May 12, 2026

Rigel Enters Exclusive Global Licensing Agreement for VEPPANU™ (vepdegestrant), an oral PROTAC, for the Treatment of 2L+ ER+/HER2-, ESR1m Advanced or Metastatic Breast Cancer

- *VEPPANU has a novel mechanism of action, 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*
- *Pivotal Phase 3 VERITAC-2 clinical trial results showed that vepdegestrant was generally well tolerated and reported mPFS of 5.0 months vs. 2.1 months for fulvestrant, a 2.4-fold improvement, in patients with 2L+ ER+/HER2- mBC with an ESR1 mutation*
- *Upon closing of the transaction, VEPPANU will become Rigel's fourth commercial product and its major focus to accelerate revenue growth while leveraging the company's existing infrastructure, contributing meaningfully to the advancement of Rigel's transformational growth strategy*
- *Arvinas and Pfizer will receive an upfront $70.0 million and an additional $15.0 million upon the successful completion of certain transition activities, and are eligible for up to $320.0 million in future potential regulatory and commercial milestones*
- *Rigel to host a conference call today at 8:00 a.m. Eastern Time to discuss the transaction and will be joined by breast cancer Key Opinion Leader and vepdegestrant Phase 3 VERITAC-2 principal investigator Erika Hamilton, M.D.*

SOUTH SAN FRANCISCO, Calif., May 12, 2026 /PRNewswire/ -- Rigel Pharmaceuticals, Inc. (Nasdaq: RIGL), a commercial stage biotechnology company focused on hematologic disorders and cancer, today announced that it has entered into an exclusive, global license agreement with Arvinas, Inc. (Arvinas) and Pfizer Inc. (Pfizer), subject to regulatory clearance, to develop, manufacture and commercialize VEPPANU™ (vepdegestrant), the first and only U.S. Food and Drug Administration (FDA)-approved oral PROteolysis TArgeting Chimera (PROTAC). PROTACs are part of a new class of heterobifunctional protein degraders designed to harness the body's natural machinery to selectively degrade, rather than inhibit, disease-causing proteins. In the Phase 3 VERITAC-2 clinical trial, which evaluated vepdegestrant in patients with estrogen receptor-positive (ER+)/human epidermal

growth factor receptor 2-negative (HER2-), estrogen receptor 1 (*ESR1*)-mutated advanced or metastatic breast cancer (mBC), vepdegestrant was generally well tolerated and demonstrated a statistically significant and clinically meaningful improvement in progression-free survival (PFS) as compared to fulvestrant.

"Our transformational growth strategy took a significant step forward today as we plan to enter a targeted segment of the sizable breast cancer market, focused on patients with limited treatment options following progression on endocrine therapy. With its novel mechanism of action designed to address a key driver of resistance, VEPPANU represents a compelling treatment option within this setting," said Raul Rodriguez, Rigel's president and CEO. "Importantly, we are well positioned to advance this important new treatment, supported by a highly experienced commercial and medical affairs organization and a proven track record of successfully launching newly-acquired assets. VEPPANU is expected to contribute strong revenue growth in our expanding commercial portfolio, and we believe it has the potential to become a meaningful driver of long-term growth for Rigel."

On May 31, 2025, pivotal results for VERITAC-2 (NCT05654623), a global, randomized, open-label Phase 3 clinical trial were presented in an oral presentation of a late-breaking abstract at the 2025 American Society of Clinical Oncology (ASCO) Annual Meeting, and simultaneously published in the *New England Journal of Medicine*. On August 8, 2025, the FDA accepted the New Drug Application (NDA) for vepdegestrant (ARV-471) to treat ER+/HER2-, *ESR1*-mutated advanced breast cancer and set a Prescription Drug User Fee Act (PDUFA) action date of June 5, 2026.

