**BIOLOGICAL ACTIVITY:**

Aleglitazar (R1439; RO-0728804) is a new dual PPAR-α/γ agonist with IC50 of 2.8 nM/4.6 nM.

IC50 Value: 2.8 nM(PPAR-α); 4.6 nM(PPAR-γ)

Target: PPARα/γ

Aleglitazar (R1439) is a dual peroxisome proliferator-activated receptor (PPAR) agonist, with affinity to PPARα and PPARγ. Aleglitazar is being developed for the treatment of type II diabetes; it is currently in phase III clinical trials. In preliminary clinical studies, Aleglitazar has been demonstrated to improve hyperglycemia and dyslipidemia in patients with type 2 diabetes mellitus. Aleglitazar has beneficial effects on both lipid and glucose parameters and may have a therapeutic role in modifying cardiovascular risk factors and improving glycemic control in patients with T2DM. Aleglitazar combines the lipid benefits of fibrates and the insulin-sensitizing benefits of thiazolidinediones.

**PROTOCOL (Extracted from published papers and Only for reference)**

Animal administration [1] Five-week-old male ZDF rats and lean, aged-matched male Zucker rats are 6 weeks old when treatment commenced. Animals receive Aleglitazar (0.3 mg/kg/day; n=10) as food admix or vehicle (vehicle; n=10) for 13 weeks. For each treatment group, 50 kg of food is homogenized in 10 l of vehicle buffer solution (0.89% di-sodium hydrogenphosphate-dihydrate; 0.4% polysorbate 80, 0.29% 1N HCl in sterile water), with or without aleglitazar, and the fluid phase is carefully evaporated. Final food is prepared in pellets by KLBA. Average daily food consumption is 100 g/kg body weight during treatment (confirmed by recording of daily food intake), delivering Aleglitazar at a daily dose of 0.3 mg/kg/day. The potential food aversion risk is explored prior to starting chronic treatment by monitoring food and water intake and body weight on diet over a 1-week period. No food aversion behaviour is observed. Pharmacokinetic analysis confirmed that the expected plasma exposure of Aleglitazar is achieved. Age-matched male ZL rats (n=10) receive vehicle and serve as non-diabetic controls.

**References:**


Caution: Product has not been fully validated for medical applications. For research use only.

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