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Arena and Eisai Announce Completion of Study Measuring Lorcaserin Concentrations in Human Cerebrospinal Fluid and Related Analyses

- Analyses Estimate Humans Concentrate Lorcaserin in the Brain to a Much Lower Extent than Rats -
- Data to be Included in Response to Lorcaserin CRL -

SAN DIEGO and WOODCLIFF LAKE, N.J., Aug. 2, 2011 /PRNewswire/ -- Arena Pharmaceuticals, Inc. (NASDAQ: ARNA) and Eisai Inc. announced today the completion of a clinical study that measured lorcaserin concentrations in human cerebrospinal fluid (CSF) and plasma and related data analyses. The study was conducted to provide additional data that may be informative for determining the human relevance of the observation of brain astrocytoma in male rats. Using the results of this study and other preclinical and clinical studies, Arena estimates that the mean exposure of the human brain to lorcaserin at the clinically tested dose (10 mg dosed twice daily (BID)) is approximately 1.7 times the exposure in the human plasma. In contrast, the measured exposure of the male rat brain to lorcaserin at the dose at which no brain astrocytoma was observed (10 mg/kg/day) is approximately 24 times the exposure in the rat plasma.

"We estimate that humans concentrate lorcaserin in the brain to a much lower extent than do rats," said William R. Shanahan, M.D., Arena's Senior Vice President and Chief Medical Officer. "We believe these results may be helpful in assessing the human relevance of the observation of brain astrocytoma in the rat carcinogenicity study."

This study is one of the activities intended to address the observation of brain astrocytoma in male rats as part of the overall plan to submit a response to the lorcaserin Complete Response Letter (CRL). Activities intended to address the observation of mammary adenocarcinoma in female rats and other issues identified by the US Food and Drug Administration (FDA) are ongoing.

Study Rationale, Design and Related Analyses

Brain astrocytoma was observed in male rats given certain doses of lorcaserin during a two-year carcinogenicity study. One approach to estimating a safety margin for this finding would be to use plasma concentrations in humans at the clinically tested dose of lorcaserin and in rats at the dose of lorcaserin at which no brain astrocytoma was observed; the human plasma exposure to lorcaserin 10 mg BID is approximately five times lower than the male rat plasma exposure to lorcaserin 10 mg/kg/day.

Because lorcaserin might enter the brain differently in rats and humans, relative brain exposure may more accurately estimate the safety margin than relative plasma exposure. The apparent consistent relationship of the lorcaserin brain to CSF exposure ratios in three animal species (mice, rats and monkeys) measured in five preclinical studies conducted by Arena provides a method to estimate human brain exposure by using CSF measurements from humans and assuming a similar brain to CSF ratio found in animals.

In this clinical study, lorcaserin CSF and plasma concentrations were measured in nine healthy obese volunteers after oral administration of lorcaserin 10 mg BID for seven days. On Day 7, lumbar CSF and plasma were serially collected simultaneously over a 12-hour period. Arena calculated the estimated ratio of lorcaserin exposure in the brain relative to plasma in humans using the mean brain to CSF exposure ratio from the preclinical studies of 101, with a range of 75-117, and the measured human CSF and plasma exposures (mean AUCss (standard deviation)) of 9.3 (+/-3.9) hr-ng/mL and 540 (+/-157) hr-ng/mL, respectively, from this study.

It is important to note that Arena's estimates are based on certain assumptions and extrapolations. The FDA may accept Arena's assumptions and extrapolations or may use different ones in analyzing the data, which could lead the FDA to estimate a different exposure margin. The FDA also may or may not view the estimates as reliable or predictive of the safety margin.

