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Rigel Announces Initiation of Clinical Trials in Two Immunology Programs

Robust Pipeline will be the Company's focus at J.P. Morgan Conference

SOUTH SAN FRANCISCO, Calif., Jan. 5, 2012 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (RIGL: Nasdaq) today announced that two of its lead product candidates entered clinical trials during the fourth quarter of 2011. The company is evaluating R548, an oral JAK3 inhibitor, as a potential therapeutic for transplant rejection and other systemic immune disorders. The second candidate, R333, is a topical JAK/SYK inhibitor aimed at treating various phases of discoid lupus (lupus of the skin). Rigel's product pipeline will be the focus of the company's presentation at the 30th Annual J.P. Morgan Healthcare Conference in San Francisco on Monday, January 9th at 1:30 pm Pacific Time (webcast details below).

"Rigel continues to be one of the most productive companies in the biopharmaceutical sector, as evidenced by the commencement of First in Human (FIH) studies in two programs this past quarter," said James M. Gower, chairman and chief executive officer of Rigel. "The goal of our highly-talented 155 person R&D-focused organization is to add at least one new product candidate into the clinic each year for the foreseeable future and move those into proof-of-concept trials. We plan to have Phase 2 trial results in three internal programs in 2013," he added.

R548, JAK3 Inhibitor

Transplant rejection is an area of tremendous medical need. While 90% of patients survive the first year after receiving the transplanted organ, chronic organ rejection rates rise to 50% within the five to ten years following surgery. Currently available therapeutics are not sufficient to help these patients achieve lasting recovery. Furthermore, transplants of certain organs are rarely done because of the inadequacies of these therapies. Rigel's R548 is a JAK3 inhibitor that is expected to moderate the immune system's response to the allograft and improve patient outcomes. R548 may also have application in treating other immune system disorders. Phase 1 clinical studies in normal healthy volunteers began in the fourth quarter of 2011.

R333, Topical JAK/SYK Inhibitor

Discoid Lupus Erythematosus (DLE) is an autoimmune system disorder of the skin. Inflamed disk-shaped sores on the face, chest and scalp, which may result in scaring, swelling and

hair loss, characterize DLE. This disorder has an acute phase, which research has connected to SYK kinase signaling within the immune cascade. There is also a chronic phase of the disorder due to the abundance of JAK signaling. The current treatment options available for discoid lupus are few and have toxicities that further limit their use. In December 2011, Rigel began a Phase 1 clinical trial of R333, a topical JAK/SYK inhibitor, which may be useful in treating both phases of this potentially disfiguring disorder.

R343, Inhaled SYK Inhibitor

In addition to the above clinical programs, Rigel expects to initiate a Phase 2 trial with R343, an inhaled SYK inhibitor, for patients with allergic asthma in mid-2012. This multi-center, multiple dose, placebo controlled study is expected to include approximately 300 asthma patients. R343 will be delivered directly into the lungs via a dry inhalation device. Rigel will share additional information about its plans for R343 in future announcements.

Fostamatinib, SYK Inhibitor

In the meantime, Rigel's collaborator on fostamatinib, AstraZeneca (AZ), has indicated that the Phase 3 studies in rheumatoid arthritis are continuing as planned. The first of the OSKIRA (Oral SYK Inhibition in Rheumatoid Arthritis) studies, OSKIRA-1, completed full enrollment in the fourth quarter of 2011. OSKIRA-1 is a 12-month clinical trial of approximately 900 patients studying two dosing regimens of fostamatinib compared to placebo in patients who are not achieving an adequate response with methotrexate alone. AZ expects to file a New Drug Application for fostamatinib in the U.S. and a European equivalent in 2013. The Companies will provide more specific timing as that milestone approaches.

Webcast Details

To access the live audio webcast of the company presentation at the J.P. Morgan Conference or the subsequent archived recording, log on to www.rigel.com. Please connect to Rigel's website several minutes prior to the start of the live webcast to ensure adequate time for any software download that may be necessary.

About Rigel (www.rigel.com)

Rigel is a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as muscle disorders. Rigel's 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 its product candidates. Current product development programs include fostamatinib, an oral SYK inhibitor that is in Phase 3 clinical trials for rheumatoid arthritis, R343, an inhaled SYK inhibitor that has completed Phase 1 clinical trials for asthma, R548, an oral JAK3 inhibitor for the treatment of transplant rejection and other immune disorders, and R333, a topical JAK/SYK inhibitor for the treatment of discoid lupus.

This press release contains "forward-looking" statements, including, without limitation, statements related to Rigel's future product candidate pipeline and strategy, the potential uses and efficacy of Rigel's product candidates, the timing and design of its future clinical

trials and potential milestones and regulatory filings associated with 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 "will," "may," "aim," "believe," "plan," "expect," "potential," and similar expressions are intended to identify these forward-looking statements. These forward-looking statements are based upon Rigel's current expectations and involve risks and uncertainties. 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, without limitation, risks associated with Rigel's need for additional capital, the timing and success of preclinical studies and clinical trials and the potential problems that may arise in the research and development and approval process, market competition, risks associated with Rigel's corporate partnerships, including risks that if conflicts arise between Rigel's and its corporate partners, the clinical development or commercialization of the affected product candidates or research programs could be delayed or terminated, as well as other risks detailed from time to time in Rigel's reports with the Securities and Exchange Commission, including its Quarterly Report on Form 10-Q for the quarter ended September 30, 2011. Rigel does not undertake any obligation to update forward-looking statements and expressly disclaims any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein.

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