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AstraZeneca Announces Top-Line Results From OSKIRA-1 Phase 3 Study of Fostamatinib in Rheumatoid Arthritis

SOUTH SAN FRANCISCO, Calif., April 5, 2013 /PRNewswire/ -- AstraZeneca today announced top-line results of OSKIRA-1, a Phase 3 study to assess the efficacy and safety of fostamatinib, the first oral spleen tyrosine kinase (SYK) inhibitor in development for rheumatoid arthritis (RA). OSKIRA-1 had two primary endpoints: assessing signs and symptoms of RA as measured by ACR20 response rates, and an X-ray endpoint known as mTSS (modified Total Sharp Score).

In the OSKIRA-1 study, fostamatinib achieved a statistically significant improvement in ACR20 response rate at 24 weeks in both the 100 mg twice daily group and the group that received 100 mg twice daily for four weeks followed by 150 mg once daily (49%, $p<0.001$ and 44%, $p=0.006$ respectively) compared to placebo (34%). Fostamatinib did not demonstrate a statistically significant difference in mTSS compared to placebo at 24 weeks for either dose ($p=0.252$ and $p=0.170$, respectively).

The safety and tolerability findings for fostamatinib observed in the OSKIRA-1 study were generally consistent with those previously reported for the TASKi Phase 2 program. The most commonly reported adverse events were typical of those seen in earlier studies, including hypertension, diarrhea, nausea, headache and nasopharyngitis (common cold).

Briggs Morrison, MD, executive vice president of Global Medicines Development and chief medical officer, said: "These top-line results provide important information on the efficacy and safety of fostamatinib and demonstrate that the compound has an effect on the signs and symptoms of rheumatoid arthritis. We will await the results of the remaining Phase 3 studies, OSKIRA-2 and OSKIRA-3, to further evaluate and characterize the profile of fostamatinib as a potential treatment for rheumatoid arthritis."

OSKIRA-1 randomized 923 patients who had experienced an inadequate response to methotrexate (MTX) and, over a 24-week period, evaluated the effectiveness of two dosing regimens of fostamatinib (100 mg twice daily or fostamatinib 100 mg twice daily for four weeks followed by 150 mg once daily) in combination with MTX versus placebo in combination with MTX. Patients on fostamatinib remained on treatment in OSKIRA-1 for 12 months.

The OSKIRA-2 and OSKIRA-3 results are expected later in the second quarter of 2013.

About ACR20 and mTSS

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).

Radiographic mTSS is measured in the hands and feet using X-rays. mTSS is a measure of bone erosion and joint space narrowing on X-rays. In each hand, 16 areas are measured for erosions and 15 areas are measured for joint space narrowing. In each foot, six areas are measured for erosions and six areas are measured for joint space narrowing. A smaller change in mTSS over a given time period, given in percentage change of mTSS score, reflects less progression of joint damage.

About the OSKIRA program

The ongoing (Oral SYK Inhibition in Rheumatoid Arthritis) OSKIRA program, has been designed to investigate fostamatinib as a potential new oral treatment option for rheumatoid arthritis and an alternative to injectable therapies for patients with an inadequate response to conventional Disease Modifying Anti-Rheumatic Drugs (DMARDs), including methotrexate (OSKIRA-1 and OSKIRA-2) and those with an inadequate response to TNF-α antagonists (OSKIRA-3).

About Fostamatinib

Fostamatinib (previously referred to as R788) is the first oral spleen tyrosine kinase (SYK) inhibitor in development for rheumatoid arthritis. In February 2010, AstraZeneca and Rigel Pharmaceuticals announced a worldwide license agreement whereby AstraZeneca would develop and commercialize fostamatinib.

About Rheumatoid Arthritis (RA)

Rheumatoid arthritis is a systemic autoimmune disease whereby the immune system attacks the joints, causing pain, swelling to the surrounding tissue and damage to the cartilage and bone. The disease affects approximately one in 100 people worldwide.

If not adequately treated, RA can destroy cartilage and bone within joints, leading to serious disability and can be associated with reduced life expectancy. Not all RA patients have a satisfactory response to existing therapies because the disease pathology may differ from one individual to another and a considerable unmet need remains.

In the US alone the total annual societal cost of RA is estimated to amount to $39.2 billion, with even greater indirect costs to individuals and society including costs from diminished

work capacity, loss of productivity, loss in earnings and loss in tax contributions.

About Rigel

Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) 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 signalling 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 RA 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, R348, a topical JAK/SYK inhibitor in a Phase 1 clinical trial for the treatment of chronic dry eye. For more information, visit www.rigel.com

About AstraZeneca

AstraZeneca is a global, innovation-driven biopharmaceutical business that focuses on the discovery, development and commercialisation of prescription medicines, primarily for the treatment of cardiovascular, metabolic, respiratory, inflammation, autoimmune, oncology, infection and neuroscience diseases. AstraZeneca operates in over 100 countries and its innovative medicines are used by millions of patients worldwide. For more information please visit: www.astrazeneca.com

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, partnered with AstraZeneca, the results of the ongoing OSKIRA-2 and OSKIRA-3 Phase 3 studies and the timing of completion of those studies, 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 Annual Report on Form 10-K for the year ended December 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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