Late Breaking Data Presented at ESMO Congress 2022 Demonstrate Potential of RLY-4008 to Transform Treatment Options for Cholangiocarcinoma Patients with FGFR2-Driven Disease

September 11, 2022

88% overall response rate (15 out of 17) from interim data of pan-FGFR treatment (FGFRi)-naïve FGFR2-fusion cholangiocarcinoma (CCA) patients treated at the pivotal dose

Enrollment for the pivotal cohort anticipated to be completed in the second half of 2023

Relay Therapeutics to host a conference call on Monday, September 12, at 8:00 am E.T.

CAMBRIDGE, Mass., Sept. 11, 2022 (GLOBE NEWSWIRE) -- Relay Therapeutics, Inc. (Nasdaq: RLAY) today announced late breaking interim clinical data in an oral presentation for RLY-4008, an investigational, potent, selective and oral small molecule inhibitor of fibroblast growth factor receptor 2 (FGFR2), in a global phase 1/2 clinical trial in patients with FGFR2-altered CCA and multiple other solid tumors. The interim data presented today at the European Society for Medical Oncology (ESMO) Congress demonstrate an 88% overall response rate (ORR) at the pivotal dose of RLY-4008, 70 mg once daily (QD), as of August 1, 2022, and further support our hypothesis that selective inhibition of FGFR2 can improve the treatment for patients with FGFR2-driven tumors.

“We are thrilled to be sharing interim RLY-4008 data from patients treated at the pivotal dose with the ESMO community,” said Don Bergstrom, M.D., Ph.D., President of R&D at Relay Therapeutics. “We believe the interim ORR of 88% for these patients helps to demonstrate the potential power of our Dynamo platform to build transformative therapies for patients. Additionally, we continue to generate clinical data outside of CCA and anticipate sharing them in 2023. Beyond RLY-4008, we have a robust pipeline of precision medicine candidates, and we look forward to next presenting initial clinical data on our pan-mutant-selective PI3Kα inhibitor, RLY-2608, expected in the first half of 2023. Thank you to the patients, investigators and clinical trial teams who participate in clinical trials of our investigational therapies.”

Key Data Presented at ESMO Congress 2022

The data presented at the ESMO Congress were based on an August 1, 2022 data cut-off date from both the dose escalation and dose expansion phases of the trial. The interim data included a safety database of 195 patients, with 89 patients treated at the pivotal dose of 70 mg QD, of which 17 were FGFRi-naïve FGFR2-fusion CCA patients eligible for efficacy evaluation (patients with measurable disease who had opportunity for ≥2 tumor assessments to confirm response or discontinued treatment with <2 tumor assessments).

- 15 out of 17 of the efficacy evaluable patients at the pivotal dose experienced a partial response resulting in an 88% interim ORR (14 confirmed, 1 unconfirmed in an ongoing patient).
    - 13 out of 15 responders remain on treatment; 1 responder came off study to be resected with curative intent.
    - The two patients with best response of stable disease remain on treatment.
- More broadly across all dose levels and schedules, 38 FGFRi-naïve FGFR2-fusion CCA patients were eligible for efficacy evaluation, of which 24 experienced a partial response resulting in a 63% interim ORR (22 confirmed, 2 unconfirmed).

The interim safety analysis as of the August 1, 2022 cut-off date was generally consistent with the analysis from the June 2022 data disclosure:

- Most treatment emergent adverse events were expected FGFR2 on-target, low-grade, monitorable, manageable and largely reversible.
- There were no observed Grade 4 or 5 adverse events.
- Off-target toxicities of hyperphosphatemia and diarrhea continued to be clinically insignificant.

The oral presentation from the ESMO Congress is available on the Relay Therapeutics website under Publications: https://relaytx.com/publications/.