About Lorcaserin

Lorcaserin is an investigational drug candidate intended for weight management, including weight loss and maintenance of

weight loss, in patients who are obese (BMI ≥30) or patients who are overweight (BMI ≥27) and have at least one weight-related co-morbid condition. Lorcaserin is a new chemical entity that is believed to act as a selective serotonin 2C receptor agonist. The serotonin 2C receptor is expressed in the brain, including the hypothalamus, an area believed to be involved in the control of appetite and metabolism. Arena has patents that cover lorcaserin in the United States and other jurisdictions that in most cases are capable of continuing into 2023 without taking into account any patent term extensions or other exclusivity Arena might obtain.

Arena submitted a New Drug Application (NDA) for lorcaserin to the FDA in December 2009, and the FDA issued a CRL in October 2010. Arena's wholly owned subsidiary, Arena Pharmaceuticals GmbH, has granted Eisai Inc. exclusive rights to market and distribute lorcaserin in the United States subject to FDA approval of the NDA for lorcaserin.

About Arena Pharmaceuticals

Arena is a clinical-stage biopharmaceutical company focused on discovering, developing and commercializing oral drugs that target G protein-coupled receptors, an important class of validated drug targets, in four major therapeutic areas: cardiovascular, central nervous system, inflammatory and metabolic diseases.

Arena Pharmaceuticals® and Arena® are registered service marks of the company.

About Eisai Inc.

Eisai Inc. was established in 1995 and is ranked among the top-25 US pharmaceutical companies (based on retail sales). The company began marketing its first product in the United States in 1997 and has rapidly grown to become a fully integrated pharmaceutical business. Eisai's areas of commercial focus include neurology, gastrointestinal disorders and oncology/critical care. The company serves as the US pharmaceutical operation of Eisai Co., Ltd., a research-based *human health care (hhc)* company that discovers, develops and markets products throughout the world.

Eisai has a global product creation organization that includes US-based R&D facilities in Massachusetts, New Jersey, North Carolina and Pennsylvania as well as manufacturing facilities in Maryland and North Carolina. The company's areas of R&D focus include neuroscience; oncology; vascular, inflammatory and immunological reaction; and antibody-based programs. For more information about Eisai, please visit www.eisai.com/us.

Forward-Looking Statements

Certain statements in this press release are forward-looking statements that involve a number of risks and uncertainties. Such forward-looking statements include statements about the advancement, therapeutic indication and use, safety, efficacy, tolerability, mechanism of action and potential of lorcaserin; the significance of the results from the human CSF clinical study of lorcaserin, including the use of the results of the clinical study in determining the human relevance of, and addressing, the observation of brain astrocytoma in male rats, in estimating the exposure of the human brain to lorcaserin, and estimating safety margin; the accuracy of estimates of safety margin based on relative brain exposure; the FDA's analysis of data and its view and acceptance of the CSF data, estimates, and Arena's assumptions, extrapolations and analysis; the response to the CRL for the lorcaserin NDA, including related plans and activities; the Eisai collaboration and potential activities thereunder; lorcaserin's patent coverage; and Arena's focus, goals, strategy, research and development programs, and ability to develop compounds and commercialize drugs. 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, but are not limited to, the following: the estimated human brain exposure of lorcaserin is an extrapolation that depends on the assumptions made and the particular human CSF and plasma and animal brain, CSF and plasma measurements used, and the estimate may differ depending on the analysis; the timing of regulatory review and approval is uncertain; the risk that data and other information related to Arena's research and development programs may not meet safety or efficacy requirements or otherwise be sufficient for regulatory approval; Arena's response to the CRL for the lorcaserin NDA or submission of a Marketing Authorization Application for regulatory approval of lorcaserin may not be submitted when anticipated, if at all; the FDA may request other information prior to or after Arena submits such response or approval of the lorcaserin NDA; unexpected or unfavorable new data; risks related to commercializing new products; Arena's ability to obtain and defend its patents; the timing, success and cost of Arena's research and development programs; 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; Arena's ability to obtain adequate funds; risks related to relying on collaborative agreements; the timing and receipt of payments and fees, if any, from collaborators; and satisfactory resolution of pending and any future 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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