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Rigel's R788 Demonstrates Significant Improvement in Rheumatoid Arthritis in Phase 2 Clinical Study

Achieves Statistically Significant ACR20, ACR50 & ACR70 Results

SOUTH SAN FRANCICSO, Calif., Dec. 13 /PRNewswire-FirstCall/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today announced that its oral syk kinase inhibitor, R788 (tamatinib fosdium), has demonstrated statistically significant results in treating Rheumatoid Arthritis (RA) patients in a recently completed Phase 2 clinical trial. Groups treated with R788 at 100mg and 150mg po bid (orally, twice daily), showed higher ACR20, ACR50, ACR70 and DAS28 response rates than the placebo group. The efficacy results for the 100mg and the 150mg dose groups were fairly comparable. Dramatically, the onset of the effect in these dose groups occurred as early as one week after initiation of therapy. We believe that the significant ACR scores and good tolerability observed in this clinical trial, and the further benefit of oral delivery may make R788 a favorable alternative to the currently marketed biological agents.

Rigel will host a conference call today at 12:30 p.m. EST to discuss these results (see conference call details below).

"This clinical study has shown that R788 treatment can achieve impressive ACR response rates," said Elliott Grossbard, M.D., senior vice president of medical development at Rigel. "In this clinical trial both the 100mg and 150mg doses improved arthritis symptoms and did so quickly. We plan to initiate the next clinical trial with R788 in RA in 2008," he added.

Efficacy Results*

Treatment Assigned po bid	Number (N)	ACR 20 % (N)	ACR 50 % (N)	ACR 70 % (N)	DAS28-CRP <2.6, % (N)
Placebo	47	38% (18)	19% (9)	4% (2)	17% (8)
50 mg	46	32% (15)	17% (8)	2% (1)	20% (9)
100 mg	49	65% (32) (p=.008)	49% (24) (p=.002)	33% (16) (p<.001)	35% (17) (p=.005)
150 mg	47	72% (34) (p<.001)	57% (27) (p<.001)	40% (19) (p<.001)	47% (22) (p<.001)

Note: At 12 weeks. All patients were on stable doses of methotrexate throughout the clinical trial and extension.

* 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 week 12 data was unavailable, was considered a treatment failure (ACR non-responder). Disease Activity Scores are based on a 28 joint count and CRP at week 12.

James M. Gower, chairman and chief executive officer of Rigel said, "These very important clinical trial results are a major milestone for Rigel as we establish the potential of R788 in RA and its value as an alternative to current therapies. In addition, given these results and the recent results in ITP, we believe that R788 may be a useful drug in the treatment of autoimmune diseases."

Safety Results

The most common clinically meaningful adverse events noted in the clinical trial were dose-related neutropenia, mild elevations of liver function tests, and gastrointestinal (GI) side effects. Dose reduction (to one half the assigned dose, by taking the drug once per day) was pre-specified in the protocol, contingent on neutrophil counts and/or liver function tests. Notably, a vast majority of the patients (19 out of 21) who had their dose reduced, successfully completed the clinical trial with minimal safety issues.

The key safety results are shown in the table below:

	Placebo po BID N=47	50mg po BID N=46	100mg po BID N=49	150mg po BID N=47
Completed Study at Reduced Dose (N)	1	0	5	13
Dropouts (N):	11	6	6	8
Withdrew Consent	6	3	2	1
Adverse Event	2	1	3	6
Other	3	2	1	1
Neutropenia (N) Requiring dose reduction	0	0	5	10
ALT > 3XULN (N)	2	0	0	3
Diarrhea (N) (severity moderate or greater)	0	3	2	10
Upper GI side effects (N) (gastritis, nausea, dyspepsia) (severity moderate or greater)	2	1	2	12
Hypertension (N) (severity moderate				

or greater)	0	0	2	0

Note: At 12 weeks. All patients were on stable doses of methotrexate throughout the clinical trial period and extension.

Study Design

The clinical trial was a multi-center, randomized, double blind, placebo controlled, ascending dose study involving 189 patients in three approximately equal size cohorts receiving 50, 100, or 150 mg po bid. Within each cohort, patients were assigned on a 3:1 basis to R788 or placebo. The clinical trial was conducted over a 12-week treatment period in patients who had RA for at least 12 months. These patients had active disease despite receiving adequate stable doses of methotrexate over the preceding 6 months. All of the patients continued to receive their same stable dose of methotrexate throughout the clinical trial period and extension. Efficacy assessments for each participant were based on the American College of Rheumatology criteria, which denote at least a 20% (ACR 20) improvement, at least a 50% (ACR 50) improvement, or at least 70% (ACR 70) improvement, from the baseline assessment at the end of the 12-week 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 who were ACR 20 responders at the end of week 12. Secondary efficacy endpoints were ACR 50 and ACR 70 scores as well as Disease Activity Score (DAS) at the end of week 12.

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 Information

Rigel will host a conference call to discuss the R788 Phase 2 clinical trial results today, December 13, 2007, at 12:30 p.m. EST/9:30 a.m. PST. To access the live call, please dial 866-510-0710 (domestic) or 617-597-5378 (international) 10 minutes prior to the start time and use the passcode 54253199. A replay of the call will be available at approximately 2:30 p.m. EST/11:30 a.m. PST on December 13, 2007 until December 20, 2007. To access the replay, please dial 888-286-8010 (domestic) or 617-801-6888 (international) and use the passcode 30990949. 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. A replay of the conference call will be available on Rigel's website, in webcast and podcast formats, approximately 2 hours after the call.Further information on R788 in RA is available at Rigel's website: http://www.rigel.com/rigel/rheumatoid_arthritis.

About Rigel (http://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 cancer, as well as viral and metabolic diseases. Rigel's goal is to file one new investigational new drug (IND) application in a significant indication each year. Rigel has achieved this goal every year since 2002. 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. 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 "believes," "plans"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 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 September 30, 2007. Rigel does not undertake any obligation to update forward-looking statements.

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