Gilead Presents Preliminary Data on Bictegravir, an Investigational Integrase Strand Transfer Inhibitor for the Treatment of HIV

June 20, 2016 8:31 AM ET

– Bictegravir Now Being Evaluated in Phase 3 Studies as Part of a Single Tablet HIV Regimen in Combination with Other Antiretroviral Agents –

FOSTER CITY, Calif.--(BUSINESS WIRE)--Jun. 20, 2016-- Gilead Sciences, Inc. today announced data from four pre-clinical and Phase 1 studies evaluating bictegravir (GS-9883), a novel, unboosted, investigational once-daily integrase strand transfer inhibitor (INSTI). The studies, which examined the antiviral potency, resistance profile, pharmacokinetics and safety of bictegravir, were presented this weekend during a poster session at the American Society of Microbiology (ASM) Microbe 2016 Conference in Boston. Bictegravir is currently in Phase 3 trials as part of a single tablet regimen in combination with tenofovir alafenamide (TAF) and emtricitabine (FTC) for the treatment of HIV-1 infection (bictegravir 50 mg/emtricitabine 200 mg/tenofovir alafenamide 25 mg).

“We are pleased to share initial results from the bictegravir clinical program, including data from the first Phase 1 human trial, which provided proof of concept for further evaluation of bictegravir as part of a single tablet regimen,” said Norbert Bischofberger, PhD, Executive Vice President, Research and Development and Chief Scientific Officer, Gilead Sciences. “Bictegravir represents Gilead’s ongoing efforts to develop new therapies with the potential to improve upon currently available treatments and address the unmet needs of people living with HIV.”

Bictegravir (GS-9883) Data at ASM

Poster 413: Bictegravir (GS-9883), a Novel HIV-1 Integrase Strand Transfer Inhibitor (INSTI) with Optimized *In Vitro* Resistance Profile

- The study examined the *in vitro* resistance profile of bictegravir compared to currently available INSTIs dolutegravir (DTG), elvitegravir (EVG) and raltegravir (RAL). Bictegravir demonstrated an improved resistance profile compared to DTG and a markedly improved profile compared to EVG and RAL against a panel of HIV integrase mutant viruses. Results also showed an improved resistance profile against all other INSTIs in patient isolates, particularly those with high-level INSTI resistance.

Poster 414: Discovery of GS-9883, an HIV-1 Integrase Strand Transfer Inhibitor (INSTI) with Improved Pharmacokinetics and *In Vitro* Resistance Profile

- Several INSTI candidates were tested for a range of properties including HIV-1 potency, metabolic stability, cytotoxicity and protein binding. Bictegravir was shown to be a potent INSTI with improved preclinical pharmacokinetics and an enhanced resistance profile compared to all currently available INSTIs—RAL, EVG and DTG. Bictegravir also exhibited a low potential for drug-to-drug interactions.

Poster 415: Novel Integrase Strand Transfer Inhibitor Bictegravir 10 Day Monotherapy in HIV-1 Infected Patients

- Twenty adults (19 male) with chronic HIV infection were treated with bictegravir (5, 25, 50 or 100 mg) or placebo once daily for 10 days to determine changes in HIV-1 RNA levels (viral load). Bictegravir was well tolerated at all dosing levels and provided rapid dose-dependent decreases in viral load that were sustained throughout the treatment period. There were no reports of primary resistance mutations in integrase, no serious adverse events (AEs) and no discontinuations due to AEs.

Poster 416: Antiviral Activity of GS-9883, a Potent Next-Generation HIV-1 Integrase Strand Transfer Inhibitor

- The study analyzed *in vitro* antiviral activity of bictegravir alone and in combination with TAF, FTC and darunavir (DRV). Bictegravir alone was highly potent against HIV-1 infected target cells and demonstrated no antiviral effect against non-HIV viruses. In combination with TAF, FTC and DRV, bictegravir was highly synergistic against HIV-1. Bictegravir exhibited low cytotoxicity in non-target human cell lines.

Further information about the clinical studies described above can be found at www.clinicaltrials.gov.

Bictegravir, including in combination with TAF and FTC as a single tablet regimen, is an investigational treatment for HIV that has not been determined to be safe or efficacious.

About Gilead Sciences

Gilead Sciences is a biopharmaceutical company that discovers, develops and commercializes innovative therapeutics in areas of unmet medical need. The company's mission is to advance the care of patients suffering from life-threatening diseases. Gilead has operations in more than 30 countries worldwide, with headquarters in Foster City, California.

Forward-Looking Statement

This press release includes forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995 that are subject to risks, uncertainties and other factors, including the possibility of unfavorable results from other clinical trials involving bictegravir. As a result, bictegravir may never be successfully commercialized. These risks, uncertainties and other factors could cause actual results to differ materially from those referred to in the forward-looking statements. The reader is cautioned not to rely on these forward-looking statements. These and other risks are described in detail in Gilead's Quarterly Report on Form 10-Q for the quarter ended March 31, 2016, as filed with the U.S. Securities and Exchange Commission. All forward-looking statements are based on information currently available to Gilead, and Gilead assumes no obligation to update any such forward-looking statements.

For more information on Gilead Sciences, please visit the company's website at www.gilead.com, follow Gilead on Twitter (@GileadSciences) or call Gilead Public Affairs at 1-800-GILEAD-5 or 1-650-574-3000.

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