

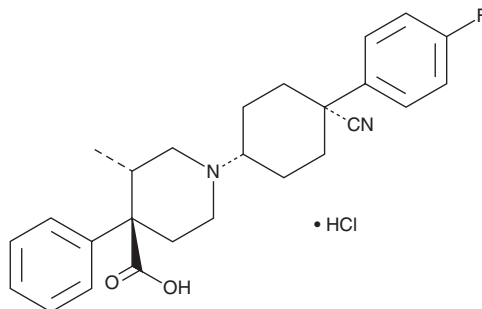
# PRODUCT INFORMATION



## Levocabastine (hydrochloride)

Item No. 21014

**CAS Registry No.:** 79547-78-7  
**Formal Name:** (3S,4R)-1-[cis-4-cyano-4-(4-fluorophenyl)cyclohexyl]-3-methyl-4-phenyl-4-piperidinecarboxylic acid, monohydrochloride  
**MF:**  $C_{26}H_{29}FN_2O_2 \cdot HCl$   
**FW:** 457.0  
**Purity:**  $\geq 98\%$   
**UV/Vis.:**  $\lambda_{max}$ : 261 nm  
**Supplied as:** A crystalline solid  
**Storage:**  $-20^{\circ}C$   
**Stability:**  $\geq 4$  years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Levocabastine (hydrochloride) is supplied as a crystalline solid. Aqueous solutions of levocabastine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of levocabastine (hydrochloride) in PBS, pH 7.2, is approximately 0.33 mg/ml. We do not recommend storing the aqueous solution for more than one day.

### Description

Levocabastine is a histamine  $H_1$  receptor antagonist ( $K_i = 62.5$  nM).<sup>1</sup> It is selective for histamine  $H_1$  over  $H_2$  and  $H_3$  receptors ( $K_i$ s = 23.5 and 1.94  $\mu$ M, respectively). Levocabastine also binds to the rat neurotensin-2 receptor ( $IC_{50} = 10$  nM).<sup>2</sup> Ocular application of levocabastine (0.025%) alone or in combination with pemirolast (Item No. 17889) reduces the severity of ovalbumin-induced conjunctivitis in ovalbumin-sensitized rats.<sup>3</sup> Formulations containing levocabastine have been used in the treatment of seasonal allergic conjunctivitis.

### 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  $H_1$ -selective histamine antagonist and anti-allergic agent for use in allergic conjunctivitis. *J. Ocul. Pharmacol. Ther.* **12**(4), 401-407 (1996).
2. Chalon, P., Vita, N., Kaghad, M., et al. Molecular cloning of a levocabastine-sensitive neurotensin binding site. *FEBS Lett.* **386**(2-3), 91-94 (1996).
3. Minami, K., Hossen, M.A., and Kamei, C. Increasing effect by simultaneous use of levocabastine and pemirolast on experimental allergic conjunctivitis in rats. *Biol. Pharm. Bull.* **28**(3), 473-476 (2005).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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