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Rigel Initiates Two Phase 2b Clinical Trials of R788 in Rheumatoid Arthritis

TASKI 2 and TASKI 3 Trials Launch Globally

SOUTH SAN FRANCISCO, Calif., June 12 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced the initiation of two Phase 2b clinical trials of its orally bioavailable Syk inhibitor, R788 (fostamatinib disodium), in patients with rheumatoid arthritis (RA). The two clinical trials will be conducted concurrently at a number of clinical research centers throughout the United States, Latin America, and Europe in order to evaluate the efficacy of R788 compared to placebo in distinct RA patient groups. Results of the clinical trials are expected to be available in late 2009.

In December 2007, Rigel announced the results of a Phase 2 clinical trial of R788 in RA patients simultaneously receiving methotrexate, which found that doses of 100 mg bid and 150 mg bid produced statistically significant improvement in RA symptoms.

"Data from our initial Phase 2 clinical trial showed important clinical benefit with R788 in rheumatoid arthritis. We hope that these new clinical trials will demonstrate similar results in larger numbers of patients and for a longer treatment period," said Elliott Grossbard, M.D., executive vice president and chief medical officer of Rigel. "We will then expect to be positioned to undertake a conclusive Phase 3 clinical program with this drug candidate."

Study Design and Objectives

The first new Phase 2b clinical trial (TASKI 2) will evaluate RA patients receiving 100 mg of R788 PO bid (orally, twice daily) or 150 mg PO qd (orally, once daily), compared with those receiving placebo in a multi-center, randomized, double blind, placebo controlled, parallel dose study of R788 in patients who have failed to respond to methotrexate. We expect to enroll approximately 420 patients in this clinical trial each of whom will continue to receive a stable dose of methotrexate throughout the course of the 6 month clinical trial.

The second Phase 2b clinical trial (TASKI 3) will evaluate a group of RA patients receiving 100 mg of R788 PO bid compared with a group receiving placebo in a multi-center, randomized, double blind, placebo controlled, parallel dose study of R788 in patients who have failed at least one marketed biologic agent. The class of biologic agents generally includes anti-TNF injectables commonly used to treat RA, but could include other therapies as well. We expect to enroll approximately 195 patients in the TASKI 3 clinical trial, each of

whom will receive R788 or placebo over a 3 month treatment period. During this clinical trial, enrolled patients may continue on their stable dose of methotrexate and/or other (non-biologic) therapies.

The primary objectives for both TASKI 2 and TASKI 3 are to measure the efficacy of R788 as determined by ACR20 scores (American College of Rheumatology responder rates showing a minimum of 20% improvement in RA symptoms and pain) at 6 months and 3 months, respectively. Secondary objectives will include comparing higher ACR response rates (ACR 50 and ACR 70) and DAS28 rates, in addition to evaluating various safety measures. TASKI 3 will also include measurement of changes in bone morphology using MRI scans.

Rheumatoid Arthritis and R788

RA is a progressive, painful and potentially debilitating disease that affects more than 2 million people in the U.S. and approximately 1% of the population worldwide. It is a chronic inflammatory disease resulting from overstimulation of the body's immune system that ultimately causes inflammation in the joints and the destruction of soft tissues, cartilage and bone. Rigel's R788 is a novel, orally available Syk kinase inhibitor designed to interrupt the cellular signaling at the trigger point of inflammation, thereby stopping the progression of the disease.

About Rigel (http://www.Rigel.com)

Rigel is a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory/autoimmune diseases and cancer, as well as viral and metabolic diseases. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Rigel's productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Rigel has product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia and asthma, as well as in cancer.

This press release contains "forward-looking" statements, including statements related to the potential efficacy and commercial potential of R788 and Rigel's plans to pursue further clinical development thereof. Any statements contained in this press release that are not statements of historical fact may be deemed to be forward-looking statements. Words such as "believes," "expects," "plans" and similar expressions are intended to identify these forward-looking statements. There are a number of important factors that could cause Rigel's results to differ materially from those indicated by these forward-looking statements, including risks associated with the timing and success of clinical trials and the commercialization of product candidates, potential problems that may arise in the clinical testing and approval process and Rigel's need for additional capital, as well as other risks detailed from time to time in Rigel's reports filed with the Securities and Exchange Commission, including its Form 10-Q for the quarter ended March 31, 2008. Rigel does not undertake any obligation to update forward-looking statements.

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