Arvinas Announces the Initiation of Patient Dosing in a First-in-Human Phase 1 Study of ARV-471, an Estrogen Receptor-Targeting PROTAC® Protein Degrader

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Trial is evaluating the safety and tolerability of ARV-471 in patients with locally advanced or metastatic ER positive / HER2 negative breast cancer

NEW HAVEN, Conn., Aug. 27, 2019 (GLOBE NEWSWIRE) -- Arvinas, Inc. (Nasdaq: ARVN), a biotechnology company creating a new class of drugs based on targeted protein degradation, today announced the initiation of patient dosing in its second clinical program. The Phase 1 clinical trial of ARV-471, an oral estrogen receptor (ER)-targeting PROTAC® protein degrader, will evaluate the safety, tolerability, and pharmacokinetics of ARV-471 in patients with locally advanced or metastatic ER positive / HER2 negative breast cancer. Arvinas anticipates preliminary data from the trial in 2020.

“ARV-471 is a potent ER degrader that has demonstrated significant anti-tumor activity in preclinical models, and we are hopeful it will address an important need for patients with advanced ER positive breast cancer not adequately treated with current standards of care,” said Ronald Peck, M.D., Chief Medical Officer of Arvinas. “ARV-471 is Arvinas’ second targeted protein degrader to enter the clinic, making this another exciting and significant development for our company and the field.”

The Phase 1 clinical trial will assess the safety, tolerability, and pharmacokinetics of ARV-471 and will include measures of its pharmacodynamic and anti-tumor activity as secondary endpoints. In preclinical studies, orally administered ARV-471 demonstrated improved *in vivo* ER degradation potency and anti-tumor activity both as a monotherapy and in combination with a CDK4/6 inhibitor, as compared to current standard of care treatment regimens. In future trials, the company plans to investigate ARV-471 for use as both a single agent and in combination with other modalities, such as CDK 4/6 inhibitors. Additional information on this clinical trial can be found on www.clinicaltrials.gov.

About locally advanced or metastatic ER positive / HER2 negative breast cancer

In the United States, breast cancer is the second most common cancer and the second leading cause of cancer death in women. The American Cancer Society estimates that there will be approximately 268,000 women diagnosed with invasive breast cancer in the U.S. in 2019. Metastatic breast cancer accounts for approximately 6% of newly diagnosed cases. Approximately 80% of newly diagnosed breast cancers are ER+, with many patients developing resistance to current treatment options over time.

Women with locally advanced or metastatic breast cancer are treated with systemic therapies, including hormone therapy, chemotherapy, and targeted therapy, either as single agents or in combination. Women with metastatic or recurrent ER positive breast cancer are often treated with hormone therapy, such as tamoxifen, an aromatase inhibitor, or fulvestrant, alone or in combination with targeted drugs such as CDK 4/6 inhibitors. In patients with aggressive disease or whose disease continues to progress with hormonal treatment regimens, chemotherapy may be prescribed.

A current standard of care for women with ER positive locally advanced or metastatic breast cancer is fulvestrant, which is administered as a monthly intramuscular injection, either as a single-agent or in combination with another targeted therapy. While fulvestrant has validated the importance of ER degradation as a therapeutic intervention, up to 50% of ER can remain when compared to baseline levels after six months of treatment with fulvestrant.

About ARV-471

ARV-471 is a PROTAC® protein degrader designed to specifically target and degrade the estrogen receptor (ER). Arvinas’ Phase 1 trial of ARV-471 will assess its safety, tolerability, and pharmacokinetics, and will also include measures of anti-tumor activity and pharmacodynamic readouts as secondary endpoints.

In preclinical studies, ARV-471 demonstrated near-complete ER degradation in tumor cells, induced robust tumor shrinkage when dosed as a single agent in multiple ER-driven xenograft models, and showed superior anti-tumor activity as a single agent and in combination with a CDK4/6 inhibitor when compared to a standard of care agent, fulvestrant (as a single agent and in combination with a CDK4/6 inhibitor). Arvinas believes the differentiated pharmacology of ARV-471, including its iterative degradation activity, has the potential to translate into meaningful clinical benefit for patients.

About Arvinas

Arvinas is a clinical-stage biopharmaceutical company dedicated to improving the lives of patients suffering from debilitating and life-threatening diseases through the discovery, development, and commercialization of therapies that degrade disease-causing proteins. Arvinas uses its proprietary technology platform to engineer proteolysis-targeting chimeras, or PROTAC® targeted protein degraders, that are designed to harness the body’s own natural protein disposal system to selectively and efficiently degrade and remove disease-causing proteins. The company’s initial clinical program, ARV-110 for the treatment of patients with metastatic castrate-resistant prostate cancer, began a Phase 1 clinical trial in the first quarter of 2019. The Investigational New Drug application (IND) for ARV-471, in development for the treatment of patients with locally advanced or metastatic ER positive / HER2 negative breast cancer, was cleared by the U.S. Food and Drug Administration (FDA) in the second quarter of 2019. For more

information, visit www.arvinas.com.

Forward-Looking Statements

This press release contains forward-looking statements that involve substantial risks and uncertainties, including statements regarding the development and regulatory status of our product candidates, including the timing of data from our clinical trial for ARV-471 and the potential advantages and therapeutic potential of our product candidates. All statements, other than statements of historical facts, contained in this press release, including statements regarding our strategy, future operations, prospects, plans and objectives of management, are forward-looking statements. The words “anticipate,” “believe,” “estimate,” “expect,” “intend,” “may,” “might,” “plan,” “predict,” “project,” “target,” “potential,” “will,” “would,” “could,” “should,” “continue,” and similar expressions are intended to identify forward-looking statements, although not all forward-looking statements contain these identifying words.

We may not actually achieve the plans, intentions or expectations disclosed in our forward-looking statements, and you should not place undue reliance on our forward-looking statements. Actual results or events could differ materially from the plans, intentions and expectations disclosed in the forward-looking statements we make as a result of various risks and uncertainties, including but not limited to: whether we will be able to successfully conduct a Phase 1 clinical trial for ARV-471, complete our clinical trials for our product candidates, and receive results from our clinical trials on our expected timelines, or at all, whether our cash resources will be sufficient to fund our foreseeable and unforeseeable operating expenses and capital expenditure requirements on our expected timeline and other important factors discussed in the “Risk Factors” sections contained in our quarterly and annual reports on file with the Securities and Exchange Commission. The forward-looking statements contained in this press release reflect our current views with respect to future events, and we assume no obligation to update any forward-looking statements except as required by applicable law. These forward-looking statements should not be relied upon as representing our views as of any date subsequent to the date of this release.

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