March 7, 2023

Rigel Reports Fourth Quarter and Full Year 2022 Financial Results and Provides Business Update

- *Fourth quarter 2022 Total Revenue of $51.3 million which includes TAVALISSE® net product sales of $21.9 million and REZLIDHIA™ net product sales of $0.9 million*
- *REZLIDHIA U.S. commercial launch continues to progress and is supported by recent addition to NCCN Guidelines® for AML*
- *Conference call and webcast scheduled today at 4:30 p.m. Eastern Time*

SOUTH SAN FRANCISCO, Calif., March 7, 2023 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) today reported financial results for the fourth quarter and full year ended December 31, 2022, including sales of TAVALISSE® (fostamatinib disodium hexahydrate) tablets for the treatment of adults with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment and sales of REZLIDHIA™ (olutasidenib) capsules for the treatment of adult patients with relapsed or refractory (R/R) acute myeloid leukemia (AML) with a susceptible isocitrate dehydrogenase-1 (IDH1) mutation as detected by an FDA-approved test.

"2022 was a year of significant accomplishments for Rigel, as we expanded our commercial hematology-oncology portfolio with the approval and launch of REZLIDHIA, an important new treatment option for AML patients. We also continued to strengthen our commercial execution, with TAVALISSE net product sales reaching a record high in the fourth quarter," said Raul Rodriguez, Rigel's president and CEO. "We are focused on continuing the successful launch of REZLIDHIA in R/R AML, driving sales growth for TAVALISSE in ITP, and advancing our development programs. In 2023, we look forward to further expanding our hematology-oncology portfolio and clinical pipeline."

Business Update

- In the fourth quarter of 2022, a total of 2,417 bottles of TAVALISSE were sold in the U.S., 2,196 of which were shipped directly to patients and clinics, representing the highest number of daily bottles shipped to patients and clinics in a quarter since launch. For the full year ended December 31, 2022, 8,112 bottles of TAVALISSE were shipped directly to patients and clinics, representing an increase of 20% compared to 2021.

- On December 1, 2022, REZLIDHIA was approved by the U.S. Food and Drug Administration (FDA) for the treatment of adult patients with R/R AML with a susceptible IDH1 mutation as detected by an FDA-approved test. From December 22, 2022, when REZLIDHIA became commercially available in the U.S., to December 31, 2022, a total of 64 bottles of REZLIDHIA were sold in the U.S. to fill initial orders from our distributors, 2 of which were shipped to patients and clinics.
- REZLIDHIA was added by the National Comprehensive Cancer Network® (NCCN®) to the latest NCCN Clinical Practice Guidelines in Oncology (NCCN Guidelines®) for AML in January 2023. REZLIDHIA is included as a recommended targeted therapy for adult patients with R/R AML with IDH1 mutation.
- Rigel announced a peer-reviewed publication of data in *Blood Advances* in February 2023, which summarizes clinical results from the Phase 2 registrational trial of REZLIDHIA in patients with mIDH1 R/R AML. The published data demonstrate that REZLIDHIA induced durable remissions and transfusion independence with a well-characterized safety profile.
- In December 2022, Rigel's partner Kissei announced Japan's Pharmaceuticals and Medical Devices Agency (PMDA) approval of TAVALISSE for the treatment of chronic ITP. During the fourth quarter, Rigel recognized a $20.0 million regulatory milestone earned from Kissei in connection with the approval. The payment was received during the first quarter of 2023.
- Rigel continues to advance the open-label, Phase 1b clinical trial of R289, an investigational, potent, and selective IRAK1/4 inhibitor, in patients with lower-risk myeloid dysplastic syndrome (LR-MDS) who are refractory/resistant to prior therapies. The first patients have been dosed and enrollment is underway.
- R552, an investigational, potent, and selective RIPK1 inhibitor, is being advanced by Rigel's partner Eli Lilly (Lilly). The initial Phase 2a trial in approximately 100 patients with moderately to severely active rheumatoid arthritis (RA) is anticipated to begin in the first half of 2023 and will involve global recruitment. The Phase 2a trial analysis is expected by the end of 2024.
- Data was published in *Transplantation and Cellular Therapy*, which summarizes the results of an investigational Phase 1 clinical trial of fostamatinib, Rigel's oral spleen tyrosine kinase, for the treatment of chronic graft-versus-host disease (cGvHD). Highlights included a promising overall response rate of 77% for fostamatinib in steroid-refractory cGvHD patients with 70% of responses lasting >1 year and a manageable safety profile in the post-transplant setting.

