, we may relinquish valuable rights to that product candidate through collaboration, licensing or other royalty arrangements in situations where it would have been more advantageous for us to retain sole rights to development and commercialization.

The commercial success of any products that we or our partners may develop will depend upon the degree of market acceptance among physicians, patients, healthcare payors and the medical community.

Any products that result from our product candidates may not gain market acceptance among physicians, patients, healthcare payors and the medical community. If these products do not achieve an adequate level of acceptance, we may not generate material product revenues and we may not become profitable. The degree of market acceptance of any products resulting from our product candidates will depend on a number of factors, including:

- demonstration of efficacy and safety in clinical trials;
- the prevalence and severity of any side effects;
- potential or perceived advantages over alternative treatments;
- perceptions about the relationship or similarity between our product candidates and the parent drug upon which each Transported Prodrug candidate is based;
- the timing of market entry relative to competitive treatments;
- the ability to offer product candidates for sale at competitive prices;
- relative convenience and ease of administration;
- the strength of marketing and distribution support;
- sufficient third-party coverage or reimbursement; and
- the product labeling or product insert required by the FDA or regulatory authorities in other countries.

If we are unable to establish sales and marketing capabilities or enter into additional agreements with third parties to market and sell our product candidates, we may be unable to generate product revenues.

We have a limited sales and marketing organization and have limited experience in the sales, marketing and distribution of pharmaceutical products. There are risks involved with establishing our own sales and marketing capabilities, as well as entering into arrangements with third parties to perform these services. Developing an internal sales force is expensive and time-consuming. On the other hand, if we enter into arrangements with third parties to perform sales, marketing and distribution services, as we have for XP13512 around the world and XP21510 in the United States, our product revenues will be lower than if we market and sell any products that we develop ourselves.

Under the terms of our collaboration with GSK, we are entitled to a royalty based on a percentage of net sales of XP13512 in the GSK territory for a specified period of time, unless we elect the option to co-promote XP13512 in the United States. In the event that we elect the co-promotion option for XP13512, we would share marketing and commercialization costs and would be entitled to a share of operating profits from net sales of XP13512 in the United States, as well as receive payments on details we perform on Requip XL, GSK's product for Parkinson's disease in the United States. Subject to approval from the FDA of an NDA for *Solzira*, we would co-promote XP13512 in the United States to those same prescribers. If we elect the co-promotion option for XP13512, we plan to establish our own specialty sales force to sell and market our products. Under the terms of our collaboration with Xanodyne, we are entitled to a percentage of sales of XP21510 in the United States for a

specified period of time and a specified percentage of sales of XP12B, Xanodyne's formulation of tranexamic acid that has successfully completed two Phase 3 pivotal trials.

Factors that may inhibit our efforts to commercialize our products include:

- our inability to recruit and retain adequate numbers of effective sales and marketing personnel;
- the inability of sales personnel to obtain access to adequate numbers of physicians to provide information on the advantages and risks of prescribing our products;
- the lack of complementary products to be offered by sales personnel, which may put us at a competitive disadvantage relative to companies with more extensive product lines; and
- unforeseen costs and expenses associated with creating an independent sales and marketing organization.

Because of the numerous risks and uncertainties involved with establishing our own sales and marketing capabilities, we are unable to predict when we will establish our own sales and marketing capabilities. If we are not successful in recruiting sales and marketing personnel or in building a sales and marketing infrastructure, we will have difficulty commercializing our product candidates, which would adversely affect our business and financial condition.

Our ability to generate revenue from any products that we may develop will depend on reimbursement and drug pricing policies and regulations.

Many patients may be unable to pay for any products that we or our collaborative partners may develop. In the United States, many patients will rely on Medicare, Medicaid, private health insurers and other third-party payors to pay for their medical needs. Our and our partners' ability to achieve acceptable levels of reimbursement for drug treatments by governmental authorities, private health insurers and other organizations will have an effect on our and our partners' ability to successfully commercialize, and attract additional collaborators to invest in the development of, our product candidates. We cannot be sure that reimbursement in the United States, Europe or elsewhere will be available for any products that we or our partners may develop, and any reimbursement that may become available may be decreased or eliminated in the future. Third-party payors increasingly are challenging prices charged for medical products and services, and many third-party payors may refuse to provide reimbursement for particular drugs when an equivalent generic drug is available. Although we believe any products that may result from our product candidates will represent an improvement over the parent drugs upon which they are based and be considered unique and not subject to substitution by a generic parent drug, it is possible that a third-party payor may consider our product candidate and the generic parent drug as equivalents and only offer to reimburse patients for the generic drug. Even if we show improved efficacy or improved convenience of administration with our product candidate, pricing of the existing parent drug may limit the amount we will be able to charge for our product candidate. If reimbursement is not available or is available only at limited levels, we or our partners may not be able to successfully commercialize our product candidates, and may not be able to obtain a satisfactory financial return on such products.

The trend toward managed healthcare in the United States and the changes in health insurance programs, as well as legislative proposals to reform healthcare or reduce government insurance programs, may result in lower prices for pharmaceutical products, including any products that may result from our product candidates. In addition, any future regulatory changes regarding the healthcare industry or third-party coverage and reimbursement may affect demand for any products that we may develop and could harm our sales and profitability.

In December 2003, the Medicare Prescription Drug Improvement and Modernization Act of 2003, or the 2003 Medicare Act, was enacted. Medicare beneficiaries are now eligible to obtain subsidized prescription drug coverage from a choice of private sector plans. Over 90 percent of Medicare beneficiaries now have coverage for prescription medicines. It remains difficult to predict the long-term impact of the 2003 Medicare Act on pharmaceutical companies. The use of pharmaceuticals has increased slightly among some patients as the result of the expanded access to medicines afforded by coverage under Medicare. However, such expanded utilization has been largely offset by increased pricing pressure and competition due to the enhanced purchasing power of the private sector plans that negotiate on behalf of Medicare beneficiaries and by an increase in the use of generic medicines in this population.

If our competitors are able to develop and market products that are more effective, safer or less costly than any products that we may develop, our commercial opportunity will be reduced or eliminated.

We face competition from established pharmaceutical and biotechnology companies, as well as from academic institutions, government agencies and private and public research institutions. Our commercial opportunity will be reduced or eliminated if our competitors develop and commercialize products that are safer, more effective, have fewer side effects or are less expensive than any products that we may develop. In addition, significant delays in the development of our product candidates could allow our competitors to bring products to market before us and impair our ability to commercialize our product candidates.

We estimate that we have at least three competitors in the neuropathic pain, migraine prophylaxis and RLS therapeutic areas, including Eli Lilly and Company, Johnson & Johnson and Pfizer. Competition for XP13512 could include: approved drugs that act on the same target as XP13512, such as pregabalin, Neurontin and generic gabapentin; anti-Parkinson's disease products and product candidates, such as generic ropinirole from GSK and pramipexole from Boehringer Ingelheim GmbH, which are each approved for the treatment of moderate-to-severe primary RLS, and the rotigotine patch from Schwarz Pharma AG (member of the UCB group), which filed its NDA for the treatment of moderate-to-severe primary RLS with the FDA in the fourth quarter of 2007 and has received a complete response letter from the FDA; antiepileptics, such as topiramate from Johnson & Johnson, which is approved for the prevention of migraines; and serotonin norepinephrine inhibitors, such as duloxetine from Eli Lilly, which is approved for the management of painful diabetic neuropathy. We are aware that generic gabapentin is marketed by Alpharma Inc., Pfizer, Teva and IVAX Corp, among others. We estimate that XP19986 could have several generic drug competitors in the spasticity area. There are several drugs approved for the treatment of spasticity, such as racemic baclofen, diazepam, dantrolene sodium and tizanidine, and many therapies in development, such as Fampridine-SR from Acorda Therapeutics, Inc. that could compete with XP19986. We estimate that we have at least five competitors in the GERD therapeutic area, including Wyeth, Takeda Pharmaceutical Company Limited, Novartis Group, Addex Pharmaceuticals and AstraZeneca Pharmaceuticals LP. We estimate that we have at least four competitors in the market for treating acute back spasms, including Cephalon, Inc., King Pharmaceuticals, Inc., Johnson & Johnson and Meda Pharmaceuticals, Inc. Competition for XP21279 could include generic L-Dopa/carbidopa drugs and other drugs approved for the treatment of Parkinson's disease. These include a combination therapy of L-Dopa/carbidopa/entacapone (marketed in the United States by Novartis as Stalevo) and dopamine agonists (marketed by Boehringer-Ingelheim, GSK and UCB as Mirapex, Requip and Neupro, respectively). In addition, there may be other compounds of which we are not aware that are at an earlier stage of development and may compete with our product candidates. If any of those compounds are successfully developed and approved, they could compete directly with our product candidates.

Many of our competitors have significantly greater financial resources and expertise in research and development, manufacturing, preclinical testing, conducting clinical trials, obtaining regulatory approvals and marketing approved products than we do. Established pharmaceutical companies may invest heavily to quickly discover and develop novel compounds that could make our product candidates obsolete. Smaller or early-stage companies may also prove to be significant competitors, particularly through collaborative arrangements with large and established companies. In addition, these third parties compete with us in recruiting and retaining qualified scientific and management personnel, establishing clinical trial sites and patient registration for clinical trials, as well as in acquiring technologies and technology licenses complementary to our programs or advantageous to our business. Accordingly, our competitors may succeed in obtaining patent protection, receiving FDA approval or discovering, developing and commercializing medicines before we do. We are also aware of other companies that may currently be engaged in the discovery of medicines that will compete with the product candidates that we are developing. In addition, in the markets that we are targeting, we expect to compete against current market-leading medicines. If we are not able to compete effectively against our current and future competitors, our business will not grow and our financial condition will suffer.

Off-label sale or use of generic gabapentin products could decrease sales of XP13512 and could lead to pricing pressure if such products become available at competitive prices and in dosages that are appropriate for the indications for which we or our collaborative partners are developing XP13512.

Physicians are permitted to prescribe legally available drugs for uses that are not described in the drug's labeling and that differ from those uses tested and approved by the FDA. Such off-label uses are common across medical specialties. Various products are currently sold and used off-label for some of the diseases and conditions that we or our partners are targeting, and a number of companies are, or may be, developing new treatments that may be used off-label. The occurrence of such off-label uses could significantly reduce our or our partners' ability to market and sell any products that we or our partners may develop.

We believe that in all countries in which we hold or have licensed rights to patents or patent applications related to XP13512, the composition-of-matter patents relating to gabapentin have expired. Off-label prescriptions written for gabapentin for indications for which we or our partners are marketing XP13512 could adversely affect our ability to generate revenue from the sale of XP13512, if approved for commercial sale. This could result in reduced sales and pricing pressure on XP13512, if approved, which in turn would reduce our ability to generate revenue and have a negative impact on our results of operations.

Our investment portfolio may become impaired by further deterioration of the capital markets.

Our cash equivalent and short-term investment portfolio as of December 31, 2008 consisted of bonds of U.S. government agencies, corporate debt securities and money market mutual funds. We follow an established investment policy and set of guidelines to monitor, manage and limit our exposure to interest rate and credit risk. The policy sets forth credit quality standards and limits our exposure to any one issuer, as well as our maximum exposure to various asset classes.

As a result of current adverse financial market conditions, investments in some financial instruments, such as structured investment vehicles, sub-prime mortgage-backed securities and collateralized debt obligations, may pose risks arising from liquidity and credit concerns. As of December 31, 2008, we had no direct holdings in these categories of investments and our indirect exposure to these financial instruments through our holdings in money market mutual funds was immaterial. As of December 31, 2008, we had no impairment charge associated with our short-term investment portfolio relating to such adverse financial market conditions. Although we believe our current investment portfolio has very little risk of impairment, we cannot predict future market conditions or market liquidity and can provide no assurance that our investment portfolio will remain unimpaired.

If we fail to attract and keep senior management and key scientific personnel, we may be unable to successfully develop or commercialize our product candidates.

Our success depends on our continued ability to attract, retain and motivate highly qualified management, clinical and scientific personnel and on our ability to develop and maintain important relationships with leading clinicians. If we are not able to retain Drs. Ronald Barrett, Kenneth Cundy, Mark Gallop, David Savello and David Stamler, we may not be able to successfully develop or commercialize our product candidates. Competition for experienced scientists and development staff may limit our ability to hire and retain highly qualified personnel on acceptable terms. In addition, none of our employees have employment commitments for any fixed period of time and could leave our employment at will. We do not carry "key person" insurance covering members of senior management or key scientific personnel. If we fail to identify, attract and retain qualified personnel, we may be unable to continue our development and commercialization activities.

We will need to hire additional employees in order to commercialize our product candidates. Any inability to manage future growth could harm our ability to commercialize our product candidates, increase our costs and adversely impact our ability to compete effectively.

In order to commercialize our product candidates, we will need to expand the number of our managerial, operational, financial and other employees. We currently anticipate that we will need at least 70 additional

employees by the time that XP13512 or XP19986 is initially commercialized, including at least 50 sales representatives. Because the projected timeframe of hiring these additional employees depends on the development status of our product candidates and because of the numerous risks and uncertainties associated with drug development, we are unable to project when we will hire these additional employees. The competition for qualified personnel in the pharmaceutical and biotechnology field is intense, and we may experience difficulties in recruiting, hiring and retaining qualified individuals.

Future growth will impose significant added responsibilities on members of management, including the need to identify, recruit, maintain and integrate additional employees. Our future financial performance and our ability to commercialize our product candidates and compete effectively will depend, in part, on our ability to manage any future growth effectively.

If product liability lawsuits are brought against us, we will incur substantial liabilities and may be required to limit commercialization of any products that we may develop.

We face an inherent risk of product liability exposure related to the testing of our product candidates in human clinical trials and will face an even greater risk if we commercially sell any products that we may develop. If we cannot successfully defend ourselves against claims that our product candidates or products that we may develop caused injuries, we will incur substantial liabilities.

Regardless of merit or eventual outcome, liability claims may result in:

- decreased demand for any product candidates or products that we may develop;
- injury to our reputation;
- withdrawal of clinical trial participants;
- costs to defend the related litigation;
- substantial monetary awards to clinical trial participants or patients;
- loss of revenue; and
- the inability to commercialize any products that we may develop.

We have product liability insurance that covers our clinical trials up to a $10.0 million annual aggregate limit. We intend to expand our insurance coverage to include the sale of commercial products if marketing approval is obtained for any products that we may develop. Insurance coverage is increasingly expensive, and we may not be able to maintain insurance coverage at a reasonable cost and we may not be able to obtain insurance coverage that will be adequate to satisfy any liability that may arise.

If we use biological and hazardous materials in a manner that causes contamination or injury or violates laws, we may be liable for damages.

Our research and development activities involve the use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of contamination or injury, we could be held liable for damages that result, and any liability could exceed our resources. We, the third parties that conduct clinical trials on our behalf and the third parties that manufacture our product candidates are subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and waste products. The cost of compliance with these laws and regulations could be significant. The failure to comply with these laws and regulations could result in significant fines and work stoppages and may harm our business.

Our facility is located in California's Silicon Valley, in an area with a long history of industrial activity and use of hazardous substances, including chlorinated solvents. Environmental studies conducted prior to our leasing of the site found levels of metals and volatile organic compounds in the soils and groundwater at our site.

While these constituents of concern predated our occupancy, certain environmental laws, including the U.S. Comprehensive, Environmental Response, Compensation and Liability Act of 1980, impose strict, joint and several liability on current operators of real property for the cost of removal or remediation of hazardous substances. These laws often impose liability even if the owner or operator did not know of, or was not responsible for, the release of such hazardous substances. As a result, while we have not been, we cannot rule out the possibility that we could in the future be held liable for costs to address contamination at the property beneath our facility, which costs could be material.

Our facility is located near known earthquake fault zones, and the occurrence of an earthquake, extremist attack or other catastrophic disaster could cause damage to our facilities and equipment, which could require us to cease or curtail operations.

Our facility is located near known earthquake fault zones and, therefore, is vulnerable to damage from earthquakes. In October 1989, a major earthquake struck this area and caused significant property damage and a number of fatalities. We are also vulnerable to damage from other types of disasters, including power loss, attacks from extremist organizations, fire, floods and similar events. If any disaster were to occur, our ability to operate our business could be seriously impaired. In addition, the unique nature of our research activities and of much of our equipment could make it difficult for us to recover from this type of disaster. We currently may not have adequate insurance to cover our losses resulting from disasters or other similar significant business interruptions, and we do not plan to purchase additional insurance to cover such losses due to the cost of obtaining such coverage. Any significant losses that are not recoverable under our insurance policies could seriously impair our business and financial condition.

Risks Related to Ownership of our Common Stock

Our stock price is volatile, and purchasers of our common stock could incur substantial losses.

