Aerpio Pharmaceuticals Presents Data from Fifth Patient Cohort Showing Potential of Topical Ocular Formulation of AKB-9778 Product Candidate When Combined with Prostaglandin in Subjects with Primary Open Angle Glaucoma or Ocular Hypertension

February 7, 2020

Data presented at the 9th Annual Glaucoma 360 New Horizons Forum

CINCINNATI--(BUSINESS WIRE)--Feb. 7, 2020-- Aerpio Pharmaceuticals, Inc. (Nasdaq: ARPO), a biopharmaceutical company focused on developing compounds that activate Tie2 to treat ocular diseases and diabetic complications, announced that Kevin Peters, M.D., Aerpio's Chief Scientific Officer, presented data from the fifth cohort of subjects from a Phase 1b trial showing the potential of a topical ocular formulation of AKB-9778, a VE-PTP inhibitor and Tie2 activator, to lower intraocular pressure (IOP) with minimal conjunctival hyperemia when combined with standard-of-care prostaglandin therapy. The data were presented at the Glaucoma 360 New Horizons Forum 2020, on February 7, in San Francisco.

The presentation included an updated dataset from a Phase 1b trial of a topical ocular formulation of AKB-9778 from the fifth cohort of patients with ocular hypertension (OHT) or primary open angle glaucoma (POAG). The updated results from the fifth cohort will be posted on the Company's website.

"We continue to be encouraged by the findings from Aerpio's topical ocular formulation of AKB-9778 in patients with ocular hypertension or primary open angle glaucoma," said Brian Levy D.O., M.Sc., CEO of at Ocunexus Therapeutics and former CMO of Aerie Pharmaceuticals. "The IOP lowering observed when AKB-9778 was combined with a prostaglandin in the fifth cohort of Aerpio's Phase 1b trial was comparable to or better than those produced by common commercial adjunctive therapies. Importantly, AKB-9778 achieved this IOP lowering while causing minimal conjunctival hyperemia, no conjunctival hemorrhage, and no discomfort on instillation. Additionally, AKB-9778's novel mechanism of action that targets the Schlemm's canal increases our excitement for this program and the results we've seen to-date."

The Phase 1b trial is a randomized, double-masked study designed to assess increasing concentrations of AKB-9778 dosed topically as eye drops. The primary outcome of the study is ocular safety and tolerability with change in intraocular pressure (IOP) at Day 7 as a pharmacodynamic outcome. Conjunctival hyperemia and IOP were assessed at 0 (pre-dose), 2, 4, and 8 hours post-dose on Day -1 (baseline), Day 1 (first day of AKB-9778 dosing) and Day 7 (last day of AKB-9778 dosing). Results from the first 3 cohorts, each comprising 12 subjects with normotensive eyes (non-glaucoma subjects), were reported on October 10, 2019. Subsequently, results from cohort 4, also containing 12 subjects with normotensive eyes, were observed to be consistent with those from cohorts 1 through 3.

Based on favorable tolerability and pharmacodynamic findings in these ocular normotensive subjects from the first four cohorts, Aerpio elected to recruit a fifth cohort of subjects with OHT/POAG on standard of care prostaglandin therapy to assess the safety, tolerability and pilot efficacy of once-daily AKB-9778 (40 mg/ml) as an adjunctive therapy. In the fifth cohort 43 patients were recruited with OHT/POAG and baseline IOP measurements between 17 and 27 mmHg while treated with once-daily prostaglandin therapy. Patients were randomized 3:1 to receive either AKB-9778 (32 subjects) or placebo (11 subjects), administered in the morning for 7 days, while continuing their evening prostaglandin therapy. Conjunctival hyperemia and IOP were assessed in the same manner as described for cohorts 1-4.

About AKB-9778

AKB-9778 binds to and inhibits vascular endothelial protein tyrosine phosphatase (VE-PTP), an important negative regulator of Tie2. Decreased Tie2 activity contributes to vascular instability in many diseases including diabetes. AKB-9778 activates the Tie2 receptor irrespective of extracellular levels of its binding ligands, angiopoietin-1 (agonist) or angiopoietin-2 (antagonist) and may be the most efficient pharmacologic approach to maintain normal Tie2 activation.

About Aerpio Pharmaceuticals

Aerpio Pharmaceuticals, Inc. is a biopharmaceutical company focused on advancing first-in-class compounds that activate Tie2 to treat ocular diseases and complications of diabetes. Tie2 is an important regulator of vascular stability, and its down-regulation, through activation of two inhibitors VE-PTP and Ang-2, is found in patients with diabetes and other conditions. The Company's lead compound, AKB-9778, a first-in-class small molecule inhibitor of VE-PTP, is being investigated in an ongoing Phase 1b clinical trial as a topical drop formulation for its therapeutic potential in open-angle glaucoma. In the recently completed Phase 2b study (TIME-2b) AKB-9778 demonstrated the ability to lower proteinuria (as measured by decreasing urinary albumin creatine ratio, UACR) by about 20% replicating a finding in the previous Phase 2 study. The decrease in proteinuria suggests that AKB-9778 and our other Tie2 activating drug, ARP-1536, may have the potential to improve kidney function in diabetics potentially delaying progression to kidney dialysis. The Company's second asset, ARP-1536 is a humanized monoclonal antibody observed to activate Tie2 receptors in a dose-dependent manner in preclinical models. Aerpio believes ARP-1536 holds potential as a monthly or biweekly systemic therapy to treat diabetic complications, including diabetic nephropathy. The Company's third asset is a bispecific antibody that binds both VEGF and VE-PTP which inhibits VEGF activation and activates Tie2. This bispecific antibody has the potential to be an improved product for treating wet AMD and diabetic macular edema via intravitreal injection. Finally, the Company has exclusively out-licensed its fourth asset AKB-4924 (now called GB004), a first-in-class small molecule inhibitor of hypoxia-inducible factor-1 (HIF). GB004 is being developed by AKB-4924's exclusive licensor, Gossamer Bio, Inc. (Nasdaq: GOSS), in return for an upfront payment of $20 million, future potential development, regulatory, and sales milestones of up to $400 million, and royalties on worldwide net sales. For more information, please visit www.aerpio.com.

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