Financial Update

For the fourth quarter of 2022, Rigel reported a net income of $1.4 million, or $0.01 per basic and diluted share, compared to a net loss of $22.6 million, or $0.13 per basic and diluted share, for the same period of 2021.

For the fourth quarter of 2022, total revenues were $51.3 million, consisting of $21.9 million in TAVALISSE net product sales, $0.9 million in REZLIDHIA net product sales, $26.5 million in contract revenues from collaborations and $2.0 million in government contract revenue. TAVALISSE net product sales of $21.9 million represents an increase of 25% from $17.6 million in the fourth quarter of 2021. Following the approval of REZLIDHIA in December 2022, Rigel initiated the commercialization of REZLIDHIA in the U.S. and recognized net product sales of $0.9 million in the fourth quarter of 2022. Contract revenues from

collaborations for the fourth quarter of 2022 consisted of $20.0 million in revenue from Kissei related to a milestone payment earned upon Japan's PMDA approval of TAVALISSE for the treatment of chronic ITP, $5.7 million in non-cash revenue from the collaboration agreement with Medison Pharma Trading AG (Medison), $0.6 million in royalty revenue from Grifols S.A. (Grifols), and $0.2 million in revenue related to its license agreement with Lilly. Government contract revenue for the fourth quarter of 2022 was related to the income recognized pursuant to the agreement with the U.S. Department of Defense (DOD) to support Rigel's ongoing Phase 3 clinical trial of fostamatinib in hospitalized patients with COVID-19.

For the fourth quarter of 2022, total costs and expenses were $49.2 million, compared to $41.8 million for the same period of 2021. The increase in costs and expenses was primarily due to an increase in personnel-related costs and commercial expenses, and higher research and development costs related to the IRAK 1/4 inhibitor program. These increases were partially offset by decreased research and development costs related to the Phase 3 clinical trial for wAIHA and the Phase 3 clinical trial in high-risk hospitalized patients with COVID-19.

For the full year 2022, Rigel reported a net loss of $58.6 million, or $0.34 per basic and diluted share, compared to a net loss of $17.9 million, or $0.11 per basic and diluted share, for the same period of 2021.

For the full year 2022, total revenues were $120.2 million, consisting of $75.8 million in TAVALISSE net product sales, $0.9 million in REZLIDHIA net product sales, $39.0 million in contract revenues from collaborations and $4.5 million in government contract revenue. TAVALISSE net product sales of $75.8 million increased by 20% compared to $63.0 million in the same period of 2021. REZLIDHIA net product sales were related to shipments of products to customers following the FDA approval after commercial launch in December 2022. Contract revenues from collaborations for the full year 2022 consisted of $27.6 million in revenue from Kissei primarily related to milestones earned and delivery of fostamatinib supply, $5.7 million in non-cash revenue from the collaboration agreement with Medison, $3.0 million in revenue from Grifols related to the delivery of fostamatinib supply, performance of certain research and development services pursuant to the collaboration agreement and royalty revenue, $2.0 million in revenue related to the license agreement with Knight, and $0.7 million in revenue related to the license agreement with Lilly. Government contract revenue for the full year 2022 was related to the income recognized pursuant to the agreement with DOD.

For the full year 2022, total costs and expenses were $175.8 million, compared to $161.7 million for the same period of 2021. The increase in costs and expenses was primarily due to an increase in personnel-related costs and commercial expenses, and higher research and development costs related to the IRAK 1/4 inhibitor program. These increases were partially offset by decreased research and development costs related to the Phase 3 clinical trial for wAIHA and Phase 3 clinical trial in high-risk hospitalized patients with COVID-19.

As of December 31, 2022, Rigel had cash, cash equivalents and short-term investments of $58.2 million, compared to $125.0 million as of December 31, 2021.

