Azelastine hydrochloride

Cat. No.: HY-B0462

CAS No.: 79307-93-0

Molecular Formula: $C_{22}H_{25}Cl_2N_3O$

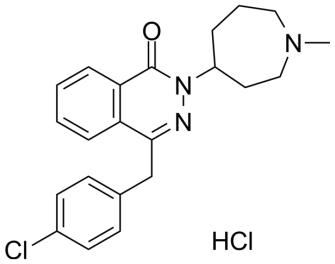
Molecular Weight: 418.36

Target: Histamine Receptor; SARS-CoV

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Anti-infection

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 : 50 mg/mL (119.51 mM; Need ultrasonic)

H_2O : 6.67 mg/mL (15.94 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3903 mL	11.9514 mL	23.9029 mL
5 mM	0.4781 mL	2.3903 mL	4.7806 mL
10 mM	0.2390 mL	1.1951 mL	2.3903 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Azelastine hydrochloridem, an antihistamine, is a potent and selective histamine 1 (H_1) antagonist. Azelastine hydrochloride can be used for the research of allergic rhinitis, asthma, diabetic hyperlipidemic and SARS-CoV-2[1][2][3][4].

IC_{50} & Target

H_1 Receptor

In Vitro

Azelastine hydrochloride can significantly inhibit HNEpC proliferation, and therefore, be helpful in against airway remodeling[5].

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

Cell Proliferation Assay[5]

Cell Line:	Human nasal epithelial cells (HNEpC)
Concentration:	100 μM, 400 μM
Incubation Time:	21 days
Result:	Inhibited HNEpC growth.

Western Blot Analysis[5]

Cell Line:	Human nasal epithelial cells (HNEpC)
Concentration:	100 μM
Incubation Time:	7 days
Result:	Significantly up-regulated the H1R, M1R and M3R levels.

In Vivo

Azelastine hydrochloride (4 mg/kg; p.o.; daily; for 8 weeks) significantly reduces blood glucose, HbA1c and serum alkaline phosphatase (ALP), osteocalcin and downregulates apolipoprotein B in diabetic hyperlipidemic rats model[2].
Azelastine hydrochloride (4 mg/kg; p.o.; daily; for 8 weeks) improves the lipid profile (LDL-c decrease and HDL-c increase) in diabetic hyperlipidemic rats model[2].
Azelastine hydrochloride (4 mg/kg; p.o.; daily; for 8 weeks) attenuates calcium deposition and aortic calcification in diabetic hyperlipidemic rats model[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male albino Wistar rats (150-170 g), diabetic hyperlipidemic rats model[2]
Dosage:	4 mg/kg
Administration:	Oral administration, daily, for 8 weeks
Result:	Ameliorated aortic calcification and increased apolipoprotein A expression along with a decline in apolipoprotein B.

CUSTOMER VALIDATION

- Phytomedicine. 2023 Apr 21, 154825.

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REFERENCES

[1]. Craig La Force. Review of the pharmacology, clinical efficacy, and safety of azelastine hydrochloridel. Expert Rev Clin Immunol. 2005 Jul;1(2):191-201.

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[3]. Carlos D. Zappia, et al. Azelastine potentiates antiasthmatic dexamethasone effect on a murine asthma model. Pharmacol Res Perspect. 2019 Dec; 7(6): e00531.

[4]. Li Yang, et al. Identification of SARS-CoV-2 entry inhibitors among already approved drugs. Acta Pharmacol Sin. 2020 Oct 28 : 1–7.

[5]. Shao-Cheng Liu, et al. Effect of budesonide and azelastine on histamine signaling regulation in human nasal epithelial cells. Eur Arch Otorhinolaryngol. 2017 Feb;274(2):845-853.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA