Gilead Initiates Phase 3 Clinical Program for Tenofovir Alafenamide, a Novel Low-Dose Prodrug for the Treatment of HIV

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-- Two Studies Will Compare a Tenofovir Alafenamide-Based Single Tablet Regimen to Gilead's Stribild® --

FOSTER CITY, Calif.--(BUSINESS WIRE)--Jan. 24, 2013-- Gilead Sciences, Inc. (Nasdaq: GILD) today announced the initiation of the first of two Phase 3 clinical trials (Study 104) evaluating a single tablet regimen containing tenofovir alafenamide (TAF) for the treatment of HIV-1 infection in treatment-naïve adults. TAF is a novel prodrug of tenofovir, the active agent in Viread® (tenofovir disoproxil fumarate). The Phase 3 studies will examine a once-daily single tablet regimen of TAF 10 mg/elvitegravir 150 mg/cobicistat 150 mg/emtricitabine 200 mg compared to Gilead's Stribild® (elvitegravir 150 mg/cobicistat 150 mg/emtricitabine 200 mg/tenofovir disoproxil fumarate 300 mg) among patients new to HIV therapy. The second Phase 3 study (Study 111) will be initiated later this quarter.

"We are pleased to move TAF into Phase 3 clinical research," said Norbert Bischofberger, PhD, Executive Vice President, Research and Development and Chief Scientific Officer, Gilead Sciences. "We believe that TAF's smaller milligram size has the potential to offer safety and tolerability advantages over existing therapies, and may enable the creation of new single tablet regimens for HIV."

In October 2012, Gilead announced topline results from a Phase 2 study comparing the TAF/elvitegravir/cobicistat/emtricitabine single tablet regimen to Stribild. The study found that the TAF-based regimen met its primary objective based on the proportion of patients with HIV RNA (viral load) levels < 50 copies/mL at 24 weeks of therapy. In addition, statistically significant differences in bone and renal safety were observed between the two arms in favor of the TAF-containing regimen. Both the type and frequency of laboratory abnormalities and adverse events were otherwise comparable between study arms. Full results from the Phase 2 study will be presented at an upcoming medical conference.

Stribild was approved by the U.S. Food and Drug Administration (FDA) in August 2012 and is Gilead's third single tablet regimen for HIV. A marketing application for Stribild is currently pending in Europe.

About the TAF Phase 3 Studies

Study 104 and Study 111 are randomized, double-blind, 96-week clinical trials among treatment-naïve HIV-1 infected adults with viral load greater than or equal to 1,000 copies/mL. In each study, a total of 840 patients will be randomized (1:1) to receive a once-daily tablet containing TAF 10 mg/elvitegravir 150 mg/cobicistat 150 mg/emtricitabine 200 mg (n=420) or Stribild (n=420).

The primary endpoint of the studies will be the proportion of patients with viral load < 50 copies/mL at 48 weeks of treatment as determined by the FDA-defined snapshot analysis. Secondary endpoints will include the proportion of patients with viral load < 20 copies/mL and < 200 copies/mL at 48 and 96 weeks of therapy as defined by the FDA snapshot analysis, the proportion of patients with viral load < 50 copies/mL at week 48 as defined by the FDA Time to Loss of Virologic Response (TLOVR) analysis, the proportion of patients with viral load < 50 copies/mL at week 96 as defined by the FDA snapshot and TLOVR analyses, and change from baseline in CD4+ cell count at weeks 48 and 96.

The studies will include patients with impaired renal function, i.e., those patients with an estimated glomerular filtration rate between 50 mL/mn and 90 mL/mn (according to the Cockcroft-Gault formula). Bone mineral density will be assessed for all patients by DEXA scans at baseline and every 24 weeks. After week 96, patients will continue to take their blinded study drug until treatment assignments have been unblinded, at which point all will be given the option to participate in an open-label rollover extension and receive the TAF-based single tablet regimen.

About Tenofovir Alafenamide

Tenofovir alafenamide (TAF) is a nucleotide reverse transcriptase inhibitor (NtRTI). It is a novel prodrug of tenofovir, the active agent in Viread® (tenofovir disoproxil fumarate), which is also an NtRTI. Phase 1b dose-ranging studies identified a dose of TAF

that is ten times lower than Viread and provides greater antiviral efficacy. The smaller milligram size of TAF may enable the development of new fixed-dose combinations and single tablet regimens for HIV therapy that are not feasible with Viread.

About Elvitegravir

Elvitegravir is a member of the integrase inhibitor class of antiretroviral compounds. Integrase inhibitors interfere with HIV replication by blocking the ability of the virus to integrate into the genetic material of human cells. Elvitegravir was licensed by Gilead from Japan Tobacco Inc. (JT) in March 2005. Under the terms of Gilead's agreement with JT, Gilead has exclusive rights to develop and commercialize elvitegravir in all countries of the world, excluding Japan, where JT retains rights. Gilead submitted a New Drug Application (NDA) to FDA for elvitegravir as a standalone agent on June 27, 2012, and the agency has set a target action date under the Prescription Drug User Fee Act (PDUFA) of April 27, 2013.

About Cobicistat

Cobicistat is Gilead's proprietary potent mechanism-based inhibitor of cytochrome P450 3A (CYP3A), an enzyme that metabolizes drugs in the body. Unlike ritonavir, cobicistat acts only as a pharmacoenhancing or "boosting" agent and has no antiviral activity. Gilead submitted an NDA to FDA for cobicistat as a standalone agent on June 28, 2012, and a PDUFA date of April 28, 2013 has been set.

TAF-containing regimens and TAF, elvitegravir and cobicistat as single agents are investigational products and their safety and efficacy have not yet been established.

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 worldwide. Headquartered in Foster City, California, Gilead has operations in North America, Europe and Asia Pacific.

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 risks related to our ability to enroll patients in the clinical trials involving TAF and the possibility of unfavorable results from these clinical trials. In addition, Gilead may make a strategic decision to discontinue development of TAF if, for example, Gilead believes commercialization will be difficult relative to other opportunities in its pipeline. There is also risk that Gilead may not be able to commence the second clinical trial of TAF and file for regulatory approval of TAF in the anticipated timelines. Further, Gilead may be unable to obtain approvals from regulatory authorities for TAF, elvitegravir and/or cobicistat, alone or in combination with other products. If marketing approval is granted for any of these products, there may be significant limitations on their use. As a result, these product candidates as standalone agents or as part of single tablet regimens 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, 2012, 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.

U.S. full prescribing information for Emtriva, Stribild and Viread is available at www.gilead.com.

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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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Gilead Sciences, Inc.

Patrick O’Brien, 650-522-1936 (Investors)
Erin Rau, 650-522-5635 (Media)