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Rigel's R788 Significantly Improves Rheumatoid Arthritis in Phase 2b Clinical Trial

Expected and Manageable Safety Profile Demonstrated in TASKi2

SOUTH SAN FRANCISCO, Calif., July 9 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that R788 (fostamatinib disodium) produced significant clinical improvement in rheumatoid arthritis (RA) patients in the recently completed TASKi2 Phase 2b clinical trial of 457 patients treated for up to 6 months. The groups treated with 100 mg of R788 bid (twice a day) and 150 mg qd (once a day) reported higher ACR 20, ACR 50, ACR 70 and DAS28 response rates than the placebo group. The efficacy results for the two dosing groups were comparable, although the response rates for the 100 mg bid group was uniformly greater. Consistent with the previous Phase 2a clinical trial (TASKi1), the onset of effect of R788 occurred within one week after the initiation of therapy and was maintained. The most frequent adverse events were expected based on TASKi1 and appear to be manageable. The significant, early and sustained efficacy, combined with a good safety profile, supports Rigel's plans to conduct corporate partnership discussions with respect to R788 and initiate a Phase 3 clinical program with R788 in RA in the first half of 2010 with a corporate partner.

Rigel will host a conference call today at 8:00am EDT/5:00am PDT to discuss these results (see conference call details below).

"These are impressive results," said James M. Gower, chairman and chief executive officer of Rigel. "The data from this clinical trial and the soon to be completed TASKi3 clinical trial, a total of over 670 patients, will guide the design of the Phase 3 trials that we plan to launch with a corporate partner in the first half of next year, " he added.

Efficacy Results

Treatment	N of Pts	ACR 20	ACR 50	ACR 70	DAS28<2.6
Placebo	153	53 (35%)	29 (19%)	16 (10%)	9 (6%)
150 mg qd	152	87 (57%) p<0.001	49 (32%) p=0.007	21 (14%) p=0.34	26 (17%) p=0.003

100 mg bid	152	101 (66%) p<0.001	65 (43%) p<0.001	43 (28%) p<0.001	41 (27%) <0.001

p values compared to placebo

Note: At 6 months. All patients were on stable doses of methotrexate throughout the clinical trial.

* The results presented are based on an intention to treat analysis that includes all randomized patients, regardless of how long treatment lasted. Any patient who dropped out of the study for any reason, or for whom month 6 data were unavailable, was considered a treatment failure (ACR non-responder). Disease Activity Scores are based on a 28 joint count and CRP or an ESR at week 24 (depending on which was the qualifying biomarker).

Safety Results

The most common clinically meaningful drug-related adverse events noted in TASKi2 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 groups.

The mean increase in blood pressure from baseline at 6 months, using a last observation carry forward methodology, was less than 0.5 mmHg for the 150 mg qd dose group and approximately 1 mmHg for the 100 mg bid dose group. Approximately 18% and 23% of patients in the 150 mg qd and the 100 mg bid dose groups, respectively, had blood pressure medication adjusted or in some cases initiated during the course of the study, compared with 7% of the placebo patients. The blood pressure was successfully reduced in these patients, 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.

"R788 continues to perform with strong efficacy and good tolerability in the groups of patients with RA who have failed to respond to methotrexate," said Elliott Grossbard, M.D., chief medical officer for Rigel. "We now have a much better understanding of R788's safety profile and believe that the observed side effects may be effectively managed," he added.

Safety Results Tables

(N)	Placebo (153)		150 mg qd (152)		100 mg bid (152)	
Dose Reductions	N	%	N	%	N	%
# Had a Dose Reduction	6	4%	21	14%	21	14%
Neutropenia (ANC <1500)	1	1%	6	4%	1	1%
Diarrhea, nausea, vomiting, dizziness	1	1%	5	3%	9	6%
Increase in Blood Pressure	2	1%	4	3%	6	4%
ALT or Alkphos Elevation	2	1%	6	4%	5	3%

Treatment Emergent Adverse Events

	N	%	N	%	N	%
Diarrhea	5	3%	18	12%	29	19%
Hypertension	7	5%	18	12%	21	14%
Infections	42	27%	37	24%	53	35%

Mean Blood Pressure (Systolic/Diastolic in mmHg)	mmHg	mmHg	mmHg
Baseline	125/76	125/77	125/77
At Month 6	123/76	125/77	125/78
Change from Baseline to Month 6 (LOCF)	-1.8/+0.4	+0.2/+0.3	+0.6/+1.4

Mean Systolic BP if had Adjustment or Initiation of BP Medication (mmHg)

# Had BP Meds Adjusted/Initiated	11 (7%)	27 (18%)	35 (23%)
BP Measurement			
Pre-Adjustment/Initiation	139	149	153
At Month 6	136	128	138
Mean Change	-4	-20	-16

Study Design

TASKi2 was a 6 month, multi-center, randomized, double blind, placebo controlled, parallel dose clinical trial involving 457 RA patients in the U.S., Latin America and Europe who had failed to respond to methotrexate alone. The patients were randomly assigned to two cohorts and thus received R788 orally in either 100 mg bid (twice daily) or 150 mg qd (once daily) doses or placebo for a period of 6 months. Within in each cohort, patients were assigned on a 2:1 basis to R788 or placebo. All of the patients continued to receive their same stable dose of methotrexate throughout the clinical trial period.

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 6 month treatment period. The ACR measurement factors include reported physician and patient global assessment of disease activity, patient reported pain score, and any change in C-reactive protein (CRP) in the patients' blood. The primary efficacy endpoint for the study was the percent of patients assigned to the R788 100 mg bid dose who were ACR 20 responders at the end of 6 months. Secondary efficacy endpoints included a comparison of response rates for the R788 100 mg bid and R788 150 mg qd doses at the ACR 20, ACR 50 and ACR 70 scores, as well as Disease Activity Scores (DAS) over the period of 6 months.

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.

Conference Call and Webcast Information

Rigel will host a conference call to discuss the R788 TASKi2 Phase 2b clinical trial of R788 in rheumatoid arthritis, the Company's plans for further development and related matters today, July 9, 2009, at 8:00am EDT/5:00am PDT. A presentation related to the TASKi2 trial results is available on Rigel's website homepage at *http://www.rigel.com*. To access the live call, please dial 866-543-6408 (domestic) or 617-213-8899 (international) 10 minutes prior to the start time and use the passcode 40038100. A replay of the call will be available at approximately 11:30am EDT/8:30am PDT on July 9, 2009 until July 16, 2009. To access the replay, please dial 888-286-8010 (domestic) or 617-801-6888 (international) and use the passcode 48864759. 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," "plan" 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 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.

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