IDEAYA Biosciences Announces Clearance of IND Application for Pol Theta Helicase Development Candidate GSK101 (IDE705)

- GSK101 (IDE705) is being developed as a potential first-in-class Pol Theta Helicase Inhibitor in combination with niraparib in GSK-sponsored Phase 1/2 clinical trial
- GSK101 and niraparib combination development will focus on advanced solid tumors with HR mutations or HRD, pursuant to the clinical protocol
- IDEAYA to receive a $7 million milestone payment upon IND acceptance, and potential future aggregate milestones of up to $950 million related to GSK101 Pol Theta inhibitors

SOUTH SAN FRANCISCO, Calif., Aug. 21, 2023 /PRNewswire/ -- IDEAYA Biosciences, Inc. (NASDAQ: IDYA), a precision medicine oncology company committed to the discovery and development of targeted therapeutics, announced the clearance of an Investigational New Drug (IND) application with the U.S. Food and Drug Administration (FDA) for initiation of a GSK-sponsored Phase 1/2 clinical trial to evaluate GSK101 (IDE705), a small molecule inhibitor of Pol Theta Helicase, in combination with niraparib, the GSK small molecule inhibitor of poly-(ADP-ribose) polymerase (PARP), for the treatment of patients having tumors with BRCA or other homologous recombination (HR) mutations or homologous recombination deficiency (HRD).

"There remains an unmet medical need for patients having tumors with homologous recombination mutations, such as BRCA1/2 mutations. Based on Pol Theta's critical role in microhomology-mediated end joining and BRCA reversions, a central mechanism of resistance to PARP inhibitors, we view the niraparib and GSK101 combination as having potential to improve depth and duration of tumor responses that could potentially impact longer-term outcomes for these cancer patients," said Dr. Ramon Kemp, Vice President, Global Head of Oncology Early Development, GSK.

"We are pleased to have our fourth potential first-in-class program advance into the clinic with GSK101, and we believe GSK is well-positioned to maximize the value of GSK101 by creating a potential best-in-class combination with niraparib to treat solid tumors. We are also targeting the Werner Helicase development candidate nomination later this year with GSK, which represents our fifth potential first-in-class program," said Yujiro S. Hata, Chief Executive Officer, IDEAYA Biosciences.

GSK101 is a potential first-in-class small molecule inhibitor of the helicase domain of DNA Polymerase Theta (Pol Theta). The Pol Theta enzyme facilitates DNA repair through microhomology-mediated end joining (MMEJ), an enzymatic function that enables reversion of BRCA mutations. Approximately 30% of patients who progress following treatment with PARP inhibitors have tumors with MMEJ signatures at reversion sites, reflecting a significant medical need and potential commercial opportunity for GSK101 in combination with niraparib.

GSK101 was discovered and preclinically evaluated by IDEAYA in collaboration with GSK. In preclinical studies, the GSK101 and niraparib combination resulted in deeper and more durable regressions or efficacious responses relative to either single agent in BRCA mutant models.

GSK is targeting first-in-human studies for GSK101 in the fourth quarter of 2023. GSK is the sponsor of the IND application and

plans to develop GSK101 in combination with niraparib in a Phase 1/2 clinical trial for patients with advanced solid tumors who have exhausted standard of care options and who may benefit from a PARP or POLQ inhibitor, pursuant to the clinical protocol. Enrollment may include patients harboring tumors with BRCA or other homologous recombination (HR) mutations or homologous recombination deficiency (HRD).

GSK will lead clinical development for the Pol Theta program pursuant to its global, exclusive license to develop and commercialize the Pol Theta Helicase Inhibitor DC (GSK Pol Theta License). GSK is responsible for all research and development costs for the program. IDEAYA is eligible to receive a $7 million milestone payment upon acceptance of the IND by the U.S. Food and Drug Administration (FDA), and a potential additional $10 million milestone payment upon initiation of Phase 1 clinical dose expansion. IDEAYA may potentially also receive further aggregate later-stage development and regulatory milestones of up to $465 million.

Upon potential commercialization, IDEAYA will be eligible to receive up to$475 million of commercial milestones and tiered royalties on global net sales by GSK, its affiliates and their sublicensees ranging from high single digit to sub-teen double-digit percentages, subject to certain customary reductions.

About IDEAYA Biosciences

IDEAYA is a precision medicine focused 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 early 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 clinical focus for and enrollment in the GSK101 Phase 1/2 clinical trial, (ii) the receipt of development and regulatory milestones, (iii) the potential therapeutic benefits of IDEAYA and GSK therapeutics, (iv) the ability to maximize the value of GSK101, (v) the timing of selection of a development candidate for a Werner Helicase inhibitor, and (vi) the timing of first-in-human studies for GSK101. 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 Quarterly Report on Form 10-Q filed on August 10, 2023 and any current and periodic reports filed with the U.S. Securities and Exchange Commission.

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