Key Upcoming RLY-4008 Milestones

- The pivotal cohort of FGFRi-naïve FGFR2-fusion CCA patients is anticipated to be fully enrolled in the second half of 2023.
- Initial data from the non-CCA expansion cohorts are expected to be presented in 2023.
- The entirety of the dose escalation data is expected to be presented at a medical meeting or published by the end of the first half of 2023.

Conference Call Information

Relay Therapeutics will host a conference call and live webcast on September 12, 2022 at 8:00 am E.T. Registration and dial-in for the conference call may be accessed through Relay Therapeutics’ website under Events in the News & Events section through the following link: https://ir.relaytx.com/news-events/events-presentations. An archived replay of the webcast will be available following the event.

About RLY-4008

RLY-4008 is a potent, selective and oral small molecule inhibitor of FGFR2, a receptor tyrosine kinase that is frequently altered in certain cancers. FGFR2 is one of four members of the FGFR family, a set of closely related proteins with highly similar protein sequences and properties. Preclinically, RLY-4008 demonstrated FGFR2-dependent killing in cancer cell lines and induced regression in *in vivo* models, while minimal inhibition of other targets was observed, including other members of the FGFR family. In addition, RLY-4008 demonstrated strong activity against known clinical on-target resistance mutations in cellular and *in vivo* preclinical models. RLY-4008 is currently being evaluated in a clinical trial in patients with advanced or metastatic FGFR2-altered solid tumors with a single arm, potentially registration-enabling cohort for FGFRi-naïve FGFR2-fusion CCA. To learn more about the clinical trial of RLY-4008, please visit here.

ReFocus Trial Background

RLY-4008 is currently being evaluated in a global phase 1/2 clinical trial (ReFocus) in patients with FGFR2-altered CCA and multiple other solid tumors including a single arm, potentially registration-enabling cohort for FGFRi-naïve FGFR2-fusion CCA. The phase 1 dose escalation has been completed, and 70 mg QD has been selected as the registrational dose. The expansion cohorts were initiated in December 2021 and now consist of seven different cohorts based on FGFR2 alteration and tumor type. Of the seven cohorts, the potential pivotal cohort consists of approximately 100 previously treated, FGFRi-naïve FGFR2-fusion CCA patients.

About Relay Therapeutics

Relay Therapeutics (Nasdaq: RLAY) is a clinical-stage precision medicine company transforming the drug discovery process by combining leading-edge computational and experimental technologies with the goal of bringing life-changing therapies to patients. As the first of a new breed of biotech created at the intersection of disparate technologies, Relay Therapeutics aims to push the boundaries of what is possible in drug discovery. Its Dynamo™ platform integrates an array of leading-edge computational and experimental approaches designed to drug protein targets that have previously been intractable. Relay Therapeutics' initial focus is on enhancing small molecule therapeutic discovery in targeted oncology and genetic disease indications. For more information, please visit www.relaytx.com or follow us on Twitter.

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 updates on the clinical development of the programs across Relay Therapeutics' portfolio, including the timing of disclosures regarding additional clinical data updates and enrollment for RLY-4008 and initial clinical data for RLY-2608; the expected therapeutic benefits of its programs, including potential efficacy and tolerability; whether preliminary results from our preclinical or clinical trials will be predictive of the final results of the trials or any future clinical trials of our product candidates; the possibility that unconfirmed results from these trials will not be confirmed by additional data as the clinical trials progress; and Relay Therapeutics' expectations relating to its current and future interactions with the U.S. Food and Drug Administration, including its belief regarding a potential pivotal cohort. 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 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 changing macroeconomic conditions or uncertain geopolitical factors where Relay Therapeutics has operations or does business, as well as on the timing and anticipated results of its clinical trials, strategy and future operations; the delay of any current or planned clinical trials or the development of Relay Therapeutics' drug candidates; the risk that the results of its clinical trials may not be predictive of future results in connection with future clinical trials; 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.

Contact:
Caroline Glen
617-370-8837
cglen@relaytx.com

Media:
Dan Budwick
1AB
973-271-6085
dan@1abmedia.com