Conference Call and Webcast with Slides Today at 4:30pm Eastern Time

Rigel will hold a live conference call and webcast today at 4:30pm Eastern Time (1:30pm

Pacific Time).

Participants can access the live conference call by dialing (877) 407-3088 (domestic) or (201) 389-0927 (international). The conference call will also be webcast live and can be accessed from the Investor Relations section of the company's website at www.rigel.com. The webcast will be archived and available for replay after the call via the Rigel website.

About ITP

In patients with ITP (immune thrombocytopenia), the immune system attacks and destroys the body's own blood platelets, which play an active role in blood clotting and healing. Common symptoms of ITP are excessive bruising and bleeding. People suffering with chronic ITP may live with an increased risk of severe bleeding events that can result in serious medical complications or even death. Current therapies for ITP include steroids, blood platelet production boosters (TPO-RAs), and splenectomy. However, not all patients respond to existing therapies. As a result, there remains a significant medical need for additional treatment options for patients with ITP.

About AML

Acute myeloid leukemia (AML) is a rapidly progressing cancer of the blood and bone marrow that affects myeloid cells, which normally develop into various types of mature blood cells. AML occurs primarily in adults and accounts for about 1 percent of all adult cancers. The American Cancer Society estimates that in the United States alone, there will be about 20,380 new cases, most in adults, in 2023.[2]

Relapsed AML affects about half of all patients who, following treatment and remission, experience a return of leukemia cells in the bone marrow.[3] Refractory AML, which affects between 10 and 40 percent of newly diagnosed patients, occurs when a patient fails to achieve remission even after intensive treatment.[4] Quality of life declines for patients with each successive line of treatment for AML, and well-tolerated treatments in relapsed or refractory disease remain an unmet need.

About TAVALISSE®

Indication

TAVALISSE (fostamatinib disodium hexahydrate) tablets is indicated for the treatment of thrombocytopenia in adult patients with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment.

Important Safety Information

Warnings and Precautions

- Hypertension can occur with TAVALISSE treatment. Patients with pre-existing hypertension may be more susceptible to the hypertensive effects. Monitor blood pressure every 2 weeks until stable, then monthly, and adjust or initiate antihypertensive therapy for blood pressure control maintenance during therapy. If increased blood pressure persists, TAVALISSE interruption, reduction, or discontinuation may be required.
- Elevated liver function tests (LFTs), mainly ALT and AST, can occur with TAVALISSE. Monitor LFTs monthly during treatment. If ALT or AST increase to ≥3 x upper limit of

normal, manage hepatotoxicity using TAVALISSE interruption, reduction, or discontinuation.
- Diarrhea occurred in 31% of patients and severe diarrhea occurred in 1% of patients treated with TAVALISSE. Monitor patients for the development of diarrhea and manage using supportive care measures early after the onset of symptoms. If diarrhea becomes severe (≥Grade 3), interrupt, reduce dose or discontinue TAVALISSE.
- Neutropenia occurred in 6% of patients treated with TAVALISSE; febrile neutropenia occurred in 1% of patients. Monitor the ANC monthly and for infection during treatment. Manage toxicity with TAVALISSE interruption, reduction, or discontinuation.
- TAVALISSE can cause fetal harm when administered to pregnant women. Advise pregnant women the potential risk to a fetus. Advise females of reproductive potential to use effective contraception during treatment and for at least 1 month after the last dose. Verify pregnancy status prior to initiating TAVALISSE. It is unknown if TAVALISSE or its metabolite is present in human milk. Because of the potential for serious adverse reactions in a breastfed child, advise a lactating woman not to breastfeed during TAVALISSE treatment and for at least 1 month after the last dose.

Drug Interactions

- Concomitant use of TAVALISSE with strong CYP3A4 inhibitors increases exposure to the major active metabolite of TAVALISSE (R406), which may increase the risk of adverse reactions. Monitor for toxicities that may require a reduction in TAVALISSE dose.
- It is not recommended to use TAVALISSE with strong CYP3A4 inducers, as concomitant use reduces exposure to R406.
- Concomitant use of TAVALISSE may increase concentrations of some CYP3A4 substrate drugs and may require a dose reduction of the CYP3A4 substrate drug.
- Concomitant use of TAVALISSE may increase concentrations of BCRP substrate drugs (eg, rosuvastatin) and P-Glycoprotein (P-gp) substrate drugs (eg, digoxin), which may require a dose reduction of the BCRP and P-gp substrate drug.