The market prices for securities of biopharmaceutical companies in general have been highly volatile. The market price of our common stock may be influenced by many factors, including:

- adverse results or delays in our or our collaborative partners' clinical trials;
- the timing of achievement of our clinical, regulatory, partnering and other milestones, such as the commencement of clinical development, the completion of a clinical trial, the filing for regulatory approval or the establishment of commercial partnerships for one or more of our product candidates;
- announcement of FDA approvability, approval or non-approval of our product candidates or delays in the FDA review process;
- actions taken by regulatory agencies with respect to our product candidates, our clinical trials or our sales and marketing activities;
- actions taken by regulatory agencies with respect to products or drug classes related to our product candidates;
- the commercial success of any of our products approved by the FDA or its foreign counterparts;
- changes in our collaborators' business strategies;
- regulatory developments in the United States and foreign countries;
- changes in the structure of healthcare payment systems;
- any intellectual property matter involving us, including infringement lawsuits;
- actions taken by regulatory agencies with respect to our or our partners' compliance with regulatory requirements;
- announcements of technological innovations or new products by us or our competitors;

- market conditions for equity investments in general, or the biotechnology or pharmaceutical industries in particular;
- changes in financial estimates or recommendations by securities analysts;
- sales of large blocks of our common stock;
- sales of our common stock by our executive officers, directors and significant stockholders;
- restatements of our financial results and/or material weaknesses in our internal controls; and
- the loss of any of our key scientific or management personnel.

The stock markets in general, and the markets for biotechnology stocks in particular, have experienced extreme volatility that has often been unrelated to the operating performance of particular companies. These broad market fluctuations may adversely affect the trading price of our common stock. In the past, class action litigation has often been instituted against companies whose securities have experienced periods of volatility in market price. Any such litigation brought against us could result in substantial costs, which would hurt our financial condition and results of operations, divert management's attention and resources and possibly delay our clinical trials or commercialization efforts.

Failure to maintain effective internal controls in accordance with Section 404 of the Sarbanes-Oxley Act of 2002 could have a material adverse effect on our stock price.

Section 404 of the Sarbanes-Oxley Act of 2002 and the related rules and regulations of the SEC require annual management assessments of the effectiveness of our internal control over financial reporting and a report by our independent registered public accounting firm attesting to, and reporting on, the effectiveness of our internal control over financial reporting. If we fail to maintain the adequacy of our internal control over financial reporting, as such standards are modified, supplemented or amended from time to time, we may not be able to ensure that we can conclude on an ongoing basis that we have effective internal control over financial reporting in accordance with Section 404 of the Sarbanes-Oxley Act of 2002 and the related rules and regulations of the SEC. If we cannot favorably assess, or our independent registered public accounting firm is unable to provide an unqualified attestation report on, the effectiveness of our internal control over financial reporting, investor confidence in the reliability of our financial reports may be adversely affected, which could have a material adverse effect on our stock price.

Fluctuations in our operating results could cause our stock price to decline.

The following factors are likely to result in fluctuations of our operating results from quarter to quarter and year to year:

- adverse results or delays in our or our collaborative partners' clinical trials;
- the timing and achievement of our clinical, regulatory, partnering and other milestones, such as the commencement of clinical development, the completion of a clinical trial, the filing for regulatory approval or the establishment of a commercial partnership for one or more of our product candidates;
- announcement of FDA approvability, approval or non-approval of our product candidates or delays in the FDA review process;
- actions taken by regulatory agencies with respect to our product candidates, our clinical trials or our sales and marketing activities;
- actions taken by regulatory agencies with respect to products or drug classes related to our product candidates;
- the commercial success of any of our products approved by the FDA or its foreign counterparts;
- changes in our collaborators' business strategies;

- actions taken by regulatory agencies with respect to our or our partners' compliance with regulatory requirements;
- regulatory developments in the United States and foreign countries;
- changes in the structure of healthcare payment systems;
- any intellectual property matter involving us, including infringement lawsuits; and
- announcements of technological innovations or new products by us or our competitors.

Due to these fluctuations in our operating results, a period-to-period comparison of our results of operations may not be a good predictor of our future performance. For example, due to the recognition of revenues from up-front and milestone payments from our collaborations with Astellas, GSK and Xanodyne, we were profitable in the three-month periods ended June 30, September 30, December 31, 2007, and for the year ended December 31, 2007. However, while recognition of these revenues resulted in a profitable year for 2007, we continue to expect to incur losses in 2009. In any particular financial period, the actual or anticipated fluctuations could be below the expectations of securities analysts or investors and our stock price could decline.

Because a small number of existing stockholders own a large percentage of our voting stock, they may be able to exercise significant influence over our affairs, acting in their best interests and not necessarily those of other stockholders.

As of January 30, 2009, our executive officers, directors and holders of 5% or more of our outstanding common stock beneficially owned approximately 52.7% of our common stock. The interests of this group of stockholders may not always coincide with our interests or the interests of other stockholders. This concentration of ownership could also have the effect of delaying or preventing a change in our control or otherwise discouraging a potential acquiror from attempting to obtain control of us, which in turn could reduce the price of our common stock.

Our stockholder rights plan and anti-takeover provisions in our charter documents and under Delaware law could make an acquisition of us, which may be beneficial to our stockholders, more difficult and may prevent attempts by our stockholders to replace or remove our current management.

Provisions in our amended and restated certificate of incorporation and bylaws may delay or prevent an acquisition of us, a change in our management or other changes that stockholders may consider favorable. These provisions include:

- a classified board of directors;
- a prohibition on actions by our stockholders by written consent;
- the ability of our board of directors to issue preferred stock without stockholder approval, which could be used to make it difficult for a third party to acquire us;
- notice requirements for nominations for election to the board of directors; and
- limitations on the removal of directors.

Moreover, we are governed by the provisions of Section 203 of the Delaware General Corporation Law, which prohibits a person who owns in excess of 15% of our outstanding voting stock from merging or combining with us for a period of three years after the date of the transaction in which the person acquired in excess of 15% of our outstanding voting stock, unless the merger or combination is approved in a prescribed manner.

We have adopted a rights agreement under which certain stockholders have the right to purchase shares of a new series of preferred stock at an exercise price of $140.00 per one one-hundredth of a share, if a person acquires more than 15% of our common stock. The rights plan could make it more difficult for a person to acquire a majority of our outstanding voting stock. The rights plan could also reduce the price that investors

might be willing to pay for shares of our common stock and result in the market price being lower than it would be without the rights plan. In addition, the existence of the rights plan itself may deter a potential acquiror from acquiring us. As a result, either by operation of the rights plan or by its potential deterrent effect, mergers and acquisitions of us that our stockholders may consider in their best interests may not occur.

If there are large sales of our common stock, the market price of our common stock could drop substantially.

If our existing stockholders sell a large number of shares of our common stock or the public market perceives that existing stockholders might sell shares of our common stock, the market price of our common stock could decline significantly. As of January 30, 2009, we had 27,285,656 outstanding shares of common stock. Of these shares, up to 17,635,231 shares of common stock are tradable under Rule 144 under the Securities Act of 1933, as amended, or the Securities Act, subject in some cases to lock-up agreements and the volume limitations and manner of sale requirements under Rule 144, and the remainder of the shares outstanding as of January 30, 2009, have been registered under the Securities Act and are freely tradable.

Item 1B. ***Unresolved Staff Comments.***

Not applicable.

Item 2. ***Properties.***

We lease approximately 162,000 square feet of office and laboratory space in two adjacent buildings in Santa Clara, California where we conduct our operations. The leases expire concurrently in August 2013, although we have the option to extend both leases for two additional terms of five years each. The 2008 aggregate annual rental amount payable under the leases was approximately $4.0 million, subject to periodic increases. Although our facilities are adequate for our existing needs, we may require additional space as our business expands.

Item 3. ***Legal Proceedings.***

We are not a party to any material legal proceedings at this time. From time to time, we may be involved in litigation relating to claims rising out of our ordinary course of business.

Item 4. ***Submission of Matters to a Vote of Security Holders.***

Not applicable.

PART II.

Item 5. ***Market for Registrant's Common Equity, Related Stockholder Matters and Issuer Purchases of Equity Securities.***

Our common stock is traded on The NASDAQ Global Select Market under the symbol "XNPT." From June 2, 2005 to December 31, 2008, our common stock was traded on The NASDAQ Global Market. As of January 30, 2009, there were approximately 111 holders of record of our common stock. No cash dividends have been paid on our common stock to date, and we currently intend to utilize any earnings for development of our business and for repurchases of our common stock. The following table sets forth, for the periods indicated, the range of high and low closing sales prices of our common stock as quoted on The NASDAQ Global Market for the two most recent fiscal years.

	High	Low
2008		
4th Quarter	$48.96	$17.18
3rd Quarter	50.22	36.29
2nd Quarter	44.78	39.03
1st Quarter	65.86	37.93
2007		
4th Quarter	$58.23	$48.05
3rd Quarter	48.85	38.33
2nd Quarter	46.49	27.85
1st Quarter	28.48	23.00

The closing price for our common stock as reported by The NASDAQ Global Select Market on January 30, 2009 was $26.12 per share.

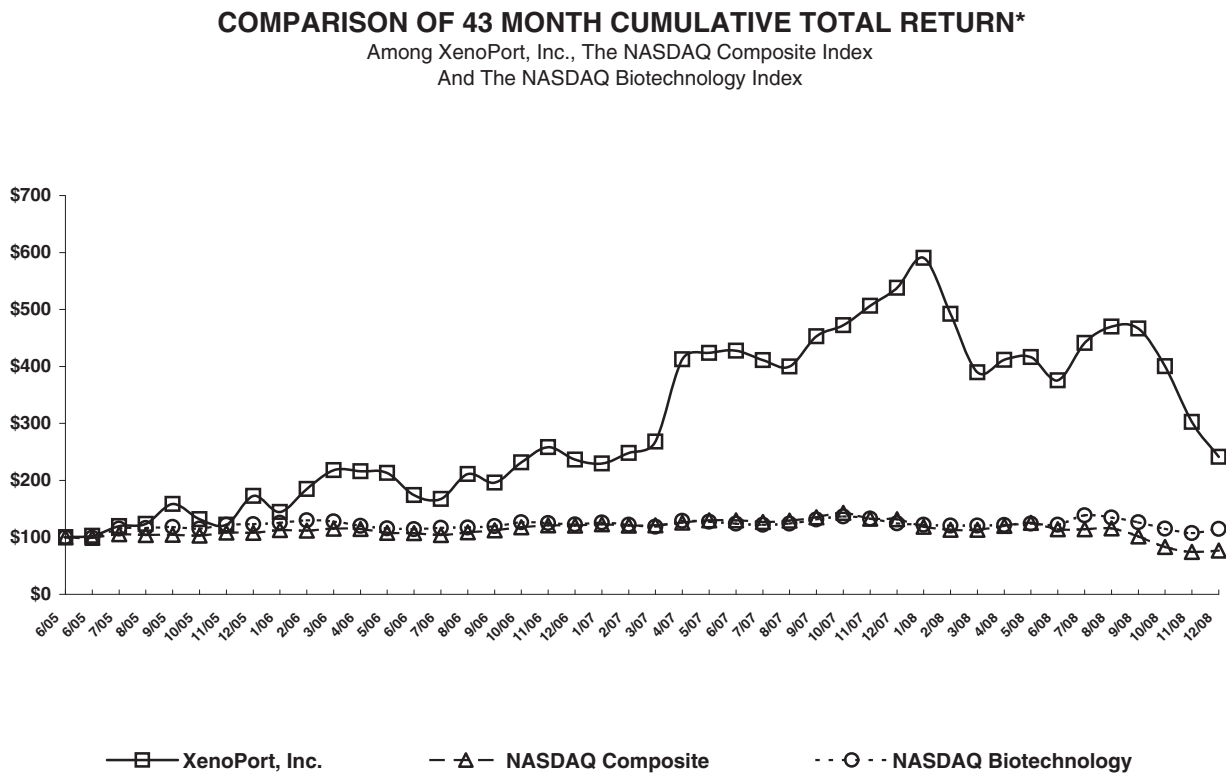
Issuer Purchases of Equity Securities

None.

Performance Measurement Comparison(1)

The following graph shows the total stockholder return of an investment of $100 in cash on June 2, 2005 for: (i) our common stock; (ii) the Nasdaq Composite Index; and (iii) the Nasdaq Biotechnology Index as of December 31, 2008. Pursuant to applicable SEC rules, all values assume reinvestment of the full amount of all dividends, however no dividends have been declared on our common stock to date. The stockholder return shown on the graph below is not necessarily indicative of future performance, and we do not make or endorse any predictions as to future stockholder returns.

Comparison of Cumulative Total Return on Investment



*$100 invested on 6/2/05 in stock & 5/31/05 in index-including reinvestment of dividends.
Fiscal year ending December 31.

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(1) This section is not "soliciting material," is not deemed "filed" with the SEC and is not to be incorporated by reference into any filing of XenoPort under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended, whether made before or after the date hereof and irrespective of any general incorporation language in any such filing.

Item 6. ***Selected Financial Data.***

You should read the following selected financial data together with our audited financial statements and related notes and the "Management's Discussion and Analysis of Financial Condition and Results of Operations" section and other financial information included in this Annual Report on Form 10-K.

	Year Ended December 31,				
	2008	2007	2006	2005	2004
	(In thousands, except per share amounts)				
Statement of Operations Data:					
Revenues:					
Collaboration revenue	$ 41,996	$113,822	$ 10,606	$ 4,667	$ 8,882
Grant revenue	—	—	—	86	1,073
Total revenues	41,996	113,822	10,606	4,753	9,955
Operating expenses:					
Research and development	83,172	74,397	65,434	38,698	33,384
General and administrative	26,228	18,652	14,834	10,989	8,154
Total operating expenses	109,400	93,049	80,268	49,687	41,538
Income (loss) from operations	(67,404)	20,773	(69,662)	(44,934)	(31,583)
Interest income	4,640	8,198	5,634	2,258	674
Interest and other expense	(182)	(156)	(285)	(233)	(333)
Income (loss) before income taxes	(62,946)	28,815	(64,313)	(42,909)	(31,242)
Income tax provision (benefit)	(406)	622	—	—	—
Net income (loss)	(62,540)	28,193	(64,313)	(42,909)	(31,242)
Convertible preferred stock dividend	—	—	—	(969)	(97)
Net income (loss) applicable to common stockholders	$ (62,540)	$ 28,193	$ (64,313)	$ (43,878)	$(31,339)
Basic net income (loss) per share applicable to common stockholders	$ (2.48)	$ 1.14	$ (2.91)	$ (3.69)	$ (25.51)
Diluted net income (loss) per share applicable to common stockholders	$ (2.48)	$ 1.08	$ (2.91)	$ (3.69)	$ (25.51)
Shares used to compute basic net income (loss) per share applicable to common stockholders	25,180	24,773	22,101	11,898	1,229
Shares used to compute diluted net income (loss) per share applicable to common stockholders	25,180	25,992	22,101	11,898	1,229
Balance Sheet Data:					
Cash, cash equivalents and short-term investments	$152,783	$160,141	$118,854	$ 91,918	$ 60,245
Working capital	128,835	138,685	101,527	84,602	51,997
Restricted investments	1,824	1,771	1,699	3,205	3,169
Total assets	169,097	172,877	128,665	101,908	71,693
Current portion of equipment financing obligations	—	176	500	714	1,068
Noncurrent portion of equipment financing obligations	—	5	181	680	1,325
Accumulated deficit	238,603	176,063	204,256	139,943	96,065
Total stockholders' equity (deficit)	121,974	125,537	83,285	65,642	(91,379)

Item 7. ***Management's Discussion and Analysis of Financial Condition and Results of Operations.***

Overview

We are a biopharmaceutical company focused on developing a portfolio of internally discovered product candidates that utilize the body's natural nutrient transport mechanisms to improve the therapeutic benefits of existing drugs. We intend to focus our development and commercialization efforts on potential treatments of central nervous system, or CNS, disorders. Our most advanced product candidate, XP13512, known in the United States by the trade name *Solzira* (gabapentin enacarbil) Extended Release Tablets, is being developed for the treatment of a number of CNS disorders. A new drug application, or NDA, has been submitted by our partner, Glaxo Group Limited, or GSK, to the U.S. Food and Drug Administration, or FDA, for approval to market *Solzira* in the United States for the treatment of moderate-to-severe primary restless legs syndrome, or RLS. RLS is a common, under-diagnosed neurological condition that frequently manifests itself as a sleep disorder.

XP13512 has also successfully completed a Phase 2a clinical trial for the management of post-herpetic neuralgia, or PHN, in the United States. GSK is evaluating XP13512 for the potential treatment of PHN and painful diabetic neuropathy, or PDN, and as a potential prophylactic therapy for migraine headaches. Astellas Pharma Inc., our partner in Japan and five Asian countries, is evaluating this product candidate in Japan as a potential treatment for RLS.

We are evaluating our second product candidate, XP19986, for the potential treatment of gastroesophageal reflux disease, or GERD, spasticity in patients with spinal cord injury and acute back spasms of musculoskeletal origin. We are evaluating our third product candidate, XP21279, for the potential treatment of Parkinson's disease. We have an agreement with Xanodyne Pharmaceuticals, Inc., in which we licensed to Xanodyne exclusive rights to develop and commercialize another of our product candidates, XP21510, in the United States, including for the potential treatment of women diagnosed with menorrhagia, or heavy menstrual bleeding.

Our innovative product candidates, which we refer to as Transported Prodrugs, are created by modifying the chemical structure of currently marketed drugs, referred to as parent drugs, and are designed to correct deficiencies in the oral absorption, distribution and/or metabolism of the parent drug.

We were incorporated in May 1999 and commenced active operations in August 1999. To date, we have not generated any product revenues. Prior to the three months ended June 30, 2007, we had incurred net losses since our inception. However, due to the recognition of revenues from up-front and milestone payments from our collaborations with GSK, Astellas and Xanodyne, we were profitable in the three-month periods ended June 30, September 30, December 31, 2007, and for the year ended December 31, 2007. However, while recognition of these revenues resulted in a profitable year for 2007, we continue to expect to incur losses in 2009 as we expand our research and development activities and seek to advance our product candidates into later stages of development. As of December 31, 2008, we had an accumulated deficit of approximately $238.6 million.

