August 13, 2026

Rigel Announces Availability of VEPPANU™ (vepdegestrant) for Patients with ER+/HER2-, ESR1-Mutated Advanced or Metastatic Breast Cancer

VEPPANU is the first and only FDA-approved PROTAC and has the potential to become an important new treatment option for adult patients with 2L+ ER+/HER2-, ESR1-mutated mBC

SOUTH SAN FRANCISCO, Calif., Aug. 13, 2026 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL), a commercial stage biotechnology company focused on hematologic disorders and cancer, today announced VEPPANU™ (vepdegestrant) is now available by prescription in the United States for the treatment of adults with estrogen receptor-positive (ER+)/human epidermal growth factor receptor 2-negative (HER2-), estrogen receptor 1 (*ESR1*)-mutated advanced or metastatic breast cancer (mBC), as detected by a U.S. Food and Drug Administration (FDA)-authorized test, with disease progression following at least one line of endocrine therapy.

"The commercial launch of VEPPANU marks an important milestone for Rigel and, more importantly, for patients living with ER+/HER2-, *ESR1*-mutated advanced or metastatic breast cancer. In a pivotal trial, VEPPANU was generally well tolerated and demonstrated statistically significant and clinically meaningful improvement in progression-free survival versus fulvestrant in this patient population. As the first and only FDA-approved PROTAC, VEPPANU introduces a novel mechanism of action and represents an important new treatment option for healthcare providers to consider with their patients," said Raul Rodriguez, Rigel's president and CEO. "Supported by our established oncology infrastructure, experienced commercial and medical affairs teams and comprehensive patient support programs, we are well positioned to execute a successful commercial launch of VEPPANU, allowing Rigel to deliver for patients while advancing our long-term growth strategy."

VEPPANU is the first and only FDA-approved PROteolysis TArgeting Chimera (PROTAC). PROTACs are a new class of heterobifunctional protein degraders designed to harness the body's natural machinery to selectively degrade, rather than inhibit, disease-causing proteins. The recommended dosage of VEPPANU is 200 mg taken orally once daily. VEPPANU is immediately available through Rigel's network of specialty distributors and

specialty pharmacies in the United States and Puerto Rico at $29,400 per 30-day supply.

More information on how to order VEPPANU can be found at www.RIGELONECARE.com. For those who qualify, Rigel offers patient assistance programs for patients prescribed VEPPANU by their doctor. RIGEL ONECARE®, the company's comprehensive patient support center, can help patients and physicians as they navigate insurance coverage requirements and provide financial assistance when needed and if eligible, along with other support programs. To learn more, visit www.RIGELONECARE.com or contact RIGEL ONECARE at 833-RIGELOC (833-744-3562).

In May 2026, Rigel announced it entered into an exclusive, global license agreement with Arvinas, Inc. and Pfizer Inc. to develop, manufacture and commercialize VEPPANU.

About ER+/HER2-, *ESR1*-mutated Metastatic Breast Cancer

Breast cancer is the most common cancer in women in the United States, except for skin cancers.[1] The estrogen receptor-positive/human epidermal growth factor receptor 2-negative (ER+/HER2-) patient population represents the majority (70%) of breast cancer, where treatment with endocrine therapies (aromatase inhibitors) is the standard of care. While endocrine therapy remains a cornerstone of metastatic ER+/HER2- breast cancer treatment, up to 50% of patients treated with endocrine therapy and a CDK4/6 inhibitor acquire estrogen receptor 1 gene (*ESR1*) mutations, resulting in endocrine resistance and poor prognosis. Treatment options in second-line and later ER+/HER2-, *ESR1*-mutated advanced or metastatic breast cancer setting include chemotherapy, selective estrogen receptor degraders (SERDs), and as of May 2026, vepdegestrant, the first and only FDA-approved oral PROteolysis TArgeting Chimera (PROTAC).

About VEPPANU™ (vepdegestrant)

INDICATION

VEPPANU is indicated for the treatment of adults with estrogen receptor (ER)–positive, human epidermal growth factor receptor 2 (HER2)–negative, *estrogen receptor*–1 (*ESR1*)–mutated advanced or metastatic breast cancer, as detected by an FDA-authorized test, with disease progression following at least one line of endocrine therapy.

IMPORTANT SAFETY INFORMATION

WARNINGS AND PRECAUTIONS

QTc Interval Prolongation

VEPPANU can cause QT (QTc) interval prolongation. Correct electrolyte abnormalities, including hypokalemia and hypomagnesemia, prior to and during treatment with VEPPANU. Perform an ECG prior to initiation of treatment with VEPPANU and do not initiate VEPPANU in patients with QTc >470 msec. Repeat ECG approximately 4 weeks after initiating treatment and as clinically indicated. Avoid concomitant use of VEPPANU with strong CYP3A inhibitors or drugs known to prolong the QTc interval.

Embryo-Fetal Toxicity

Based on findings from animal studies and its mechanism of action, VEPPANU can cause fetal harm when administered to a pregnant woman. Advise pregnant women and females of reproductive potential of the potential risk to a fetus. Advise females of reproductive potential to use effective contraception during treatment with VEPPANU and for 2 weeks after the last

dose. Advise male patients with female partners of reproductive potential to use effective contraception during treatment with VEPPANU and for 2 weeks after the last dose.

ADVERSE REACTIONS
Serious adverse reactions occurred in 9% of patients who received VEPPANU. The serious adverse reactions included any fracture (1.3%), fall, hypercalcemia, hepatic injury, pneumonia, musculoskeletal pain (0.6% each), and QTc prolonged (0.3%). Fatal adverse reactions occurred in 1.0% of patients who received VEPPANU, including dyspnea, cerebral ischemia, and unknown cause (one patient each).

Permanent discontinuation of VEPPANU due to an adverse reaction occurred in 2.9% of patients, dosage interruptions of VEPPANU due to an adverse reaction occurred in 14% of patients, and dosage reductions of VEPPANU due to an adverse reaction occurred in 1.9% of patients.

The most common (≥10%) adverse reactions, including laboratory abnormalities, were decreased white blood cells, increased AST, musculoskeletal pain, fatigue, decreased hemoglobin, decreased neutrophils, increased ALT, increased alkaline phosphatase, nausea, decreased blood potassium, increased bilirubin, decreased appetite, electrocardiogram QT prolonged, decreased platelets, and constipation.

Clinically relevant adverse reactions in <10% of patients who received VEPPANU included headache, hot flush, diarrhea, vomiting, bradycardia, and urinary tract infection.

DRUG INTERACTIONS

- **Strong CYP3A Inhibitors:** Avoid concomitant use of VEPPANU with strong CYP3A inhibitors. If concomitant use cannot be avoided, reduce VEPPANU dosage.
- **Strong CYP3A Inducers:** Avoid concomitant use with strong CYP3A inducers in patients receiving VEPPANU. If concomitant use cannot be avoided, increase VEPPANU dosage.
- **Certain P-gp Substrates:** Avoid concomitant use with certain P-gp substrates where minimal increases in concentration may lead to serious adverse reactions.
- **Certain UGT1A9 Substrates:** Refer to the Prescribing Information for UGT1A9 substrates where minimal increases in the concentration may lead to serious adverse reactions.

Avoid concomitant use of VEPPANU with other drugs with a known potential to prolong the QTc interval.

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

Click here **for Important Safety Information and 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).**

VEPPANU is a trademark and RIGEL ONECARE is a registered 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. The American Cancer Society. Key Statistics for Breast Cancer. Revised June 24, 2026. Accessed July 30, 2026: https://www.cancer.org/cancer/types/breast-cancer/about/how-common-is-breast-cancer.html

Forward-Looking Statements

This press release contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995 ("PSLRA") relating to, among other things, the potential of VEPPANU (vepdegestrant); Rigel's expectations regarding the commercialization of VEPPANU; the contributions of VEPPANU to Rigel's long-term growth strategy; and the anticipated timing of commercial availability of VEPPANU. Any statements contained in this press release that are not statements of historical fact may be deemed to be forward-looking statements and as such are intended to be covered by the safe harbor for "forward-looking statements" provided by the PSLRA. Forward-looking statements can be identified by words such as "plan", "potential", "may", "anticipates", "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 therefore inherently involve significant risks and uncertainties that are difficult to predict and many of which are outside of Rigel's control. 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 related to the successful transfer of development, manufacturing and commercialization responsibilities to Rigel; risks associated with integrating newly acquired or licensed assets; risks related to Rigel's dependence on third parties, including Arvinas and Pfizer, for development, manufacturing and supply activities; risks related to Rigel's ability to successfully launch and commercialize VEPPANU, including uncertainties related to physician adoption, patient demand, market acceptance, reimbursement and pricing; competition from other therapies; regulatory risks, including the risk that regulatory approvals may be subject to limitations or may be withdrawn; risks that clinical trial results may not be predictive of real-world results; risks that VEPPANU may have unintended side effects or adverse reactions; and risks related to Rigel's ability to successfully execute its strategic and commercial plans. There can be no assurance that VEPPANU will achieve the commercial potential anticipated by Rigel or that the license agreement will result in the expected benefits. Additional risks and uncertainties are described in the "Risk Factors" section of Rigel's Quarterly Report on Form 10-Q for the quarter ended June 30, 2026 and in other filings Rigel makes with the Securities and Exchange Commission. Any forward-looking statement made by Rigel in this press release speaks only as of the date on which it is made. Rigel undertakes no obligation to update or revise any forward-looking statements, whether as a result of new information, future developments or otherwise, except as required by law.

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