Arena Pharmaceuticals Presented New Data Highlighting the Human Mass Balance and Metabolism Profile of Etrasimod at AAPS

November 6, 2019

- In a Human Mass Balance study, etrasimod metabolism demonstrated no major metabolites

- The overall results suggest low risk for drug-drug interactions

SAN DIEGO, Nov. 6, 2019 /PRNewswire/ -- Arena Pharmaceuticals, Inc. (Nasdaq: ARNA) presented new data evaluating the human mass balance, metabolic disposition, and pharmacokinetics (PK) of etrasimod in healthy adult male volunteers. Etrasimod is an investigational next-generation, once-daily, oral, highly selective sphingosine 1-phosphate (S1P) receptor modulator. The results were presented at the American Association of Pharmaceutical Scientists (AAPS) 2019 PHARMSCI 360 Meeting in San Antonio, Texas.

"Results from this human mass balance study confirm that etrasimod is slowly and extensively metabolized via multiple metabolic pathways and demonstrate no exposure from any major metabolites. Additionally, multiple metabolic pathways for etrasimod significantly reduces the likelihood of any unwanted potential drug-drug interactions," said Preston Klassen, MD, MHS, Executive Vice President, Head of Research & Development at Arena. "These highly favorable PK properties of etrasimod support the best-in-disease safety demonstrated in the Phase 2 OASIS study results. We look forward to the continued development of this potential new oral treatment option in the ongoing and planned late-stage programs in IBD, and the ongoing Phase 2 program in atopic dermatitis."

Etrasimod Presentation Details:

Title: *Mass Balance, Metabolic Disposition, and Pharmacokinetics of [^{14}C]Etrasimod Following Oral Administration to Healthy Male Volunteers*
Poster ID: T1530-13-83
This poster is among the few selected top presentations to be featured at an AAPS Special Poster Collections event.

These data will also be included as part of a poster presentation at the upcoming American Society for Clinical Pharmacology & Therapeutics (ASCPT) 2020 Annual Meeting.

About Etrasimod

Etrasimod (APD334) is a next-generation, once-daily, oral, highly selective sphingosine 1-phosphate (S1P) receptor modulator discovered by Arena and designed for optimized pharmacology and engagement of S1P receptor 1, 4, and 5 which may lead to an improved efficacy and safety profile.

Etrasimod provides systemic and local effects on specific immune cell types and has the potential to treat multiple immune-mediated inflammatory diseases including ulcerative colitis, Crohn's disease, and atopic dermatitis.

Etrasimod is an investigational compound that is not approved for any use in any country.

About Arena Pharmaceuticals

Arena Pharmaceuticals is driven to deliver novel, transformational medicines with optimized pharmacology to patients globally. Arena's proprietary pipeline includes multiple potentially first- or best-in-class assets with broad clinical utility. Arena is evaluating etrasimod (APD334) in a broad range of immune-mediated inflammatory diseases, including in later-stage programs in inflammatory bowel disease (IBD), a Phase 2 program in atopic dermatitis (AD), and in programs for other indications. Arena is also evaluating olorinab (APD371) in a Phase 2 program for gastrointestinal pain. Arena continues to assess other earlier research and development stage drug candidates, including APD418 for decompensated heart failure.

Arena has additional license agreements and partnerships, including with United Therapeutics (ralinepag in a Phase 3 program for pulmonary arterial hypertension), Everest Medicines Limited (etrasimod in Greater China and select Asian countries), Boehringer Ingelheim International GmbH (undisclosed target – preclinical), Outpost Medicine, LLC (undisclosed target – Phase 1), and Eisai Co., Ltd. and Eisai Inc. (BELVIQ® – marketed product).

Forward-Looking Statements

Certain statements in this press release are forward-looking statements that involve a number of risks and uncertainties. These forward-looking statements may be identified by introductory words such as "suggest," "potential," "look forward to," "planned," "will," "designed for," "may," "driven to," "potentially," "evaluating," or words of similar meaning, or by the fact that they do not relate strictly to historical or current facts. Such forward-looking statements include, without limitation, statements about etrasimod, including its potential to have low likelihood of unwanted potential drug-drug interactions, to have best-in-disease safety, to continue to be developed in ongoing and planned programs in IBD and atopic dermatitis, to become a new oral treatment option, to have optimized pharmacology and receptor engagement, to have an improved efficacy and safety profile, and to treat multiple immune-mediated inflammatory diseases including ulcerative colitis, Crohn's disease, and atopic dermatitis; Arena's drive; and the potential of Arena's assets, programs, licenses, and partnerships. For such statements, Arena claims the protection of the Private Securities Litigation Reform Act of 1995. Actual events or results may differ materially from Arena's expectations. Factors that could cause actual results to differ materially from the forward-looking statements include, without limitation, the following: results of clinical trials and other studies, such as the human mass balance study discussed in this press release, are subject to different interpretations and may not be predictive of future results; nonclinical and clinical data are voluminous and detailed, and regulatory agencies may interpret or weigh the importance of data differently and reach different conclusions than Arena or others, request additional information, have additional recommendations or change their guidance or requirements before or after approval; the timing and outcome of research, development and regulatory review is uncertain; we expect to need additional funds to advance all of our programs, and you and others may not agree with the manner we allocate our resources; our drug candidates may not advance in development or be approved for marketing; clinical trials and other studies may not proceed at the time or in the manner expected or at all; enrolling patients in our ongoing and intended clinical trials is competitive and challenging; risks related to unexpected or unfavorable new data; risks related to developing and

commercializing drugs; risks related to relying on partners and other third parties; Arena's and third parties' intellectual property rights; and satisfactory resolution of litigation or other disagreements with others. Additional factors that could cause actual results to differ materially from those stated or implied by Arena's forward-looking statements are disclosed in Arena's filings with the Securities and Exchange Commission. These forward-looking statements represent Arena's judgment as of the time of this release. Arena disclaims any intent or obligation to update these forward-looking statements, other than as may be required under applicable law.

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View original content to download multimedia:http://www.prnewswire.com/news-releases/arena-pharmaceuticals-presented-new-data-highlighting-the-human-mass-balance-and-metabolism-profile-of-etrasimod-at-aaps-300952496.html

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