New Clinical Study Data for Gilead's Investigational HIV-1 Capsid Inhibitor GS-6207 Presented at CROI 2020

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– Phase 1B Study Demonstrates the Potential of GS-6207 to Rapidly Reduce Viral Load After a Single Subcutaneous Injection –

– Gilead Progresses Long-Acting Therapy Research Program to Help Address Real-World Challenges for People Living with HIV –

BOSTON--(BUSINESS WIRE)-- Gilead Sciences, Inc. (NASDAQ: GILD) today announced data from clinical and preclinical studies exploring the use of GS-6207, an investigational, novel, first-in-class inhibitor of HIV-1 capsid function, as a potential long-acting therapy for people living with HIV. Results from a Phase 1b proof-of-concept study of a subcutaneous formulation showed antiviral activity with GS-6207 through the last day of monotherapy, Day 10, with significantly greater reductions in HIV-1 RNA versus placebo across all treatment groups (20 to 750 mg; all $p<0.0001$). These data were presented at the Conference on Retroviruses and Opportunistic Infections (CROI) 2020 in Boston.

Additional data presented at CROI provided further information on the potential utility of GS-6207 that support further development of the compound. Phase 1 data in healthy volunteers evaluating an oral tablet formulation found GS-6207 to be generally safe and well-tolerated with a pharmacokinetic profile supporting once a week administration without regard to food. Results from a preclinical study evaluating the impact of resistance mutations on the *in vitro* antiviral activity of GS-6207 were also presented. In this *in vitro* study, GS-6207 was not affected by mutations at the gag cleavage sites or by mutations associated with resistance to the four main classes of antiretroviral agents.

"The antiviral activity and safety profiles demonstrated in these early preclinical and clinical studies suggest the potential of GS-6207 as a long-acting treatment for people living with HIV, including those with multi-class drug resistance," said Eric S. Daar, MD, Chief of the Division of HIV Medicine at Harbor-UCLA Medical Center, Chief of HIV Services at the Lundquist Institute for Biomedical Innovation, Professor of Medicine at UCLA. "A long-acting therapy could offer an important option for people living with HIV who are unable to take a daily pill. These findings are an encouraging step toward ensuring more treatment options to fit the diverse needs of people living with HIV."

"There have been significant advances in HIV therapy over the past three decades but for some people living with HIV, moving away from the need to take daily treatment is an important priority," said Diana Brainard, MD, Senior Vice President, HIV and Emerging Viruses, Gilead Sciences. "By creating treatment options that can maintain virologic suppression regardless of a patient's adherence to taking oral medications, our goal is to help people living with HIV remain virally suppressed for life. These promising early data are part of Gilead's commitment to addressing the real-world needs of people living with HIV."

GS-6207 is an investigational agent that is being developed as a component of a long-acting regimen. GS-6207 disrupts HIV capsid, a multimeric shell that is essential to viral replication, at multiple stages throughout the viral life cycle. The FDA granted Breakthrough Therapy Designation for the development of GS-6207 for the treatment of HIV-1 infection in heavily treatment-experienced patients with multi-drug resistance in combination with other antiretroviral drugs. Data from Phase 1 studies that demonstrate GS-6207's antiviral activity and its potential for a dosing interval of up to every six months were presented at the 17th European AIDS Conference (EACS) in Basel, Switzerland in 2019.

Key abstracts for GS-6207 presented at CROI 2020 include:

Poster 3691: Dose-Response Relationship of Subcutaneous Long-Acting HIV Capsid Inhibitor GS-6207

This randomized, double-blind Phase 1b dose-response study evaluated the safety, antiviral activity and pharmacokinetics (PK) of GS-6207 in people living with HIV. Thirty-nine people living with HIV were randomized to receive a single subcutaneous dose of GS-6207 (20, 50, 150, 450, or 750 mg), or placebo. The primary endpoint was maximum reduction of plasma HIV-1 RNA through post-dose Day 10.

Results were presented for the 20 to 450 mg dose cohorts; the 750 mg cohort is still enrolling. All participants in the 20 to 450 mg cohorts who received GS-6207 experienced significant reductions in HIV-1 RNA by Day 10 ($p<0.0001$) compared to placebo. The maximum reduction in HIV-1 RNA through Day 10 ranged from 0.8 to 3.0 $\log_{10}$ copies/mL. The predicted maximum HIV-1 RNA reduction (E_{max}) was 2.2 $\log_{10}$ copies/mL. GS-6207 was generally safe and well tolerated. The most common AEs were injection site reactions, which were mostly mild and transient.

Poster 3670: Pharmacokinetics, Food Effect and Safety of Oral GS-6207, a Novel HIV-1 Capsid Inhibitor

This randomized, placebo-controlled Phase 1 study evaluated the safety, PK and food effect of oral GS-6207 in HIV-negative individuals. In the first cohort, forty participants were randomized to receive a single dose of oral GS-6207 (50, 300, 900, or 1800 mg) or placebo. In the second cohort, sixteen participants received a single dose of GS-6207 (300 mg) after either a high-fat meal or a lighter meal.

Interim results were presented through 35 days (300 and 900 mg fasted cohorts) or 8 days (remaining cohorts) post dose. All individuals completed dosing. GS-6207 was generally safe and well tolerated, following single oral doses of up to 1800 mg. The most common AEs were back pain and headache. The half-life of GS-6207 was approximately 12 days and the PK was not affected by high or low fat meal. These data support the development of GS-6207 as an oral weekly agent without regard to food.

Poster 4060: Absence of GS-6207 Phenotypic Resistance in HIV Gag Cleavage Site and Other Mutants

This preclinical study explored the effect of gag cleavage site mutations, which have emerged with the use of protease inhibitors (PIs) and maturation inhibitors, on the antiviral activity of GS-6207. The study also assessed the effect of mutations associated with resistance to the four main classes of HIV drugs (nucleoside reverse transcriptase inhibitors (NRTIs), non-nucleoside reverse transcriptase inhibitors (NNRTIs), PIs and integrase strand transfer inhibitors (INSTIs)).

The *in vitro* antiviral activity of GS-6207 was not affected by mutations at the HIV gag cleavage site or by the presence of mutations associated with

resistance to the four main classes of HIV drugs. The results support the evaluation of GS-6207 in people living with HIV with multi-class resistance.

GS-6207 is an investigational compound and is not approved by the U.S. Food and Drug Administration or any other regulatory authority. Its safety and efficacy have not been established. There is no cure for HIV or AIDS.

About Gilead Sciences

Gilead Sciences, Inc. is a research-based biopharmaceutical company that discovers, develops and commercializes innovative medicines in areas of unmet medical need. The company strives to transform and simplify care for people with life-threatening illnesses around the world. Gilead has operations in more than 35 countries worldwide, with headquarters in Foster City, California.

For more than 30 years, Gilead has been a leading innovator in the field of HIV, driving advances in treatment, prevention, testing and linkage to care, and cure research. Today, it's estimated that more than 12 million people living with HIV globally receive antiretroviral therapy provided by Gilead or one of the company's manufacturing partners.

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 ongoing and additional clinical trials involving GS-6207, and the possibility that we are unable to complete one or more of such trials on the currently anticipated timelines or at all. In addition, it is possible that Gilead may make a strategic decision to discontinue development of GS-6207, and as a result, GS-6207 may never be successfully commercialized. All statements other than statements of historical fact are statements that could be deemed forward-looking statements. 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 Annual Report on Form 10-K for the year ended December 31, 2019, 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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