We expect our research and development expenses to remain relatively constant with 2008 levels for the next two years. Subject to regulatory approval of any of our product candidates, we expect to incur significant expenses associated with the establishment of a North American specialty sales force. Because of the numerous risks and uncertainties associated with drug development, we are unable to predict the timing or amount of expenses incurred or when, or if, we will be able to achieve or sustain profitability.

In December 2008, in connection with a registered direct offering of units consisting of shares of common stock and warrants to purchase common stock, we issued 1,889,467 shares of our common stock and warrants to purchase up to 283,420 shares of our common stock, raising net cash proceeds of approximately $39.7 million, after deducting offering expenses. The warrants are exercisable from issuance until December 31, 2013, at an exercise price of $25.40 per share.

Critical Accounting Policies and Significant Judgments and Estimates

Our management's discussion and analysis of our financial condition and results of operations is based on our financial statements, which have been prepared in accordance with accounting principles generally accepted in the United States. The preparation of these financial statements requires us to make estimates and assumptions

that affect the reported amounts of assets and liabilities and the disclosure of contingent assets and liabilities at the date of the financial statements, as well as the reported revenues and expenses during the reporting periods. On an ongoing basis, we evaluate our estimates and judgments related to revenue recognition and clinical development costs. We base our estimates on historical experience and on various other factors that we believe are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions.

Revenue Recognition

We have entered into collaboration agreements with Astellas, GSK and Xanodyne, each of which contains multiple elements. We account for these agreements in accordance with the provisions of Securities and Exchange Commission, or SEC, Staff Accounting Bulletin, or SAB, No. 104, *Revenue Recognition*, and Emerging Issues Task Force, or EITF, No. 00-21, *Revenue Arrangements with Multiple Deliverables*. We considered a variety of factors in determining the appropriate method of revenue recognition under these arrangements, such as whether the various elements can be considered separate units of accounting, whether there is objective and reliable evidence of fair value for these elements and whether there is a separate earnings process associated with a particular element of an agreement. Specifically, we account for each of these typical elements as follows:

- *Up-front, licensing-type fees.* To date, these types of fees have been classified within the collaboration agreements as license fees, access fees, rights fees and initial licensing fees, and each of them was non-refundable and payable in connection with the execution of the contract. Up-front, licensing-type payments are assessed to determine whether or not the licensee is able to obtain any stand-alone value from the license. Where this is not the case, we do not consider the license deliverable to be a separate unit of accounting, and we defer the revenue with revenue recognition for the license fee being assessed in conjunction with the other deliverables that constitute the combined unit of accounting.
- *Milestones.* We assess milestones on an individual basis and recognize revenue from these milestones when earned, as evidenced by acknowledgment from our collaborator, provided that (i) the milestone event is substantive and its achievability was not reasonably assured at the inception of the agreement, (ii) the milestone represents the culmination, or progress towards the culmination, of an earnings process and (iii) the milestone payment is non-refundable. Where separate milestones do not meet these criteria, we typically default to a performance-based model, with revenue recognition following delivery of effort as compared to an estimate of total expected effort. Milestones that are received after all substantive deliverables have occurred are considered to be bonus payments and are recognized upon receipt of the cash, assuming all of the other revenue recognition criteria are met.
- *Collaborative research payments.* Generally, the payments received are based on a contractual cost per full-time equivalent employee working on the project, and we recognize revenue related to these payments as the services are performed over the related funding periods for each agreement.
- *Combined units of accounting.* Where there are multiple deliverables combined as a single unit of accounting, revenues are deferred and recognized over the period that we remain obligated to perform services or deliver product. The specific methodology for the recognition of the revenue (e.g., straight-line or according to specific performance criteria) is determined on a case-by-case basis according to the facts and circumstances applicable to a given contract.

Our collaboration agreements also include potential payments for commercial product supply, product royalties and sharing of operating profits. To date, we have not received revenue from these sources.

Accrued Expenses

As part of the process of preparing financial statements, we are required to estimate accrued expenses. This process involves communicating with our applicable personnel to identify services that have been performed on

our behalf and estimating the level of service performed and the associated cost incurred for the service when we have not yet been invoiced or otherwise notified of actual cost. The majority of our service providers invoice us monthly in arrears for services performed. We make estimates of our accrued expenses as of each balance sheet date in our financial statements based on facts and circumstances known to us. We periodically confirm the accuracy of our estimates with selected service providers and make adjustments, if necessary. To date, we have not adjusted our estimate at any particular balance sheet date in any material amount. Examples of estimated accrued expenses include:

- fees paid to contract research organizations in connection with preclinical and toxicology studies and clinical trials;
- fees paid to investigative sites in connection with clinical trials;
- fees paid to contract manufacturers in connection with the production of clinical trial materials; and
- professional service fees.

We base our expenses related to clinical trials on our estimates of the services received and efforts expended pursuant to contracts with multiple research institutions and clinical research organizations that conduct and manage clinical trials on our behalf. The financial terms of these agreements are subject to negotiation, vary from contract to contract and may result in uneven payment flows. Payments under some of these contracts depend on factors such as the successful enrollment of patients and the completion of clinical trial milestones. In accruing service fees, we estimate the time period over which services will be performed and the level of effort to be expended in each period. If we do not identify costs that we have begun to incur or if we underestimate or overestimate the level of services performed or the costs of these services, our actual expenses could differ from our estimates.

Fair Value Measurements

Effective January 1, 2008, we adopted the provisions of Statement of Financial Accounting Standards, or SFAS, No. 157, *Fair Value Measurements*, or SFAS 157, which defines fair value and provides guidance for using fair value to measure certain assets and liabilities. SFAS 157 applies whenever other standards require or permit assets or liabilities to be measured at fair value but does not expand the use of fair value in any new circumstances. Accordingly, the carrying amounts of certain of our financial instruments, including cash equivalents and short-term investments, continue to be valued at fair value on a recurring basis. SFAS 157 also requires expanded disclosure of the effect on earnings for items measured using unobservable data, establishes a fair value hierarchy that prioritizes the inputs used to measure fair value and requires separate disclosure by level within the fair value hierarchy.

As defined in SFAS 157, fair value is the price that would be received to sell an asset or paid to transfer a liability (an exit price) in an orderly transaction between market participants at the measurement date. We utilize market data or assumptions that we believe market participants would use in pricing assets or liabilities, including assumptions about risk and the risks inherent in the inputs to the valuation technique. These inputs can be readily observable, market corroborated or generally unobservable. We apply the market approach valuation technique for fair value measurements and maximize the use of observable inputs and minimize the use of unobservable inputs. All of our cash equivalents and short-term investments are valued using quoted prices in active markets and are valued at Level 1 or Level 2 within the fair value hierarchy.

Stock-Based Compensation

Effective January 1, 2006, we adopted the provisions of SFAS 123R, *Share-Based Payment*, issued by the Financial Accounting Standards Board, or FASB. SFAS 123R establishes accounting for stock-based awards exchanged for employee services. Accordingly, for stock options, awards and stock purchase rights granted under the 2005 Employee Stock Purchase Plan, or the ESPP, stock-based compensation cost is measured on the grant date, based on the fair value of the award, and is recognized as expense over the requisite employee service period.

We elected to adopt SFAS 123R using the modified prospective application method, which was applied to the unvested portion of options granted prior to January 1, 2006 and all options and awards granted after January 1, 2006. The effect of recording stock-based compensation under SFAS 123R for the years ended December 31, 2008, 2007 and 2006 was $14.9 million, $8.9 million and $5.4 million, respectively. As of December 31, 2008, the total compensation cost related to unvested options and awards not yet recognized was $37.7 million. This amount will be recognized over an estimated weighted-average amortization period of 2.85 years.

In connection with the adoption of SFAS 123R, we reassessed our valuation method and related assumptions. We estimate the fair value of stock options and stock purchase rights using a Black-Scholes valuation model, consistent with the provisions of SFAS 123R and SAB 107 and with the method used to compute our prior period pro forma disclosures of loss available to common stockholders, including stock-based compensation (determined under a fair value method as prescribed by SFAS 123, Accounting for Stock-Based Compensation). The fair value of each option grant is estimated on the date of grant using the Black-Scholes option valuation model, and the resulting charge is expensed using the straight-line attribution method over the vesting period. Restricted stock units are measured at the fair value of our common stock on the date of grant and expensed over the period of vesting using the straight-line attribution approach.

Upon the adoption of SFAS 123R on January 1, 2006, we reversed all of the existing balance of deferred stock compensation of $4.8 million with a corresponding reduction in additional paid-in capital.

SFAS 123R requires the use of option-pricing models that were not developed for use in valuing employee stock options. The Black-Scholes option-pricing model was developed for use in estimating the fair value of short-lived exchange traded options that have no vesting restrictions and are fully transferable. In addition, option-pricing models require the input of highly subjective assumptions, including the option's expected life and the price volatility of the underlying stock. Both the expected stock price volatility and the weighted-average expected life assumptions were determined using data obtained from similar entities, taking into consideration factors such as industry, stage of life cycle, size and financial leverage. Prior to the adoption of SFAS 123R, we had also used this approach in calculating both expected stock price volatility and weighted-average expected life assumptions.

We account for stock compensation arrangements to non-employees in accordance with EITF No. 96-18, *Accounting for Equity Instruments That Are Issued to Other Than Employees for Acquiring, or in Conjunction with Selling, Goods or Services*, using a fair value approach. The compensation costs of these arrangements are subject to remeasurement over the vesting terms as earned.

Income Taxes

Effective January 1, 2007, we adopted the provisions of FASB, Financial Interpretation No. 48, *Accounting for Uncertainty in Income Taxes — an interpretation of FASB Statement No. 109,* or FIN 48. FIN 48 clarifies the accounting for uncertainty in income taxes recognized in an enterprise's financial statements in accordance with SFAS No. 109, *Accounting for Income Taxes*, or SFAS 109. The interpretation applies to all tax positions accounted for in accordance with SFAS 109 and requires a recognition threshold and measurement attribute for the financial statement recognition and measurement of a tax position taken, or expected to be taken, in an income tax return. Subsequent recognition, derecognition and measurement is based on management's best judgment given the facts, circumstances and information available at the reporting date.

We file income tax returns in the U.S. federal jurisdiction and the California state jurisdiction. To date, we have not been audited by the Internal Revenue Service or any state income tax jurisdiction.

Our policy is to recognize interest and penalties related to the underpayment of income taxes as a component of income tax expense. To date, there have been no interest or penalties charged to us in relation to the underpayment of income taxes.

As of December 31, 2008, our total deferred tax assets were $111.6 million. The deferred tax assets were primarily comprised of federal and state tax net operating loss, or NOL, carryforwards. Due to uncertainties

surrounding our ability to continue to generate future taxable income to realize these tax assets, a full valuation allowance has been established to offset our deferred tax assets. Additionally, the future utilization of our NOL carryforwards to offset future taxable income may be subject to an annual limitation as a result of ownership changes that may have occurred in 2007 and 2008 or that could occur in the future. If necessary, the deferred tax assets will be reduced by any carryforwards that expire prior to utilization as a result of such limitations, with a corresponding reduction of the valuation allowance. In 2008, we performed an analysis on annual limitation as a result of ownership changes that may have occurred before January 2007. As a result of the analysis, we determined that we should be able to use all of the NOL and tax credit carryforwards before their respective expiration periods.

Research and Development Expenses

Research and development expenses consist of costs associated with both partnered and unpartnered research activities, as well as costs associated with our drug discovery efforts, conducting preclinical studies and clinical trials, manufacturing development efforts and activities related to regulatory filings. Research and development expenses are comprised of: external research and development expenses incurred under agreements with third-party contract research organizations and investigative sites, where a substantial portion of our preclinical studies and all of our clinical trials are conducted, third-party manufacturing organizations, where a substantial portion of our preclinical supplies and all of our clinical supplies are produced, and consultants; employee-related expenses, which include salaries and benefits; and facilities, depreciation and amortization and other allocated expenses, which include direct and allocated expenses for rent and maintenance of facilities, depreciation of leasehold improvements and equipment and laboratory and other supplies. We use our employee and infrastructure resources across multiple research projects, including our drug development programs. We do not allocate our employee and infrastructure costs on a project-by-project basis.

Our current portfolio of proprietary product candidates includes the product candidates summarized in the table below. The table summarizes those product candidates' development initiatives, including the related stages of development for each product candidate in development and the direct, third-party research and development expenses recognized in connection with each product candidate. The information in the column labeled "Estimated Completion of Current Phase" is our current estimate of the timing of completion. The actual timing of completion could differ materially from the estimates provided in the table. For a discussion of the risks and uncertainties associated with the timing of completing a product development phase, see the "If our preclinical studies do not produce successful results or our clinical trials do not demonstrate safety and efficacy in humans, we will not be able to commercialize our product candidates;" "Any failure or delay in commencing or completing clinical trials for our product candidates could severely harm our business;" "We rely on third parties to conduct our clinical trials. If these third parties do not perform as contractually required or expected, we may not be able to obtain regulatory approval for, or commercialize, our product candidates;" and "If third parties do not manufacture our product candidates in sufficient quantities or at an acceptable cost, clinical development and commercialization of our product candidates would be delayed" sections of "Risk Factors."

Product Candidate	Description	Phase of Development	Estimated Completion of Current Phase	Related R&D Expenses Year Ended December 31, 2008	2007	2006
				(In thousands)		
Preclinical and clinical development						
XP13512	RLS	U.S. NDA filed	2009/2010	$ 8,856	$29,009	$31,762
XP19986	GERD	Phase 2	2010			
	Spasticity	Phase 2	2009			
	Acute Back Spasms	Phase 2	2009	19,847	9,714	4,112
XP21279	Parkinson's disease	Phase 1	2009	2,361	1,194	2,193
Other(1)				33,377	16,324	11,740
Total clinical development				64,441	56,241	49,807
Research(2)				18,731	18,156	15,627
Total research and development				$83,172	$74,397	$65,434

(1) "Other" constitutes clinical development costs for our product candidates that are not directly allocated to XP13512, XP19986 or XP21279. For the year ended December 31, 2008, "other" expenses consisted primarily of personnel costs of $19.6 million and office and facilities overhead costs of $3.7 million.

(2) For the year ended December 31, 2008, "research" expenses consisted primarily of personnel costs of $12.1 million and office and facilities overhead costs of $4.0 million.

The largest component of our total operating expenses is our ongoing investment in our research and development activities, including the clinical development of our product candidate pipeline. We expect our research and development expenses to remain relatively constant with 2008 levels for the next two years. The process of conducting the clinical research necessary to obtain FDA approval is costly and time consuming. We consider the active management and development of our clinical pipeline to be crucial to our long-term success. The actual probability of success for each product candidate and clinical program may be impacted by a variety of factors, including, among others, the quality of the product candidate, early clinical data, investment in the program, competition, manufacturing capability and commercial viability. Furthermore, our strategy includes entering into additional collaborations with third parties to participate in the development and commercialization of at least some of our product candidates. In situations in which third parties have control over the preclinical development or clinical trial process for a product candidate, the estimated completion date is largely under the control of that third party and not under our control. We cannot forecast with any degree of certainty which of our product candidates, if any, will be subject to future collaborations or how such arrangements would affect our development plans or capital requirements.

As a result of the uncertainties discussed above, we are unable to determine the duration and completion costs of our research and development projects or when and to what extent we will generate revenues from the commercialization and sale of any of our product candidates.

Results of Operations

Years Ended December 31, 2008, 2007 and 2006

Revenues

	Year Ended December 31,			2007 to 2008 Change		2006 to 2007 Change	
	2008	2007	2006	$	%	$	%
	(In thousands, except percentages)						
Revenues	$41,996	$113,822	$10,606	$(71,826)	(63)%	$103,216	973%

Revenues in 2008 and 2007 resulted from our collaborations with Astellas, GSK and Xanodyne. Revenues in 2006 resulted from our collaboration with Astellas.

The decrease in revenues in 2008 compared to 2007 was the result of a $75.9 million decrease in revenues recognized under our GSK agreement and a $5.9 million decrease in revenues recognized under our Astellas agreement, offset by $10.0 million of revenues recognized under our Xanodyne agreement that was executed in October 2007. The decrease in revenues under our GSK agreement for the year ended December 31, 2008 generally reflected the decreased activities in our Phase 3 RLS program in 2008 compared to 2007.

The increase in revenues in 2007 compared to 2006 was primarily the result of a $104.9 million increase in revenues in 2007 due to revenues recognized from up-front and milestone payments under our GSK agreement that was executed in February 2007.

We expect revenues to fluctuate in the future primarily depending upon our progress against the deliverables specified in the terms of our collaboration with GSK, the timing of milestone-related activities under our Astellas, GSK and Xanodyne collaborations and the extent to which we enter into new collaborative agreements.

