



## Relay Therapeutics Announces Corporate Updates and Reports Second Quarter 2021 Financial Results

August 12, 2021

*Company selected RLY-2608 as PI3K $\alpha$  mutant inhibitor development candidate and plans to initiate first-in-human study in the first half of 2022*

*RLY-2608 potently inhibits mutants H1047X, E542X, and E545X, which affect over 100,000 patients annually in the U.S.*

*Relay Therapeutics and EQRx enter a collaboration to discover, develop, and commercialize novel oncology medicines*

CAMBRIDGE, Mass., Aug. 12, 2021 (GLOBE NEWSWIRE) -- [Relay Therapeutics, Inc.](https://www.relaytherapeutics.com) (Nasdaq: RLAY), a clinical-stage precision medicine company transforming the drug discovery process by combining leading edge computational and experimental technologies, today provided an update on its PI3K $\alpha$  mutant selective program, reported second quarter 2021 financial results and announced a collaboration with EQRx.

"PI3K $\alpha$  mutations have been a known oncogene for the past 20 years but this has been a very difficult drug discovery challenge to solve using conventional approaches. Leveraging our Dynamo™ platform, the Relay Therapeutics team has been able to create what we believe to be the first ever mutant selective inhibitor of PI3K $\alpha$ , which offers the potential to address a significant unmet medical need," said Sanjiv Patel, M.D., president and chief executive officer. "Other programs in our pipeline continue to progress as anticipated, with RLY-4008, our FGFR2 inhibitor on track for an initial data disclosure later this year. We hope to demonstrate that our platform has achieved another breakthrough by potentially creating the first ever selective small molecule inhibitor of FGFR2. Finally, our new collaboration with EQRx announced today opens yet another avenue for our Dynamo platform to potentially impact the lives of more patients and generate value for our shareholders. This partnership allows us to utilize the scale and efficiencies of our machine learning and artificial intelligence capabilities against an expanded target landscape. We look forward to a productive remainder of 2021."

### PI3K $\alpha$ Mutant Selective Program Update

Phosphoinositide 3-kinase alpha (PI3K $\alpha$ ) is the most frequently mutated kinase in solid tumors. Approximately 60%-70% of the mutations in PI3K $\alpha$  cluster at three amino acids (H1047, E542, and E545). Traditionally, the development of PI3K $\alpha$  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 PI3K $\alpha$  and off-isoform activity. Toxicity related to inhibition of wild-type PI3K $\alpha$  and other PI3K isoforms results in sub-optimal inhibition of mutant PI3K $\alpha$  with reductions in dose intensity and frequent discontinuation. RLY-2608, the first allosteric, pan-mutant (H1047X, E542X and E545X), and isoform-selective PI3K $\alpha$  inhibitor was designed to overcome these limitations.

Relay Therapeutics solved the full-length cryo-EM structure of PI3K $\alpha$ , performed computational long time-scale molecular dynamic simulations to elucidate conformational differences between wild-type and mutant PI3K $\alpha$ , and leveraged these insights to enable the design of RLY-2608. In biochemical assays, RLY-2608 inhibits H1047R, E542K, and E545K mutant PI3K $\alpha$  activity with <10nM potency and 8-12x selectivity relative to wild-type PI3K $\alpha$ . RLY-2608 is > 1000-fold selective over the  $\beta$ ,  $\delta$ , and  $\gamma$  PI3K isoforms in biochemical assays and demonstrates exquisite selectivity across a panel of 322 kinases.

This progress puts RLY-2608 on path to initiate a first-in-human clinical study in the first half of 2022. RLY-2608 is the lead program of multiple preclinical efforts to discover and develop mutant selective inhibitors of PI3K $\alpha$ .

### Strategic Collaboration with EQRx

Relay Therapeutics and EQRx entered a worldwide strategic collaboration to discover, develop, and commercialize novel medicines against validated oncology targets. Under the terms of the agreement, Relay Therapeutics will be responsible for the discovery phase through to Investigational New Drug application filing, while EQRx will be responsible for clinical development, regulatory and commercialization efforts of the product candidates developed pursuant to the collaboration. Relay Therapeutics and EQRx will equally share in the discovery, development and commercialization costs and the net profits from sales of any collaboration medicines, if approved. The collaboration will start with one program, but the companies can mutually agree to add additional programs to the collaboration in the future. Relay Therapeutics retains the right to develop any collaboration medicines in combination with its wholly-owned pipeline.

### Other Recent Corporate Highlights

- RLY-4008, a potent, selective and oral small molecule inhibitor of FGFR2, remains on track to report initial safety, tolerability and pharmacokinetics data across multiple dose levels before the end of 2021. Most patients to be reported on will be FGFR2 altered cholangiocarcinoma (CCA) patients with prior exposure to pan-FGFR inhibitor therapies. The disclosure will also include preliminary efficacy data focusing on FGFR2 fusion CCA pan-FGFR treatment naïve patients.
- In July 2021, Genentech initiated the cohort of RLY-1971/GDC-1971, an inhibitor of SHP2, in combination with GDC-6036, an inhibitor of KRAS G12C, in a Phase 1b trial.

