July 23, 2009

R788 in TASKi3 Clinical Trial Does Not Meet Efficacy Endpoints in RA Patients Who Had Previously Failed Biologic Therapies - Results Incongruent

Bone MRI Scans Show Improvement; Safety Results Consistent with TASKi2

SOUTH SAN FRANCISCO, Calif., July 23 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that in the TASKi3 Phase 2b clinical trial in rheumatoid arthritis (RA) patients who had failed to respond to at least one biologic treatment, the group treated with R788 (fostamatinib disodium) did not report significantly higher ACR 20, ACR 50, ACR 70 and DAS28 response rates than the placebo group at three months, and therefore, the trial failed to meet its efficacy endpoints. The objective components (CRP and ESR)* of these ACR scores did show a statistically significant difference; however, the subjective reported response rate components did not as compared to placebo. Although the ACR scores for the R788 group were within the expected range in this patient population, the reported placebo response rates were considerably higher than seen in any other previous study of RA biologic failure patients and rose unaccountably between week 6 (at which point the reported response rates between R788 and placebo were significantly different) and month 3 (when such reported response rates were no longer significantly different).

TASKi3 was the first clinical trial evaluating R788 in which anatomical changes in the patients' wrist and hands were evaluated using Magnetic Resonance Imaging (MRI) and scored using the RAMRIS (Rheumatoid Arthritis Magnetic Resonance Imaging Scoring) system. Those results showed improvements in the treated group versus the placebo group in the Synovitis and Osteitis scores, while the Erosion scores, known to be the slowest to change, showed no significant effect at three months. The most frequent adverse events were as expected from the earlier TASKi trials and appear to be manageable.

Rigel will host a conference call today at 7PM EDT/ 4PM PDT to discuss these results (see conference call details below).

"Our objective with R788 in RA is to position the product after methotrexate and before

biological therapies are used. We have shown excellent results in that patient population in our earlier TASKi1 and TASKi2 studies, and we believe that patient population represents the large market opportunity for this product," said James M. Gower, chairman and chief executive officer of Rigel. "In this TASKi3 patient population, biologic failures, we have seen divergent results as sometimes happens in studies with subjective components. However, we are pleased to see excellent results in the objective measures and in the Synovitis and Osteitis MRI scores," he added.

*blood measurements of C-Reactive Protein (CRP) and Erythrocyte Sedimentation Rate (ESR)

Efficacy Results

Treatment	N of Pts	ACR 20	ACR 50	ACR 70	DAS28<2.6
Placebo	73	27 (37%)	9 (12%)	4 (6%)	6 (10%)
100 mg bid	146	56 (38%) p=0.84	32 (22%) p=0.09	13 (9%) p=0.37	15 (12%) p=0.15

p=values compared to placebo
Note: At 3 months. All patients were on stable doses of methotrexate throughout the clinical trial.

MRI Results

TASKi3 Mean Change from Baseline in RAMRIS* Scores at Month 3

	Placebo	100 mg bid	p=values
Synovitis**	+0.35	-0.52	p=0.038
Osteitis** Score	+1.17	-0.19	p=0.058
Erosion Score	+0.94	+0.78	p=0.62

* RAMRIS is a rheumatoid arthritis scoring system utilizing magnetic resonance imaging to evaluate abnormalities (synovitis, bone edema and bone erosion) in the hands and wrists. The system was developed by OMERACT, (Outcome Measurements in Rheumatology) in 2002, and has become a global standard measurement of inflammation and destruction in those joints. For these scores a lower value indicates a better clinical condition.
** Synovitis: inflammation of the synovial membrane lining joints
Osteitis: inflammation of the bone

Safety Results

Similar to TASKi2, the most common clinically meaningful drug-related adverse events noted in TASKi3 were diarrhea and hypertension. Dose reduction options were pre-specified in the trial protocol and, in cases where doses were reduced, patients generally completed

the clinical trial with minimal safety issues. The most common adverse events in the trial overall were related to infections, though these were generally evenly distributed among the placebo and active dose group.

The mean increase in blood pressure from baseline at 3 months, using a last observation carry forward methodology, was 3.2-3.6 mmHg for the 100 mg bid dose group. In TASKi3, approximately 17% of patients in the 100 mg bid dose group had blood pressure medication adjusted or in some cases initiated during the course of the clinical trial, compared to 8% of the placebo patients. For those patients who had their dose of blood pressure medications adjusted or initiated, their blood pressure was successfully reduced and their blood pressure was generally well controlled throughout the trial. The blood pressure medications were standard doses of common blood pressure medications such as ACE inhibitors or diuretics.

"For this patient population, patients who failed biologic therapies, their bones and joints appear to respond to R788, but the objective and subjective components of the ACR and DAS28 scores are incongruent, mainly because the reported subjective placebo response rates were higher than expected," said Elliott Grossbard, M.D., chief medical officer for Rigel. "Nonetheless, R788 is well tolerated and its side effects appear generally manageable, and we look forward to planning our Phase 3 program for R788 with a corporate partner," he added.