Research and Development Expenses

Of the total research and development expenses for the years ended December 31, 2008, 2007 and 2006, the costs associated with research and preclinical and clinical development activities approximated the following:

	Year Ended December 31,			2007 to 2008 Change		2006 to 2007 Change	
	2008	2007	2006	$	%	$	%
	(In thousands, except percentages)						
Research	$18,731	$18,156	$15,627	$ 575	3%	$2,529	16%
Preclinical and clinical development	64,441	56,241	49,807	8,200	15%	6,434	13%
Total research and development	$83,172	$74,397	$65,434	$8,775	12%	$8,963	14%

The increase in research and development expenses for 2008 compared to 2007 was principally due to the following:

- increased net costs for XP19986 of $10.1 million primarily due to increased clinical and manufacturing costs, partially offset by decreased toxicology costs;
- increased net costs for our preclinical development programs of $6.0 million primarily due to increased toxicology, manufacturing and absorption, distribution, metabolism and excretion, or ADME, costs;
- increased net costs for XP21279 of $1.2 million primarily due to increased clinical and manufacturing costs;
- increased personnel costs of $9.0 million resulting from increased headcount and increased non-cash stock-based compensation of $3.1 million, and office and facilities costs of $1.2 million, partially offset by;
- decreased net costs for XP13512 of $20.2 million due to decreased clinical costs.

The increase in research and development expenses for 2007 compared to 2006 was principally due to the following:

- increased net costs for XP19986 of $5.6 million due to increased manufacturing, clinical and toxicology costs;
- increased personnel costs of $5.9 million resulting from increased headcount and increased non-cash stock-based compensation of $2.3 million, and office and facilities costs of $0.8 million, partially offset by;
- decreased net costs for XP13512 of $2.8 million due to decreased manufacturing and toxicology costs, offset by increased clinical and consulting costs; and
- decreased net costs for XP21279 of $1.0 million due to decreased toxicology and ADME costs.

We expect our research and development expenses to remain relatively constant with 2008 levels for the next two years. The timing and amount of expenses incurred will primarily depend upon the outcomes of current or future clinical trials for XP19986 and XP21279, as well as the related expansion of our research and development organization, regulatory requirements, advancement of our preclinical programs and product candidate manufacturing costs.

General and Administrative Expenses

	Year Ended December 31,			2007 to 2008 Change		2006 to 2007 Change	
	2008	2007	2006	$	%	$	%
	(In thousands, except percentages)						
General and administrative	$26,228	$18,652	$14,834	$7,576	41%	$3,818	26%

The increase in general and administrative expenses in 2008 compared to 2007 was primarily due to increased personnel costs of $5.6 million resulting from increased headcount and increased non-cash stock-based compensation of $2.8 million and increased professional costs of $0.9 million.

The increase in general and administrative expenses in 2007 compared to 2006 was primarily due to increased personnel and related costs of $3.1 million, including non-cash stock-based compensation of $1.3 million, resulting from an increase in headcount.

We expect that general and administrative expenses will remain relatively constant with 2008 levels for the next two years.

Interest Income and Interest and Other Expense

	Year Ended December 31,			2007 to 2008 Change		2006 to 2007 Change	
	2008	2007	2006	$	%	$	%
	(In thousands, except percentages)						
Interest income	$4,640	$8,198	$5,634	$(3,558)	(43)%	$2,564	46%
Interest and other expense	182	156	285	26	17%	(129)	(45)%

Interest income for 2008, 2007 and 2006 resulted primarily from earnings on investments. The decrease in interest income in 2008 compared to 2007 was primarily due to lower average cash and cash equivalents and short-term investment balances and lower interest rates. The increase in interest income in 2007 compared to 2006 was due to higher average cash and cash equivalents and short-term investment balances.

Income Taxes

We recorded $(0.4) million, $0.6 million and $0 million, respectively, of current income tax expense (benefit) for the years ended December 31, 2008, 2007 and 2006. The income tax benefit recognized for the year

ended December 31, 2008 was primarily due to the adoption of a provision in the *Housing and Economic Recovery Act of 2008* that allows corporations to convert carry-forward research and development and AMT credits into a separate credit amount, which we plan to claim as a refund for cash in 2009. The income tax expense recognized for the year ended December 31, 2007 resulted from our full year effective tax rate of 2.2% related to federal and state AMT and other temporary differences. We incurred a net operating loss in 2006 and accordingly did not record a provision for income taxes in 2006. While recognition of revenues from up-front and milestone payments from our collaborations resulted in a profitable year for 2007, we continue to expect to incur losses in 2009 as we continue our research and development activities and seek to advance our product candidates into later stages of development. As a result, we do not expect to incur income taxes in 2009.

Liquidity and Capital Resources

	Year Ended December 31,		
	2008	2007	2006
Cash provided by (used in):			
Operating activities	$(45,242)	$ 36,374	$(49,851)
Investing activities	49,604	(37,093)	(32,380)
Financing activities	43,727	3,823	75,000
Capital expenditures (included in investing activities above)	(7,441)	(5,260)	(1,355)

Due to our significant research and development expenditures and the lack of regulatory agency approvals to sell products, we have generated cumulative operating losses since we incorporated in 1999. As such, we have funded our research and development operations primarily through sales of our preferred stock, our initial and follow-on public offerings, non-equity payments from our collaborators and government grants. We have received additional funding from capital lease financings and interest earned on investments. At December 31, 2008, we had available cash and cash equivalents and short-term investments of $152.8 million. Our cash and investment balances are held in a variety of interest-bearing instruments, including investments backed by U.S. government agencies, corporate debt securities and money market accounts. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation, and we seek to minimize the potential effects of concentration and degrees of risk.

In December 2008, in connection with a registered direct offering of units consisting of shares of common stock and warrants to purchase common stock, we issued 1,889,467 shares of our common stock and warrants to purchase up to 283,420 shares of our common stock, raising net cash proceeds of approximately $39.7 million, after deducting offering expenses. The warrants are exercisable from issuance until December 31, 2013, at an exercise price of $25.40 per share. The net cash proceeds were recorded in stockholders' equity as of December 31, 2008.

Net cash provided by (used in) operating activities was $(45.2) million, $36.4 million and $(49.9) million in the years ended December 31, 2008, 2007 and 2006, respectively. The net cash used in operating activities in 2008 primarily reflected our net loss and, to a lesser extent, net accretion of investment discounts, partially offset by non-cash stock-based compensation and, to a lesser extent, non-cash depreciation and amortization. The net cash provided by operating activities in 2007 primarily reflected our net income, as well as increases in deferred revenue and non-cash stock-based compensation, partially offset by net accretion of investment discounts. The net cash used in operating activities in 2006 primarily reflected our net loss, partially offset by increases in deferred revenue, non-cash depreciation, amortization and deferred compensation amortization.

Net cash provided by (used in) investing activities was $49.6 million, $(37.1) million and $(32.4) million in the years ended December 31, 2008, 2007 and 2006, respectively. The net cash provided by investing activities in 2008 was primarily related to the proceeds from sales and maturities of investments, partially offset by purchases of investments and, to a lesser extent, capital expenditures. The net cash used in investing activities in 2007 and 2006 was primarily related to purchases of investments and, to a lesser extent, capital expenditures, partially offset by proceeds from sales and maturities of investments.

Net cash provided by financing activities was $43.7 million, $3.8 million and $75.0 million in the years ended December 31, 2008, 2007 and 2006, respectively. The net cash provided by financing activities in 2008, 2007 and 2006 primarily reflected proceeds from the issuances of common stock, partially offset by principal payments on equipment financing obligations.

We believe that our existing capital resources and expected milestone payments, together with interest thereon, will be sufficient to meet our projected operating requirements into the second quarter of 2011. We have based this estimate on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we currently expect. Further, our operating plan may change, and we may need additional funds to meet operational needs and capital requirements for product development and commercialization sooner than planned. We currently have no credit facility or committed sources of capital other than potential milestones receivable under our current collaborations. Our forecast of the period of time through which our financial resources will be adequate to support our operations is a forward-looking statement and involves risks and uncertainties, and actual results could vary as a result of a number of factors, including the factors discussed in "Risk Factors." Because of the numerous risks and uncertainties associated with the development and commercialization of our product candidates, and the extent to which we enter into additional collaborations with third parties to participate in their development and commercialization, we are unable to estimate the amounts of increased capital outlays and operating expenditures associated with our current and anticipated clinical trials. Our future funding requirements will depend on many factors, including:

- the scope, rate of progress, results and cost of our preclinical testing, clinical trials and other research and development activities;
- the timing of potential receipt of FDA approval of *Solzira* and its potential commercialization;
- the cost of manufacturing clinical, and establishing commercial, supplies of our product candidates and any products that we may develop;
- the timing of any milestone payments under our collaborative arrangements;
- the number and characteristics of product candidates that we pursue;
- the cost, timing and outcomes of regulatory approvals;
- the cost and timing of establishing sales, marketing and distribution capabilities;
- the terms and timing of any other collaborative, licensing and other arrangements that we may establish;
- the timing, receipt and amount of sales, profit sharing or royalties, if any, from our potential products;
- the cost of preparing, filing, prosecuting, defending and enforcing any patent claims and other intellectual property rights; and
- the extent to which we acquire or invest in businesses, products or technologies, although we currently have no commitments or agreements relating to any of these types of transactions.

If we need to raise additional money to fund our operations, funding may not be available to us on acceptable terms, or at all. The credit markets and the financial services industry have recently been experiencing a period of unusual turmoil and upheaval characterized by the bankruptcy, failure, collapse or sale of various financial institutions and an unprecedented level of intervention from the United States federal government. These events have generally made equity and debt financing more difficult to obtain. If we are unable to raise additional funds when needed, we may terminate or delay clinical trials for one or more of our product candidates, we may delay our establishment of sales and marketing capabilities or other activities that may be necessary to commercialize our product candidates or we may curtail significant drug development programs that are designed to identify new product candidates. We may seek to raise any necessary additional funds through equity or debt financings, collaborative arrangements with corporate partners or other sources. To the extent that we raise additional capital through licensing arrangements or arrangements with collaborative partners, we may be required to relinquish, on terms that are not favorable to us, rights to some of our technologies or product candidates that we would otherwise seek to develop or commercialize ourselves. To the extent that we raise

additional capital through equity financings, dilution to our stockholders would result. Any debt financing or additional equity that we raise may contain terms that are not favorable to our stockholders or us.

Off-Balance Sheet Arrangements

We currently have no material off-balance sheet arrangements as defined in Regulation S-K 303(a)(4)(ii).

Contractual Obligations

Our future contractual obligations at December 31, 2008 were as follows (in thousands):

Contractual Obligations	Total	Less Than 1 Year	1-3 Years	3-5 Years	Greater Than 5 Years
Operating lease obligations	$22,735	$5,328	$11,045	$6,362	$ —
Total fixed contractual obligations	$22,735	$5,328	$11,045	$6,362	$ —

Recent Accounting Pronouncements

In December 2007, the EITF reached a consensus on EITF No. 07-01, *Accounting for Collaborative Arrangements Related to the Development and Commercialization of Intellectual Property*, or EITF 07-01. EITF 07-01 discusses the appropriate income statement presentation and classification for the activities and payments between the participants in arrangements related to the development and commercialization of intellectual property. The sufficiency of disclosure related to these arrangements is also specified. EITF 07-01 is effective for fiscal years beginning after December 15, 2008. As a result, EITF 07-01 is effective for us in the first quarter of fiscal 2009. We do not expect the adoption of EITF 07-01 to have a material impact on either our financial position or results of operations.

In June 2008, the EITF reached a consensus on EITF No. 07-5, *Determining Whether an Instrument (or Embedded Feature) is Indexed to an Entity's Own Stock*, or EITF 07-05. EITF 07-5 provides guidance on how to determine if certain instruments (or embedded features) are considered indexed to our own stock, including instruments similar to our issued warrants to purchase our stock. EITF 07-5 requires companies to use a two-step approach to evaluate an instrument's contingent exercise provisions and settlement provisions in determining whether the instrument is considered to be indexed to its own stock and exempt from the application of SFAS No. 133, *Accounting for Derivative Instruments and Hedging Activities*. Although EITF 07-5 is effective for fiscal years beginning after December 15, 2008, any outstanding instrument at the date of adoption will require a retrospective application of the accounting through a cumulative effect adjustment to retained earnings upon adoption. We do not expect the adoption of EITF 07-5 to have a material impact on either our financial position or results of operations.

Item 7A. *Quantitative and Qualitative Disclosures About Market Risk.*

The primary objective of our investment activities is to preserve our capital to fund operations. We also seek to maximize income from our investments without assuming significant risk. To achieve our objectives, we maintain a portfolio of cash equivalents and investments in a variety of securities of high credit quality. As of December 31, 2008, we had cash and cash equivalents and short-term investments of $152.8 million consisting of cash and highly liquid investments deposited in highly rated financial institutions in the United States. A portion of our investments may be subject to interest rate risk and could fall in value if market interest rates increase. However, because our investments are short-term in duration, we believe that our exposure to interest rate risk is not significant and a 1% movement in market interest rates would not have a significant impact on the total value of our portfolio. We actively monitor changes in interest rates.

We contract for the conduct of certain manufacturing activities with a contract manufacturer in Europe. We made payments in the aggregate amount of $2.8 million, $4.5 million and $4.2 million during the years ended

December 31, 2008, 2007 and 2006, respectively, to this European contract manufacturer. We are subject to exposure to fluctuations in foreign exchange rates in connection with these agreements. To date, the effect of the exposure to these fluctuations in foreign exchange rates has not been material, and we do not expect it to be material in the foreseeable future. We do not hedge our foreign currency exposures. We have not used derivative financial instruments for speculation or trading purposes.

Item 8. ***Financial Statements and Supplementary Data.***

The information required by this item is incorporated herein by reference to the financial statements and schedule listed in Item 15 (1) and (2) of Part IV of this Annual Report on Form 10-K.

Item 9. ***Changes in and Disagreements with Accountants on Accounting and Financial Disclosure.***

Not applicable.

Item 9A. ***Controls and Procedures.***

Evaluation of Disclosure Controls and Procedures

Based on their evaluation as required by paragraph (b) of Rules 13a-15 or 15d-15 of the Securities Exchange Act of 1934, as amended, as of December 31, 2008, our chief executive officer and chief financial officer have concluded that our disclosure controls and procedures (as defined in Securities Exchange Act Rules 13a-15(e) and 15d-15(e)) were effective.

Management's Report on Internal Control over Financial Reporting

Our management is responsible for establishing and maintaining adequate internal control over financial reporting, as such term is defined in Securities Exchange Act Rules 13a-15(f) and 15d-15(f). Our internal control system is designed to provide reasonable assurance regarding the preparation and fair presentation of financial statements for external purposes in accordance with generally accepted accounting principles. All internal control systems, no matter how well designed, have inherent limitations and can provide only reasonable assurance that the objectives of the internal control system are met.

Under the supervision and with the participation of our management, including our chief executive officer and chief financial officer, we conducted an evaluation of the effectiveness of our internal control over financial reporting using the criteria set forth by the Committee of Sponsoring Organizations of the Treadway Commission (COSO) in *Internal Control — Integrated Framework*. Based on our evaluation, we concluded that our internal control over financial reporting was effective as of December 31, 2008.

Ernst & Young LLP, an independent registered public accounting firm, has audited our financial statements included herein and has issued an audit report on the effectiveness of our internal control over financial reporting, which report is included below.

REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders of XenoPort, Inc.

We have audited XenoPort, Inc.'s internal control over financial reporting as of December 31, 2008, based on criteria established in Internal Control — Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). XenoPort, Inc.'s management is responsible for maintaining effective internal control over financial reporting, and for its assessment of the effectiveness of internal control over financial reporting included in the accompanying Management's Report on Internal Control over Financial Reporting. Our responsibility is to express an opinion on the company's internal control over financial reporting based on our audit.

We conducted our audit in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether effective internal control over financial reporting was maintained in all material respects. Our audit included obtaining an understanding of internal control over financial reporting, assessing the risk that a material weakness exists, testing and evaluating the design and operating effectiveness of internal control based on the assessed risk, and performing such other procedures as we considered necessary in the circumstances. We believe that our audit provides a reasonable basis for our opinion.

A company's internal control over financial reporting is a process designed to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles. A company's internal control over financial reporting includes those policies and procedures that (1) pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect the transactions and dispositions of the assets of the company; (2) provide reasonable assurance that transactions are recorded as necessary to permit preparation of financial statements in accordance with generally accepted accounting principles, and that receipts and expenditures of the company are being made only in accordance with authorizations of management and directors of the company; and (3) provide reasonable assurance regarding prevention or timely detection of unauthorized acquisition, use, or disposition of the company's assets that could have a material effect on the financial statements.

Because of its inherent limitations, internal control over financial reporting may not prevent or detect misstatements. Also, projections of any evaluation of effectiveness to future periods are subject to the risk that controls may become inadequate because of changes in conditions, or that the degree of compliance with the policies or procedures may deteriorate.

In our opinion, XenoPort, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2008, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the balance sheets of XenoPort, Inc. as of December 31, 2008 and 2007, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2008 of XenoPort, Inc., and our report dated February 24, 2009 expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Palo Alto, California
February 24, 2009

Changes in Internal Controls Over Financial Reporting

There were no significant changes in our internal controls over financial reporting during the period covered by this report that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Item 9B. ***Other Information.***

None.

PART III.

Certain information required by Part III is omitted from this Annual Report on Form 10-K since we intend to file our definitive proxy statement for our 2009 annual meeting of stockholders, or the Proxy Statement, pursuant to Regulation 14A of the Securities Exchange Act, not later than 120 days after the end of the fiscal year covered by this Annual Report on Form 10-K, and certain information to be included in the Proxy Statement is incorporated herein by reference.

