

Data Sheet

Product Name: Azelastine (hydrochloride)

 Cat. No.:
 CS-2573

 CAS No.:
 79307-93-0

 Molecular Formula:
 C22H25CI2N3O

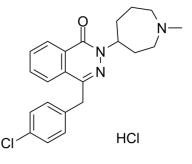
Molecular Weight: 418.36

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Solubility: H2O: 6.67 mg/mL (15.94 mM; Need ultrasonic); DMSO: 50

mg/mL (119.51 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Azelastine hydrochloride is a potent, second-generation, selective, histamine antagonist. Target: Histamine Receptor Azelastine hydrochloride is a selective H(1)-receptor antagonist that inhibits histamine release and interferes with activation of several other mediators of allergic inflammation. Azelastine hydrochloride can inhibit CHMCs activation and release of IL-6, tryptase, and histamine. On an equimolar basis, azelastine was a more potent inhibitor than olopatadine [1]. Topical azelastine progressively improved itching and conjunctival redness in PAC patients compared to placebo and was at least as effective as levocabastine. Rapid relief is consistent with H(1)-receptor antagonist action, while continued improvement up to 6 weeks may be consistent with mechanisms involving other mediators of allergic inflammation [2]. Azelastine nasal spray was reported to control all rhinitis symptoms, including nasal congestion, regardless of rhinitis diagnosis during the 2-week study period. Patients with seasonal allergic rhinitis and patients with seasonal allergic rhinitis plus nonallergic triggers were identified as patient types most likely to respond to azelastine nasal spray [3].

References:

- [1]. Kempuraj, D., et al., Azelastine is more potent than olopatadine n inhibiting interleukin-6 and tryptase release from human umbilical cord blood-derived cultured mast cells. Ann Allergy Asthma Immunol, 2002. 88(5): p. 501-6.
- [2]. Canonica, G.W., et al., Topical azelastine in perennial allergic conjunctivitis. Curr Med Res Opin, 2003. 19(4): p. 321-9.
- [3]. Lieberman, P., M.A. Kaliner, and W.J. Wheeler, Open-label evaluation of azelastine nasal spray in patients with seasonal allergic rhinitis and nonallergic vasomotor rhinitis. Curr Med Res Opin, 2005. 21(4): p. 611-8.

CAIndexNames:

1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-2-(hexahydro-1-methyl-1H-azepin-4-yl)-, hydrochloride (1:1)

SMILES:

O=C1N(C2CCN(C)CCC2)N=C(CC3=CC=C(Cl)C=C3)C4=C1C=CC=C4.Cl

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

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