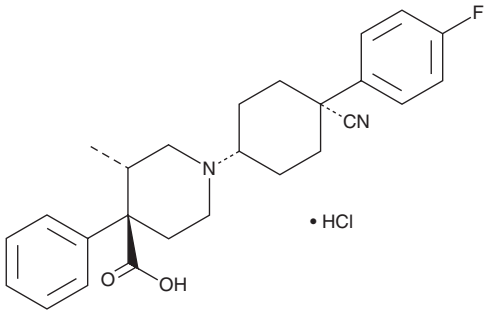
PRODUCT INFORMATION

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:	$C_{26}H_{29}FN_2O_2$ • HCl
FW:	457.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 261 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

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).[1] It is selective for histamine H_1 over H_2 and H_3 receptors (K_is = 23.5 and 1.94 µM, respectively). Levocabastine also binds to the rat neurotensin-2 receptor (IC_{50} = 10 nM).[2] 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.[3] 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).

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