Item 10. ***Directors, Executive Officers and Corporate Governance.***

The information required by this item with respect to our executive officers may be found under the caption, "Executive Officers of the Registrant" in Item 1 of this Annual Report on Form 10-K. The information required by this item relating to our directors and nominees, including information with respect to our audit committee, audit committee financial experts and procedures by which stockholders may recommend nominees to our board of directors, may be found under the section entitled "Proposal 1 — Election of Directors" appearing in the Proxy Statement. Such information is incorporated herein by reference. Information regarding compliance with Section 16(a) of the Securities Exchange Act may be found under the section entitled "Section 16(a) Beneficial Ownership Reporting Compliance" appearing in our Proxy Statement. Such information is incorporated herein by reference.

In 2005, we adopted a code of ethics that applies to our employees, officers and directors and incorporates guidelines designed to deter wrongdoing and to promote the honest and ethical conduct and compliance with applicable laws and regulations. In addition, the code of ethics incorporates our guidelines pertaining to topics such as conflicts of interest and workplace behavior. We have posted the text of our code of ethics on our Web site at www.XenoPort.com in connection with "Investor Relations/Corporate Governance" materials. In addition, we intend to promptly disclose (1) the nature of any amendment to our code of ethics that applies to our principal executive officer, principal financial officer, principal accounting officer or controller or persons performing similar functions and (2) the nature of any waiver, including an implicit waiver, from a provision of our code of ethics that is granted to one of these specified officers, the name of such person who is granted the waiver and the date of the waiver on our Web site in the future.

Item 11. ***Executive Compensation.***

The information required by this item is included in our Proxy Statement under the sections entitled "Executive Compensation," "Director Compensation," "Compensation Committee Interlocks and Insider Participation" and "Compensation Committee Report" and is incorporated herein by reference.

Item 12. ***Security Ownership of Certain Beneficial Owners and Management and Related Stockholder Matters.***

Equity Compensation Plan Information

The following table provides certain information regarding our equity compensation plans in effect as of December 31, 2008:

Plan Category	Number of Securities to be Issued Upon Exercise of Outstanding Options, Warrants and Rights (a)	Weighted-Average Exercise Price of Outstanding Options, Warrants and Rights (b)	Number of Securities Remaining Available for Future Issuance Under Equity Compensation Plans (Excluding Securities Reflected in Column (a)
Equity compensation plans approved by security holders:			
1999 Stock Option Plan(1)	562,385	$ 4.02	—
2005 Equity Incentive Plan(2)	2,355,690	$31.71	1,203,379
2005 Non-Employee Directors' Stock Option Plan(3)	277,500	$34.45	56,666
2005 Employee Stock Purchase Plan(4)	—	—	701,350
Equity compensation plans not approved by security holders:			
New Hire Option Agreement with Vincent J. Angotti(5)	140,612	$42.59	—
New Hire Stock Unit Award Agreement with Vincent J. Angotti(6)	10,000	—	—
New Hire Option Agreement with David A. Stamler, M.D.(7)	139,888	$39.55	—
New Hire Stock Unit Award Agreement with David A. Stamler, M.D.(8)	10,000	—	—
Total	3,496,075	$26.16	1,961,395

(1) In December 1999, we adopted the 1999 Stock Option Plan, or the 1999 Plan, which was terminated in June 2005 in connection with our initial public offering so that no further awards may be granted under the 1999 Plan. Although the 1999 Plan has terminated, all outstanding options will continue to be governed by their existing terms.

(2) In January 2005, we adopted the 2005 Equity Incentive Plan, or the 2005 Incentive Plan, which became effective in June 2005 in connection with our initial public offering. A total of 2,000,000 shares of common stock were initially authorized for issuance under the 2005 Incentive Plan. Our board of directors may increase the share reserve of the 2005 Incentive Plan as of each January 1, from January 1, 2006 through January 1, 2015, by an amount determined by our board; provided, however that the increase for any year may not exceed the lesser of (1) 2.5% of the total number of shares of our common stock outstanding on the December 31st of the preceding calendar year or (2) 2,000,000 shares. During the year ended December 31, 2008, the annual increase to the 2005 Incentive Plan reserve was 626,017 shares.

(3) In January 2005, we adopted the 2005 Non-Employees Directors' Stock Option Plan, or the Directors' Plan, which became effective in June 2005 in connection with our initial public offering. The Directors' Plan provides for the automatic grant of options to purchase shares of our common stock to non-employee directors. A total of 150,000 shares of our common stock were initially authorized for issuance under the Directors' Plan. Our board of directors may increase the share reserve of the Directors' Plan as of each January 1, from

January 1, 2006 through January 1, 2015, by an amount determined by our board; provided, however that the increase for any year may not exceed the excess of (1) the number of shares of our common stock subject to options granted under the Directors' Plan during the preceding calendar year over (2) the number of shares added back to the share reserve of the Directors' Plan during the preceding calendar year. During the year ended December 31, 2008, the annual increase to the Directors' Plan reserve was 86,666 shares.

(4) In January 2005, we adopted the 2005 Employee Stock Purchase Plan, or the ESPP, which became effective in June 2005 in connection with our initial public offering. The ESPP allows for qualified employees (as defined in the ESPP) to purchase shares of our common stock at a price equal to the lower of 85% of the closing price of our common stock at the beginning of the offering period or 85% of the closing price of our common stock on the date of purchase. A total of 250,000 shares of our common stock were initially authorized for issuance under the ESPP. Our board of directors may increase the share reserve of the ESPP as of each January 1, from January 1, 2006 through January 1, 2015, by an amount determined by our board; provided, however that the increase for any year may not exceed the lesser of (1) 1% of the total number of shares of our common stock outstanding on the December 31st of the preceding calendar year or (2) 250,000 shares. During the year ended December 31, 2008, the annual increase to the ESPP reserve was 250,000 shares.

(5) On May 1, 2008, Mr. Angotti was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of nonqualified stock options to purchase 140,612 shares of our common stock. The stock options have a per share exercise price of $42.59, the closing trading price of our common stock on the NASDAQ Global Market on May 1, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the May 1, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter.

(6) On May 1, 2008, Mr. Angotti was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of restricted stock units for 10,000 shares of our common stock. The restricted stock units shall vest in four equal annual installments on each anniversary of the May 1, 2008 grant date.

(7) On July 14, 2008, Dr. Stamler was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of nonqualified stock options to purchase 139,888 shares of the Company's common stock. The stock options have a per share exercise price of $39.55, the closing trading price of the Company's common stock on the NASDAQ Global Market on July 14, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the July 14, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter.

(8) On August 1, 2008, Dr. Stamler was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of restricted stock units for 10,000 shares of the Company's common stock. The restricted stock units shall vest in four equal annual installments on each anniversary of the August 1, 2008 grant date.

The information required by this item relating to security ownership of certain beneficial owners and management is included in our Proxy Statement under the section entitled "Security Ownership of Certain Beneficial Owners and Management" and is incorporated herein by reference.

Item 13. ***Certain Relationships and Related Transactions, and Director Independence.***

The information required by this item is included in our Proxy Statement under the sections entitled "Transactions with Related Persons" and "Proposal 1 — Election of Directors" and is incorporated herein by reference.

Item 14. ***Principal Accountant Fees and Services.***

The information required by this item is incorporated herein by reference to the information included in our Proxy Statement under the section entitled "Proposal 2 — Ratification of Selection of Independent Registered Public Accounting Firm."

PART IV.

Item 15. ***Exhibits, Financial Statement Schedules.***

1. *Index to Financial Statements*

The following Financial Statements are included herein:

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Statements of Operations for each of the three years ended December 31, 2008, 2007 and 2006	89
Statements of Stockholders' Equity for each of the three years ended December 31, 2008, 2007 and 2006	90
Statements of Cash Flows for each of the three years ended December 31, 2008, 2007 and 2006	91
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2. *Index to Financial Statement Schedules*

None.

All other schedules are omitted because they are not applicable, or not required, or because the required information is included in the consolidated statements or notes thereto.

3. *Exhibits — The following exhibits are included herein or incorporated herein by reference:*

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3.1	Amended and Restated Certificate of Incorporation(1)
3.2	Amended and Restated Bylaws(1)
3.3	Certificate of Designation of Series A Junior Participating Preferred Stock(2)
4.1	Specimen Common Stock Certificate(3)
4.2	Fifth Amended and Restated Investors Rights Agreement, dated December 16, 2004, by and among the Company and certain stockholders of the Company(4)
4.3	Form of Right Certificate(5)
4.4	Form of Registered Direct Common Warrant(6)
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10.2*	Form of Employee Proprietary Information Agreement between the Company and its executive officers(4)
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10.7*	2005 Equity Incentive Plan(7)
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10.11*	Form of Stock Option Agreement under the 2005 Non-Employee Directors' Stock Option Plan(12)
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10.14*	New Hire Option Agreement between Vincent J. Angotti and the Company (15)
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(32) Incorporated herein by reference to Exhibit 10.24 of our registration statement on Form S-1 (File No. 333-122156), as filed with the SEC on January 19, 2005.

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(35) Incorporated herein by reference to Exhibit 10.25 of our current report on Form 8-K, filed with the SEC on August 4, 2006.

(36) Incorporated herein by reference to Exhibit 10.25.2 of our current report on Form 8-K, filed with the SEC on February 2, 2009.

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(42) Incorporated herein by reference to Exhibit 10.1 of our current report on Form 8-K, filed with the SEC on December 30, 2008.

(43) This certification accompanies the annual report on Form 10-K to which it relates, is not deemed filed with the Securities and Exchange Commission and is not to be incorporated by reference into any filing of the Registrant under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended (whether made before or after the date of the Form 10-K), irrespective of any general incorporation language contained in such filing.

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Securities Exchange Act of 1934, the Registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

XenoPort, Inc.
(Registrant)

February 26, 2009

/s/ Ronald W. Barrett

Ronald W. Barrett
Chief Executive Officer and Director

February 26, 2009

/s/ William G. Harris

William G. Harris
Senior Vice President of Finance and
Chief Financial Officer
(Principal Financial and Accounting Officer)

February 26, 2009

/s/ Martyn J. Webster

Martyn J. Webster
Vice President of Finance

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints Ronald W. Barrett and William G. Harris, and each of them, as his or her true and lawful attorneys-in-fact and agents, each with the full power of substitution for him or her, and in his or her name and in any and all capacities, to sign any and all amendments to this Annual Report on Form 10-K, and to file the same, with exhibits thereto and other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done therewith, as fully to all intents and purposes as he or she might or could do in person, hereby ratifying and confirming all that each of said attorneys-in-fact and agents, and any of them or his or her substitute or substitutes, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated:

Signature	Title	Date
/s/ Ronald W. Barrett Ronald W. Barrett	Chief Executive Officer and Director *(Principal Executive Officer)*	February 26, 2009
/s/ William G. Harris William G. Harris	Senior Vice President of Finance and Chief Financial Officer *(Principal Financial and Accounting Officer)*	February 26, 2009
/s/ Paul L. Berns Paul L. Berns	Director	February 26, 2009
/s/ John G. Freund John G. Freund	Director	February 26, 2009
Catherine J. Friedman	Director	February 26, 2009
/s/ Jeryl L. Hilleman Jeryl L. Hilleman	Director	February 26, 2009
Per G.H. Lofberg	Director	February 26, 2009
/s/ Kenneth J. Nussbacher Kenneth J. Nussbacher	Director	February 26, 2009
/s/ Gary D. Tollefson Gary D. Tollefson	Director	February 26, 2009
/s/ Wendell Wierenga Wendell Wierenga	Director	February 26, 2009

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REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders of XenoPort, Inc.

We have audited the accompanying balance sheets of XenoPort, Inc. as of December 31, 2008 and 2007, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2008. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

We conducted our audits in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of XenoPort, Inc. at December 31, 2008 and 2007, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2008, in conformity with U.S. generally accepted accounting principles.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), XenoPort, Inc.'s internal control over financial reporting as of December 31, 2008, based on criteria established in Internal Control — Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated February 24, 2009, expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Palo Alto, California
February 24, 2009

XENOPORT, INC.

BALANCE SHEETS

	December 31, 2008	December 31, 2007
	(In thousands)	
Current assets:		
Cash and cash equivalents	$ 66,050	$ 17,961
Short-term investments	86,733	142,180
Accounts receivable	—	1,392
Prepaids and other current assets	2,920	2,682
Total current assets	155,703	164,215
Property and equipment, net	11,470	6,791
Restricted investments and other assets	1,924	1,871
Total assets	$ 169,097	$ 172,877
Current liabilities:		
Accounts payable	$ 2,261	$ 1,647
Accrued compensation	4,832	3,923
Accrued preclinical and clinical costs	9,707	8,726
Other accrued liabilities	2,790	2,941
Deferred revenue	7,278	8,117
Current portion of equipment financing obligations	—	176
Total current liabilities	26,868	25,530
Deferred revenue	19,172	20,328
Deferred rent and other	1,083	1,477
Noncurrent portion of equipment financing obligations	—	5
Commitments and contingencies		
Stockholders' equity:		
Common stock, $0.001 par value; 60,000 shares authorized; 27,247 and 24,989 shares issued and outstanding, at December 31, 2008 and 2007, respectively	27	25
Additional paid-in capital	360,011	301,084
Accumulated other comprehensive income	539	491
Accumulated deficit	(238,603)	(176,063)
Total stockholders' equity	121,974	125,537
Total liabilities and stockholders' equity	$ 169,097	$ 172,877

The accompanying notes are an integral part of these financial statements.

XENOPORT, INC.

STATEMENTS OF OPERATIONS

	Year Ended December 31,		
	2008	2007	2006
	(In thousands, except per share amounts)		
Revenues:			
Collaboration revenue	$ 41,996	$113,822	$ 10,606
Operating expenses:			
Research and development	83,172	74,397	65,434
General and administrative	26,228	18,652	14,834
Total operating expenses	109,400	93,049	80,268
Income (loss) from operations	(67,404)	20,773	(69,662)
Interest income	4,640	8,198	5,634
Interest and other expense	(182)	(156)	(285)
Income (loss) before income taxes	(62,946)	28,815	(64,313)
Income tax provision (benefit)	(406)	622	—
Net income (loss)	$ (62,540)	$ 28,193	$(64,313)
Basic net income (loss) per share	$ (2.48)	$ 1.14	$ (2.91)
Diluted net income (loss) per share	$ (2.48)	$ 1.08	$ (2.91)
Shares used to compute basic net income (loss) per share	25,180	24,773	22,101
Shares used to compute diluted net income (loss) per share	25,180	25,992	22,101

The accompanying notes are an integral part of these financial statements.

XENOPORT, INC.

STATEMENTS OF STOCKHOLDERS' EQUITY

	Common Stock		Additional Paid-In Capital	Notes Receivable from Stockholders	Deferred Stock Compensation	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount						
	(In thousands, except share amounts)							
Balance at December 31, 2005	19,442,616	$19	$210,681	$(158)	$(4,821)	$(136)	$(139,943)	$ 65,642
Issuance of common stock upon exercise of options and vesting of early exercised options	346,593	—	1,199	—	—	—	—	1,199
Issuance of common stock in connection with Employee Stock Purchase Plan	93,837	—	1,110	—	—	—	—	1,110
Repurchase of common stock	(4,134)	—	(13)	—	—	—	—	(13)
Proceeds from common stock issued upon public offering, net of issuance costs	4,500,000	5	71,534	—	—	—	—	71,539
Proceeds from greenshoe, net of issuance costs	140,856	—	2,257	—	—	—	—	2,257
Compensation expense relating to consultant options	—	—	198	—	—	—	—	198
Repayment of promissory notes from stockholders	—	—	—	125	—	—	—	125
Reclassification of unvested common stock	(3,251)	—	(9)	—	—	—	—	(9)
Reversal of deferred stock compensation	—	—	(4,821)	—	4,821	—	—	—
Employees stock-based compensation expense	—	—	5,377	—	—	—	—	5,377
Comprehensive loss:								
Change in unrealized gains (losses) on investments	—	—	—	—	—	173	—	173
Net loss	—	—	—	—	—	—	(64,313)	(64,313)
Comprehensive loss:								(64,140)
Balance at December 31, 2006	24,516,517	24	287,513	(33)	—	37	(204,256)	83,285
Issuance of common stock upon exercise of warrants	12,346	—	—	—	—	—	—	—
Issuance of common stock upon exercise of options and vesting of early exercised options	428,653	1	3,293	—	—	—	—	3,294
Issuance of common stock in connection with Employee Stock Purchase Plan	59,218	—	1,429	—	—	—	—	1,429
Repurchase of common stock	(26,676)	—	(82)	—	—	—	—	(82)
Compensation expense relating to consultant options	—	—	17	—	—	—	—	17
Repayment of promissory notes from stockholders	—	—	—	33	—	—	—	33
Reclassification of unvested common stock	(1,375)	—	(8)	—	—	—	—	(8)
Employees stock-based compensation expense	—	—	8,922	—	—	—	—	8,922
Comprehensive income:								
Change in unrealized gains (losses) on investments	—	—	—	—	—	454	—	454
Net income	—	—	—	—	—	—	28,193	28,193
Comprehensive income:	—	—	—	—	—	—	—	28,647
Balance at December 31, 2007	24,988,683	25	301,084	—	—	491	(176,063)	125,537
Issuance of common stock upon exercise of options, vesting of restricted stock units and vesting of early exercised options	319,586	—	2,731	—	—	—	—	2,731
Issuance of common stock in connection with Employee Stock Purchase Plan	50,339	—	1,636	—	—	—	—	1,636
Repurchase of common stock	(987)	—	(6)	—	—	—	—	(6)
Employees stock-based compensation expense	—	—	14,867	—	—	—	—	14,867
Proceeds from common stock and warrants issued upon registered direct offering, net of offering costs	1,889,467	2	39,699	—	—	—	—	39,701
Comprehensive loss:								
Change in unrealized gains (losses) on investments	—	—	—	—	—	48	—	48
Net loss	—	—	—	—	—	—	(62,540)	(62,540)
Comprehensive loss:	—	—	—	—	—	—	—	(62,492)
Balance at December 31, 2008	27,247,088	$27	$360,011	$ —	$ —	$ 539	$(238,603)	$121,974

The accompanying notes are an integral part of these financial statements.

XENOPORT, INC.

STATEMENTS OF CASH FLOWS

	Year Ended December 31,		
	2008	2007	2006
		(In thousands)	
Operating activities			
Net income (loss)	$ (62,540)	$ 28,193	$ (64,313)
Adjustments to reconcile net income (loss) to net cash provided by (used in) operating activities:			
Depreciation and amortization	2,762	2,007	1,630
Accretion of investment discounts, net	(1,603)	(5,974)	(1,463)
Stock-based compensation expense	14,867	8,939	5,575
Change in assets and liabilities:			
Accounts receivable	1,392	1,404	(2,741)
Prepaids and other current and noncurrent assets	(238)	(998)	1,139
Accounts payable	614	1,503	(2,846)
Accrued compensation	909	995	1,247
Accrued preclinical and clinical costs	981	(4,704)	11,510
Other accrued liabilities	(19)	1,072	1,129
Deferred revenue	(1,995)	4,178	(607)
Deferred rent and other	(372)	(241)	(111)
Net cash provided by (used in) operating activities	(45,242)	36,374	(49,851)
Investing activities			
Purchases of investments	(205,569)	(297,389)	(172,826)
Proceeds from sales of investments	79,719	—	—
Proceeds from maturities of investments	182,948	265,628	140,295
Change in restricted investments	(53)	(72)	1,506
Purchases of property and equipment	(7,441)	(5,260)	(1,355)
Net cash provided by (used in) investing activities	49,604	(37,093)	(32,380)
Financing activities			
Proceeds from issuance of common stock and warrants and exercise of stock options and warrants	43,914	4,372	75,601
Repurchases of common stock	(6)	(82)	(13)
Proceeds from repayment of promissory notes from a stockholder	—	33	125
Payments on capital leases and equipment financing obligations	(181)	(500)	(713)
Net cash provided by financing activities	43,727	3,823	75,000
Net increase (decrease) in cash and cash equivalents	48,089	3,104	(7,231)
Cash and cash equivalents at beginning of period	17,961	14,857	22,088
Cash and cash equivalents at end of period	$ 66,050	$ 17,961	$ 14,857
Supplemental schedule of noncash investing and financing activities			
Vesting of common stock from early exercises of stock options	$ 154	$ 351	$ 504
Disposal of property and equipment at no gain or loss	$ —	$ —	$ 6,300
Supplemental disclosure of cash flow information			
Income taxes paid	$ —	$ 794	$ —

The accompanying notes are an integral part of these financial statements.

1. Organization and Summary of Significant Accounting Policies

Nature of Operations

XenoPort, Inc., or the Company, was incorporated in the state of Delaware on May 19, 1999. The Company is a biopharmaceutical company focused on developing a portfolio of internally discovered product candidates that utilize the body's natural nutrient transporter mechanisms to improve the therapeutic benefits of existing drugs. The Company's development efforts are currently focused on potential treatments of central nervous system disorders. Its facilities are located in Santa Clara, California.

On December 31, 2008, in connection with a registered direct offering of units consisting of shares of common stock and warrants to purchase common stock, the Company issued 1,889,467 shares of common stock and warrants to purchase up to 283,420 shares of common stock to funds affiliated with two institutional investors, raising net cash proceeds of approximately $39,700,000, after deducting offering expenses. The warrants are exercisable from issuance until December 31, 2013, at an exercise price of $25.40 per share.

Use of Estimates

The preparation of financial statements in conformity with U.S. generally accepted accounting principles requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. Actual results could differ from these estimates.

Fair Value of Financial Instruments

The carrying amounts of certain of the Company's financial instruments, including cash and cash equivalents and short-term investments, approximate fair value due to their short maturities.

Effective January 1, 2008, the Company adopted the provisions of Statement of Financial Accounting Standards, or SFAS, No. 157, *Fair Value Measurements*, or SFAS 157. SFAS 157 defines fair value and provides guidance for using fair value to measure certain assets and liabilities. SFAS 157 applies whenever other standards require or permit assets or liabilities to be measured at fair value but does not expand the use of fair value in any new circumstances. SFAS 157 also requires expanded disclosure of the effect on earnings for items measured using unobservable data, establishes a fair value hierarchy that prioritizes the inputs used to measure fair value and requires separate disclosure by level within the fair value hierarchy. There was no material impact on the Company's financial position or results of operations upon adoption of SFAS 157.

As defined in SFAS 157, fair value is the price that would be received to sell an asset or paid to transfer a liability (an exit price) in an orderly transaction between market participants at the measurement date. The Company utilizes market data or assumptions that the Company believes market participants would use in pricing assets or liabilities, including assumptions about risk and the risks inherent in the inputs to the valuation technique. These inputs can be readily observable, market corroborated or generally unobservable. The Company applies the market approach valuation technique for fair value measurements on a recurring basis and maximizes the use of observable inputs and minimizes the use of unobservable inputs.

Cash Equivalents and Short-Term Investments

The Company considers all highly liquid investments with original maturities of 90 days or less at the time of purchase to be cash equivalents, which consist of money market funds, corporate debt securities and certificates of deposit.

Management determines the appropriate classification of securities at the time of purchase. All investments have been designated as available-for-sale. The Company views its available-for-sale portfolio as available for

use in current operations. Accordingly, the Company has classified all investments as short-term, even though the stated maturity may be one year or more beyond the current balance sheet date. Available-for-sale securities are carried at estimated fair value with unrealized gains and losses reported as a component of accumulated other comprehensive income (loss) in stockholders' equity.

The amortized cost of securities is adjusted for amortization of premiums and accretion of discounts to maturity. Such amortization and accretion is included in interest income. Realized gains and losses and declines in value judged to be other-than-temporary on available-for-sale securities, if any, are recorded in interest income and expense. The cost of securities sold is based on the specific-identification method. Interest and dividends are included in interest income.

Restricted Investments

Under a facilities operating lease agreement, the Company is required to secure a letter of credit with cash or securities. At December 31, 2008 and 2007, the Company recorded $1,654,000 and $1,607,000, respectively, of restricted investments related to the letter of credit (see Note 6).

In connection with the Company's license to use radioactive materials in its research facilities, it must maintain a $150,000 letter of credit with the Radiological Health Branch of the State of California. This requirement has been fulfilled through a certificate of deposit with a financial institution. The fair value of the secured amount of $170,000 and $164,000 was classified as restricted investments on the accompanying balance sheets at December 31, 2008 and 2007, respectively.

Concentrations of Risk

The Company invests cash that is not currently being used for operational purposes in accordance with its investment policy. The policy allows for the purchase of low risk debt securities issued by U.S. government agencies and very highly rated banks and corporations, subject to certain concentration limits. The maturities of these securities are maintained at no longer than 18 months. The Company believes its established guidelines for investment of its excess cash maintains safety and liquidity through its policies on diversification and investment maturity.

Financial instruments that potentially subject the Company to concentrations of credit risk consist principally of cash and cash equivalents and available-for-sale investment securities in high-credit quality debt securities issued by the U.S. government and government-sponsored enterprises. The carrying amounts of cash equivalents and available-for-sale investment securities approximate fair value due to their short-term nature.

The Company is exposed to credit risk in the event of default by the institutions holding the cash and cash equivalents and available-for-sale securities to the extent of the amounts recorded on the balance sheets.

The Company does not currently own or operate manufacturing facilities, and the Company relies and expects to continue to rely on a small number of third-party compound manufacturers and active pharmaceutical ingredient formulators for the production of clinical and commercial quantities of product candidates. The Company does not have long-term agreements with any of these third parties, and the agreements with these parties are generally terminable at will by either party at any time. If, for any reason, these third parties are unable or unwilling to perform under these agreements or enter into new agreements, the Company may not be able to locate alternative manufacturers or formulators or enter into favorable agreements with them. Any inability to acquire sufficient quantities of the Company's product candidates in a timely manner from these third parties could delay clinical trials and prevent the Company or its partners from developing and commercializing their product candidates in a cost-effective manner or on a timely basis. In particular, Lonza Ltd., Patheon Pharmaceuticals, Inc., Excella GmbH (formerly Heumann Pharma GmbH), DSM Pharmaceuticals, Inc., Ajinomoto Company and Metrics, Inc. are all sole suppliers for various products used in the production of clinical and commercial product candidates.

Property and Equipment

Property and equipment are stated at cost, less accumulated depreciation and amortization. Depreciation and amortization is computed using the straight-line method over the estimated useful lives of the respective assets, which is generally five years for the Company's laboratory equipment and furniture and fixtures and generally three years for the Company's computer equipment and software. Leasehold improvements are amortized over their estimated useful lives or the remaining lease term, whichever is shorter.

Revenue Recognition

Revenue arrangements are accounted for in accordance with the provisions of Securities and Exchange Commission, or SEC, Staff Accounting Bulletin, or SAB, No. 104, *Revenue Recognition*, and Emerging Issues Task Force, or EITF, No. 00-21, *Revenue Arrangements with Multiple Deliverables*. A variety of factors are considered in determining the appropriate method of revenue recognition under these arrangements, such as whether the various elements can be considered separate units of accounting, whether there is objective and reliable evidence of fair value for these elements and whether there is a separate earnings process associated with a particular element of an agreement. Specifically, the Company accounts for each of these typical elements as follows:

- *Up-front, licensing-type fees.* Up-front, licensing-type payments are assessed to determine whether or not the licensee is able to obtain any stand-alone value from the license. Where this is not the case, the Company does not consider the license deliverable to be a separate unit of accounting, and the revenue is deferred with revenue recognition for the license fee being assessed in conjunction with the other deliverables that constitute the combined unit of accounting.
- *Milestones.* Milestones are assessed on an individual basis and revenue is recognized from these milestones when earned, as evidenced by acknowledgment from collaborators, provided that (i) the milestone event is substantive and its achievability was not reasonably assured at the inception of the agreement, (ii) the milestone represents the culmination, or progress towards the culmination, of an earnings process and (iii) the milestone payment is non-refundable. Where separate milestones do not meet these criteria, the Company typically defaults to a performance-based model, with revenue recognition following delivery of effort as compared to an estimate of total expected effort. Milestones that are received after all substantive deliverables have occurred are considered to be bonus payments and are recognized upon receipt of the cash, assuming all of the other revenue recognition criteria are met.
- *Collaborative research payments.* Generally, the payments received are based on a contractual cost per full-time equivalent employee working on the project, and are recognized as the services are performed over the related funding periods for each agreement.

Where there are multiple deliverables combined as a single unit of accounting, revenues are deferred and recognized over the period during which the Company remains obligated to perform services or deliver product. The specific methodology for the recognition of the revenue (e.g., straight-line or according to specific performance criteria) is determined on a case-by-case basis according to the facts and circumstances applicable to a given contract. For contracts with specific performance criteria, the Company utilizes the performance-based expected revenue method of revenue recognition, which requires that the Company estimate the total amount of costs to be expended for a given unit of accounting and then recognize revenue equal to the portion of costs expended to date. The estimated total costs to be expended are subject to revision from time-to-time as the underlying facts and circumstances change.

Payments received in excess of revenues recognized are recorded as deferred revenue until such time as the revenue recognition criteria have been met.

The Company's collaboration agreements also include potential payments for commercial product supply, product royalties and sharing of operating profits. To date, no revenues have been received from these sources.

Research and Development

All research and development costs, including those funded by third parties, are expensed as incurred. Research and development costs consist of salaries, employee benefits, laboratory supplies, costs associated with clinical trials, including amounts paid to clinical research organizations, other professional services and facility costs.

Clinical Trials

The Company accrues and expenses the costs for clinical trial activities performed by third parties based upon estimates of the percentage of work completed over the life of the individual study in accordance with agreements established with contract research organizations and clinical trial sites. The Company determines the estimates through discussions with internal clinical personnel and external service providers as to progress or stage of completion of trials or services and the agreed upon fee to be paid for such services. Costs of setting up clinical trial sites for participation in the trials are expensed immediately as research and development expenses. Clinical trial site costs related to patient enrollment are accrued as patients are entered into the trial and reduced by any initial payment made to the clinical trial site when the first patient is enrolled. Nonrefundable advance payments for research and development goods or services are recognized as expense as the related goods are delivered or the related services are provided in accordance with the provisions of EITF No. 07-03, *Accounting for Nonrefundable Advance Payments for Goods or Services to Be Used in Future Research and Development Activities*, or EITF 07-03. The Company adopted EITF 07-03 effective January 1, 2008, and there was no material impact on the Company's financial position or results of operations upon adoption.

Stock-Based Compensation

Effective January 1, 2006, the Company adopted the provisions of SFAS No. 123R, *Share-Based Payment*, or SFAS 123R. SFAS 123R establishes accounting for stock-based awards exchanged for employee services. Accordingly, for stock options, awards and stock purchase rights granted under the 2005 Employee Stock Purchase Plan, or the ESPP, stock-based compensation cost is measured at grant date, based on the fair value of the award, and is recognized as expense over the requisite employee service period.

Impact of the Adoption of SFAS 123R

The Company elected to adopt SFAS 123R using the modified prospective application method, which was applied to the unvested portion of options granted prior to January 1, 2006 and all options granted after January 1, 2006. Accordingly, during the year ended December 31, 2006, the Company recorded stock-based compensation expense totaling the amount that would have been recognized had the fair value method been applied since the effective date of SFAS 123. Previously reported amounts have not been restated. The effect of recording stock-based compensation under SFAS 123R was as follows:

	Year Ended December 31,		
	2008	2007	2006
	(In thousands, except per share amounts)		
Stock-based compensation by type of award:			
Employee stock options	$14,315	$8,470	$5,048
ESPP	552	452	329
Non-employee stock options	—	17	198
Total stock-based compensation	$14,867	$8,939	$5,575
Effect on basic income (loss) per share	$ (0.59)	$ 0.36	$ (0.25)
Effect on diluted income (loss) per share	$ (0.59)	$ 0.34	$ (0.25)

Upon the adoption of SFAS 123R on January 1, 2006, the Company reversed all of the existing balance of deferred stock compensation of $4,821,000 with a corresponding reduction in additional paid-in capital.

Upon the adoption of SFAS 123R, as of January 1, 2006, the total compensation cost related to unvested awards was $4,703,000, before estimated forfeitures, which were not significant. SFAS 123R requires forfeitures to be estimated at the time of grant and revised if necessary in subsequent periods if actual forfeitures differ from those estimates.

Details of the Company's employee stock-based compensation are as follows:

	Year Ended December 31,		
	2008	2007	2006
	(In thousands)		
Research and development	$ 8,167	$5,044	$2,782
General and administrative	6,700	3,878	2,595
	$14,867	$8,922	$5,377

Valuation Assumptions

The Company estimates the fair value of all of its stock options and stock purchase rights on the date of grant using a Black-Scholes valuation model, consistent with the provisions of SFAS 123R and SAB 107, and the Company expenses the resulting charge using the straight-line attribution method over the vesting period. Restricted stock units are measured at the fair value of the Company's common stock on the date of grant and expensed over the period of vesting using the straight-line attribution approach. The calculation of the Black-Scholes valuations used the following weighted-average assumptions:

	Year Ended December 31,		
	2008	2007	2006
Dividend yield	0%	0%	0%
Volatility for options	0.61	0.63	0.70
Volatility for ESPP	0.43	0.55	0.51
Weighted-average expected life of options (years)	4.96	4.69	4.83
Weighted-average expected life of ESPP rights (years)	0.5	0.5	0.5
Risk-free interest rate for options	1.52-3.49%	3.49-5.03%	4.35-5.07%
Risk-free interest rate for ESPP rights	1.74-4.55%	4.55-5.15%	3.69-5.15%

SFAS 123R requires the use of option-pricing models that were not developed for use in valuing employee stock options. The Black-Scholes option-pricing model was developed for use in estimating the fair value of short-lived exchange traded options that have no vesting restrictions and are fully transferable. In addition, option-pricing models require the input of highly subjective assumptions, including the option's expected life and the price volatility of the underlying stock. The Company derives the expected stock price volatility and the weighted-average expected life assumptions using data obtained from similar entities, taking into consideration factors such as industry, stage of life cycle, size and financial leverage. The risk-free interest rate input is based on the U.S. Treasury yield curve in effect at the time of grant.

Income Taxes

Income taxes are accounted for in accordance with SFAS No. 109, *Accounting for Income Taxes*, or SFAS 109, using the liability method. Deferred tax assets and liabilities are determined based on the difference between the financial statement and tax bases of assets and liabilities as measured by the enacted tax rates that will be in effect when these differences reverse. The Company provides a valuation allowance against net deferred tax assets if, based upon the available evidence, it is more-likely-than-not that the deferred tax assets will not be realized.

