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Rigel Will Resume Responsibility for Fostamatinib Program

Fostamatinib at 100mg BID Significantly Improves Rheumatoid Arthritis in Both OSKIRA-2 & OSKIRA-3

SOUTH SAN FRANCISCO, Calif., June 4, 2013 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) and AstraZeneca AB (AZ) today announced the topline results from OSKIRA-2 and OSKIRA-3, the remaining pivotal Phase 3 clinical trials investigating fostamatinib, the first oral spleen tyrosine kinase (SYK) inhibitor in development for rheumatoid arthritis (RA).

In the OSKIRA-2 study of patients inadequately responding to disease modifying anti-rheumatic drugs (DMARDs), fostamatinib in combination with DMARDs showed statistically significant improvements in ACR20 response rates at 24 weeks in both the 100 mg twice daily (bid) group and the group receiving 100 mg bid for four weeks followed by 150 mg once daily (qd) compared to placebo.

In the OSKIRA-3 study of patients inadequately responding to methotrexate (MTX) and a single TNF-alpha antagonist, fostamatinib in combination with MTX showed statistically significant improvements in ACR20 response rates at 24 weeks in the 100 mg bid group, but not in the group given 100 mg bid for four weeks followed by 150 mg qd compared to placebo.

Fostamatinib ACR20 Scores

	OSKIRA1	OSKIRA2	OSKIRA3
100 mg bid	49%, p<0.001	39.6%, p<0.001	36.2%, p=0.004
100 mg bid/150 mg qd	44%, p=0.006	39.6%, p<0.001	27.8%, p=0.168
Placebo	34%	24.5%	21.1%

Fostamatinib continues to be well tolerated by patients. The safety findings in both the OSKIRA-2 and OSKIRA-3 studies were generally consistent with those observed in the prior OSKIRA-1 study as well as the TASKi Phase 2 program.

Based on the totality of the results of the OSKIRA Phase 3 program in patients with RA, AZ has decided that it will not proceed with regulatory filings and will return the rights to the compound to Rigel.

Fostamatinib remains an important asset for Rigel. It is the most advanced oral SYK inhibitor in development and may have applications in patients with RA as well as other potential indications. Over the next couple of months, Rigel will collect and review the results of AZ's extensive development efforts with fostamatanib and determine the appropriate path forward.

"Fostamatinib continues to demonstrate positive patient outcomes and a reasonable safety profile," said James M. Gower, Rigel's chairman and chief executive officer. "We are looking forward to receiving and evaluating the full aggregation of AZ's efforts on this program this summer as we consider the appropriate next steps with this product candidate."

About the OSKIRA program

The (Oral SYK Inhibition in Rheumatoid Arthritis) OSKIRA program was designed to investigate fostamatinib as a potential new oral treatment option for RA and an alternative to injectable therapies for patients with an inadequate response to conventional DMARDs, including MTX (OSKIRA-1 and OSKIRA-2) and those with an inadequate response to TNF-α antagonists (OSKIRA-3).

- OSKIRA-1 was a 12-month study with ~900 patients, examining the effect of fostamatinib (100 mg bid or 100 mg bid for one month followed by 150 mg qd) compared with placebo over a 24 week period, in patients responding inadequately to MTX. OSKIRA-1 had co-primary endpoints of ACR20 scores and mTSS (x-ray endpoint assessing structural progression) at 24 weeks.
- OSKIRA-2 was a 12-month study with ~900 patients, examining the effect of fostamatinib (100 mg bid or 100 mg bid for one month followed by 150 mg qd) compared with placebo over a 24 week period, in patients responding inadequately to DMARDs. OSKIRA-2 had a primary endpoint of ACR20 at 24 weeks.
- OSKIRA-3 was a six-month study of ~320 patients assessing the effect of fostamatinib (100 mg bid or 100 mg bid for one month followed by 150 mg qd) compared with placebo in patients responding inadequately to TNF-α antagonist therapy. The primary endpoint of OSKIRA-3 was ACR20 at 24 weeks.

About Fostamatinib

Fostamatinib (previously referred to as R788) is the first kinase inhibitor with selectivity for SYK in development as an oral treatment for rheumatoid arthritis. In February 2010, AstraZeneca and Rigel Pharmaceuticals announced a worldwide license agreement whereby AstraZeneca was granted rights to develop and commercialize fostamatinib.

About ACR20

The American College of Rheumatology (ACR) score represents a percentage improvement in symptoms (tenderness and swelling in the joints). 28 joints are evaluated for tenderness and swelling respectively (prior to taking any required analgesic that day if possible). To qualify for an ACR20 score, a person with RA must have at least 20% fewer tender joints

and at least 20% fewer swollen joints. He or she must also show a 20% improvement in at least three of the following five areas: 1) the person's overall (global) assessment of his or her own RA, 2) the physician's global assessment of the person's RA, 3) the person's assessment of his or her own pain, 4) the person's assessment of his or her own physical functioning, and 5) the results of an erythrocyte sedimentation rate or C-reactive protein blood test (both of which test for inflammation).

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. Current product development programs include fostamatinib, an oral SYK inhibitor for RA; R343, an inhaled SYK inhibitor for asthma, R333, a topical JAK/SYK inhibitor for discoid lupus, and R348, a topical JAK/SYK inhibitor for chronic dry eye, in/entering Phase 2 clinical trials; and two oncology products in Phase 1 trials with partners BerGenBio and Daiichi Sankyo.

This press release contains "forward-looking" statements, including, without limitation, statements related to the further development of, and the therapeutic and commercial potential of, fostamatinib, including the return of full rights to fostamatanib to Rigel and potential next steps, and the timing for potential regulatory filings and publications of clinical data. 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 "planned," "will," "may," "expect," and similar expressions are intended to identify these forward-looking statements. These forward-looking statements are based on Rigel's current expectations and inherently involve significant risks and uncertainties. Actual results and the timing of events could differ materially from those anticipated in such forward looking statements as a result of these risks and uncertainties, which include, without limitation, the uncertain timing of completion of and the success of clinical trials and the potential problems that may arise in the clinical development process, the uncertain and time-consuming regulatory filing and approval process, the availability of resources to develop Rigel's product candidates, the uncertain therapeutic and commercial value of fostamatinib, market competition, risks associated with and Rigel's dependence on Rigel's corporate partnerships, as well as other risks detailed from time to time in Rigel's reports filed with the Securities and Exchange Commission, including its Quarterly Report on Form 10-Q for the three months ended March 31, 2013. 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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