Risarestat

Cat. No.: HY-16433

CAS No.: 79714-31-1

Molecular Formula: $C_{16}H_{21}NO_4S$

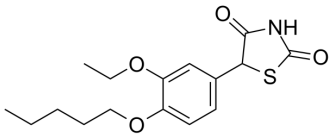
Molecular Weight: 323.41

Target: Aldose Reductase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 105 mg/mL (324.67 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	3.0921 mL	15.4603 mL	30.9205 mL
	5 mM	0.6184 mL	3.0921 mL	6.1841 mL
	10 mM	0.3092 mL	1.5460 mL	3.0921 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: ≥ 5.25 mg/mL (16.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Risarestat (CT-112), an aldose reductase inhibitor, is developed for the treatment of diabetic complications.

In Vivo

Risarestat inhibits the accumulation of dulcitol in a dose-dependent manner, except for the 1.0% solution which has an activity comparable to the 0.25% solution[1]. Risarestat peaks in the corneal epithelium, stroma, endothelium and aqueous humor in 30 minutes following instillation, then gradually diminishes time-dependently over a period of 24 hours. Risarestat remains detectable in the lens up to 24 hours, with a peak concentration at 2 hours after instillation[2]. The anterior surface area of superficial cells in the group treated with Risarestat is significantly decreases from a mean value of 881 to 728 microns^2. Corneal sensitivity significantly improves from 5.36 to 1.37 g/mm^2[3]. Animals treated with Risarestat shows a significant increase in the mean blinkresponse compared to untreated galactose-fed rats and does not differ significantly from controls towards the completion of the 7 month study. Animals treated topically with Risarestat and untreated galactose-fed rats develop bilateral nuclear cataracts within 3 weeks[4].

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

PROTOCOL

Animal Administration [1][2]

Rats: The other 5 groups are fed on a 50% galactose diet, and 0.1, 0.25, 0.5 or 1.0% Risarestat ophthalmic solution or its vehicle is instilled in both eyes 4 times a day in each of the 5 treated groups. After 2 weeks, the corneal epithelium is scraped off in all rats and its dulcitol content is determined by gas chromatography[1].

Rabbits: Risarestat is prepared in acetate-buffered saline. 50 μL of 0.5% Risarestat in 7mM acetate-buffered saline (pH 5.2, 290 mOsm) containing 0.15% chlorbutanol as a preservative is instilled to both conjunctival sac of rabbits. This ophthalmic solution is proven to be untoxic to the external eye after 90 days' instillation to the rabbits 9 times a day. The rabbits are then sacrificed at, 15 and 30 minutes, 1, 2, 4, 8, and 24 hours after instillation[2].

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

REFERENCES

[1]. Awata T, et al. Effect of an aldose reductase inhibitor, CT-112, on healing of the corneal epithelium in galactose-fed rats. J Ocul Pharmacol. 1988 Fall;4(3):195-201.

[2]. Ohashi Y, et al. Intraocular penetration of CT-112, an aldose reductase inhibitor, following topical instillation. J Ocul Pharmacol. 1989 Winter;5(4):325-8.

[3]. Hosotani H, et al. Reversal of abnormal corneal epithelial cell morphologic characteristics and reduced corneal sensitivity in diabetic patients by aldose reductase inhibitor, CT-112. Am J Ophthalmol. 1995 Mar;119(3):288-94.

[4]. Jacot JL, et al. Diabetic-like corneal sensitivity loss in galactose-fed rats ameliorated with aldose reductase inhibitors. J Ocul Pharmacol Ther. 1998 Apr;14(2):169-80.

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