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Rigel Initiates Phase 2 Clinical Studies with R343 for Asthma and R333 for Discoid Lupus

SOUTH SAN FRANCISCO, Calif., Sept. 5, 2012 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that it has commenced Phase 2 clinical studies with two of the company's most advanced proprietary therapeutic product candidates. R343 is an inhaled SYK inhibitor that is being evaluated as a potential treatment for allergic asthma. R333 is a topical JAK/SYK inhibitor aimed at treating various phases of discoid lupus erythematosus (DLE, or lupus of the skin).

To view the multimedia assets associated with this release, please click <http://www.prnewswire.com/news-releases/rigel-initiates-phase-2-clinical-studies-with-r343-for-asthma-and-r333-for-discoid-lupus-168537986.html>

"R343 and R333 are leading the way in a substantial lineup of proprietary product candidates in Rigel's pipeline," said James M. Gower, chairman and chief executive officer of Rigel. "In addition to fostamatinib, which is in Phase 3 with our partner AstraZeneca, we now have two products in Phase 2 clinical studies and several more in, or preparing to enter, the clinic. Rigel continues to demonstrate its R&D productivity," he added.

Phase 2 Study of R343, an inhaled SYK inhibitor

Approximately 270 adults with allergic asthma will be randomized into the three arms of this Phase 2 clinical study of R343, called SITAR (SYK Inhibition for Treatment of Asthma with R343), for eight weeks of treatment with either of two different doses of the study agent or placebo. The primary endpoint of this double-blind, multi-center study will be the measurement of each patient's change in FEV1 (the maximum amount of air a person can forcefully exhale in one second) from baseline to dosing completion. Rigel will be using the 3M™ Taper Dry Powder Inhaler device for this trial. Rigel expects to complete this study in 2013.

Phase 2 Study of R333, a topical JAK/SYK inhibitor

In this Phase 2, double-blind, multi-center study, called SKINDLE (SYK Kinase Inhibition for DLE), more than 50 patients with active discoid skin lesions from DLE or Systemic Lupus Erythematosus (SLE) will be randomized into two groups. One group will receive R333 in a topical ointment and the other a placebo ointment to be administered on the lesions twice daily for four weeks. The primary endpoints of this study will be the measurement of each

person's decrease in the total combined Erythema and Scaling Score of all treated lesions from baseline to Day 28. Rigel expects to complete this study in 2013.

Allergic Asthma

The Asthma and Allergy Foundation of America estimates that 20 million people in the U.S. have asthma; the majority of them classified as allergic asthma. Despite the currently available therapies, approximately 25%, or approximately 2 million, of all Emergency Room visits each year are attributed to acute and severe episodes of this disease. The research conducted thus far on the novel mechanism of action of R343 suggests that this single agent may provide therapeutic benefit to counter both acute/early and chronic/late inflammation mechanisms.

R343 and Asthma

In patients with allergic asthma, allergens, such as pollen, trigger the production of immunoglobulin E (IgE) antibodies, which then bind to mast cells (the body's defense system gatekeepers) and spark a cascade of intracellular signals to mount an immune response resulting in swelling and inflammation of the airways. SYK is a cellular protein that plays a pivotal role in IgE receptor signaling in mast cells. Rigel's R343 is designed to bind to the SYK in mast cells to interrupt the signal from the IgE receptors. R343's ability to inhibit SYK potentially prevents or stops the immune response to the allergen and may be effective in the short and long-term control of allergic asthma. To view Rigel's R343 animation, go to www.rigel.com/rigel/aa.

Discoid Lupus

Approximately 300,000 Americans suffer from Discoid Lupus, an autoimmune disorder of the skin, and the Lupus Foundation of America states that more than 16,000 new cases are diagnosed in the US each year. DLE patients may frequently suffer inflamed disk-shaped sores on the face, chest and scalp, which may cause scarring, swelling and hair loss. The acute phase of this disorder (and its cousin, SLE) is connected to SYK signaling within the body's immune cascade. The chronic phase of these disorders is characterized by an abundance of JAK signaling.

R333 and Discoid Lupus

Currently available treatments for DLE have either poor efficacy or significant toxicities. Rigel's R333 is a potent, topical JAK and SYK inhibitor that is designed to interrupt pivotal inflammatory cascade signals with the potential to prevent or diminish both acute and chronic phases of this disorder. To view Rigel's animation on R333 in DLE, go to www.rigel.com/rigel/discoid_lupus.

About Rigel (www.rigel.com)

Rigel Pharmaceuticals, Inc. 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 with its partner AstraZeneca; R343, an inhaled SYK inhibitor for asthma and R333, a topical JAK/SYK inhibitor for discoid lupus – both of which have commenced Phase 2 clinical trials; and R548, an oral JAK3 inhibitor for the treatment of transplant rejection and other immune

disorders.

This press release contains "forward-looking" statements, including, without limitation, statements related to the timing and trial design for R343 and R333 and Rigel's future product candidate pipeline and strategy. 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," "potential," "expects," "suggests," "designed," "aims," 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 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, Rigel's need for additional capital, risks associated with Rigel's corporate partnerships and collaborations, 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 June 30, 2012. 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.

Contact: Raul Rodriguez
Phone: 650.624.1302
Email: invrel@rigel.com

Media Contact: Susan C. Rogers, Alchemy Consulting, Inc.
Phone: 650.430.3777
Email: susan@alchemyemail.com

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