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## **Rigel Provides Clinical Update of R788 Phase 2 Trials in ITP, Rheumatoid Arthritis and Lymphoma**

SOUTH SAN FRANCISCO, Calif., April 11 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today provided a clinical update on R788, which is currently in ongoing Phase 2 clinical trials in immune thrombocytopenic purpura (ITP), rheumatoid arthritis (RA) and lymphoma patients. R788 is a novel, oral syk kinase inhibitor that blocks the activation of mast cells, macrophages and B-cells that promote swelling, inflammatory responses and tissue damage.

### **R788 in ITP - Phase 2 Trial**

Rigel commenced an exploratory Phase 2 clinical trial of R788 in January 2007 to evaluate its safety and efficacy in chronic ITP patients. In this clinical trial, R788 was orally administered in doses ranging from 75mg BID to 125mg BID for 30 or more days. We have observed encouraging preliminary drug activity in raising platelet counts in a number of the patients studied to date. Based on these initial results, we have submitted an amended protocol to the FDA to expand this trial to allow for a greater range of dose regimens and to continue to treat those patients that are responding beyond 90 days. We expect to receive final results from the study by the end of 2007.

"We are enthusiastic about these preliminary observations in raising platelet counts in most of the patients studied to date, without discernible issues of safety and tolerability" said James B. Bussel, M.D., Director of the Platelet Disorder Center, Children's Cancer and Blood Foundation Division at the New-York-Presbyterian Hospital/Weill Cornell Medical Center, New York.

### **R788 in Rheumatoid Arthritis - Phase 2 Trial**

In September 2006, Rigel commenced a Phase 2, multi-center, ascending dose, randomized, double-blind, placebo-controlled, dose ranging clinical trial to evaluate up to three doses of R788 in RA patients, on methotrexate, for 90 days. Rigel has completed the first dose group (50mg BID) in the clinical trial and is currently enrolling patients in the second dose group (100mg BID). To date, R788 appears to be very well tolerated in the first dose group. To expedite patient recruitment, Rigel has added additional clinical sites that

have significant experience in RA clinical trials. Rigel expects to receive results from the completed clinical trial in the second half of 2007.

## **R788 in Lymphoma - Phase 2 Trial**

Rigel today announced the enrollment of patients in a multi-center, Phase 2 clinical trial to evaluate the safety and efficacy of R788 for the treatment of patients with B-cell lymphoma. Approximately 80% of patients with non-Hodgkin's lymphoma have the B-cell variety, which is characterized by an over-expression of B-cell receptors and the proliferation of B-cells. Research has shown that R788 effectively interrupts the growth of B-cells and signaling proteins that contribute to the survival of these tumors.

The open label clinical trial is expected to enroll a total of approximately 60 patients at 10 major cancer treatment centers in the U.S. and will focus on refractory diffuse large, follicular and mantle B-cell lymphomas. The primary efficacy endpoint will be overall response rate according to standard criteria. Secondary efficacy, safety and pharmacodynamic endpoints, as well as the role of syk activation in predicting responses, will also be measured. Depending on enrollment, results of the clinical trial are expected in 2008.

## **About R788**

R788 is a novel, oral syk kinase inhibitor that blocks the activation of mast cells, macrophages and B-cells that promote swelling, inflammatory responses and tissue damage. These activities derive from syk kinase's pivotal role in mediating immunoglobulin signaling inside cells. It is currently being evaluated in two Phase 2 studies with respect to RA and ITP, and enrollment in an additional Phase 2 clinical trial in B-cell lymphoma has commenced. Phase 1 trial results demonstrated that R788 was well tolerated and showed good pharmaceutical properties when administered both alone and, with respect to the treatment of patients with RA, in combination with methotrexate. In preclinical studies, Rigel's R788 was shown to diminish the swelling and tissue destruction associated with RA. In addition, in a murine model of ITP, R788 was shown to increase platelet counts significantly.

## **About B-Cell Lymphoma**

Lymphoma is a large class of blood cancers that affect the lymphoid system, which is part of the immune system. In 2006, lymphoma affected an estimated 500,000 people in the United States, with 332,000 of them suffering from non-Hodgkin's varieties of the disease. Diffuse large B-cell lymphoma is the most common type of non-Hodgkin's lymphoma and is generally categorized as aggressive, marked by rapidly growing tumors in the lymph nodes, spleen, liver, bone marrow and other organs.

A variety of treatment options exist, including chemotherapy and radiation, but the five-year survival rate for non-Hodgkin's lymphoma patients is estimated to be around 50%. Even for those who respond to treatment, recurrence of the disease is common.

## **About Rigel ([www.rigel.com](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 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 Rigel's plans to pursue clinical development of product candidates, the timing of results thereof and the potential efficacy of 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," "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-K for the year ended December 31, 2006. Rigel does not undertake any obligation to update forward-looking statements.

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