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Rigel Earns Milestone Payments From AstraZeneca

Fostamatinib (R788) enters Phase 3 Clinical Program

SOUTH SAN FRANCISCO, Calif., Sept. 29 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that it has earned $25 million from AstraZeneca for the fulfillment of two major milestones in the agreement the two companies have regarding the clinical development of fostamatinib (R788), a novel oral syk inhibitor. The first milestone is for initiation of the phase 3 clinical program with fostamatinib in patients with rheumatoid arthritis (RA) that was announced by AstraZeneca earlier today. The second milestone marks the completion of the transfer of the fostamatinib long-term open label extension study from Rigel to AstraZeneca.

"We are proud of our team's ability to successfully and smoothly transition both aspects of the fostamatinib program to AstraZeneca in just six months time, and are pleased to know that fostamatinib will be the focus of one of the largest phase 3 studies in RA patients conducted to date," said James M. Gower, chairman and chief executive officer of Rigel.

In February 2010, Rigel granted AstraZeneca exclusive rights to the future development and commercialization of fostamatinib. AstraZeneca announced that the initial primary focus of their phase 3 clinical development program for fostamatinib, called OSKIRA (Oral Syk Inhibition in Rheumatoid Arthritis), would be in patients with RA, with an inadequate response to disease modifying anti-rheumatic drugs (DMARDs), including methotrexate.

In today's announcement, AstraZeneca outlined the scope of their global clinical trial program, which will include three pivotal phase 3 studies assessing the efficacy and tolerability of fostamatinib. Results are expected to allow for the filing of new drug applications with the U.S. Food and Drug Administration (FDA) and the European Medicines Agency (EMEA) in 2013.

Fostamatinib and RA

RA is a systemic autoimmune inflammatory disease that causes damage to the joints and other organs. It is a major cause of disability, affecting approximately 1 in 100 people, and is also associated with reduced life expectancy, especially if not adequately treated.

Fostamatinib, which has completed a comprehensive phase 2 program, is the first oral

spleen tyrosine kinase (syk) inhibitor in development as a novel therapeutic approach for RA. Inhibiting syk is thought to block signaling in multiple cell types involved in inflammation and tissue degradation in RA. Inhibition of syk signaling is therefore believed to be a very attractive research approach to RA treatment.

About Rigel

Rigel is a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune disorders, as well as muscle and metabolic diseases. 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 (R788), an oral syk inhibitor that has started its phase 3 clinical trial program for rheumatoid arthritis, and R343, an inhaled syk inhibitor that is in clinical trials for asthma.

Rigel Forward-Looking Statements

This press release contains "forward-looking" statements, including, without limitation, statements related to the scope of the phase 3 clinical program of R788 (fostamatinib) and the filing of new drug applications, including the timing thereof. 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 "expected", "believed" 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 and other risks detailed from time to time in Rigel's SEC reports, including its Quarterly Report on Form 10-Q for the quarter ended June 30, 2010. 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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