

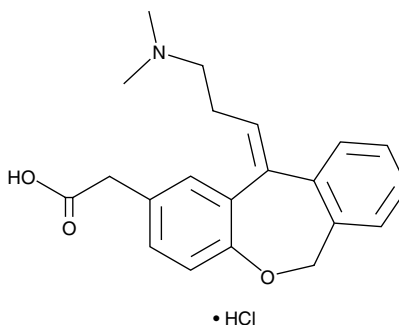
# Product Information



## 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:** Allerock, ALO 4943A, KW 4679, Olopine, Patanase  
**MF:**  $C_{21}H_{23}NO_3 \cdot HCl$   
**FW:** 373.9  
**Purity:**  $\geq 98\%$   
**Stability:**  $\geq 2$  years at  $-20^\circ C$   
**Supplied as:** A crystalline solid  
**UV/Vis.:**  $\lambda_{max}$ : 206, 301 nm



### Laboratory Procedures

For long term storage, we suggest that olopatadine (hydrochloride) be stored as supplied at  $-20^\circ C$ . It should be stable for at least two years.

Olopatadine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the olopatadine (hydrochloride) in the solvent of choice. Olopatadine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. 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.

Olopatadine is a potent, selective antagonist of the  $H_1$  histamine receptor ( $K_i = 16-41.1$  nM), with much lower affinities for the  $H_2$  and  $H_3$  receptors ( $K_i = 43.4$  and  $172$   $\mu M$ , respectively).<sup>1,2</sup> It blocks histamine-induced phosphoinositide turnover in isolated cells ( $IC_{50} = 9.5-39.9$  nM) and prevents passive cutaneous anaphylaxis in rats ( $ED_{50} = 49$   $\mu g/kg$ ) and anaphylactic bronchoconstriction in guinea pigs ( $ID_{50} = 30$   $\mu g/kg$ ).<sup>1,2</sup> Olopatadine is effective in treating allergic rhinitis and conjunctivitis.<sup>3-5</sup> It also suppresses itch in patients with well-controlled chronic urticaria.<sup>6</sup> In humans, this antihistamine does not cause cognitive or psychomotor impairment at therapeutic doses.<sup>7</sup>

### References

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### Cayman Chemical

#### Mailing address

1180 E. Ellsworth Road  
Ann Arbor, MI  
48108 USA

#### Phone

(800) 364-9897  
(734) 971-3335

#### Fax

(734) 971-3640

#### E-Mail

[custserv@caymanchem.com](mailto:custserv@caymanchem.com)

#### Web

[www.caymanchem.com](http://www.caymanchem.com)