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Rigel R788 Raises Platelet Counts in Immune Thrombocytopenic Purpura (ITP) Patients in Phase 2 Study

SOUTH SAN FRANCISCO, Calif., Nov. 9 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced results of its Phase 2 clinical study of R788, a novel, oral Syk kinase inhibitor, in patients with Immune Thrombocytopenic Purpura (ITP). The single-center, open-label, dose-escalating study showed that R788 (tamatinib fosdium) can improve platelet counts in this autoimmune disorder in which the body attacks and destroys its own blood platelets.

Initiated in January 2007, the study evaluated the safety and efficacy of R788 in adult ITP patients who had previously undergone and failed to respond to available treatments. Despite the severity of their disease, a majority of the patients responded favorably to the study drug. The primary side effects were gastrointestinal related events in certain patients.

"The effects of R788 treatment in this very refractory group of ITP patients are impressive. The majority of these patients have reported important clinical benefit from R788, and I look forward to further studies with this exciting agent," said James B. Bussel, M.D., director of the Platelet Research and Treatment program at the Phyllis and David Komansky Center for Children's Health and NewYork-Presbyterian Hospital/Weill Cornell Medical Center and professor of pediatrics in obstetrics and gynecology and in medicine at the Weill Cornell Medical College, and principal investigator on this study.

Elliott Grossbard, M.D., senior vice president of medical development at Rigel, said, "We are pleased with the results of this Phase 2 study of R788 and have already begun to review the data to determine the appropriate next steps." He added, "Rigel's oral R788 drug candidate may not only help patients with ITP, but may also have potential therapeutic benefit in patients with rheumatoid arthritis and lymphoma, where we have additional Phase 2 studies ongoing."

Study Design and Results

This single-center, ascending dose, proof-of-concept study evaluated various doses of R788 with most patients receiving between 100 to 175 mg/day BID. The study enrolled adult patients in the U.S. who have chronic refractory ITP. The patients were monitored for safety and early efficacy, with the primary efficacy marker being the measure of platelet counts

compared to each patient's baseline measurement taken prior to introduction of R788.

Nine of the first 14 patients (64%) studied responded favorably to R788 treatment with higher stable platelet counts; six of these had peak platelet counts of greater than 100,000 platelets/ul of blood. Two patients, who had previously failed a wide range of other treatments and were receiving weekly IV gammaglobulin, maintained platelet counts while on only R788 for 20 weeks of the study. For those two patients, this marked the first time in 10 years that each achieved prolonged avoidance of intravenous immunoglobulin G injections. Overall these patients were highly refractory with most having failed several other therapies, 10 had failed splenectomy and 5 were over 70 years old. The primary side effects were GI-related symptoms. R788 elevated blood pressure in some patients but appeared not to have significant effect on neutrophil counts.

An abstract of these results is available on the American Society of Hematology (ASH) website. A more complete and updated poster presentation will be made at the ASH meeting on December 8, 2007, from 9:00a.m. - 7:30p.m., in Atlanta, GA.

Immune Thrombocytopenic Purpura

ITP affects approximately 200,000 people in the U.S., with an estimated 30,000 new cases each year. In patients with ITP, the immune system attacks and destroys the body's own blood platelets, which play an active role in blood clotting and healing. ITP patients can suffer extraordinary bruising, bleeding and fatigue as a result of low platelet counts. Failure of first-line medical therapy for ITP, which is primarily steroids, can lead to the removal of the spleen, which poses the risk of other significant complications. Other therapies in late study aim to boost blood platelet production while R788 is attempting to address the autoimmune basis of the disease.

Taken in tablet form, R788 blocks the activation of Syk kinase inside immune cells. ITP causes the body to produce antibodies that attach to healthy platelets in the blood stream. Immune cells recognize these antibodies and affix to them, which activates the Syk enzyme inside the immune cell, and triggers the destruction of the antibody and the attached platelet. When Syk is inhibited by R788, it interrupts this immune cell function and allows the platelets to escape destruction. Preclinical and early clinical data show that R788 may be useful in stopping platelet destruction and may provide therapeutic benefit in treating this rare autoimmune disorder.

Further information on ITP and R788 in ITP is available at Rigel's website: http://www.rigel.com/rigel/ITP

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 goal is to file one new investigational new drug (IND) application in a significant indication each year. Rigel has achieved this goal every year since 2002. 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 of Rigel's product candidates. 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 "plans," "intends," "indicates," "promising," "expects," "anticipates" 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, as well as other risks detailed from time to time in Rigel's SEC reports, including its Form 10-Q for the quarter ended September 30, 2007. Rigel does not undertake any obligation to update forward-looking statements.

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