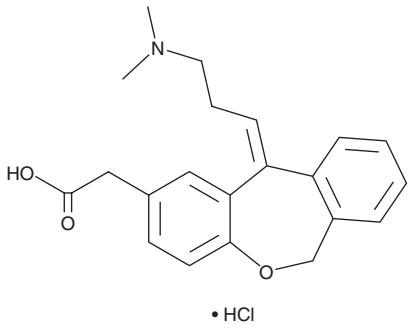
PRODUCT INFORMATION

Olopatadine (hydrochloride)

Item No. 11999

CAS Registry No.:	140462-76-6
Formal Name:	11Z-[3-(dimethylamino)propylidene]-6,11-dihydro-dibenz[b,e]oxepin-2-acetic acid, monohydrochloride
Synonyms:	ALO 4943A, KW 4679
MF:	$C_{21}H_{23}NO_3$ • HCl
FW:	373.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 206, 301 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

Olopatadine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the olopatadine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Olopatadine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of olopatadine (hydrochloride) in these solvents is approximately 0.25, 3, and 5 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of olopatadine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of olopatadine (hydrochloride) in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Olopatadine is a histamine H_1 receptor antagonist (K_i =41 nM).[1] It is 1,059- and 4,177-fold selective for histamine H_1 over H_2 and H_3 receptors, respectively. Olopatadine inhibits histamine-induced phosphoinositide turnover in isolated human conjunctival epithelial cells, isolated human corneal fibroblasts, and human trabecular meshwork (TM3) cells (IC_{50}s = 9.5, 19, and 39.9 nM, respectively). *In vivo*, olopatadine inhibits passive cutaneous anaphylaxis in rats (ED_{50} = 49 µg/kg) and IgG1-mediated bronchoconstriction in ovalbumin-sensitized guinea pigs (ED_{50} = 30 µg/kg).[2] Formulations containing olopatadine have been used in the treatment of allergic rhinitis and conjunctivitis, as well as in the treatment of itch in patients with well-controlled urticaria.

References

1. Sharif, N.A., Xu, S.X., and Yanni, J.M. Olopatadine (AL-4943A): Ligand binding and functional studies on a novel, long acting H1-selective histamine antagonist and anti-allergic agent for use in allergic conjunctivitis. *J. Ocul. Pharmacol. Ther.* **12(4)**, 401-407 (1996).
2. Ohshima, E., Otaki, S., Sato, H., *et al.* Synthesis and antiallergic activity of 11-(aminoalkylidene)-6,11-dihydrodibenz[*b*,*e*]oxepin derivatives. *J. Med. Chem.* **35(11)**, 2074-2084 (1992).

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