Adverse Reactions

- Serious adverse drug reactions in the ITP double-blind studies were febrile neutropenia, diarrhea, pneumonia, and hypertensive crisis, which occurred in 1% of TAVALISSE patients. In addition, severe adverse reactions occurred including dyspnea and hypertension (both 2%), neutropenia, arthralgia, chest pain, diarrhea, dizziness, nephrolithiasis, pain in extremity, toothache, syncope, and hypoxia (all 1%).
- Common adverse reactions (≥5% and more common than placebo) from FIT-1 and FIT-2 included: diarrhea, hypertension, nausea, dizziness, ALT and AST increased, respiratory infection, rash, abdominal pain, fatigue, chest pain, and neutropenia.

Please see www.TAVALISSEUSPI.com for full Prescribing Information.

To report side effects of prescription drugs to the FDA, visitwww.fda.gov/medwatch or call 1-800-FDA-1088 (800-332-1088).

TAVALISSE and TAVLESSE are registered trademarks of Rigel Pharmaceuticals, Inc.

About REZLIDHIA™

INDICATION

REZLIDHIA is indicated for the treatment of adult patients with relapsed or refractory acute myeloid leukemia (AML) with a susceptible isocitrate dehydrogenase-1 (IDH1) mutation as detected by an FDA-approved test.

IMPORTANT SAFETY INFORMATION

WARNING: DIFFERENTIATION SYNDROME

Differentiation syndrome, which can be fatal, can occur with REZLIDHIA treatment. Symptoms may include dyspnea, pulmonary infiltrates/pleuropericardial effusion, kidney injury, hypotension, fever, and weight gain. If differentiation syndrome is suspected, withhold REZLIDHIA and initiate treatment with corticosteroids and hemodynamic monitoring until symptom resolution.

WARNINGS AND PRECAUTIONS

Differentiation Syndrome

REZLIDHIA can cause differentiation syndrome. In the clinical trial of REZLIDHIA in patients with relapsed or refractory AML, differentiation syndrome occurred in 16% of patients, with grade 3 or 4 differentiation syndrome occurring in 8% of patients treated, and fatalities in 1% of patients. Differentiation syndrome is associated with rapid proliferation and differentiation of myeloid cells and may be life-threatening or fatal. Symptoms of differentiation syndrome in patients treated with REZLIDHIA included leukocytosis, dyspnea, pulmonary infiltrates/pleuropericardial effusion, kidney injury, fever, edema, pyrexia, and weight gain. Of the 25 patients who experienced differentiation syndrome, 19 (76%) recovered after treatment or after dose interruption of REZLIDHIA. Differentiation syndrome occurred as early as 1 day and up to 18 months after REZLIDHIA initiation and has been observed with or without concomitant leukocytosis.

If differentiation syndrome is suspected, temporarily withhold REZLIDHIA and initiate systemic corticosteroids (e.g., dexamethasone 10 mg IV every 12 hours) for a minimum of 3 days and until resolution of signs and symptoms. If concomitant leukocytosis is observed, initiate treatment with hydroxyurea, as clinically indicated. Taper corticosteroids and hydroxyurea after resolution of symptoms. Differentiation syndrome may recur with premature discontinuation of corticosteroids and/or hydroxyurea treatment. Institute supportive measures and hemodynamic monitoring until improvement; withhold dose of REZLIDHIA and consider dose reduction based on recurrence.

