IDEAYA Announces Oral Presentation at the New Drugs on the Horizon Series at AACR 2025 for IDE275 (GSK959), a Phase 1 Werner Helicase Inhibitor

- 4 IDEAYA/GSK presentations of IDE275 (GSK959) and 4 additional presentations across IDE397/MAT2A, IDE161/PARG, PRMT5, and KAT6/7 programs at AACR 2025
- IDE275 (GSK959) has demonstrated a potential best-in-class preclinical profile in the MSI-H setting, with a unique binding mode from previously reported WRN inhibitors
- Phase 1 dose escalation trial ongoing in MSI-H solid tumors with GSK
- MSI-H prevalence has been reported at approximately 31%, 20%, and 19% in endometrial, colorectal, and gastric cancers, respectively

SOUTH SAN FRANCISCO, Calif., March 26, 2025 /PRNewswire/ -- IDEAYA Biosciences, Inc. (Nasdaq: IDYA), a leading precision medicine oncology company, today announced the publication of abstracts for an oral presentation in the New Drugs on the Horizon series, and three poster presentations on IDE275 (GSK959) at the American Association for Cancer Research (AACR) Annual Meeting, taking place April 25-30, 2025, in Chicago, Illinois. IDE275 (GSK959), a potential best-in-class Werner Helicase (WRN) inhibitor, has demonstrated selective preclinical efficacy in the high microsatellite instability (MSI-H) solid tumor setting, and is advancing in a Phase 1 dose escalation trial in partnership with GSK.

"IDE275 (GSK959) has a potential best-in-class profile and the preclinical data to be presented at AACR 2025 with GSK highlights the molecule's selectivity to treat MSI-H solid tumors and potential to be developed clinically as both a monotherapy agent and in combination with PD1," said Yujiro S. Hata, President and Chief Executive Officer, IDEAYA Biosciences. "MSI-H represents a meaningful biomarker-defined population with double-digit percent prevalence observed in multiple solid tumor types, including endometrial, colorectal, and gastric cancers. By binding uniquely to the helicase domain of WRN, IDE275 (GSK959) delivers potent and selective inhibition across MSI-H models," said Michael White, Ph.D., Chief Scientific Officer, IDEAYA Biosciences.

IDE275 (GSK959) was discovered through a collaboration between IDEAYA and GSK. Preclinical studies have demonstrated IDE275's (GSK959) potential as a best-in-class WRN inhibitor, inducing single-agent tumor regressions in MSI-H patient-derived xenograft (PDX) and cell line-derived xenograft (CDX) models for endometrial, colorectal, and gastric cancers. Notably, MSI-H prevalence in these cancers has been reported at approximately 31%, 20%, and 19%, respectively, underscoring the significant patient population that could benefit from this therapeutic approach.

As part of the collaboration, GSK is responsible for 80% of global research and development costs for IDE275 (GSK959), and IDEAYA is responsible for 20% of such costs. GSK holds a global, exclusive license to develop and commercialize IDE275 (GSK959). IDEAYA has the potential to earn a $10.0 million milestone payment upon initiation of Phase 1 clinical dose expansion. Additionally, IDEAYA is eligible to receive up to $465 million in future late-stage development and regulatory milestone payments. Upon commercialization, IDEAYA will be eligible to receive up to $475.0 million of commercial milestones, 50% of U.S. net profits and tiered royalties on global non-U.S. net sales of the IDE275 (GSK959) – ranging from high single-digit to sub-teen double-digit percentages, subject to certain customary reductions.

At AACR 2025, IDEAYA will have 8 total presentations across 3 clinical and 2 pre-clinical programs. There will be 4 IDEAYA/GSK presentations of IDE275 (GSK959) and 4 additional presentations across the IDE397/MAT2A, IDE161/PARG, PRMT5, and KAT6/7 programs.

