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Arena Pharmaceuticals Completes Trial Comparing Once-Daily, Extended Release Formulation of Ralinepag with Twice-Daily, Immediate Release Formulation in Normal Healthy Volunteers

SAN DIEGO, June 29, 2017 /PRNewswire/ -- [Arena Pharmaceuticals, Inc.](#) (NASDAQ: ARNA), today announced the completion of a Phase 1 comparative bioavailability study evaluating the pharmacokinetic (PK) profile of extended release (XR) ralinepag compared to the immediate release (IR) formulation in healthy adults.

While the IR formulation currently in clinical investigation is believed to provide continuous exposure, the XR formulation, when administered once-daily, may further ralinepag's ability to achieve a PK profile similar to intravenous prostacyclin therapy.

The Phase 1 trial evaluated the safety, tolerability and PK of ralinepag XR tablets, given as single daily doses, at three dose levels, to 12 healthy adult subjects. Subjects also received single doses of ralinepag IR capsules. PK results showed the once-daily XR tablet to reduce the maximum plasma concentration (C_{max}) of ralinepag compared to the IR capsule, while maintaining similar total plasma concentrations.

The most common treatment-emergent adverse events with ralinepag XR were similar to those seen in previous studies of ralinepag IR in healthy volunteers.

Dr. Preston Klassen, Arena's Executive Vice President, Research and Development and Chief Medical Officer commented, "We believe the results from this trial support the delivery of ralinepag as a single daily oral dose for patients with pulmonary arterial hypertension. We will evaluate these data further in the context of the Phase 2 data with ralinepag IR that are expected in July."

About Ralinepag

Ralinepag (APD811), is an oral, next-generation, selective IP receptor agonist targeting the prostacyclin pathway for the treatment of pulmonary arterial hypertension (PAH). Arena discovered and developed this investigational drug candidate internally. Ralinepag's potency on vasodilation, inhibition of proliferation of vascular smooth muscle cells, and inhibition of platelet aggregation, combined with an extended half-life support its application as a potentially best-in-class agent for the treatment of PAH.

About Pulmonary Arterial Hypertension

Pulmonary Arterial Hypertension (PAH) is a rare, chronic, progressive, life-threatening disorder characterized by increased pressure in the arteries that carry blood from the heart to the lungs. The increased pressure strains the heart, which can limit physical activity, result in heart failure and reduce life expectancy. Current treatment of PAH falls within four distinct therapeutic classes: endothelin receptor antagonists (ERAs), phosphodiesterase-5 (PDE-5) inhibitors, prostacyclin analogues and soluble guanylate cyclase (SGc) stimulators. The available therapies have positive effects in PAH, but they do not provide a cure, and in many patients the disease will progress.

About Arena Pharmaceuticals

[Arena Pharmaceuticals](#) is a biopharmaceutical company focused on developing novel, small molecule drugs with optimized receptor pharmacology designed to deliver broad clinical utility across multiple therapeutic areas. Our proprietary pipeline includes potentially first- or best-in-class programs for which we own global commercial rights. Our three most advanced investigational clinical programs are [ralinepag](#) (APD811) in Phase 2 evaluation for pulmonary arterial hypertension (PAH), [etrasimod](#) (APD334) in Phase 2 evaluation for multiple autoimmune indications including ulcerative colitis (UC), and [APD371](#) in Phase 2 evaluation for the treatment of pain associated with Crohn's disease. In addition, Arena has collaborations with the following pharmaceutical companies: Eisai Co., Ltd. and Eisai Inc. (commercial stage), Axovant Sciences (Phase 2 candidate), and Boehringer Ingelheim International GmbH (preclinical candidate).

Forward-Looking Statements

Certain statements in this press release are forward-looking statements that involve a number of risks and uncertainties. These statements may be identified by introductory words such as "may," "expects," "plan," "believed," "will," "achieve," "anticipate," "would," "should," "subject to" 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 statements regarding ralinepag's exposure and ability to achieve a profile similar to IV prostacyclin therapy; the trial results and the efficacy, dosing and delivery of ralinepag; future evaluation and development of ralinepag; timing of expected Phase 2 data of ralinepag; and Arena's focus, goals, strategy and clinical programs. 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 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; risks related to developing, seeking regulator approval and commercializing drugs; unexpected or unfavorable new data; nonclinical and clinical data is 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; Arena's and third parties' intellectual property rights; results of clinical trials and other studies are subject to different interpretations and may not be predictive of future results; clinical trials and other studies may not proceed at the time or in the manner expected or at all; and those factors disclosed in Arena's filings with the Securities and Exchange Commission, including our Form 10-Q for the quarter ended March 31, 2017. 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.

Corporate Contact:

Kevin R. Lind
Arena Pharmaceuticals, Inc.
Executive Vice President and
Chief Financial Officer
klind@arenapharm.com
858.210.3636

Media Contact:

Matt Middleman, M.D.
LifeSci Public Relations
matt.middleman@lifescipublicrelations.com
646.627.8384



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