Ponalrestat

Cat. No.: HY-106697

CAS No.: 72702-95-5

Molecular Formula: $C_{17}H_{12}BrFN_2O_3$

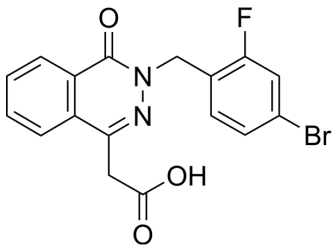
Molecular Weight: 391.19

Target: Aldose Reductase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (159.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5563 mL	12.7815 mL	25.5630 mL
	5 mM	0.5113 mL	2.5563 mL	5.1126 mL
	10 mM	0.2556 mL	1.2782 mL	2.5563 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ponalrestat (ICI 128436) is an orally active, selective and noncompetitive aldose reductase (AKR1B1; ALR) inhibitor. Ponalrestat selectively inhibits ALR2 (K_i=7.7 nM) over ALR1 (K_i=60 μM). Ponalrestat inhibits the conversion of glucose to sorbitol[1][2][3].

IC_{50} & Target

Ki: 7.7 nM (ALR2) and 60 μM (ALR1)[1]

In Vitro

Ponalrestat (ICI 128436; 1, 10, 100 μM; 6 hours) reduces PGF2α production in response to IL-1 in both cultured endometrial cells and endometrial explants[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Ponalrestat (ICI 128436; 10, 50 mg/kg; orally; daily; 8 weeks) reduces sorbitol accumulation indicating efficacy of aldose reductase inhibition[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult female Sprague-Dawley rats[3]
Dosage:	10, 50 mg/kg
Administration:	Orally; daily; 8 weeks
Result:	Reduced sorbitol accumulation.

REFERENCES

[1]. Ward WH, et al. Ponalrestat: a potent and specific inhibitor of aldose reductase. Biochem Pharmacol. 1990 Jan 15;39(2):337-46.

[2]. Bresson E, et al. The human aldose reductase AKR1B1 qualifies as the primary prostaglandin F synthase in the endometrium. J Clin Endocrinol Metab. 2011 Jan;96(1):210-9.

[3]. Calcutt NA, et al. Prevention of sensory disorders in diabetic Sprague-Dawley rats by aldose reductase inhibition or treatment with ciliary neurotrophic factor. Diabetologia. 2004 Apr;47(4):718-24.

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