On May 1, 2026, VEPPANU (vepdegestrant) was approved by the FDA for the treatment of adults with ER+/HER2-, *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. FDA approval was granted based on data from VERITAC-2 clinical trial that evaluated vepdegestrant versus fulvestrant in patients with ER+/HER2-, *ESR1*-mutated advanced or metastatic breast cancer. In the trial, among patients with an *ESR1* mutation (n=270), vepdegestrant demonstrated a statistically significant and clinically meaningful improvement in progression-free survival (PFS), reducing the risk of disease progression or death by 43% compared to fulvestrant. Median PFS was 5.0 months (95% CI: 3.7, 7.4) in the vepdegestrant arm and 2.1 months (95% CI: 1.9, 3.5) in the fulvestrant arm (hazard ratio 0.57 [95% CI: 0.42, 0.77]; p-value 0.0001). Overall survival was immature with 16% of deaths in this population at the time of the PFS analysis. The majority of adverse events with vepdegestrant were low grade (Grade 1-2) and 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.

"The ER+/HER2- patient population is the most prevalent breast cancer subtype, where treatment with endocrine therapies is the current standard of care. As many as 50% of patients emerge with an ESR1 mutation following exposure to endocrine therapy, developing resistance to standard treatments," said Erika Hamilton, M.D., Chief Development Officer, Late Phase and Director, Breast Cancer Research at Sarah Cannon Research Institute, and Phase 3 VERITAC-2 principal investigator. "As a PROTAC, vepdegestrant is mechanistically

differentiated and has a demonstrated efficacy and a safety profile observed in clinical trials. Vepdegestrant provides a much-needed new treatment option for physicians that can fill a critical gap in care for patients with breast cancer."

On May 8, 2026, the National Comprehensive Cancer Network® (NCCN®) added vepdegestrant to the latest NCCN Clinical Practice Guidelines in Oncology (NCCN Guidelines®) for Breast Cancer. Vepdegestrant was added as a Category 2A treatment option for patients with hormone receptor (HR)-positive/HER2-negative, *ESR1*-mutated advanced or metastatic breast cancer after at least one line of endocrine therapy + cyclin-dependent kinase (CDK) 4/6 inhibitor.*

Transaction Details

Under the terms of the agreement, Rigel will be granted exclusive global rights to develop, manufacture and commercialize VEPPANU. Arvinas and Pfizer will receive an upfront payment of $70.0 million and an additional $15.0 million upon successful completion of certain development and manufacturing transition activities, to be distributed to Arvinas and Pfizer.

Pfizer and Arvinas will continue to be responsible for current ongoing development activities and Rigel will contribute up to $40.0 million towards certain development activities over the next four years.

Arvinas and Pfizer are entitled to receive tiered royalties on commercial sales of VEPPANU ranging from mid-teens to mid-twenties. Arvinas and Pfizer are also eligible to receive a total of up to an additional $320.0 million in connection with the achievement of certain regulatory and commercial milestones.

Rigel will be responsible for the launch and commercialization of VEPPANU in the U.S. and has global rights with the ability to sublicense to potential partners to further develop and commercialize vepdegestrant outside the U.S. Arvinas and Pfizer will be entitled to a percentage of sublicensing revenue generated outside the U.S.

The effectiveness of the agreement is subject to customary closing conditions, including clearance under the Hart-Scott-Rodino Antitrust Improvements Act, and is expected to close in mid-June 2026.

Conference Call and Webcast with Slides Today at 8:00 a.m. Eastern Time

Rigel will hold a live conference call and webcast today at 8:00 a.m. Eastern Time (5:00 a.m. Pacific Time) to discuss the transaction. The conference call will also feature a presentation of the vepdegestrant Phase 3 data by Erika Hamilton, M.D., Chief Development Officer, Late Phase and Director, Breast Cancer Research at Sarah Cannon Research Institute, and Phase 3 VERITAC-2 principal investigator.

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.

*NCCN makes no warranties of any kind whatsoever regarding their content, use or application and disclaims any responsibility for their application or use in any way.

Please see below for the Important Safety Information for VEPPANU. Please see full U.S. Prescribing Information for VEPPANU **here**.

What is VEPPANU?
VEPPANU is a prescription medicine to treat people with estrogen receptor (ER)-positive, human epidermal growth factor receptor 2 (HER2)-negative, *ESR1*-mutated advanced breast cancer or breast cancer that has spread to other parts of the body (metastatic), **and** whose disease has progressed after at least one line of endocrine-based therapy.

Your healthcare provider will perform a test to make sure that VEPPANU is right for you.

It is not known if VEPPANU is safe and effective in children.

IMPORTANT SAFETY INFORMATION

What should I tell my healthcare provider before taking VEPPANU?

- **All your medical conditions, including if you:**
- have heart failure or heart rhythm problems, including QTc prolongation, and long QTc syndrome
- have low blood levels of potassium or magnesium
- are pregnant or plan to become pregnant. VEPPANU can harm your unborn baby.

Females who are able to become pregnant:

  - Your healthcare provider may do a pregnancy test before you start treatment with VEPPANU.
  - Use effective birth control (contraception) during treatment with VEPPANU and for 2 weeks after the last dose.
  - Tell your healthcare provider right away if you become pregnant or think you may be pregnant during treatment with VEPPANU.

Males with female partners who are able to become pregnant:

  - Use effective birth control (contraception) during treatment with VEPPANU and for 2 weeks after the last dose.

- are breastfeeding or plan to breastfeed. It is not known if VEPPANU passes into your breast milk. Do not breastfeed during treatment with VEPPANU and for 2 weeks after the last dose.

Tell your healthcare provider about all the medicines you take, including prescription and over-the counter medicines, vitamins, and herbal supplements. VEPPANU and other medicines may affect the way each other works and may cause serious side effects.

What should I avoid while taking VEPPANU?
Avoid taking St. John's wort, eating grapefruit, or drinking grapefruit juice during with treatment with VEPPANU.

What are the possible side effects of VEPPANU?
VEPPANU can cause serious side effects, including:

- **Heart rhythm problems (QTc interval prolongation).** VEPPANU can cause changes in the electrical activity of your heart and may increase your risk of abnormal heart rhythm problems, and sudden death. Your healthcare provider will check your heart with a test called an electrocardiogram (ECG) and check your blood potassium and magnesium levels before and as needed during treatment with VEPPANU. Get emergency medical help right away if you get any signs and symptoms of abnormal heart rhythm, including:
    - feeling lightheaded or faint
    - dizziness
    - feeling that your heart is pounding or beating fast (heart palpitations)
    - shortness of breath
    - chest pain

The most common side effects of VEPPANU include:

- decreased white blood cell counts
- increased liver function tests
- muscle and bone pain
- tiredness
- decreased red blood cell counts
- nausea
- decreased potassium levels in your blood
- decreased appetite
- abnormal electrocardiogram (QT prolonged)
- decreased platelet counts
- constipation

Your healthcare provider may decrease your dose, temporarily stop, or completely stop treatment with VEPPANU, if you develop certain side effects.

VEPPANU may affect fertility in males and in females who are able to become pregnant. Talk to your healthcare provider if this is a concern for you. These are not all of the possible side effects of VEPPANU.

Call your doctor for medical advice about side effects.

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 registered trademark of Arvinas Operations, 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.

Forward Looking Statements

This press release contains forward-looking statements within the meaning of the Private

Securities Litigation Reform Act of 1995, including statements regarding the expected closing of the transaction, the anticipated benefits of the license agreement, the potential of VEPPANU (vepdegestrant), and Rigel's expectations regarding the commercialization, market opportunity and potential contribution of VEPPANU to its commercial portfolio and future growth. These forward-looking statements are based upon Rigel's current expectations and beliefs and are subject to a number of risks, uncertainties and assumptions that could cause actual results to differ materially from those described in the forward-looking statements. These risks and uncertainties include, but are not limited to: the ability of the parties to satisfy the closing conditions to the transaction, including receipt of required regulatory approvals; the timing of the closing of the transaction; risks related to the transfer of development, manufacturing and commercialization responsibilities to Rigel, including risks associated with integrating newly acquired or licensed assets; Rigel's ability to successfully launch and commercialize VEPPANU, including uncertainties related to physician adoption, patient demand and market acceptance; the availability and adequacy of reimbursement and pricing; competition from other therapies; the extent to which clinical trial results translate into real-world outcomes; regulatory risks, including the risk that regulatory approvals may be subject to limitations or may be withdrawn; risks related to Rigel's dependence on third parties, including Arvinas and Pfizer, for development, manufacturing and supply activities; and 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 transaction 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 March 31, 2026 and in other filings Rigel makes with the Securities and Exchange Commission. All forward-looking statements in this press release speak only as of the date of this release, and Rigel undertakes no obligation to update or revise any forward-looking statements, whether as a result of new information, future events or otherwise, except as required by law.

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