Effective January 1, 2007, the Company adopted the provisions of Financial Accounting Standards Board, Financial Interpretation No. 48, *Accounting for Uncertainty in Income Taxes — an interpretation of FASB Statement No. 109,* or FIN 48. FIN 48 specifies how tax benefits for uncertain tax positions are to be recognized, measured and derecognized in financial statements; requires certain disclosures of uncertain tax matters; specifies how reserves for uncertain tax positions should be classified on the balance sheet; and provides transition and interim-period guidance, among other provisions.

As of December 31, 2008, the Company continues to have no unrecognized tax benefits and expects no significant changes in unrecognized tax benefits in the next 12 months.

The Company's policy is to recognize interest and penalties related to the underpayment of income taxes as a component of income tax expense. To date, there have been no interest or penalties charged to the Company in relation to the underpayment of income taxes.

Comprehensive Income (Loss)

The Company displays comprehensive income (loss) and its components as part of the statements of stockholders' equity. Comprehensive income (loss) is comprised of net income (loss) and unrealized gains (losses) on available-for-sale securities.

Net Income (Loss) Per Share

Basic net income (loss) per share is calculated by dividing the net income (loss) by the weighted-average number of common shares outstanding for the period less the weighted-average number of unvested common shares subject to repurchase, without consideration for potential common shares. Diluted net income (loss) per share is computed by dividing the net income (loss) by the weighted-average number of common shares outstanding for the period, less the weighted-average number of unvested common shares subject to repurchase, plus dilutive potential common shares for the period determined using the treasury-stock method. For purposes of this calculation, restricted stock units, options to purchase stock and warrants are considered to be potential common shares and are only included in the calculation of diluted net income (loss) per share when their effect is dilutive.

	Year Ended December 31,		
	2008	2007	2006
	(In thousands, except per share amounts)		
Numerator:			
Net income (loss)	$(62,540)	$28,193	$(64,313)
Denominator:			
Weighted-average common shares outstanding	25,200	24,893	22,372
Less: Weighted-average unvested common shares subject to repurchase	(20)	(120)	(271)
Denominator for basic net income (loss) per share	25,180	24,773	22,101
Dilutive effect of:			
Restricted stock units and options to purchase common stock	—	1,202	—
Warrants outstanding	—	17	—
Denominator for diluted net income (loss) per share	25,180	25,992	22,101
Basic net income (loss) per share	$ (2.48)	$ 1.14	$ (2.91)
Diluted net income (loss) per share	$ (2.48)	$ 1.08	$ (2.91)
Outstanding dilutive securities not included in the computation of diluted net income (loss) per share as they had an antidilutive effect:			
Restricted stock units and options to purchase common stock	3,496	447	2,254
Warrants outstanding	305	—	39
	3,801	447	2,293

Recent Accounting Pronouncements

In December 2007, the EITF reached a consensus on EITF No. 07-01, *Accounting for Collaborative Arrangements Related to the Development and Commercialization of Intellectual Property*, or EITF 07-01. EITF 07-01 discusses the appropriate income statement presentation and classification for the activities and payments between the participants in arrangements related to the development and commercialization of intellectual property. The sufficiency of disclosure related to these arrangements is also specified. EITF 07-01 is effective for fiscal years beginning after December 15, 2008. As a result, EITF 07-01 is effective for the Company in the first quarter of fiscal 2009. The Company does not expect the adoption of EITF 07-01 to have a material impact on either its financial position or results of operations.

In June 2008, the EITF reached a consensus on EITF No. 07-5, *Determining Whether an Instrument (or Embedded Feature) is Indexed to an Entity's Own Stock*, or EITF 07-05. EITF 07-5 provides guidance on how to determine if certain instruments (or embedded features) are considered indexed to the Company's own stock, including instruments similar to the Company's issued warrants to purchase the Company's stock. EITF 07-5 requires companies to use a two-step approach to evaluate an instrument's contingent exercise provisions and settlement provisions in determining whether the instrument is considered to be indexed to its own stock and exempt from the application of SFAS No. 133, *Accounting for Derivative Instruments and Hedging Activities*. Although EITF 07-5 is effective for fiscal years beginning after December 15, 2008, any outstanding instrument at the date of adoption will require a retrospective application of the accounting through a cumulative effect adjustment to retained earnings upon adoption. The Company does not expect the adoption of EITF 07-5 to have a material impact on either its financial position or results of operations.

2. Collaboration Agreements

In December 2005, the Company entered into a license agreement with Astellas Pharma Inc. for exclusive rights in the Astellas territory to develop and commercialize XP13512. The Company received an initial license payment of $25,000,000 in December 2005, which has been deferred and is being recognized on a straight-line basis over a period that approximates the expected patent life of XP13512. In April 2006, the Company received a milestone payment of $10,000,000 upon initiation of the Company's first Phase 3 clinical trial of XP13512 in restless legs syndrome, or RLS, patients in the United States that was recognized on a straight-line basis over the period of the Phase 3 clinical trial. In May 2007, the Company received and recognized a milestone payment of $5,000,000 upon completion of the Company's first Phase 3 clinical trial of XP13512 in RLS. In addition, the Company is eligible to receive potential clinical and regulatory milestone payments totaling up to $45,000,000 and is entitled to receive percentage-based royalties on any net sales of XP13512 in the Astellas territory. In the years ended December 31, 2008, 2007 and 2006, the Company recognized revenue of $1,515,000, $1,515,000 and $1,515,000, respectively, representing amortization of the up-front license payment under this agreement. In the years ended December 31, 2008, 2007 and 2006, the Company recognized revenue of $0, $5,909,000 and $9,091,000, respectively, representing the first and second milestone payments under this agreement. As of December 31, 2008, the Company had recognized an aggregate of $19,672,000 of revenue pursuant to this agreement. At December 31, 2008, $20,328,000 of revenue was deferred under this agreement, of which $1,515,000 was classified within current liabilities and the remaining $18,813,000 was recorded as a noncurrent liability. In addition, the agreement also requires Astellas to source all product from the Company under a specified supply agreement and Astellas may request the Company to conduct development activities. Under the supply arrangement and requested development activities, the Company recorded a net offset to research and development expenses of $2,737,000, $3,642,000 and $3,437,000 in the years ended December 31, 2008, 2007 and 2006, respectively. Included in the net offset to research and development expenses in the year ended December 31, 2008 is a non-recurring reimbursement of $2,145,000 related to the transfer of XP13512 drug substance to Astellas.

In February 2007, the Company entered into an exclusive collaboration with Glaxo Group Limited, or GSK, to develop and commercialize XP13512, known in the United States by the trade name *Solzira* (gabapentin enacarbil) Extended Release Tablets, in all countries of the world excluding the Astellas territory (collectively referred to as the GSK territory). In March 2007, GSK made an up-front, non-refundable license payment of

$75,000,000. In addition, GSK has agreed to make additional payments of: (i) up to $275,000,000 upon the achievement of additional clinical and regulatory milestones, of which $65,000,000 has been received to date consisting of milestone payments of $8,000,000 and $25,000,000 received in March and April 2008, respectively, and $10,000,000, $11,000,000 and $11,000,000 received in May, June and November 2007, respectively; and (ii) up to $290,000,000 upon the achievement of specified XP13512 sales levels. Under the terms of the agreement, GSK is responsible for all future development costs and GSK is solely responsible for the manufacturing of XP13512 to support its development and commercialization within the GSK territory. In 2007, the Company transferred XP13512 manufacturing responsibilities for the GSK territory to GSK. In addition, the Company received a non-recurring reimbursement of $3,636,000 related to the transfer of XP13512 drug substance to GSK, which the Company recorded as an offset to research and development expenses. Under the terms of the agreement, the Company is entitled to receive royalties based upon a percentage of net sales of XP13512 in the GSK territory for a specified period of time, unless the Company elects the option to co-promote XP13512 in the United States. In the event that the Company elects the co-promotion option for XP13512, the Company would share marketing and commercialization costs and would be entitled to a share of operating profits from net sales of XP13512 in the United States for so long as XP13512 is sold, as well as receive payments on details that the Company performs in the United States on Requip XL, GSK's product for Parkinson's disease. Subject to U.S. Food and Drug Administration, or FDA, approval of the new drug application, or NDA, for *Solzira*, the Company would co-promote XP13512 in the United States to those same prescribers. The Company has concluded that the up-front license payment does not have value to GSK on a stand-alone basis without the benefit of the specified development activities that the Company will perform in connection with XP13512 and that $65,000,000 of milestones payable for clinical trial and pre-clinical activities were either not sufficiently substantive or not sufficiently at risk to be accounted for using the "when-earned" model. Accordingly, these milestones and the up-front payment were combined into one unit of accounting that is being recognized over the best estimate of the development period to commercialization of the product during which time delivery of substantially all of the efforts required for the completion of the Company's contractual responsibilities under the GSK agreement is expected to occur. In the years ended December 31, 2008 and 2007, the Company recognized revenue of $28,981,000 and $104,898,000, respectively, under this agreement for an aggregate of $133,879,000 of revenue recognized as of December 31, 2008. At December 31, 2008, $6,122,000 of revenue was deferred under this agreement and substantially all was classified within current liabilities.

In October 2007, the Company licensed to Xanodyne Pharmaceuticals, Inc. exclusive rights to develop and commercialize XP21510 in the United States, including for the potential treatment of women diagnosed with menorrhagia. In exchange for these rights, the Company has received and recognized non-refundable cash payments totaling $12,000,000, of which $6,000,000 was paid to the Company upon execution of the agreement and the remaining $6,000,000 was paid in October 2008. The Company is eligible to receive aggregate cash payments of up to $130,000,000 upon the achievement of certain development, regulatory and commercial milestones with respect to XP21510, of which $1,000,000 was received in April 2008, as well as aggregate cash payments of up to $5,000,000 upon the achievement of certain development, regulatory and commercial milestones with respect to Xanodyne's tranexamic acid product candidate, known as XP12B, which has generated positive data in two Phase 3 clinical trials. In addition, the Company is entitled to receive tiered, double-digit royalty payments on potential future net sales of XP21510, as well as escalating single-digit royalties on potential future net sales of XP12B. In the years ended December 31, 2008 and 2007, the Company recognized revenue of $11,500,000 and $1,500,000, respectively, under this agreement, for an aggregate of $13,000,000 of revenue as of December 31, 2008. At December 31, 2008, no revenue was deferred under this agreement.

The following table presents the Company's total revenue that has been recognized in the years ended December 31, 2008, 2007 and 2006 pursuant to all of its collaborations (in thousands):

	Year Ended December 31,		
	2008	2007	2006
Astellas	$ 1,515	$ 7,424	$10,606
GSK	28,981	104,898	—
Xanodyne	11,500	1,500	—
	$41,996	$113,822	$10,606

The Company's accounts receivable balance is comprised of trade receivables from its collaborative research agreements. At December 31, 2007 and 2006, Astellas represented 100% of accounts receivable.

3. Cash and Cash Equivalents, Short-Term Investments and Restricted Investments

The following are summaries of cash and cash equivalents, short-term investments and restricted investments (in thousands):

	Cost	Gross Unrealized Gains	Gross Unrealized Losses	Estimated Fair Value
As of December 31, 2008:				
Cash	$ 45,265	$ —	$ —	$ 45,265
Money market funds	20,785	—	—	20,785
U.S. government agencies	60,266	408	—	60,674
Corporate debt securities	25,928	131	—	26,059
Certificate of deposit	1,824	—	—	1,824
	$154,068	$539	$ —	$154,607
Reported as:				
Cash and cash equivalents				$ 66,050
Short-term investments				86,733
Restricted investments				1,824
				$154,607

	Cost	Gross Unrealized Gains	Gross Unrealized Losses	Estimated Fair Value
As of December 31, 2007:				
Cash	$ 1,770	$ —	$ —	$ 1,770
Money market funds	10,104	—	—	10,104
Corporate debt securities	147,776	527	(36)	148,267
Certificate of deposit	1,771	—	—	1,771
	$161,421	$527	$(36)	$161,912
Reported as:				
Cash and cash equivalents				$ 17,961
Short-term investments				142,180
Restricted investments				1,771
				$161,912

At December 31, 2008 and 2007, the contractual maturities of all investments held were less than one year.

The Company recognized $445,000 in the year ended December 31, 2008 of gross realized gains on sales of short-term investments based on the specific identification method. No gross realized gains or losses were recognized in 2007 and 2006.

The Company's available-for-sale investments, which include cash equivalents and short-term investments, are measured at fair value using the following inputs (in thousands):

		Fair Value Measurements at Reporting Date Using		
Description	Total As of December 31, 2008	Quoted Prices in Active Markets for Identical Assets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Money market funds	$ 20,785	$20,785	$ —	$ —
U.S. government agencies	60,674	—	60,674	—
Corporate debt securities	26,059	—	26,059	—
Total	$107,518	$20,785	$86,733	$ —

4. Property and Equipment

Property and equipment consist of the following (in thousands):

	December 31,	
	2008	2007
Laboratory equipment	$11,543	$ 8,021
Furniture and fixtures	1,290	935
Computer equipment and software	3,378	1,961
Leasehold improvements	4,105	2,238
Construction in-progress	536	307
	20,852	13,462
Less: Accumulated depreciation and amortization	(9,382)	(6,671)
Property and equipment, net	$11,470	$ 6,791

5. Employee Notes Receivable

At December 31, 2008 and 2007, the Company had outstanding full recourse notes receivable totaling $100,000 and $250,000, respectively, to officers of the Company to finance the purchases of personal assets. The notes are secured by the deeds of trust on the residences of such officers and require interest at rates ranging from 4.13% to 4.99% per annum. The principal and any accrued interest on the notes are payable on the earlier of termination of employment or seven years from the date of issuance. The maturity date of the note receivable as of December 31, 2008 was May 2009 and the maturity dates of the notes receivable as of December 31, 2007 were May 2009 and December 2008. Accrued interest is forgiven on each note's anniversary date.

6. Commitments and Contingencies

Operating Leases

In February 2008, the Company entered into a lease for approximately 59,000 square feet of office space in a building at 3400 Central Expressway, Santa Clara, California, or the 3400 Lease. The term of the 3400 Lease runs for 60 months.

Also in February 2008, the Company amended its lease with respect to the Company's current office space at 3410 Central Expressway, Santa Clara, California, or, as amended, the 3410 Lease, that commenced in December 2001. This amendment extends the term of the 3410 Lease for approximately two years from the original expiration date of December 10, 2011, so that the 3410 Lease will expire in 2013, on the same date as the 3400 Lease.

The Company has the option to extend both the 3410 Lease and 3400 Lease for two additional terms of five years each. Subject to certain restrictions, if the lessor proposes to rent the remaining office space of the building at 3400 Central Expressway not covered by the 3400 Lease within one year from the commencement date of the 3400 Lease, the Company has a right of first refusal on leasing such additional space.

In connection with the 3410 Lease, the Company entered into a letter of credit agreement in the amount of $3,000,000 with a financial institution that required the Company, at its option, to secure the letter of credit with either $3,000,000 of cash or certificate of deposit, or securities with a fair market value of at least $3,750,000. Under the terms of the operating lease agreement, the amount of the letter of credit was reduced to $1,500,000 in December 2006. The fair value of the certificate of deposit is presented as restricted investments on the balance sheet at $1,654,000 and $1,607,000, at December 31, 2008 and 2007, respectively. This letter of credit will be required until the termination of the lease.

In April 2004, the Company entered into a sublease agreement to rent out a portion of its facilities not in use. The sublease agreement provided for monthly rental income of $52,000 for the first year and $66,000 monthly rental income for the second year, with a one-year extension at the end of the two-year term. In 2005, the sub-tenant exercised its option to extend the lease for another year. In January 2007, the Company entered into an agreement with the subtenant to terminate the sublease effective January 31, 2007. The Company recorded the monthly sublease income as an offset to rent expense. Sublease income recorded for the years ended December 31, 2007 and 2006 was $81,000 and $822,000, respectively.

The Company is recognizing rent expense on a straight-line basis over the lease terms. The Company began recognizing rent expense on the 3400 Lease in May 2008. Rent expense, net of sublease income, was $4,140,000, $3,630,000 and $2,894,000 for the years ended December 31, 2008, 2007 and 2006, respectively. Deferred rent of $1,873,000 and $1,696,000 at December 31, 2008 and 2007, respectively, represents the difference between rent expense recognized and actual cash payments related to the Company's operating lease.

At December 31, 2008, future minimum payments under all non-cancelable operating leases were as follows (in thousands):

Year ending December 31:	
2009	$ 5,328
2010	5,498
2011	5,547
2012	3,723
2013	2,639
Total minimum lease payments	$22,735

Guarantees and Indemnifications

The Company, as permitted under Delaware law and in accordance with its bylaws, indemnifies its officers and directors for certain events or occurrences, subject to certain limits, while the officer or director is or was serving at the Company's request in such capacity. The term of the indemnification period is for the officer's or director's lifetime. The Company may terminate the indemnification agreements with its officers and directors upon 90 days' written notice, but termination will not affect claims for indemnification relating to events occurring prior to the effective date of termination. The maximum amount of potential future indemnification is unlimited; however, the Company has a director and officer insurance policy that limits its exposure and may

enable it to recover a portion of any future amounts paid. The Company believes the fair value of these indemnification agreements is minimal. Accordingly, the Company had not recorded any liabilities for these agreements as of December 31, 2008.

Contingencies

From time to time, the Company may become involved in claims and other legal matters arising in the ordinary course of business. Management is not currently aware of any matters that will have a material adverse affect on the financial position, results of operations or cash flows of the Company.