Hepatotoxicity

REZLIDHIA can cause hepatotoxicity, presenting as increased alanine aminotransferase (ALT), increased aspartate aminotransferase (AST), increased blood alkaline phosphatase, and/or elevated bilirubin. Of 153 patients with relapsed or refractory AML who received REZLIDHIA, hepatotoxicity occurred in 23% of patients; 13% experienced grade 3 or 4 hepatotoxicity. One patient treated with REZLIDHIA in combination with azacitidine in the clinical trial, a combination for which REZLIDHIA is not indicated, died from complications of drug-induced liver injury. The median time to onset of hepatotoxicity in patients with relapsed or refractory AML treated with REZLIDHIA was 1.2 months (range: 1 day to 17.5 months) after REZLIDHIA initiation, and the median time to resolution was 12 days (range: 1 day to 17 months). The most common hepatotoxicities were elevations of ALT, AST, blood alkaline phosphatase, and blood bilirubin.

Monitor patients frequently for clinical symptoms of hepatic dysfunction such as fatigue,

anorexia, right upper abdominal discomfort, dark urine, or jaundice. Obtain baseline liver function tests prior to initiation of REZLIDHIA, at least once weekly for the first two months, once every other week for the third month, once in the fourth month, and once every other month for the duration of therapy. If hepatic dysfunction occurs, withhold, reduce, or permanently discontinue REZLIDHIA based on recurrence/severity.

ADVERSE REACTIONS
The most common (≥20%) adverse reactions, including laboratory abnormalities, were aspartate aminotransferase increased, alanine aminotransferase increased, potassium decreased, sodium decreased, alkaline phosphatase increased, nausea, creatinine increased, fatigue/malaise, arthralgia, constipation, lymphocytes increased, bilirubin increased, leukocytosis, uric acid increased, dyspnea, pyrexia, rash, lipase increased, mucositis, diarrhea and transaminitis.

DRUG INTERACTIONS

- Avoid concomitant use of REZLIDHIA with strong or moderate CYP3A inducers.
- Avoid concomitant use of REZLIDHIA with sensitive CYP3A substrates unless otherwise instructed in the substrates prescribing information. If concomitant use is unavoidable, monitor patients for loss of therapeutic effect of these drugs.

LACTATION
Advise women not to breastfeed during treatment with REZLIDHIA and for 2 weeks after the last dose.

GERIATRIC USE
No overall differences in effectiveness were observed between patients 65 years and older and younger patients. Compared to patients younger than 65 years of age, an increase in incidence of hepatotoxicity and hypertension was observed in patients ≥65 years of age.

HEPATIC IMPAIRMENT
In patients with mild or moderate hepatic impairment, closely monitor for increased probability of differentiation syndrome.

Click here for Full Prescribing Information, including Boxed WARNING.

To report side effects of prescription drugs to the FDA, visitwww.fda.gov/medwatch or call 1-800-FDA-1088 (800-332-1088).

REZLIDHIA is a trademark of Rigel Pharmaceuticals, Inc.

About Rigel
Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL) is a biotechnology company dedicated to discovering, developing and providing novel therapies that significantly improve the lives of patients with hematologic disorders and cancer. Founded in 1996, Rigel is based in South San Francisco, California. For more information on Rigel, the Company's marketed products and pipeline of potential products, visit www.rigel.com.

1. R289 is an investigational compound not approved by the FDA
2. The American Cancer Society. Key Statistics for Acute Myeloid Leukemia (AML). Revised January 12, 2023. Accessed Feb. 15, 2023:

https://www.cancer.org/cancer/acute-myeloid-leukemia/about/key-statistics.html
3. Leukaemia Care. Relapse in Acute Myeloid Leukaemia (AML). Version 3. Reviewed October 2021. Accessed Feb 15, 2023: https://media.leukaemiacare.org.uk/wp-content/uploads/Relapse-in-Acute-Myeloid-Leukaemia-AML-Web-Version.pdf
4. Thol F, Schlenk RF, Heuser M, Ganser A. How I treat refractory and early relapsed acute myeloid leukemia. Blood. 2015 Jul 16;126(3):319-27. doi: https://doi.org/10.1182/blood-2014-10-551911

