IDEAYA Announces Phase 1 Expansion and Preliminary Clinical Proof-of-Concept for Potential First-in-Class PARG Inhibitor IDE161 in HRD Solid Tumors

- Initiated IDE161 Phase 1 expansion based on preliminary tumor shrinkage observed in multiple HRD solid tumor patients, including an endometrial cancer subject with a first imaging assessment of a partial response and an 87% reduction of the CA-125 tumor marker
- Phase 1 expansion focus in ER+, Her2(-), HRD+ breast cancer representing ~10% to ~14% of breast cancer as well as HRD+ ovarian cancer and other HRD-associated solid tumors
- Demonstrated IDE161 target engagement based on pharmacodynamic modulation of PAR, and achieved human exposures correlating to tumor regressions in preclinical models
- IDE161 Phase 1 dose optimization ongoing to confirm move forward Phase 2 expansion dose
- Targeting IDE161 clinical program updates in H2 2023

SOUTH SAN FRANCISCO, Calif., Sept. 11, 2023 /PRNewswire/ -- IDEAYA Biosciences, Inc. (NASDAQ: IDYA), a precision medicine oncology company committed to the discovery and development of targeted therapeutics, announces initiation of a Phase 1 monotherapy expansion in the first-in-human clinical trial evaluating IDE161 (NCT 05787587).

"We are pleased to advance IDE161, a potential first-in-class PARG inhibitor, into an expansion phase of our Phase 1 clinical trial and are excited to explore its potential in cancer patients with homologous recombination deficiency (HRD). Based on extensive preclinical studies, we are focusing this expansion in several priority tumor types, including ER+, Her2(-) breast cancer and ovarian cancer subjects with tumors that harbor HRD," said Dr. Darrin M. Beaupre, M.D., Ph.D., Chief Medical Officer, IDEAYA Biosciences.

"The clinical update today on IDE161 represents the first reported preliminary clinical proof-of-concept for a PARG inhibitor in HRD solid tumors. We look forward to the continued evaluation of IDE161 as a monotherapy in high unmet medical need HRD solid tumor indications," said Yujiro S. Hata, Chief Executive Officer, IDEAYA Biosciences.

The Phase 1 first-in-human clinical trial is evaluating the safety, tolerability, pharmacokinetic and pharmacodynamic properties and preliminary efficacy of IDE161 in patients having tumors with homologous recombination deficiency (HRD). Early clinical data from the dose escalation cohorts showed dose-dependent pharmacodynamic modulation of poly-ADP ribose (PAR) proteins in peripheral blood, demonstrating IDE161 target engagement. These clinical data also demonstrated IDE161 exposure levels in humans which correlate to preclinical exposures that were efficacious, achieving tumor regressions in xenograft models.

The Phase 1 expansion is based on preliminary tumor shrinkage observed in multiple HRD solid tumor patients, including an BRCA1/2 endometrial cancer subject with a first imaging assessment of a partial response in the target lesion, a complete response in the non-target lesion and an 87% reduction in the CA-125 tumor marker (2,638 units/ml at baseline to 360 units/ml at 6-weeks). The company is also continuing to evaluate the optimal move forward dose for Phase 2 expansion. The expansion portion of the Phase 1 trial will include patients having HRD-associated breast cancer and ovarian cancer, as well as a basket of other selected solid tumors. The breast cancer focus is on estrogen receptor positive (ER+), human epidermal growth factor receptor 2 negative (Her2-), HRD+ tumors, which represent approximately 10% to 14% of breast cancer patients. The ovarian cancer focus represents approximately 50% of ovarian cancer where HRD is observed. IDEAYA is targeting clinical program updates for IDE161 in the second half of 2023.

IDE161 is a potent, selective, small-molecule inhibitor of PARG, a novel and mechanistically-differentiated target in the same clinically validated pathway as poly (ADP-ribose) polymerase (PARP). IDEAYA presented a poster with preclinical data profiling IDE161 at the 2023 Annual Meeting of the American Association for Cancer Research (AACR) in April 2023. The IDE161 poster is available online at the company's website at https://ir.ideayabio.com/events.

IDEAYA owns or controls all commercial rights in IDE161, subject to certain economic obligations under its exclusive, worldwide license with Cancer Research UK and University of Manchester.

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 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 trial strategy for the IDE161 Phase 1 clinical trial, (ii) the potentially addressable patient populations, and (iii) the timing of a clinical program update for IDE161. 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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