Details for the oral presentation are as follows:
Presenter: Dr. Yanhua Rao, GSK

Title: An innovative and reversible WRN helicase inhibitor, GSK4418959 (IDE275), emerges as a promising clinical candidate for MSI-H cancers
Session: New Drugs on the Horizon Session, Part 3 (DDT003)
Date and Time: Monday, April 28, 2025 at 10:40am CDT
Location: Room S406 (Vista Ballroom) - McCormick Place South (Level 4)

Poster presentation details are below:
Author: Rao, Y. et al.
Title: Patient selection, target engagement and pharmacodynamic markers of WRN inhibitor GSK4418959 (IDE275)
Poster Number: 6393/30
Session Title: Pharmacokinetics and Pharmacodynamics of Cancer Therapeutics
Date and Time: Tuesday, April 29, 2025 at 9:00am – 12:00pm CDT

Author: Lee, Y. et al.
Title: Preclinical Characterization of GSK4418959 (IDE275): A Potent, Selective, and Highly Efficacious WRN Inhibitor for MSI-H Tumors Across Multiple Cancer Types
Poster Number: 2913/20
Session Title: DNA Damage Response and Modulation of DNA Repair 1
Date and Time: Monday, April 28, 2025 at 2:00pm – 5:00pm CDT

Author: Taygerly, P. et al.
Title: Discovery of GSK4418959 (IDE275): A novel, non-covalent, reversible Werner Helicase inhibitor and a new potential therapeutic for the treatment of MSI-H cancers
Poster Number: 5750/50
Session Title: Drug Design, Synthesis, & Disposition
Date and Time: Tuesday, April 29, 2025 at 2:00pm CDT

Author: Gupta, M. et al.
Title: Dual KAT6/7 inhibition disrupts epigenetic programs that promote tumor evolution and adaptive drug resistance
Poster Number: 442/3
Session Title: Epigenetic Targets
Date and Time: Sunday, April 27, 2025 at 2:00pm – 5:00pm CDT

Author: Maskey, R. et al.
Title: PARG inhibition provokes a DNA damage-dependent innate immune reaction that enhances ICI-driven anti-tumor immunity
Poster Number: 2899/6
Session Title: DNA Damage Response and Modulation of DNA Repair 1
Date and Time: Monday, April 28, 2025 at 2:00pm – 5:00pm CDT

Author: Garbett, D. et al.
Title: The allosteric MAT2A inhibitor IDE397 uniquely exploits metabolic liabilities associated with MTAP deletion to perturb DNA replication and repair
Poster Number: 4268/25
Session Title: New and Emerging Cancer Drug Targets
Date and Time: Tuesday, April 29, 2025 at 9:00am – 12:00pm CDT

Author: Aubi, O. et al.
Title: The impact of metabolite kinetics on dysregulation of essential enzymes in cancers with MTAP deficiencies

Poster Number: 4504/15
Session Title: Proteomic Biomarkers and Therapeutics
Date and Time: Tuesday, April 29, 2025 at 9:00am – 12:00pm CDT

The oral presentation and posters will be available online at https://ir.ideayabio.com/events following the presentations.

About IDEAYA Biosciences
IDEAYA is a precision medicine oncology company committed to the discovery and development of targeted therapeutics for patient populations selected using molecular diagnostics. IDEAYA's approach integrates capabilities in identifying and validating translational biomarkers with drug discovery to select patient populations most likely to benefit from its targeted therapies. IDEAYA is applying its research and drug discovery capabilities to synthetic lethality – which represents an emerging class of precision medicine targets.

Forward-Looking Statements
This press release contains forward-looking statements, including, but not limited to, statements related to i) the publication of abstracts and oral and poster presentations on various drug programs; ii) the potential therapeutic benefit of IDE275; iii) the potential patient population that could benefit from IDE275; and iv) the potential for Ideaya to receive development and commercialization milestone payments and subsequent profits and royalties on net sales of IDE275. Such forward-looking statements involve substantial risks and uncertainties that could cause IDEAYA's preclinical and clinical development programs, future results, performance or achievements to differ significantly 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 IDEAYA's programs' early stage of development, the process of designing and conducting preclinical and clinical trials, the regulatory approval processes, the timing of regulatory filings, the challenges associated with manufacturing drug products, IDEAYA's ability to successfully establish, protect and defend its intellectual property, and other matters that could affect the sufficiency of existing cash to fund operations. IDEAYA undertakes no obligation to update or revise any forward-looking statements. For a further description of the 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, see IDEAYA's Annual Report on Form 10-K dated February 18, 2025 and any current and periodic reports filed with the U.S. Securities and Exchange Commission.

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