Safety Results Tables

	Placebo		100 mg bid	
N	73		146	
Dose Reductions	N	%	N	%
# Had a Dose Reduction	2	3%	21	14%
Neutropenia (ANC less than 1500)	0	0%	3	2%
Diarrhea, nausea, vomiting, dizziness	1	1%	7	5%
Increase in Blood Pressure (BP)	1	1%	7	5%
ALT or Alkphos Elevation	0	0%	4	3%

TASKi3 Treatment Emergent Adverse Events

	Placebo		100 mg bid	
N	73		146	
Diarrhea	5	7%	17	12%
Hypertension	3	4%	19	13%
Infections	15	21%	34	23%

Mean Blood Pressure (Systolic/Diastolic in mmHg)				
Baseline	128/78		125/77	
Month 3	126/77		129/81	
Change from Baseline to Month 3 (LOCF)	-2.1/-0.5		+3.6/+3.2	
	N	%	N	%
# and % Had BP Meds Adjusted/Initiated	6	8%	25	17%

Trial Design

TASKi3 was a 3 month, multi-center, randomized, double blind, placebo controlled, parallel dose clinical trial involving 219 RA patients in the U.S. who had failed to respond to at least one biologic treatment (such as TNF inhibitors). The patients were randomly assigned to two cohorts and thus received R788 orally in a 100 mg bid (twice daily) dose or placebo for a period of up to 3 months. Patients were assigned on a 2:1 basis to R788 or placebo. Throughout the clinical trial period, all of the patients continued to receive their stable dose of methotrexate.

Efficacy assessments for each participant were based on the American College of Rheumatology criteria, which denotes at least a 20% (ACR 20) improvement, at least a 50% (ACR 50) improvement, or at least a 70% (ACR 70) improvement, from the baseline assessment at the end of the 3 month treatment period. The ACR measurement factors included reported physician and patient global assessment of disease activity, patient reported pain score, and any change in CRP in the patient's blood. The primary efficacy endpoint for the clinical trial was the percent of patients assigned to the R788 100 mg bid dose who were ACR 20 responders at the end of 3 months. Secondary efficacy endpoints included other ACR scores and, a comparison of response rates for the R788 100 mg bid dose versus placebo as determined by MRI using the modified RAMRIS scoring system of wrists and hands at baseline and at month 3.

R788 and RA

RA is a progressive, painful and potentially debilitating disease, that affects more than 2 million people in the U.S. It is a chronic inflammatory disease that puts the body's immune system into overdrive where it ultimately causes inflammation in the joints and destroys soft tissues, cartilage and bone. Rigel's R788 is a novel, orally available syk kinase inhibitor designed to interrupt the cellular signaling at the trigger point of inflammation, thereby stopping the progression of the disease. In July 2009, Rigel announced results from its Phase 2b TASKi2 clinical trial showing significant improvement in RA patients treated with R788 who had failed to respond to methotrexate treatment.

Conference Call and Webcast Information

Rigel will host a conference call to discuss the R788 TASKi3 Phase 2b clinical trial of R788

in rheumatoid arthritis, the Company's plans for further development and related matters today, July 23, 2009, at 7:00 pm EDT/ 4:00 pm PDT. A presentation related to the TASKi3 trial results is available on Rigel's website homepage at http://www.rigel.com. To access the live call, please dial 1-866-700-6293 (domestic) or 1-617-213-8835 (international) 10 minutes prior to the start time and use the passcode 13318888. A replay of the call will be available at approximately 10:00 pm EDT/7:00 pm PDT on July 23, 2009 until July 30, 2009. To access the replay, please dial 1-888-286-8010 (domestic) or 1-617-801-6888 (international) and use the passcode 14211103. The conference call will also be webcast live and can be accessed from Rigel's website at *http://www.rigel.com*. Please connect to Rigel's website several minutes prior to the start of the live webcast to ensure adequate time for any software downloads that may be necessary. Further information on R788 in RA is available at Rigel's website: *http://www.rigel.com/rigel/rheumatoid_arthritis*.

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/autoimmune diseases and metabolic diseases. Our 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 our product candidates. Rigel has product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia and asthma, as well as in cancer.

This press release contains "forward-looking" statements, including statements related to the potential efficacy and commercial potential of R788 and Rigel's plans to pursue further clinical development thereof and a corporate partnership. 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 "believe, " "potential," "plan," "our objective," 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 risks associated with entering into a corporate partnership agreement and reliance on a corporate partner, the timing and success of clinical trials and the commercialization of product candidates, potential problems that may arise in the clinical testing and approval process, including the risk that acceptable results in early trials may not be repeated in later trials, and Rigel's need for additional capital, as well as other risks detailed from time to time in Rigel's SEC reports, including its Form 10-Q for the quarter ended March 31, 2009. Rigel does not undertake any obligation to update forward-looking statements.

Contact: Raul Rodriguez
Phone: 650.624.1302
Email: rrodriguez@rigel.com

Media Contact: Susan C. Rogers, Alchemy Consulting, Inc.
Phone: 650.430.3777
Email: susan@alchemyemailbox.com

SOURCE Rigel Pharmaceuticals, Inc.