Forward Looking Statements

This press release contains forward-looking statements relating to, among other things, the potential and market opportunity of olutasidenib as therapeutics for R/R AML and other conditions, the commercialization of fostamatinib in the U.S. and international markets including Japan, and Rigel's ability to further develop its clinical stage and early-stage product candidates and Rigel's partnering effort, including the progress of Phase 1b clinical trial of R289 for the treatment of lower-risk myeloid dysplastic syndrome, the advancement of Phase 2a clinical trial of R552 for the treatment of rheumatoid arthritis, and the results of Phase 1 clinical trial of fostamatinib for the treatment of chronic graft-versus-host disease. Any statements contained in this press release that are not statements of historical fact may be deemed to be forward-looking statements. Forward-looking statements can be identified by words such as "plan", "potential", "may", "expects", "will" and similar expressions in reference to future periods. Forward-looking statements are neither historical facts nor assurances of future performance. Instead, they are based on Rigel's current beliefs, expectations, and assumptions and hence they inherently involve significant risks, uncertainties and changes in circumstances that are difficult to predict and many of which are outside of our control. Therefore, you should not rely on any of these forward-looking statements. 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, risks and uncertainties associated with the commercialization and marketing of TAVALISSE or REZLIDHIA; risks that the FDA, European Medicines Agency, PMDA or other regulatory authorities may make adverse decisions regarding fostamatinib or olutasidenib; risks that clinical trials may not be predictive of real-world results or of results in subsequent clinical trials; risks that fostamatinib or olutasidenib may have unintended side effects, adverse reactions or incidents of misuses; the availability of resources to develop Rigel's product candidates; market competition; 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 quarter ended September 30, 2022 and subsequent filings. Any forward-looking statement made by us in this press release is based only on information currently available to us and speaks only as of the date on which it is made. Rigel does not undertake any obligation to update forward-looking statements, whether written or oral, that may be made from time to time, whether as a result of new information, future developments or otherwise, and expressly disclaims any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein, except as required by law.

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RIGEL PHARMACEUTICALS, INC.
STATEMENTS OF OPERATIONS
(in thousands, except per share amounts)

	Three Months Ended December 31,		Year Ended December 31,	
	2022	2021	2022	2021
	(unaudited)			
Revenues:				
	$	$	$	$
Product sales, net	22,783	17,569	76,718	63,010
Contract revenues from collaborations	26,495	1,840	39,024	75,726
Government contract	2,000	1,000	4,500	10,500
Total revenues	51,278	20,409	120,242	149,236
Costs and expenses:				
Cost of product sales	342	487	1,749	1,083
Research and development (see Note A)	15,365	13,304	60,272	65,237
Selling, general and administrative (see Note A)	32,172	24,515	112,451	91,891
Restructuring charges (see Note A)	1,320	3,521	1,320	3,521
Total costs and expenses	49,199	41,827	175,792	161,732
Income (loss) from operations	2,079	(21,418)	(55,550)	(12,496)
Interest income	429	16	684	47
Interest expense	(1,107)	(1,299)	(3,707)	(4,860)
Income (loss) before income taxes	1,401	(22,701)	(58,573)	(17,309)
Provision for (benefit from) income taxes	-	(60)	-	605
	$	$	$	$
Net income (loss)	1,401	(22,641)	(58,573)	(17,914)
Net income (loss) per share, basic and diluted				
	$	$	$	$
Basic	0.01	(0.13)	(0.34)	(0.11)
	$	$	$	$
Diluted	0.01	(0.13)	(0.34)	(0.11)
Weighted average shares used in computing net income (loss) per share, basic and diluted				
Basic	172,851	171,071	172,406	170,492
Diluted	172,856	170,071	172,406	170,492

Note A

Stock-based compensation expense included in:				
	$	$	$	$
Selling, general and administrative	3,426	1,712	10,217	7,337
Research and development	654	178	2,168	1,700
Restructuring charges	-	449	-	449
	$	$	$	$
	4,080	2,339	12,385	9,486

SUMMARY BALANCE SHEET DATA
(in thousands)

	As of December 31,	
	2022	2021
	$	$
Cash, cash equivalents and short-term investments	58,206	124,967
Total assets	134,279	167,328
Stockholders' equity (deficit)	(13,616)	30,374

View original content to download multimedia:https://www.prnewswire.com/news-releases/rigel-reports-fourth-quarter-and-full-year-2022-financial-results-and-provides-business-update-301764931.html

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