Zopolrestat

Cat. No.: HY-19687

CAS No.: 110703-94-1

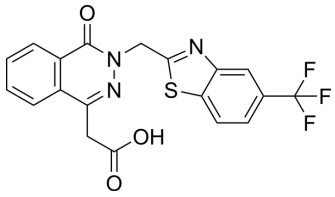
Molecular Formula: $C_{19}H_{12}F_3N_3O_3S$

Molecular Weight: 419.38

Target: Aldose Reductase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (238.45 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3845 mL	11.9224 mL	23.8447 mL
	5 mM	0.4769 mL	2.3845 mL	4.7689 mL
	10 mM	0.2384 mL	1.1922 mL	2.3845 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 20 mg/mL (47.69 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (1.98 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (1.98 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zopolrestat (CP73850) is a potent, orally active aldose reductase (AR) inhibitor with an IC_{50} of 3.1 nM. Zopolrestat is used for the research of diabetic complications[1].

In Vitro

Zopolrestat is a potent inhibitor of the reduction of both glyceraldehyde and glucose by the human and rat enzymes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Zopolrestat (2.5 mg/kg-50 mg/kg; p.o.; once-a-day for 5 days) and left untreated for 7 days) prevents accumulation of

sorbitol in the kidney cortex of diabetic rats and normalize elevated renal blood flow in galactosemic rats[1].

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

Animal Model:	Male Sprague-Dawley rats (made diabetic by iv injection of streptozotocin)[1].
Dosage:	2.5 mg/kg-50 mg/kg
Administration:	P.o.; once-a-day for 5 days
Result:	Its ED_{50}s in reversing already elevated sorbitol accumulation in rat sciatic nerve, retina, and lens in a chronic test were 1.9, 17.6, and 18.4 mg/kg, respectively.

REFERENCES

[1]. Mylari BL, et al. Novel, potent aldose reductase inhibitors: 3,4-dihydro-4-oxo-3-[[5-(trifluoromethyl)-2-benzothiazolyl] methyl]-1-phthalazineacetic acid (zopolrestat) and congeners. J Med Chem. 1991;34(1):108-122.

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