IDEAYA Announces Dose Expansion in Phase 1/2 Study of Darovasertib and Crizotinib Combination based on Early Clinical Efficacy in First Combination Cohort

- Observed early clinical efficacy in first cohort of darovasertib plus crizotinib combination with tumor reduction in 2 of 2 evaluable MUM patients, including a partial response in a 3L patient with a 54% tumor reduction who is awaiting a confirmatory scan
- Initiated darovasertib plus crizotinib combination Phase 1/2 dose expansion based on early clinical activity observed in first cohort; additional dose exploration ongoing

SOUTH SAN FRANCISCO, Calif., May 10, 2021 /PRNewswire/ -- IDEAYA Biosciences, Inc. (NASDAQ: IDYA), a synthetic lethality-focused precision medicine oncology company committed to the discovery and development of targeted therapeutics, announced dose expansion of the ongoing Phase 1/2 study (ClinicalTrials.gov Identifier: NCT03947385) evaluating the combination of darovasertib and crizotinib in metastatic uveal melanoma (MUM). IDEAYA is the sponsor of this combination study, which is being conducted pursuant to a clinical trial collaboration and supply agreement with Pfizer Inc. Darovasertib is IDEAYA's clinical stage protein kinase C, or PKC, inhibitor and crizotinib is a cMET inhibitor to which Pfizer has exclusive worldwide rights.

"We are encouraged to see the early deep partial response in the first cohort of the darovasertib and crizotinib combination. We look forward to the dose expansion phase and to continue dose exploration to clinically validate the preclinical combination hypothesis discovered by IDEAYA," said Dr. Marlana Orloff, M.D., Assistant Professor, Thomas Jefferson University Hospitals.

IDEAYA presented translational data at AACR 2021 retrospectively evaluating cMET expression in clinical biopsies from MUM patients in a Darovasertib Phase 1 clinical trial, as well as preclinical data evaluating synergy between darovasertib and crizotinib in relevant cell models of a liver tumor microenvironment, a site of approximately 90% of uveal melanoma metastases. "We identified inhibition of the cMET signaling pathway in combination with PKC in the metastatic setting as a potential treatment regimen, and are excited to observe our first signal of clinical activity to validate this research finding," said Mick O'Quigley, Vice President, Head of Development Operations at IDEAYA Biosciences.

Darovasertib / Crizotinib Combination Therapy

IDEAYA is continuing patient enrollment into the darovasertib / crizotinib combination arm under the clinical trial collaboration and supply agreement with Pfizer. Highlights:

- 6 MUM patients have enrolled in the darovasertib and crizotinib combination study and 2 of these patients were evaluable for response with at least one post-baseline scan
- Observed early clinical efficacy of the darovasertib and crizotinib combination in MUM. As of data and analyses cutoff on May 5, 2021 based on preliminary data from an unlocked database, these data showed:
    - tumor reduction in 2 of 2 evaluable patients in a first cohort
    - one unconfirmed partial response in a 3rd-line patient, with a 54% tumor reduction, which is the

deepest response reported in the darovasertib clinical trial to date; this patient is awaiting a confirmatory scan

- Drug-related adverse events observed in the darovasertib and crizotinib combination arm in MUM as of May 5, 2021, based on preliminary data from an unlocked database, primarily include: serious adverse events of syncope and hypotension, each of which resolved with patients continuing dosing; and adverse events that occurred in at least two of the six treated patients of nausea, diarrhea, vomiting, edema, decreased appetite, and syncope
- Initiated dose expansion for a cohort of the Phase 1/2 darovasertib / crizotinib combination arm, with additional dose exploration ongoing
- Observed preclinical synergies between darovasertib and crizotinib in relevant cellular models under conditions simulating a tumor microenvironment in the liver, the site of approximately 90% of uveal melanoma metastases, as reported at AACR 2021
- Correlated cMET expression and activation to observed clinical response based on a retrospective analysis of human clinical biopsies from the Novartis darovasertib Phase 1 clinical trial, supporting co-targeting PKC and cMET signaling

About IDEAYA Biosciences

IDEAYA is a synthetic lethality-focused 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 dose expansion in the darovasertib / crizotnib combination arm of the ongoing Phase 1/2 study. 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, the effects on IDEAYA's business of the worldwide COVID-19 pandemic, 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 recent Quarterly Report on Form 10-Q filed on May 10, 2021 and any current and periodic reports

filed with the U.S. Securities and Exchange Commission.

SOURCE IDEAYA Biosciences, Inc.

For further information: IDEAYA Biosciences, Paul Stone, Senior Vice President and Chief Financial Officer, investor@ideayabio.com

---