

IDEAYA Biosciences Announces First-Patient-In for Phase 1 Trial of IDE574, a Potential First-In Class Dual Inhibitor of KAT6/7 to Target Multiple Solid Tumor Indications, including Breast, Prostate, CRC, and Lung Cancer

- Potential first-in-class and selective equipotent dual inhibitor of KAT6/7 with single-digit to low teens nanomolar cellular potency in target engagement assays against KAT6 and KAT7, and ~350-to-2,000-fold selectivity over the KAT5 and KAT8 paralogs
- KAT6/7 dual inhibitor demonstrates greater monotherapy efficacy and durability than KAT6 selective inhibitors in preclinical CDX and PDX models
- Multiple combination opportunities with IDE574 and IDEAYA's proprietary pipeline
- Potential first-in-class and best-in-class preclinical profile will be presented at AACR 2026

SOUTH SAN FRANCISCO, Calif., April 6, 2026 [/PRNewswire/](#) -- IDEAYA Biosciences, Inc. (NASDAQ: IDYA), a leading precision medicine oncology company, today announced that the first patient has been enrolled in its Phase 1 dose escalation trial evaluating IDE574, a potential first-in-class oral small molecule equipotent dual inhibitor of the lysine acetyltransferase (KAT) 6 and 7 paralogs, both of which have been shown to support cancer cell survival. The company is planning to evaluate safety, efficacy and pharmacokinetics of IDE574 as a monotherapy in the Phase 1 dose escalation trial in solid tumor patients, including breast, prostate, colorectal, and lung cancer.

"Targeting mechanisms of resistance and tumor heterogeneity in cancer are core strategies of our R&D efforts, and we are excited to advance IDE574 in the clinic to evaluate its potential as a monotherapy agent to drive deeper, more durable antitumor responses for patients versus historical clinical data published with KAT6-selective agents," said Michael White, Ph.D., Chief Scientific Officer of IDEAYA Biosciences. "We are thrilled to advance another potential first-in-class agent into the clinic that targets large solid tumor indications of high unmet need, including breast, prostate, CRC, and lung cancer. We believe the novel chromatin remodeling mechanism of the KAT6/7 dual inhibitor IDE574, has the potential for monotherapy efficacy and to treat breast cancer patient's refractory to hormone-based therapy due to ESR1 mutations, and to evaluate rational combinations with assets in the IDEAYA pipeline," said Yujiro S. Hata, President and Chief Executive Officer, IDEAYA Biosciences.

IDE574 is a selective, equipotent dual inhibitor of both KAT6 and KAT7 with single-digit to low-teen nanomolar cellular potency in target engagement assays, which spares other structurally similar KAT paralogs with approximately a 350-to-2,000-fold selectivity windows versus KAT5 and KAT8, both of which are required for normal cell function. KAT6 and KAT7 are epigenetic modulators of cell identity and lineage commitment programs that are corrupted by oncogenic transformation. Estrogen-receptor 1 mutations (ESR1) is a common acquired resistance mechanism to endocrine based therapy in breast cancer with prevalence from 10 to 50% (Fuqua, et al., Cancer, July 2019; Zundeleovich, et al., Breast Cancer Research, February 2020) highlighting the unmet need for alternative drug mechanisms, such as KAT6/7. IDE574 has shown robust and durable monotherapy anti-tumor activity, superior to KAT6 inhibition alone, in preclinical tumor models with 8p11 amplifications and ESR1 mutations, as well as in selected indications dependent upon lineage-specific transcription factor activity.

About IDEAYA Biosciences

IDEAYA is a precision medicine oncology company committed to the discovery, development, and commercialization of transformative therapies for cancer. Our approach integrates expertise in small-molecule drug discovery, structural biology and bioinformatics with robust internal capabilities in identifying and validating translational biomarkers to develop tailored, potentially first-in-class targeted therapies aligned to the genetic

drivers of disease. We have built a deep pipeline of product candidates focused on synthetic lethality and antibody-drug conjugates, or ADCs, for molecularly defined solid tumor indications. Our mission is to bring forth the next wave of precision oncology therapies that are more selective, more effective, and deeply personalized with the goal of altering the course of disease and improving clinical outcomes for patients with cancer.

Forward-Looking Statements

This press release contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995, including, but not limited to, statements regarding the potential therapeutic benefits, safety, tolerability, efficacy, pharmacokinetics and clinical development of IDE574; the potential of IDE574 as a first-in-class or best-in-class therapy; the expected design, timing, and results of the Company's Phase 1 clinical trial; the potential for IDE574 to demonstrate monotherapy activity or durability of response; the potential to address resistance mechanisms, including ESR1 mutations; the applicability of IDE574 across multiple solid tumor indications; and the potential for combination strategies with IDEAYA's pipeline. Such forward-looking statements are based on management's current expectations, assumptions and beliefs and involve substantial risks and uncertainties that could cause actual results, including, but not limited to, those related to IDEAYA's clinical programs, commercial activities, and performance and/or achievements, to differ significantly and/or materially from those expressed or implied by the forward-looking statements. Such risks and uncertainties include, among others, the uncertainties inherent in the drug development process, including the process of designing and conducting preclinical and clinical trials, enrollment rates, safety outcomes, efficacy results, regulatory interactions and decisions, and the ability to translate preclinical findings into clinical benefit, manufacturing and supply risks, competition, changes in standard of care, the timing and success of commercialization efforts, the outcome of collaborations and licensing arrangements, IDEAYA's ability to successfully establish, protect and defend its intellectual property, and other matters that could affect the sufficiency of financial resources to fund operations. IDEAYA undertakes no obligation to update or revise any forward-looking statements. A further description of risks and uncertainties that could cause actual results to differ from those expressed in these forward-looking statements, as well as risks relating to the business of IDEAYA in general, are in IDEAYA's filings with the Securities and Exchange Commission, including IDEAYA's most recent Annual Report on Form 10-K and any current and periodic reports filed with the U.S. Securities and Exchange Commission.

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