IDEAYA Announces First-Patient-In for Phase 1 Clinical Trial Evaluating IDE161 in Combination with KEYTRUDA® (pembrolizumab) in Patients with Endometrial Cancer

- First patient dosed with combination of IDE161, IDEAYA's investigational, potential first-in-class PARG inhibitor, in combination with KEYTRUDA® (pembrolizumab), Merck's anti-PD-1 therapy
- The IDEAYA-sponsored Phase 1 clinical trial will evaluate the safety, tolerability, pharmacokinetics, pharmacodynamics and efficacy of IDE161 in combination with KEYTRUDA in patients with MSI-high and MSS endometrial cancer
- Selected initial Phase 1/2 expansion dose for IDE161 monotherapy in a priority solid tumor type, based on AE profile and preliminary clinical efficacy observed

SOUTH SAN FRANCISCO, Calif., Dec. 10, 2024 /PRNewswire/ -- IDEAYA Biosciences, Inc. (Nasdaq:IDYA), a precision medicine oncology company committed to the discovery and development of targeted therapeutics, announced that it has dosed the first patient in the IDEAYA-sponsored Phase 1 trial evaluating the combination of IDE161, the company's investigational, potential first-in-class, small molecule poly (ADP-ribose) glycohydrolase, or PARG, inhibitor, in combination with Merck's (known as MSD outside of the US and Canada) anti-PD-1 therapy, KEYTRUDA® (pembrolizumab), in endometrial cancer patients with high microsatellite instability (MSI-high) and microsatellite stable(MSS).

"We continue to progress our IDE161 program and are excited to have the first patient dosed evaluating IDE161 in combination with KEYTRUDA in MSI-high and MSS endometrial cancer patients. This trial is part of our overall IDE161 clinical combination strategy that is focused on high conviction rational combinations," commented Dr. Darrin M. Beaupre, M.D., Ph.D., Chief Medical Officer, IDEAYA Biosciences.

"We are continually looking for ways to improve outcomes for patients with MSI-high and MSS endometrial cancer, and PARG has shown promising potential as a precision oncology target in these settings. IDE161 has shown robust anti-tumor activity in preclinical models, and I look forward to evaluating IDE161's impact on endometrial cancer patients in combination with KEYTRUDA," added Dr. Panos Konstantinopoulos, M.D., Ph.D., Director of Translational Research and attending oncologist in the Division of Gynecologic Oncology at Dana-Farber Cancer Institute, and an Associate Professor of Medicine at Harvard Medical School.

IDE161 is a potential first-in-class inhibitor of poly(ADP-ribose) glycohydrolase (PARG), a novel, mechanistically distinct target in the same clinically validated biological pathway as poly(ADP-ribose) polymerase (PARP). IDE161 has been granted two FDA Fast Track designations in platinum-resistant advanced or metastatic ovarian cancer patients having tumors with BRCA1/2 mutations, and in pretreated advanced or metastatic HR+, Her2-, BRACA1/2 mutant breast cancer.

Under the clinical trial collaboration and supply agreement, Merck will provide KEYTRUDA to IDEAYA, the sponsor of the Phase 1 clinical combination trial. IDEAYA and Merck each retain all commercial rights to their respective compounds, including as monotherapy or as combination therapies.

The safety, tolerability, pharmacokinetics, pharmacodynamics and efficacy of IDE161 in combination with KEYTRUDA is being evaluated as an arm in IDE161-001 (NCT05787587), an IDEAYA-sponsored Phase 1 trial of IDE161 in solid tumors. The selection of an initial Phase 1/2 monotherapy expansion dose has been made in a

priority tumor type based on adverse event (AE) profile and preliminary clinical efficacy observed.

KEYTRUDA® is a registered trademark of Merck Sharp & Dohme LLC, a subsidiary of Merck & Co., Inc., Rahway, NJ, USA.

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. IDEAYA's updated corporate presentation is available on its website, at its Investor Relations page: https://ir.ideayabio.com/.

Forward-Looking Statements
This press release contains forward-looking statements, including, but not limited to, statements related the potential therapeutic benefits of IDE161 in combination with KEYTRUDA. IDEAYA undertakes no obligation to update or revise any forward-looking statements. Such forward-looking statements involve substantial risks and uncertainties. For a further description of the risks and uncertainties that could cause actual events and 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 filed on February 20, 2024 and any current and periodic reports filed with the U.S. Securities and Exchange Commission.

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