7. Stockholders' Equity

Common Stock

In December 2008, in connection with a registered direct offering of units consisting of shares of common stock and warrants to purchase common stock, the Company issued 1,889,467 shares of common stock and warrants to purchase up to 283,420 shares of common stock, raising net cash proceeds of approximately $39,700,000, after deducting offering expenses. The warrants are exercisable from issuance until December 31, 2013, at an exercise price of $25.40 per share. The net cash proceeds were recorded in stockholders' equity as of December 31, 2008.

At December 31, 2008 and 2007, the Company was authorized to issue 60,000,000 shares of common stock.

Stockholders' Rights Plan

On December 16, 2005, the Company adopted a preferred stock rights plan pursuant to which each share of common stock outstanding on January 13, 2006, and each subsequently issued share, will receive a non-taxable dividend. The dividend will confer the purchase right, or a right, that confers the right to purchase one one-hundredth of a share of a new class of preferred stock and will be exercisable only if a person or group acquires 15% or more of the Company's common stock or announces a tender offer for 15% or more of the Company's common stock. If such a person acquires 15% or more of the Company's common stock, all rights holders, except the 15% acquiror, will be entitled to acquire the Company's common stock at a discount through the exercise of the preferred stock. The rights plan has been designed to discourage acquisitions of more than 15% of the Company's common stock without negotiations with the board of directors. The rights expire on January 13, 2016. The rights will trade with the Company's common stock, unless and until they are separated upon the occurrence of certain future events. The board of directors may terminate the rights plan at any time or redeem the rights prior to the time the rights are triggered.

Equity Incentive Plans

1999 Stock Plan

Under the terms of the 1999 Stock Plan, or the 1999 Plan, options or stock purchase rights were granted by the board of directors to employees, directors and consultants. Options granted were either incentive stock options or non-statutory stock options. Incentive stock options were granted to employees with exercise prices of no less than the fair value, and non-statutory options were granted to employees, directors or consultants at exercise prices of no less than 85% of the fair value, of the common stock on the grant date as determined by the board of directors. Options vest as determined by the board of directors, generally at the rate of 25% at the end of the first year, with the remaining balance vesting ratably over the next three years for initial employee grants and ratably over four years for subsequent grants. Options granted under the 1999 Plan expire no more than ten years after the date of grant.

Stock purchased under stock purchase rights, in connection with the 1999 Plan, is subject to a repurchase option by the Company upon termination of the purchaser's employment or services. The repurchase right lapses over a period of time as determined by the board of directors.

The 1999 Plan allows for the early exercise of options prior to vesting. In accordance with EITF No. 00-23, *Issues Related to Accounting for Stock Compensation under APB Opinion No. 25 and FASB Interpretation No. 44*, stock options granted or modified after March 21, 2002 that are subsequently exercised for cash prior to vesting are not deemed to be issued until those shares vest. Since March 21, 2002, the Company has issued an aggregate of 479,322 shares of common stock pursuant to the early exercise of stock options. As of December 31, 2008 and 2007, there were 0 and 30,139, respectively, of these shares issued subject to the Company's right to repurchase at the original issuance price. The amounts received in exchange for these shares have been recorded as a liability for early exercise of stock options in the accompanying balance sheets and will be reclassified into equity as the shares vest.

2005 Equity Incentive Plan

In January 2005, the Company's board of directors adopted the 2005 Equity Incentive Plan, or the 2005 Plan. Under the terms of the 2005 Plan, options, stock purchase rights, stock bonus rights, stock appreciation rights and other stock awards and rights may be granted by the board of directors to employees, directors and consultants. Options granted may be either incentive stock options or non-statutory stock options. Incentive stock options may be granted to employees with exercise prices of no less than the fair value, and non-statutory options may be granted to employees, directors or consultants at exercise prices of no less than 85% of the fair value, of the common stock on the grant date. Options vest as determined by the board of directors, generally at the rate of 25% at the end of the first year, with the remaining balance vesting ratably over the next three years for initial employee grants and ratably over four years for subsequent grants. Options granted under the 2005 Plan expire no more than ten years after the date of grant.

In January 2007, the Company's board of directors approved the use of grants of restricted stock units to employees under the 2005 Plan as part of the Company's long-term incentive compensation program. Restricted stock units have no exercise price, are valued using the closing market price on the date of grant and vest as determined by the board of directors, typically in annual tranches over a four-year period at the rate of 25% at the end of each year.

Stock purchase rights, stock bonus rights, stock appreciation rights and other stock awards and rights may be granted by the board of directors to employees, directors and consultants and may be subject to such terms and conditions as the board of directors deems appropriate, although such awards may not be granted with a purchase price below the par value of the stock. Under the terms of the 2005 Plan, the maximum number of shares that may be issued shall not exceed the total of 2,000,000, plus any shares issuable from options previously granted from the 1999 Plan at the date of the Company's initial public offering, plus an annual increase equal to the lesser of (i) 2.5% of the total number of common shares outstanding at the end of the preceding calendar year and (ii) 2,000,000 common shares. During the year ended December 31, 2008, the annual increase to the 2005 Plan reserve was 626,017 shares. At December 31, 2008 and 2007, there were 1,203,379 and 1,268,627 shares, respectively, remaining and available for future grant under the 2005 Plan.

New Employee Inducement Stock Awards

In May 2008, the Company's Senior Vice President and Chief Commercialization Officer was granted a new employee inducement stock award outside of the Company's stockholder-approved equity plans consisting of nonqualified stock options to purchase 140,612 shares of the Company's common stock. The stock options have a per share exercise price of $42.59, the closing trading price of the Company's common stock on the NASDAQ Global Market on May 1, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the May 1, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter. The Company also granted to the Company's Senior Vice President and Chief Commercialization Officer a new employee inducement stock award outside of the Company's stockholder-approved equity plans consisting of restricted stock units for 10,000 shares of the Company's common stock. The restricted stock units shall vest in four equal annual installments on each anniversary of the May 1, 2008 grant date.

In July 2008, the Company's Senior Vice President and Chief Medical Officer was granted a new employee inducement stock award outside of the Company's stockholder-approved equity plans consisting of nonqualified stock options to purchase 139,888 shares of the Company's common stock. The stock options have a per share exercise price of $39.55, the closing trading price of the Company's common stock on the NASDAQ Global Market on July 14, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the July 14, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter. The Company also granted to the Company's Senior Vice President and Chief Medical Officer a new employee inducement stock award outside of the Company's stockholder-approved equity plans consisting of restricted stock units for 10,000 shares of the Company's common stock. The restricted stock units shall vest in four equal annual installments on each anniversary of the August 1, 2008 grant date.

2005 Non-Employee Directors' Stock Option Plan

In January 2005, the Company's board of directors adopted the 2005 Non-Employee Directors' Stock Option Plan, or the 2005 Directors' Plan, under which non-statutory options are automatically granted to non-employee directors. Any individual who first becomes a non-employee director automatically receives an option to purchase 25,000 shares subject to vesting in four equal successive annual installments. Non-employee directors serving on the date of each annual meeting of stockholders receive an option to purchase 10,000 shares subject to vesting in 12 successive equal monthly installments measured from the grant date. Stock options may be granted at exercises prices no less than the fair value on the grant date and may expire no more than ten years after the date of grant. Under the terms of the 2005 Directors' Plan, the maximum number of shares that may be issued shall not exceed the total of 150,000, plus an annual increase equal to the excess of (i) the number of shares subject to options granted in the preceding calendar year, over (ii) the number of shares added back to the share reserve from cancellations, provided that such increase shall not exceed 150,000 shares. During the year ended December 31, 2008, the annual increase to the 2005 Directors' Plan reserve was 86,666 shares. At December 31, 2008 and 2007, there were 56,666 and 63,334 shares, respectively, remaining and available for future grant under the 2005 Directors' Plan.

A summary of option and award activity as of December 31, 2008 is presented below:

	Shares	Weighted-Average Exercise Price	Weighted-Average Remaining Contractual Term	Aggregate Intrinsic Value
				(In thousands)
Outstanding at January 1, 2008	2,713,357	$18.52		
Options and awards granted	1,177,975	$42.04		
Options and awards cancelled	(78,949)	$24.91		
Options and awards exercised	(316,308)	$20.15		
Outstanding at December 31, 2008	3,496,075	$26.16	7.73	$ 3,776
Exercisable at December 31, 2008	1,625,924	$18.29	6.88	$11,041

The aggregate intrinsic value of all options and awards outstanding and exercisable at December 31, 2008 was based on a closing stock price of $25.08.

The weighted-average grant date fair values of options and awards granted in the years ended December 31, 2008, 2007 and 2006 were $42.04, $26.96 and $18.68 per share, respectively.

The total intrinsic value of options exercised in the years ended December 31, 2008, 2007 and 2006 was $10,701,000, $10,893,000 and $2,686,000, respectively.

As of December 31, 2008, the total compensation cost related to unvested options and awards not yet recognized was $37,677,000. This amount will be recognized over an estimated weighted-average amortization period of 2.85 years.

A summary of the Company's unvested shares as of December 31, 2008 and changes during the year ended December 31, 2008 is as follows:

	Shares	Weighted-Average Grant Date Fair Value
Unvested at January 1, 2008	1,570,192	$21.21
Options and awards granted	1,177,975	$42.04
Options and awards cancelled	(79,936)	$24.68
Options and awards vested	(798,094)	$32.80
Unvested at December 31, 2008	1,870,137	$29.24

Employee Stock Purchase Plan

As of December 31, 2008, the Company had reserved a total of 945,555 shares of common stock for issuance under the ESPP. In addition, the board of directors may increase the share reserve as of each January 1 through January 1, 2015, by an amount not to exceed the lesser of (i) 1% of the total number of shares of common stock outstanding on December 31 of the preceding calendar year or (ii) 250,000 shares. The ESPP permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lower of 85% of the fair market value of the common stock at the beginning of an offering period or after a purchase period ends. During the years ended December 31, 2008 and 2007, 50,339 shares and 59,218 shares, respectively, were purchased under the ESPP. At December 31, 2008 and 2007, there were 701,350 and 501,689 shares, respectively, remaining and available for future grant under the ESPP.

Warrants

In December 2008, in connection with a registered direct offering of units consisting of shares of common stock and warrants to purchase common stock, the Company issued to funds affiliated with two institutional investors warrants to purchase a maximum of 283,420 shares of the Company's common stock at $25.40 per share. The warrants are exercisable from issuance until December 31, 2013. The value of the warrants was recorded in stockholders' equity.

At December 31, 2008, 304,752 warrants were outstanding, of which 21,332 were exercisable at $15.00 per share and 283,420 were exercisable at $25.40. The warrants expire at various dates from June 2012 to December 2013.

8. Preferred Stock

At December 31, 2008 and 2007, the Company was authorized to issue 5,000,000 shares of preferred stock.

9. Income Taxes

The Company recorded $(406,000), $622,000 and $0, respectively, of current income tax expense (benefit) for the years ended December 31, 2008, 2007 and 2006. The income tax benefit recognized for the year ended December 31, 2008 was primarily due to the adoption of a provision in the *Housing and Economic Recovery Act of 2008* that allows corporations to convert carry-forward research and development and Alternative Minimum Tax, or AMT, credits into a separate credit amount, which the Company plans to claim as a refund for cash in 2009. The income tax expense recognized for the year ended December 31, 2007 resulted from the Company's full year effective tax rate of 2.2% related to federal and state AMT and other temporary differences. The Company incurred net operating losses in 2006 and accordingly did not record a provision for income taxes in 2006.

Deferred income taxes reflect the net tax effects of net operating loss and tax credit carryovers and temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of the Company's deferred tax assets are as follows (in thousands):

	December 31,	
	2008	2007
Net operating loss carryforwards	$ 55,851	$ 29,871
Research credit carryforwards	20,610	16,903
Capitalized research and development	19,571	22,227
Deferred revenue	7,913	8,900
Stock options	6,184	2,780
Other	1,421	2,221
Total deferred tax assets	111,550	82,902
Valuation allowance	(111,550)	(82,902)
Net deferred tax assets	$ —	$ —

Realization of deferred tax assets is dependent upon the Company generating future taxable income, the timing and amount of which are uncertain. Accordingly, the deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased (decreased) by $28,648,000, $(8,450,000) and $31,662,000 during 2008, 2007 and 2006, respectively.

As of December 31, 2008, the Company had net operating loss carryforwards for federal income tax purposes of $141,163,000, which expire in the years 2022 through 2028, and federal research and development tax credits of $15,749,000, which expire in the years 2021 through 2028.

As of December 31, 2008, the Company had net operating loss carryforwards for state income tax purposes of $136,642,000, which expire in the years 2015 through 2028, and state research and development tax credits of $8,321,000, which do not expire.

Approximately $529,000 of the valuation allowance for deferred tax assets relates to benefits of stock option deductions that, when recognized, will be allocated directly to additional paid-in capital.

Utilization of the Company's net operating loss and credit carryforwards may be subject to substantial annual limitation due to the ownership change limitations provided by the Internal Revenue Code and similar state provisions. Such annual limitation could result in the expiration of the net operating loss and credit carryforwards before utilization.

10. Quarterly Financial Data (Unaudited)

The following tables summarize the unaudited quarterly financial data for the last two fiscal years (in thousands, except per share data):

	Quarter Ended							
	Dec. 31, 2008	Sept. 30, 2008	June 30, 2008	March 31, 2008	Dec. 31, 2007	Sept. 30, 2007	June 30, 2007	March 31, 2007
Selected Quarterly Data:								
Total revenues	$ 10,615	$ 4,863	$ 11,537	$14,981	$25,761	$35,425	$36,097	$16,539
Net income (loss)	(18,726)	(24,113)	(12,399)	(7,302)	2,451	15,594	13,497	(3,349)
Basic net income (loss) per share	(0.74)	(0.96)	(0.49)	(0.29)	0.10	0.63	0.55	(0.14)
Diluted net income (loss) per share	(0.74)	(0.96)	(0.49)	(0.29)	0.09	0.60	0.52	(0.14)

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Corporate Directory

BOARD OF DIRECTORS

Ronald W. Barrett, Ph.D.
Chief Executive Officer
XenoPort, Inc.

Paul L. Berns
President and Chief Executive Officer
Allos Therapeutics, Inc.

John G. Freund, M.D.
Managing Director
Skyline Ventures

Catherine J. Friedman
Financial Consultant

Jeryl L. Hilleman
Chief Financial Officer
Amyris Biotechnologies, Inc.

Per G. H. Lofberg
Chairman and Managing Director
Pharma Capital Ventures

Kenneth J. Nussbacher
Fellow
Affymetrix, Inc.

Wendell Wierenga, Ph.D.
Executive Vice President of
Research and Development
Ambit Biosciences, Inc.

EXECUTIVE OFFICERS

Ronald W. Barrett, Ph.D.
Chief Executive Officer

William J. Rieflin
President

Vincent J. Angotti
Senior Vice President and
Chief Commercialization Officer

Kenneth C. Cundy, Ph.D.
Senior Vice President of
Preclinical Development

Mark A. Gallop, Ph.D.
Senior Vice President of
Research

William G. Harris
Senior Vice President of Finance
and Chief Financial Officer

David R. Savello, Ph.D.
Senior Vice President of
Development

David A. Stamler, M.D.
Senior Vice President and
Chief Medical Officer

CORPORATE HEADQUARTERS

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Santa Clara, CA 95051
Phone: 1 (408) 616-7200
Web: www.XenoPort.com

TRANSFER AGENT AND REGISTRAR

For change of address, lost stock certificates and other stock certificate related inquiries, please contact:

BNY Mellon Shareowner Services
480 Washington Boulevard
Jersey City, NJ 07310-1900
Phone: 1 (866) 637-5419
Web: www.bnymellon.com/shareowner/isd

INDEPENDENT AUDITORS

Ernst & Young LLP
Palo Alto, CA

LEGAL COUNSEL

Cooley Godward Kronish LLP
Palo Alto, CA

ANNUAL MEETING

The Company's Annual Meeting of Stockholders will be held on May 7, 2009 at 9 a.m. Pacific Time at XenoPort's corporate headquarters.

STOCK LISTING

Our Common Stock is traded on the NASDAQ Global Select Market under the symbol XNPT.

Our Annual Report to Stockholders contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, which are subject to the "safe harbor" created by those sections. Forward-looking statements are based on our management's beliefs and assumptions and on information currently available to our management. In some cases, you can identify forward-looking statements by terms such as "anticipate," "believe," "could," "estimate," "expect," "may," "plan," "potential," "predict," "project," "should," "will," "would" and similar expressions intended to identify forward-looking statements. These statements involve known and unknown risks, uncertainties and other factors, which may cause our actual results, performance, time frames or achievements to be materially different from any future results, performance, time frames or achievements expressed or implied by the forward-looking statements. We discuss many of these risks, uncertainties and other factors in the Annual Report to Stockholders in greater detail under the heading "Risk Factors." Given these risks, uncertainties and other factors, you should not place undue reliance on these forward-looking statements. Also, these forward-looking statements represent our estimates and assumptions only as of the date of this Annual Report to Stockholders. You should read this Annual Report to Stockholders completely and with the understanding that our actual future results may be materially different from what we expect. We hereby qualify our forward-looking statements by these cautionary statements. Except as required by law, we assume no obligation to update these forward-looking statements publicly, or to update the reasons actual results could differ materially from those anticipated in these forward-looking statements, even if new information becomes available in the future.

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