## Second Quarter 2021 Financial Results

**Cash, Cash Equivalents and Investments:** As of June 30, 2021, cash, cash equivalents and investments totaled approximately \$671.2 million, compared to \$678.1 million as of December 31, 2020. The change in cash reflects the receipt of Genentech's \$75 million upfront payment in the first quarter, partially offset by \$25.1 million in net cash paid for the acquisition of ZebiAI and cash used to fund our operations. The Company expects its current cash and cash equivalents will be sufficient to fund its current operating plan into 2024.

**R&D Expenses:** Research and development expenses were \$180.0 million for the second quarter of 2021, as compared to \$21.7 million for the second quarter of 2020. \$134.9 million was due to the acquisition of ZebiAI in April 2021. The additional increase of \$23.5 million was primarily due to \$12.3 million of additional employee related costs, including an increase in stock-based compensation of \$8.2 million, \$7.3 million related to our pre-clinical candidates and \$2.5 million related to increased clinical trial expenses associated with RLY-1971 and RLY-4008.

**G&A Expenses:** General and administrative expenses were \$14.4 million for the second quarter of 2021, as compared to \$6.1 million for the second quarter of 2020. The increase of \$8.4 million was primarily due to \$5.8 million of increased personnel costs, including increased stock-based compensation of \$3.9 million, to support our infrastructure and \$2.6 million related to increases in other general and administrative expenses primarily attributed to an increase in insurance expense.

**Net Loss:** Net loss was \$193.4 million for the second quarter of 2021, or a net loss per share of \$2.10, as compared to a net loss of \$26.7 million for the second quarter of 2020, or a net loss per share of \$6.06.

## About Relay Therapeutics

Relay Therapeutics (Nasdaq: RLAY) is a clinical-stage precision medicines company transforming the drug discovery process with the goal of bringing life-changing therapies to patients. Relay Therapeutics is the first of a new breed of biotech created at the intersection of disparate technologies. The Company's Dynamo™ platform integrates an array of leading-edge computational and experimental approaches to effectively drug protein targets that have previously been intractable. The Company's initial focus is on enhancing small molecule therapeutic discovery in targeted oncology and genetic disease. For more information, please visit [www.relaytx.com](http://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 initiation of a first-in-human clinical trial of RLY-2608, initial data disclosures of RLY-4008 and potential therapeutic effects of RLY-2608 and RLY-4008; the expected strategic benefits of the collaboration between Relay Therapeutics and EQRx, including the potential to successfully discover, develop, and commercialize any novel medicine, if at all; the potential target patient population of RLY-2608; expectations regarding Relay Therapeutics' use of capital, expenses, future accumulated deficit and other financial results during 2021 and in the future, and Relay Therapeutics' ability to fund operations into 2024. The words "may," "might," "will," "could," "would," "should," "expect," "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 COVID-19 on countries or regions in which we have operations or do business, as well as on the timing and anticipated results of our 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 our 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 Relay Therapeutics' 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' Quarterly Report on Form 10-Q for the quarter ended June 30, 2021, 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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Relay Therapeutics, Inc.  
Condensed Consolidated Statements of Operations and Comprehensive Loss  
(In thousands, except share and per share data)  
(Unaudited)

Three Months Ended

Six Months Ended

	June 30,		June 30,	
	2021	2020	2021	2020
Revenue:				
Collaboration revenue	\$ 844	\$ —	\$ 1,796	\$ —
Total revenue	844	—	1,796	
Operating expenses:				
Research and development expenses	\$ 45,147	\$ 21,666	\$ 75,769	\$ 43,363
In-process research and development expenses	123,000	—	123,000	—
Loss on initial consolidation of variable interest entity	11,855	—	11,855	—
General and administrative expenses	14,422	6,053	27,156	10,814
Total operating expenses	194,424	27,719	237,780	54,177
Loss from operations	(193,580)	(27,719)	(235,984)	(54,177)
Other income (expense):				
Interest income	180	998	406	2,570
Other income (expense)	1	(3)	(4)	(3)
Total other income (expense), net	181	995	402	2,567
Net loss	\$ (193,399)	\$ (26,724)	\$ (235,582)	\$ (51,610)
Net loss per share, basic and diluted	\$ (2.10)	\$ (6.06)	\$ (2.58)	\$ (12.06)
Weighted average shares of common stock, basic and diluted	91,939,439	4,408,470	91,188,160	4,281,169
Other comprehensive (loss) income:				
Unrealized holding (loss) gain	(76)	(763)	(128)	306
Total other comprehensive (loss) income	(76)	(763)	(128)	306
Total comprehensive loss	\$ (193,475)	\$ (27,487)	\$ (235,710)	\$ (51,304)

Relay Therapeutics, Inc.  
Selected Condensed Consolidated Balance Sheet Data  
(In thousands)  
(Unaudited)

	June 30, 2021	December 31, 2020
Cash, cash equivalents and investments	\$ 671,220	\$ 678,061
Working capital (1)	655,891	756,468
Total assets	714,401	799,829
Total liabilities	95,872	36,536
Total stockholders' equity	618,529	763,293
Restricted cash	2,578	878

(1) Working capital is defined as current assets less current liabilities.