Gilead Presents Data on Investigational HIV-1 Capsid Inhibitor GS-6207 as a Potential Component of Long-Acting HIV Therapy

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— Phase 1 Results Support Up to Six-month Dosing Interval and Advancement Into Later-Stage Clinical Trials —

FOSTER CITY, Calif.--(BUSINESS WIRE)--Nov. 8, 2019-- Gilead Sciences, Inc. (NASDAQ:GILD) today announced data on GS-6207, an investigational, novel, selective, first-in-class inhibitor of HIV-1 capsid function, that support its further development and potential role as a component in long-acting HIV combination therapy. New data from two Phase 1 studies demonstrate that GS-6207 has potent antiviral activity and a potential dosing interval of up to every six months. In both clinical studies, GS-6207 was generally well tolerated and no serious adverse events were reported. Additional *in vitro* virology study results suggest GS-6207 can potentially be used in a broad range of people living with HIV regardless of their treatment history. These data were presented at the 17th European AIDS Conference (EACS) in Basel, Switzerland.

“These data reinforce the potential of HIV capsid inhibition as a new long-acting therapeutic pathway to achieving durable viral suppression and support further clinical development of GS-6207,” said Diana Brainard, MD, Senior Vice President, HIV and Emerging Viruses, Gilead Sciences. “Based on these promising results, we look forward to initiating additional studies to evaluate GS-6207 in people living with HIV later this year.”

Gilead will be initiating enrollment of two new clinical trials of GS-6207 in combination with other antiretroviral agents in people living with HIV – a Phase 2/3 study (NCT03739866) in heavily treatment-experienced people living with multidrug resistant HIV-1, as well as a Phase 2 study (NCT04143594) in treatment-naïve people living with HIV. GS-6207 will be administered via a two-week oral lead-in, followed by a subcutaneous injection every six months.

“Long-acting HIV therapy is an exciting approach that could offer more convenience for people living with the disease who prefer not to take a daily pill,” said Eric Daar, MD, Chief of Division of HIV Medicine, Lundquist Institute at Harbor-UCLA Medical Center. “The potency and safety profiles of GS-6207, as demonstrated so far in research and early stage clinical trials, show its potential as a core component of a future long-acting HIV therapy.”

Data on GS-6207 presented at EACS 2019 include:

- **Safety and PK of subcutaneous of GS-6207, a novel HIV-1 capsid inhibitor (oral presentation PS13/1)**

In this Phase 1 study, 40 healthy participants were randomized to receive either subcutaneous GS-6207 at doses of 30, 100, 300 or 450 mg (n=8 for each cohort), or placebo (n=8). GS-6207 was generally safe and well tolerated. The most common AEs were injection site erythema (47 percent) and pain (38 percent), all of which were mild and resolved in a few days. The PK profile was characterized by prolonged exposure, with measurable concentrations for at least 32 weeks. These data suggest that GS-6207 may have a potential to be administered up to every six months.

- **Single doses of long-acting capsid inhibitor GS-6207 administered by subcutaneous injection are safe and efficacious in people living with HIV (poster PE3/17)**

This is an ongoing double-blind, placebo-controlled, proof-of-concept Phase 1b study in people living with HIV who are capsid inhibitor-naïve. Participants were randomized to receive either a single dose of GS-6207 (20, 50, 150 or 450 mg) administered subcutaneously (n=6 for each cohort) or placebo (n=2 for each cohort). The primary endpoint was maximum reduction of HIV-1 RNA through 10 days of treatment. Across 20 to 450 mg cohorts, mean maximum reduction in HIV-1 RNA by Day 10 ranged from 1.4 to 2.2 $\log_{10}$copies/mL; these reductions were all significantly greater than those observed in the placebo groups (all $p<0.0001$). In the blinded review of safety data, GS-6207 was generally safe and well tolerated. The most common AEs were injection site pain (41 percent) and erythema (28 percent), all of which were mild or moderate and resolved in a few days.

- **HIV-1 from antiretroviral-naïve and experienced patients lack capsid substitutions associated with GS-6207 *in vitro* resistance (poster PE13/15)**

In this analysis, a database of samples from 1,500 people living with HIV, including treatment-naïve (n=500), treatment-experienced but protease inhibitor (PI)-naïve (n=500) and treatment-experienced with PI failure with or without major PI resistance mutations (n=500), was screened for the presence of capsid mutations associated with *in vitro* resistance to GS-6207 (L56I, M66I, Q67H, K70N, N74D, N74S and T107N). None of the seven GS-6207 resistance mutations was detected among the patients studied. These results suggest a very low likelihood (<1 in 1500) of pre-existing resistance mutations against GS-6207 among people living with HIV.

- **Absence of naturally existing resistance against the HIV-1 capsid inhibitor GS-6207 in HIV-1 primary isolates (poster PE13/22)**

This study assessed the potency of GS-6207 in HIV-1 primary isolates with naturally occurring gag polymorphisms, which could be associated with loss of potency. The HIV-1 isolates were sourced from 51 people living with HIV, including treatment-naïve (n=15) and treatment-experienced (n=36) people. GS-6207 displayed high potency, with an EC_{50} of 95 pM, that was not affected by the presence of gag polymorphisms and/or PI resistance mutations. This result demonstrates the absence of naturally occurring resistance against GS-6207 in this sample of both treatment-naïve and treatment-experienced people living with HIV.

GS-6207 is an investigational therapy and not approved by any regulatory body globally; 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 nearly 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.

For more information on Gilead Sciences, please visit the company's website at www.gilead.com.

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 that we may not be able to complete the additional clinical studies of GS-6207 in the currently anticipated timelines or at all. There is also the possibility of unfavorable results from additional studies of GS-6207, and Gilead may make a strategic decision to discontinue development of GS-6207 if, for example, Gilead believes commercialization will be difficult relative to other opportunities in its pipeline. As a result, GS-6207 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 September 30, 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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