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Rigel Advances Asthma Programs: Two Inhaled Drug Candidates Take Aim at Acute and Chronic Lung Disease

SOUTH SAN FRANCISCO, Calif., May 16, 2012 /PRNewswire/ -- Rigel Pharmaceuticals, Inc., (Nasdaq: RIGL) is expanding its respiratory franchise by focusing on two innovative, comprehensive treatment alternatives for patients with asthma. One of these agents, R343, an inhaled SYK inhibitor, will be entering a Phase 2 clinical study later this summer in mild to moderate asthmatic patients. The other, R256, an inhaled IL13 signaling/JAK inhibitor, is potentially useful in controlling moderate to severe as well as chronic forms of the disorder. Clinical results with R343 and research results with R256 will be presented at the upcoming American Thoracic Society Conference in San Francisco, CA this month (see details below).

"Extensive study of inflammatory conditions in the lung has led us to discover small molecule therapeutics that may be capable of disrupting the inflammatory cascade, restoring lung tissue functionality and, in cases of severe disease, preventing airway remodeling resulting in additional tissue damage," said Donald G. Payan, M.D., Rigel's co-founder and president of Discovery and Research. "Direct delivery of R343 and R256 into the airway puts the drugs where they are needed, and may offer new options in asthma therapy that address not only the symptoms, but also the underlying causes of the disease."

Asthma

Asthma is recognized as a chronic inflammatory disorder of the lungs and respiratory passages, which often occurs in response to the presence of an allergen or pathogen. Uncontrolled or chronic inflammation associated with asthma may result in long-term damage to the airways and lungs. In severe cases or episodes, this disorder can cause breathlessness, tissue scarring and/or death from asphyxiation.

According to the Asthma and Allergy Foundation of America, an estimated 20 million people in the U.S. have asthma. The majority of the cases are considered allergic asthma, for which there is no known cure. Acute and severe episodes of the disease make up approximately two million Emergency Room visits each year, accounting for 25% of all visits.

R343, Inhaled SYK Inhibitor

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 in the lung) and spark a cascade of intracellular signals to mount an immune

response resulting in swelling and inflammation of the airways. SYK is a protein tyrosine kinase 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 and 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.

Rigel expects to initiate a multi-center, multiple-dose, and placebo-controlled study with R343 in approximately 270 asthma patients this summer.

To view Rigel's R343 Asthma Animation, please go to:http://www.rigel.com/rigel/aa.

R256, Inhaled IL13 Signaling /JAK Inhibitor
In patients with severe or chronic asthma, the cells lining the walls of the respiratory airways and lungs experience persistent inflammation and cellular remodeling resulting in scarring (pulmonary fibrosis) if left untreated. Inhibition of JAK along the IL13 and IL4 signaling pathways, at the primary cellular level of the airways, may reduce or stop the inflammatory cascade associated with bronchospasms, mucus overproduction, cell wall thickening and other symptoms of chronic lung obstruction. Rigel has conducted preclinical studies with R256 in a variety of models and expects to initiate preclinical development leading to an IND with R256.

ATS Poster Presentations
A Randomized, Placebo Controlled Trial of the Effect of an Inhaled SYK Inhibitor on Allergen Induced Airways Responses in Mild Asthma (R343)
May 21, 2012, 8:15AM – 4:30PM
Poster Session: B33 – ASTHMA THERAPY: NOVEL APPROACHES

Janus Kinase (JAK) 3 Inhibitor, R256, Attenuates Allergen-Induced Airway Hyperresponsiveness and Airway Inflammation in Mice
May 21, 2012, 8:15AM – 4:30PM
Poster Session: B33 – ASTHMA THERAPY: NOVEL APPROACHES

R256 Is a Potent and Selective IL13/4 Receptor Signaling Inhibitor That Reduces Inflammation In a Mouse Model of Chronic Asthma
May 21, 2012, 8:15AM – 4:30PM
Poster Session: B37 – NEW INSIGHTS INTO ASTHMA AND COPD TREATMENT

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 our partner AstraZeneca; R343, an inhaled SYK inhibitor that has completed Phase 1 clinical trials for asthma; R333, a topical JAK/SYK inhibitor for discoid lupus; 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 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 "may," "expects," "potentially," "designed", 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, without limitation, the potential problems that may arise in the research and development and approval process, 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 March 31, 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.

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