

Product Data Sheet

Product Name: N-desmethyl Azelastine

Cat. No.: GC52045

Chemical Properties

Cas. No. 47491-38-3

SMILES O=C1C2=CC=CC=C2C(CC3=CC=C(Cl)C=C3)=NN1C4CCNCCC4

Formula C₂₁H₂₂ClN₃O

M.Wt 367.9

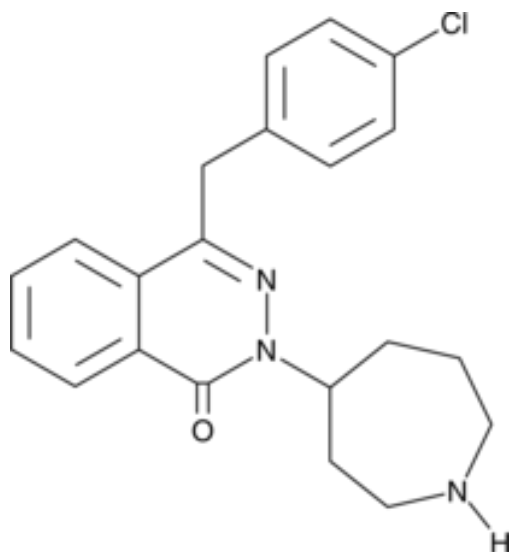
Solubility DMSO: soluble

Storage -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

N-desmethyl Azelastine is an active metabolite of the histamine H₁ receptor antagonist azelastine.^{1,2} It is formed from azelastine primarily by the cytochrome P450 (CYP) isoforms CYP3A4 and CYP2D6 and, to a lesser extent, by CYP1A2.² N-desmethyl Azelastine (1 μM) inhibits acetylcholine-induced depolarization and contractions in isolated human tracheal smooth muscle.¹ It also inhibits transport of daunorubicin and digoxin in LLC-GA5-CoL150 cells overexpressing P-glycoprotein (P-

Caution: Product has not been fully validated for medical applications. For research use only.

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gp), also known as multidrug resistance protein 1 (MDR1; IC₅₀s = 11.8 and 41.8 μM, respectively).³

1. Richards, I.S., Miller, L., Solomon, D., et al. Azelastine and desmethylazelastine suppress acetylcholine-induced contraction and depolarization in human airway smooth muscle. *Eur. J. Pharmacol.* 186(2-3):331-334(1990)

2. Nakajima, M., Nakamura, S., Tokudome, S., et al. Azelastine N-demethylation by cytochrome P-450 (CYP)3A4, CYP2D6, and CYP1A2 in human liver microsomes: Evaluation of approach to predict the contribution of multiple CYPs. *Drug Metab. Dispos.* 27(12):1381-1391(1999)

3. Katoh, M., Nakajima, M., Yamazaki, H., et al. Inhibitory effects of CYP3A4 substrates and their metabolites on P-glycoprotein-mediated transport. *Eur. J. Pharm. Sci.* 12(4):505-513(2001)

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