

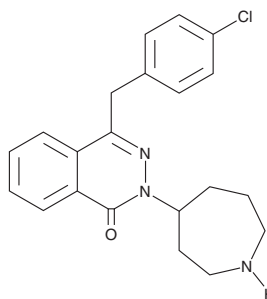
PRODUCT INFORMATION



N-desmethyl Azelastine

Item No. 35429

CAS Registry No.: 47491-38-3
Formal Name: 4-[[4-chlorophenyl)methyl]-2-(hexahydro-1H-azepin-4-yl)-1(2H)-phthalazinone
Synonyms: DAZ, Desmethylazelastine
MF: C₂₁H₂₂ClN₃O
FW: 367.9
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

N-desmethyl Azelastine is supplied as a solid. A stock solution may be made by dissolving the N-desmethyl azelastine in the solvent of choice, which should be purged with an inert gas. N-desmethyl Azelastine is soluble in DMSO.

Description

N-desmethyl Azelastine is an active metabolite of the histamine H₁ receptor antagonist azelastine (Item No. 20873).^{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 (Item No. 14159) and digoxin (Item No. 22266) in LLC-GA5-CoL150 cells overexpressing P-glycoprotein (P-gp), also known as multidrug resistance protein 1 (MDR1; IC₅₀s = 11.8 and 41.8 μM, respectively).³

References

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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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