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Rigel Assumes Development Responsibility for Inhaled Asthma Therapy

Plans Phase 2 Clinical Trial of R343

SOUTH SAN FRANCISCO, Calif., May 6, 2011 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that the company will assume development of R343, its inhaled syk inhibitor for allergic asthma that recently completed several Phase 1 clinical trials. Pfizer Inc. is returning full rights to the R343 program as a result of its decision to exit the allergy and respiratory therapeutic area within R&D. Rigel is evaluating the details of R343's development to date and expects to design a Phase 2 clinical trial with R343 later this year.

"It is rare in our business that one has the opportunity to develop an asset which is both promising and on which the research and development has been as well done as the package that Pfizer is transferring to us. R343 will now become Rigel's most advanced in-house project," said James M. Gower, chairman and chief executive officer of Rigel. "The introduction of a therapeutic that would target a possible underlying cause of allergic asthma, such as R343's inhibition of syk in the immune cascade, may provide a significant advancement in the treatment of this disorder."

In 2005, Rigel licensed its portfolio of inhaled small molecule syk inhibitors to Pfizer. A year later, Pfizer identified R343 as the lead product candidate for intrapulmonary delivery in the potential treatment of allergic asthma. R343 is highly selective to syk and has exhibited limited full body (systemic) exposure. In 2007, Pfizer began the first in a series of Phase 1 clinical trials of R343 in normal healthy adults and then later in mildly asthmatic adults. The initial results from these clinical trials, which have not yet been published, showed R343 to be well tolerated and with beneficial improvement in both the early and late phase asthmatic responses following an allergen challenge.

Syk Inhibition and Allergic Asthma

Allergic asthma is a chronic inflammatory disorder of the lungs and respiratory passages caused in response to an allergen or pathogen. In severe cases or episodes, this disorder can cause breathlessness, tissue scarring and/or death from asphyxiation. In patients with this disorder, 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 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 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.

Current Therapies for Allergic Asthma

According to the Asthma and Allergy Foundation of America, an estimated 20 million people in the U.S. have asthma. Acute and severe episodes of the disease account for 25% of the emergency room visits each year, or approximately 2 million visits each year. The majority of cases are for allergic asthma, for which there is no known cure. Medical specialists have focused on proper prevention and treatment to successfully manage the disorder in most patients.

The two predominant therapies for the treatment of allergic asthma are inhaled corticosteroids (ICS) and beta 2 agonists, both of which are administered as intrapulmonary mist or powders via inhalers. The aim of ICSs is to reduce the inflammation in the airways resulting from the body's immune response to an allergen. Beta 2 agonists cause the muscles surrounding the bronchial tubes to relax, thereby opening the airways and are either short- or long-acting beta 2 agonists (SABAs or LABAs). SABAs are quick acting agents (sometimes called rescue inhalers) that provide immediate relief in cases of acute airway restriction, whereas LABAs take awhile to give relief, but are effective in keeping the muscles calm over a longer period of time.

The improvements to the allergic asthma treatment in the past decade include the introduction and wide adoption of the combination of a LABA and an ICS in one inhaler, and the introduction of a biologic agent, omalizumab, which is reserved for the severe persistent allergic asthma in year round allergic situations as it must be administered via subcutaneous injection in a physician's office.

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 has started its phase 3 clinical trial program for rheumatoid arthritis, and R343, an inhaled syk inhibitor that has completed Phase 1 clinical trials for asthma.

This press release contains "forward-looking" statements, including, without limitation, statements related to Rigel's plans to pursue further clinical development of R343, including the timing thereof, and the potential efficacy of R343 for the treatment of allergic asthma. 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 "expects," "plans," "may" 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 clinical trials, potential problems that may arise in the clinical testing and approval process and Rigel's need for additional capital, and other risks detailed from time to time in Rigel's reports filed with the Securities and Exchange Commission, including its Annual Report on Form 10-K for the year ended December 31, 2010 and form 10-Q for the first quarter of 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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