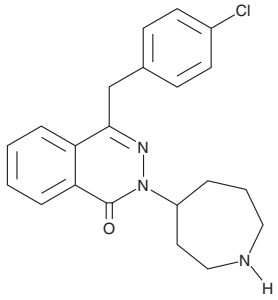
PRODUCT INFORMATION

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:	$C_{21}H_{22}ClN_3O$
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 H_1 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; IC_{50}s = 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM