

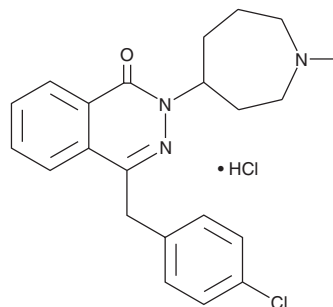
PRODUCT INFORMATION



Azelastine (hydrochloride)

Item No. 20873

CAS Registry No.: 79307-93-0
Formal Name: 4-[(4-chlorophenyl)methyl]-2-(hexahydro-1-methyl-1H-azepin-4-yl)-1(2H)-phthalazinone, monohydrochloride
MF: C₂₂H₂₄ClN₃O • HCl
FW: 418.4
Purity: ≥98%
UV/Vis.: λ_{max}: 210, 289 nm
Supplied as: A crystalline 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

Azelastine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the azelastine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Azelastine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of azelastine (hydrochloride) in these solvents is approximately 0.25, 10, and 1 mg/ml, respectively.

Azelastine (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, azelastine (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Azelastine (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Azelastine is a histamine H₁ receptor antagonist (K_i = 1.26 nM in bovine cerebral cortex membranes).¹ It is selective for histamine H₁ over histamine H₃ receptors (K_i = 158.49 nM), as well as α_{1A}- and α_{1B}-adrenergic receptors (K_i = 50.12 nM for both). Azelastine (0.14 μg/animal) reduces nose rubbing, sneezing, and nasal mucosa expression of IL-4 in a dust mite-induced mouse model of allergic rhinitis.² It also reduces infection by a lentivirus pseudotyped with the severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) spike glycoprotein, also known as the surface glycoprotein, in HEK293 cells expressing angiotensin-converting enzyme 2 (ACE2) when used at a concentration of 7 μg/ml.³ Formulations containing azelastine have been used in the treatment of seasonal allergic rhinitis and vasomotor rhinitis.

References

1. Procopiou, P.A., Browning, C., Buckley, J.M., *et al.* The discovery of phthalazinone-based human H₁ and H₃ single-ligand antagonists suitable for intranasal administration for the treatment of allergic rhinitis. *J. Med. Chem.* **54**(7), 2183-2195 (2011).
2. Sun, S., Dean, R., Jia, Q., *et al.* Discovery of XEN445: A potent and selective endothelial lipase inhibitor raises plasma HDL-cholesterol concentration in mice. *Bioorg. Med. Chem.* **21**(24), 7724-7734 (2013).
3. Reznikov, L.R., Norris, M.H., Vashisht, R., *et al.* Identification of antiviral antihistamines for COVID-19 repurposing. *Biochem. Biophys. Res. Commun.* **538**, 173-179 (2021).

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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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