Relay Therapeutics Announces Zovegalisib Granted Breakthrough Therapy Designation by U.S. FDA for PIK3CA-mutant, HR+/HER2- Advanced Breast Cancer

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Designation supported by robust clinical data from ReDiscover trial with 600mg BID fasted and 400mg BID fed doses of zovegalisib in combination with fulvestrant

Initial Phase 1/2 data of zovegalisib + fulvestrant at the 400mg BID fed (Phase 3 dose) in CDK4/6-experienced patients to be presented at ESMO Targeted Anticancer Therapies Congress on March 16

CAMBRIDGE, Mass., Feb. 03, 2026 (GLOBE NEWSWIRE) -- Relay Therapeutics, Inc. (Nasdaq: RLAY), a clinical-stage, small molecule precision medicine company developing potentially life-changing therapies for patients living with cancer and genetic disease, today announced that the U.S. Food and Drug Administration (FDA) has granted Breakthrough Therapy designation (BTD) to zovegalisib (RLY-2608) in combination with fulvestrant for the treatment of adults with PIK3CA mutant, hormone receptor positive, human epidermal growth factor receptor 2-negative (HR+/HER2-) locally advanced or metastatic breast cancer following recurrence or progression on or after treatment with a CDK4/6 inhibitor.

“Approximately 40% of patients with HR+/HER2- advanced breast cancer harbor PIK3CA mutations, and most experience disease recurrence or progression following treatment with CDK4/6 inhibitors, leaving limited therapeutic options,” said Don Bergstrom, M.D., Ph.D., President of R&D at Relay Therapeutics. “This Breakthrough Therapy designation underscores the FDA’s recognition of the potential of zovegalisib in combination with fulvestrant to meaningfully improve outcomes for these patients, reinforcing the impact of the encouraging clinical evidence we have demonstrated to date. We look forward to continuing to collaborate closely with the FDA as we work to advance this program as efficiently as possible for patients.”

The FDA’s BTD is designed to accelerate the development and review of therapies for serious conditions when early clinical evidence suggests the potential for substantial improvement over available treatments. BTD provides eligibility for all Fast Track designation features, along with enhanced FDA guidance on development and increased engagement with senior FDA leadership.

BTD for zovegalisib was supported by clinical data generated to date from the Phase 1/2 ReDiscover trial, designed to evaluate the safety, tolerability, pharmacokinetics, pharmacodynamics and preliminary antitumor activity of zovegalisib in combination with fulvestrant, and in combination with fulvestrant and CDK inhibitors. Specifically, the application included data across all PIK3CA mutations (kinase and non-kinase) for two doses with comparable exposures: 600mg BID fasted (N=52) and 400mg BID fed (N=57), the dose being used in the ongoing Phase 3 trial, ReDiscover-2.

Safety and efficacy from the 600mg BID fasted data referenced above were presented at the American Society of Clinical Oncology (ASCO) 2025 Annual Meeting, with an additional efficacy subgroup analysis presented at the 2025 San Antonio Breast Cancer Symposium (SABCS).

Data for the 400mg BID fed (the Phase 3 dose) will be presented for the first time at ESMO Targeted Anticancer Congress 2026 on Monday, March 16.

About Zovegalisib

Zovegalisib is the lead program in Relay Therapeutics’ efforts to discover and develop mutant selective inhibitors of PI3Kα, the most frequently mutated kinase in all cancers and all vascular anomalies. Zovegalisib has the potential, if approved, to address a significant portion of the approximately 140,000 patients with HR+, HER2- breast cancer with a PI3Kα mutation per year in the United States and the estimated 170,000 patients with vascular anomalies driven by a PI3Kα mutation per year in the United States.

Traditionally, the development of PI3Kα inhibitors has focused on the active, or orthosteric, site. The therapeutic index of orthosteric inhibitors is limited by the lack of clinically meaningful selectivity for mutant versus wild-type (WT) PI3Kα and off-isoform activity. Toxicity related to inhibition of WT PI3Kα and other PI3K isoforms results in sub-optimal inhibition of mutant PI3Kα with reductions in dose intensity and frequent discontinuation. The Dynamo® platform enabled the discovery of zovegalisib, the first known allosteric, pan-mutant, and isoform-selective PI3Kα inhibitor, designed to overcome these limitations. Relay Therapeutics solved the full-length cryo-EM structure of PI3Kα, performed computational long time-scale molecular dynamic simulations to elucidate conformational differences between WT and mutant PI3Kα, and leveraged these insights to support the design of zovegalisib. Zovegalisib is currently being evaluated in multiple metastatic breast cancer studies and a first-in-human study designed to treat patients with PIK3CA (PI3Kα) mutation driven vascular anomalies. For more information on zovegalisib, please visit here.

About PIK3CA-mutated, HR+/HER2- Advanced Breast Cancer

Hormone receptor-positive, human epidermal growth factor receptor 2-negative (HR+/HER2-) breast cancer is the most common subtype of breast cancer. Approximately 40% of patients with HR+/HER2- breast cancer harbor activating mutations in the PIK3CA gene, which drive tumor growth and are associated with poorer outcomes compared to patients without these mutations. Despite CDK4/6 inhibitors plus endocrine therapy being the standard of care in advanced disease, many patients with PIK3CA-mutated tumors have poorer outcomes and there are no approved regimens incorporating a pan-mutant selective PI3Kα inhibitor.

About Relay Therapeutics

Relay Therapeutics (Nasdaq: RLAY) is a clinical-stage, small molecule precision medicine company developing potentially life-changing therapies for

patients living with cancer and genetic disease. Relay's Dynamo® platform integrates an array of leading-edge computational and experimental approaches designed to drug protein targets that have previously been intractable or inadequately addressed. The company's lead clinical asset, zovegalisib, is the first pan-mutant selective PI3Kα inhibitor to enter clinical development and is currently in a Phase 3 clinical trial (ReDiscover-2) in HR+/HER2- metastatic breast cancer. Zovegalisib is also being investigated in a group of genetic disease indications called PI3Kα-driven vascular anomalies. Relay's pipeline also includes programs for NRAS-driven solid tumors and Fabry disease. For more information, please visit www.relaytx.com or follow us on LinkedIn.

Cautionary Note Regarding Forward-Looking Statements

This press release contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995, as amended, including, without limitation, implied and express statements regarding Relay Therapeutics' strategy, business plans and focus; the progress and timing of the clinical development of the programs across Relay Therapeutics' portfolio; the expected therapeutic benefits and potential efficacy and tolerability of zovegalisib, both as a monotherapy and in combination with other agents, and its other programs; the clinical data for zovegalisib; the interactions with regulatory authorities and any related approvals; the potential benefits resulting from the FDA's breakthrough therapy designation to zovegalisib; and the potential market opportunity for zovegalisib. The words "may," "might," "will," "could," "would," "should," "plan," "anticipate," "intend," "believe," "expect," "estimate," "seek," "predict," "future," "project," "potential," "continue," "target" and similar words or expressions, or the negative thereof, are intended to identify forward-looking statements, although not all forward-looking statements contain these identifying words.

Any forward-looking statements in this press release are based on management's current expectations and beliefs and are subject to a number of risks, uncertainties and important factors that may cause actual events or results to differ materially from those expressed or implied by any forward-looking statements contained in this press release, including, without limitation, risks associated with: the impact of global economic uncertainty, geopolitical instability and conflicts, or public health epidemics or outbreaks of an infectious disease on countries or regions in which Relay Therapeutics has operations or does business, as well as on the timing and anticipated results of its clinical trials, strategy, future operations and profitability; the delay or pause of any current or planned clinical trials or the development of Relay Therapeutics' drug candidates; the risk that the preliminary or interim results of its preclinical or clinical trials may not be predictive of future or final results in connection with future clinical trials of its product candidates and that interim and early clinical data may change as more patient data become available and are subject to audit and verification procedures; Relay Therapeutics' ability to successfully demonstrate the safety and efficacy of its drug candidates; the timing and outcome of its planned interactions with regulatory authorities; and obtaining, maintaining and protecting its intellectual property. These and other risks and uncertainties are described in greater detail in the section entitled "Risk Factors" in Relay Therapeutics' most recent Annual Report on Form 10-K and Quarterly Report on Form 10-Q, as well as any subsequent filings with the Securities and Exchange Commission. In addition, any forward-looking statements represent Relay Therapeutics' views only as of today and should not be relied upon as representing its views as of any subsequent date. Relay Therapeutics explicitly disclaims any obligation to update any forward-looking statements. No representations or warranties (expressed or implied) are made about the accuracy of any such forward-looking statements.

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