Desmethylazelastine

Cat. No.: HY-147336

CAS No.: 47491-38-3

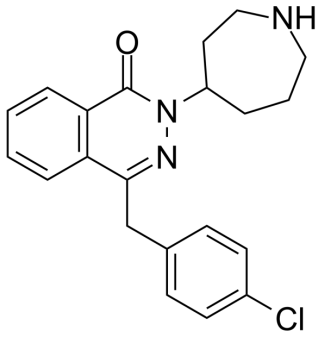
Molecular Formula: $C_{21}H_{22}ClN_3O$

Molecular Weight: 367.87

Target: Drug Metabolite

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Desmethylazelastine is a main active metabolite of Azelastine that is oxidatively metabolized by the cytochrome P450 enzyme system with a protein binding rate of 97% and an elimination half-life of 54 hours. Azelastine is an orally active, selective and high-affinity histamine H1-receptor antagonist. Azelastine can be used in studies of allergic rhinitis, asthma, diabetic hyperlipidemic and SARS-CoV-2[1][2][3][4][5].

In Vivo

Pharmacokinetic parameters of desmethylazelastine after a single oral administration of 1.0 mg/kg azelastine hydrochloride in guinea pigs[1].

	Blood	Lung
C_{max} (ng/mL)[a]	17.6	2863
T_{max} (h)	3.0-6.0	4.0-6.0
T_{lag} (h)	0.25	0.39
AUC_{0-24} (ng•h/mL)[a]	180	28502
$t_{1/2}$ (h)	4.24	3.90
CL (L/h)	0.213	
Lung-blood ratio[b]	146	146

[a] For lung, the unit in grams (g) instead of milliliter (mL).[b] Mean value calculated from all time points.

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

REFERENCES

[1]. Chand N, et al. Pharmacodynamic and pharmacokinetic studies with azelastine in the guinea pig: evidence for preferential distribution into the lung. Allergy. 1993

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[2]. Lieberman P, et al. Management of allergic rhinitis with a combination antihistamine/anti-inflammatory agent. J Allergy Clin Immunol. 1999 Mar;103(3 Pt 2):S400-4.

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

[4]. Mohamed M Elseweidy, et al. Azelastine a potent antihistamine agent, as hypolipidemic and modulator for aortic calcification in diabetic hyperlipidemic rats model. Arch Physiol Biochem. 2020 Jul 2;1-8.

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

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