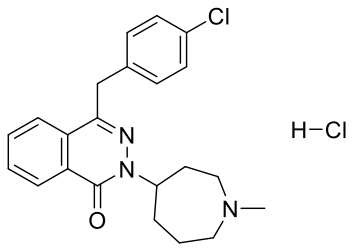
Product data sheet

MedKoo Cat#: 317302 Name: Azelastine HCl CAS#: 79307-93-0 Chemical Formula: $C_{22}H_{25}Cl_2N_3O$ Molecular Weight: 418.36	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.



1. Product description:

Azelastine HCl is a potent and selective H1-receptor antagonist, with antiallergic effects related to histamine antagonism, and further antiallergic and anti-inflammatory effects unrelated to H1-receptor binding. Azelastine HCl is an activator of NF-kB activator. Azelastine hydrochloride has been used in a study to investigate the potential of polymeric microspheres for treatment of allergic conjunctivitis. Azelastine is shown to block secretion of IL-6, IL-8, and TNF alpha from mast cells by inhibiting NF-κB activation.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	48.0	114.73
DMSO:PBS (pH 7.2) (1:3)	0.25	0.60
DMF	1.0	2.39
Ethanol	42.13	100.69
Water	20.84	49.81

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.39 mL	11.95 mL	23.90 mL
5 mM	0.48 mL	2.39 mL	4.78 mL
10 mM	0.24 mL	1.20 mL	2.39 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Ge S, Lu J, Hou Y, Lv Y, Wang C, He H. Azelastine inhibits viropexis of SARS-CoV-2 spike pseudovirus by binding to SARS-CoV-2 entry receptor ACE2. Virology. 2021 Aug;560:110-115. doi: 10.1016/j.virol.2021.05.009. Epub 2021 May 25. PMID: 34052578; PMCID: PMC8144927.

2. Hu HF, Xu WW, Li YJ, He Y, Zhang WX, Liao L, Zhang QH, Han L, Yin XF, Zhao XX, Pan YL, Li B, He QY. Anti-allergic drug azelastine suppresses colon tumorigenesis by directly targeting ARF1 to inhibit IQGAP1-ERK-Drp1-mediated mitochondrial fission. Theranostics. 2021 Jan 1;11(4):1828-1844. doi: 10.7150/thno.48698. PMID: 33408784; PMCID: PMC7778598.

In vivo study

1. Elseweidy MM, Elnagar GM, Elsawy MM, Zein N. Azelastine a potent antihistamine agent, as hypolipidemic and modulator for aortic calcification in diabetic hyperlipidemic rats model. Arch Physiol Biochem. 2020 Jul 2:1-8. doi: 10.1080/13813455.2020.1786129. Epub ahead of print. PMID: 32615812.

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2. Hu HF, Xu WW, Li YJ, He Y, Zhang WX, Liao L, Zhang QH, Han L, Yin XF, Zhao XX, Pan YL, Li B, He QY. Anti-allergic drug azelastine suppresses colon tumorigenesis by directly targeting ARF1 to inhibit IQGAP1-ERK-Drp1-mediated mitochondrial fission. Theranostics. 2021 Jan 1;11(4):1828-1844. doi: 10.7150/thno.48698. PMID: 33408784; PMCID: PMC7778598.

7. Bioactivity

Biological target:

Azelastine hydrochloridem, an antihistamine, is a potent and selective histamine 1 (H1) antagonist.

In vitro activity

HT29, DLD1, and HCT116 cells were exposed to different azelastine concentrations for up to 72 h followed by the WST-1 assay. As displayed in Figure 1C, CRC cell viability was significantly reduced with increasing concentration of azelastine. Besides, azelastine significantly decreased both anchorage-dependent and -independent colony formation abilities of CRC cells, as determined by the colony formation and soft agar assays (Figure S1A-B). The annexin V-FITC/PI double-staining assay was used to analyze azelastine's effect on apoptosis. The results indicated apoptosis induction in a dose-dependent manner in HT29, DLD1, and HCT116 cells (Figure 1D), which was also confirmed by the increased cleaved caspase-3 expression upon azelastine treatment (Figure 1E).

Reference: Theranostics. 2021; 11(4): 1828–1844. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7778598/

In vivo activity

Azelastine significantly reduced blood glucose, HbA1c and serum ALP, OCN, downregulated apo B, improved the lipid profile (LDL-c decrease and HDL-c increase), attenuated calcium deposition and aortic calcification as compared to control rat group.

Reference: Arch Physiol Biochem. 2020 Jul 2:1-8. https://pubmed.ncbi.nlm.nih.gov/32615812/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.