

August 2, 2016



Rigel Announces Second Quarter 2016 Financial Results and Provides Portfolio Update

Conference Call and Webcast Today at 5:00 PM Eastern Time

SOUTH SAN FRANCISCO, Calif., Aug. 2, 2016 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq:RIGL) today reported financial results for the second quarter and six months ended June 30, 2016.

"We look forward to announcing the topline results for the first of two Phase 3 studies of fostamatinib in patients with ITP by the end of this August, followed by the second study's results a few months later," said Raul Rodriguez, president and chief executive officer of Rigel. "Our primary focus at this time is preparing the NDA submission, subject to positive results in the program, as well as planning our commercial capabilities in preparation for a successful U.S. launch of fostamatinib," he added.

For the second quarter of 2016, Rigel reported a net loss of \$13.5 million, or \$0.15 per basic and diluted share, compared to a net loss of \$13.9 million, or \$0.16 per basic and diluted share, in the same period of 2015.

Contract revenues from collaborations of \$8.6 million in the second quarter of 2016 were comprised of \$4.8 million from the amortization of the \$30.0 million upfront payment and FTE fees earned pursuant to Rigel's collaboration and license agreement with Bristol-Myers Squibb (BMS), as well as payments amounting to \$3.7 million that Rigel received pursuant to its license agreement with BerGenBio AS. Contract revenues from collaborations of \$5.2 million in the second quarter of 2015 were comprised of the amortization of the upfront payment and FTE fees earned with BMS.

Rigel reported total costs and expenses of \$22.2 million in the second quarter of 2016, compared to \$19.2 million for the same period in 2015. The increase in costs and expenses was primarily due to the increase in research and development costs related to Rigel's clinical research programs with fostamatinib in immune thrombocytopenia, autoimmune hemolytic anemia and IgA nephropathy.

For the six months ended June 30, 2016, Rigel reported a net loss of \$31.0 million, or \$0.34 per basic and diluted share, compared to a net loss of \$32.1 million, or \$0.36 per basic and

diluted share, for the same period of 2015.

As of June 30, 2016, Rigel had cash, cash equivalents and short-term investments of \$94.9 million, compared to \$126.3 million as of December 31, 2015. Rigel expects this amount to be sufficient to fund operations into the third quarter of 2017.

Portfolio Update

Fostamatinib in Immune Thrombocytopenia (ITP)

Rigel believes that Fostamatinib may offer a compelling addition to the treatment options available for patients suffering from ITP.

ITP

ITP is a rare, autoimmune bleeding disorder. In healthy individuals, platelets stick together (clot) to seal small cuts or breaks on blood vessel walls to stop bleeding. In ITP the blood doesn't clot as it should because platelets are being destroyed by the body's own immune system.

People suffering with chronic ITP may live with increased risk of severe bleeding events that can result in serious medical complications, or even death. The unpredictable nature of chronic ITP can affect the quality of life for some patients, who are unaware of when their platelet counts may drop. Current therapies for ITP include steroids, blood platelet production boosters (TPO's) and splenectomy.

The Role of Fostamatinib in ITP

Fostamatinib is an oral treatment with a unique mechanism of action designed to inhibit spleen tyrosine kinase (SYK), a key player in the immune process that leads to platelet destruction in ITP. Unlike other therapies that modulate the immune system in different ways or stimulate platelet production, fostamatinib may address the underlying autoimmune cause of ITP by preventing platelet destruction.

ITP is a highly heterogeneous disease. There is little certainty which treatment will work for which patient and whether any benefit is enduring. In Rigel's Phase 2 study, Fostamatinib was shown to work in certain patients where other treatments had previously failed, including patients who had previously failed steroids, rituximab, TPO's and/or splenectomy.

Based on our Phase 2 study, the patients who benefit from fostamatinib benefit substantially and typically do so within weeks of initiating treatment, providing early feedback as to whether fostamatinib may be a viable option for treating their ITP. Patients from this study who received a benefit had their platelet counts increase from a median of 14,000 to over 100,000. Regarding its enduring benefit, follow-up extension study data for two patients who have been taking fostamatinib for more than seven years show that they have maintained attractive platelet levels over an extended time period.

Fostamatinib offers a convenient oral formulation that does not require weekly office visits or dietary restrictions. These are believed to be important distinctions from other products used to treat this disease.

Fostamatinib's clinical safety profile includes more than 5,000 patient years of data across multiple autoimmune indications and has a generally mild and manageable safety profile,

providing data that it may be suitable for long-term maintenance therapy in chronic ITP.

Fostamatinib FIT Phase 3 Program

The FIT program consists of two identical multi-center, randomized, double-blind, placebo-controlled studies of approximately 75 patients each. The patients have been diagnosed with persistent or chronic ITP, and have blood platelet counts consistently below 30,000 per microliter of blood. Study subjects remained on treatment for up to 24 weeks. The primary efficacy endpoint of this program is a stable platelet response with platelet counts at or above 50,000 per microliter of blood for at least four of the final six qualifying blood draws.

Data from the first of these studies is expected in August. Pending the outcome of the Phase 3 studies, the company expects to submit a New Drug Application with the U.S. FDA in the first quarter of 2017.

Fostamatinib in Autoimmune Hemolytic Anemia (AIHA)

Rigel believes that autoimmune hemolytic anemia program represents an exciting opportunity to evaluate fostamatinib in an underserved disease and to build upon the synergies that exist between AIHA and ITP.

AIHA

AIHA is a rare, serious blood disorder where the immune system produces antibodies that result in the destruction of the body's own red blood cells. Symptoms can include fatigue, shortness of breath, rapid heartbeat, jaundice or enlarged spleen. While no medical treatments are currently approved for AIHA, physicians generally treat acute and chronic cases of the disorder with corticosteroids, other immuno-suppressants, or splenectomy. Research has shown that inhibiting SYK with fostamatinib may reduce the destruction of red blood cells.

The same physician audience that treats AIHA also generally treats ITP. This may allow Fostamatinib, once approved in each indication, to be used to treat multiple diseases by these same physicians.

Fostamatinib AIHA Phase 2 Study

Rigel's AIHA trial is a Phase 2 open-label, multi-center, two-stage study that will evaluate the safety and efficacy of fostamatinib in patients with warm antibody AIHA who have previously received treatment for the disorder, but have relapsed.

Stage 1 will enroll 17 patients who will receive 150 mg of fostamatinib orally twice a day for a period of 12 weeks. The patients will return to the clinic every two weeks for blood draws and medical assessment. The primary efficacy endpoint of this study is to achieve increased hemoglobin levels by week 12 of greater than 10 g/dL, and greater than or equal to 2 g/dL higher than baseline.

Rigel expects to have results of the Stage 1 segment of the trial in early 2017. With this data, Rigel will evaluate the best way forward and potentially an expedited path for pursuing AIHA.

Fostamatinib in IgA Nephropathy (IgAN)

Rigel believes that IgA Nephropathy offers a significant opportunity to address a major kidney disease, which lacks proven effective treatments. This indication may also offer

insight into other autoimmune kidney diseases, which may further expand the potential of fostamatinib in other synergistic orphan disease areas.

IgA Nephropathy

IgA Nephropathy is an autoimmune disease that severely affects the functioning of the kidneys. An estimated 12,000 Americans are diagnosed with this type of glomerulonephritis each year, with 25% of its victims eventually requiring dialysis and/or kidney transplantation. IgAN is characterized by the deposition of IgA immune complexes in the glomeruli of the kidneys leading to an inflammatory response and subsequent tissue damage that ultimately disrupts the normal filtering function of the kidneys. By inhibiting SYK in kidney cells, fostamatinib may block the signaling of IgA immune complex receptors and, arrest or slow destruction of the glomeruli.

Fostamatinib IgA Nephropathy Phase 2 Study

The Phase 2 study of fostamatinib in IgA nephropathy is a double-blind, placebo-controlled, global study (U.S., Europe and Asia) consisting of two sequential dose cohorts (100mg BID followed by 150mg BID). The primary endpoint of the study is mean change in proteinuria from baseline to 24 weeks. The first cohort (100mg BID) has fully enrolled and we expect to report results from this cohort at the end of the year. After a pre-planned safety review, we have begun enrolling the 150mg BID dose cohort.

Up next: IRAK and more

Rigel has identified a lead molecule from its IRAK program and plans to initiate clinical studies in 2017. The product candidate may provide numerous opportunities in immunology and possibly oncology indications. Rigel is focused on immunology and oncology and is pursuing a number of attractive opportunities in these areas.

Conference Call and Webcast Today at 5:00PM Eastern Time

Rigel will hold a live conference call and webcast today at 5:00pm Eastern Time (2:00pm Pacific Time).

Participants can access the live conference call by dialing 855-892-1489 (domestic) or 720-634-2939 (international) and using the Conference ID number 54498109. The conference call will also be webcast live and can be accessed from Rigel's website at www.rigel.com. The webcast will be archived and available for replay after the call via the Rigel website.

About Rigel (www.rigel.com)

Rigel Pharmaceuticals, Inc. is a clinical-stage biotechnology company dedicated to the discovery and development of novel, targeted drugs in the therapeutic areas of immunology, oncology and immuno-oncology. Rigel's pioneering research focuses on signaling pathways that are critical to disease mechanisms. The company's current clinical programs include fostamatinib, an oral spleen tyrosine kinase (SYK) inhibitor, which is in Phase 3 clinical trials for immune thrombocytopenia (ITP); a Phase 2 clinical trial for autoimmune hemolytic anemia (AIHA); and a Phase 2 clinical trial for IgA nephropathy (IgAN). In addition, Rigel has two oncology product candidates in Phase 1 development with partners BerGenBio AS and Daiichi Sankyo.

This press release contains "forward-looking" statements relating to, among other things, timing of reporting topline data of Phase 3 clinical studies with fostamatinib in ITP; the timing of a potential New Drug Application submission to the Food and Drug Administration for

fostamatinib in ITP; the management and advancement of Rigel's other clinical programs; Rigel's belief that fostamatinib may be an attractive alternative for patients with ITP; Rigel's ability to successfully prepare for potential commercial launch of its product candidates; the timing, amount and sufficiency of Rigel's cash, cash equivalents, and short-term investments; Rigel's ability to extend the value of Rigel's pipeline into fields that are beyond its therapeutic focus; the evaluation of fostamatinib and Rigel's other product candidates for new treatment indications; and Rigel's product pipeline and development programs. 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 availability of resources to develop Rigel's product candidates, Rigel's need for additional capital in the future to sufficiently fund Rigel's operations and research, the uncertain timing of completion of and the success of clinical trials, 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, 2016. 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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RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF OPERATIONS
(in thousands, except per share amounts)

	Three Months Ended June 30,		Six Months Ended June 30,	
	2016	2015	2016	2015
	(unaudited)			
Revenues:				
Contract revenues from collaborations	\$ 8,594	\$ 5,184	\$ 13,623	\$ 7,362
Costs and expenses:				
Research and development (see Note A)	17,468	15,059	35,641	30,761
General and administrative (see Note A)	4,774	4,099	9,197	8,816
Total costs and expenses	22,242	19,158	44,838	39,577
Loss from operations	(13,648)	(13,974)	(31,215)	(32,215)
Interest income, net	115	62	218	110
Net loss	\$ (13,533)	\$ (13,912)	\$ (30,997)	\$ (32,105)
Net loss per share, basic and diluted	\$ (0.15)	\$ (0.16)	\$ (0.34)	\$ (0.36)
Weighted-average shares used in computing net loss per share, basic and diluted	92,495	88,137	91,525	88,090

Note A

Stock-based compensation expense included in:

	\$	\$		
Research and development	1,410	1,056	\$ 2,103	\$ 2,216
General and administrative	604	853	1,349	1,747
	\$ 2,014	\$ 1,909	\$ 3,452	\$ 3,963

SUMMARY BALANCE SHEET DATA
(in thousands)

	June 30, 2016	December 31, 2015 (1)
	(unaudited)	
	\$	\$
Cash, cash equivalents and short-term investments	94,940	126,276
Total assets	99,320	131,747
Stockholders' equity	73,720	91,381

(1) Derived from audited financial statements

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