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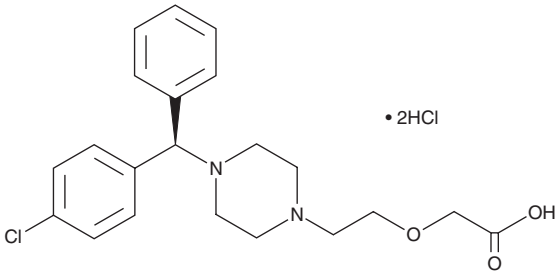
PRODUCT INFORMATION

(R)-Cetirizine (hydrochloride)

Item No. 23992

CAS Registry No.: 130018-87-0
Formal Name: 2-[2-[4-[(R)-(4-chlorophenyl) phenylmethyl]-1-piperazinyl]ethoxy]-acetic acid, dihydrochloride
Synonym: Levocetirizine
MF: $C_{21}H_{25}ClN_2O_3 \bullet 2HCl$
FW: 461.8
Purity: ≥98%
UV/Vis.: λ_{max}: 228 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

(R)-Cetirizine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the (R)-cetirizine (hydrochloride) in the solvent of choice. (R)-Cetirizine (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of (R)-cetirizine (hydrochloride) in these solvents is approximately 12 and 3 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 (R)-cetirizine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of (R)-cetirizine(hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

(R)-Cetirizine is the (R)-enantiomer of the histamine H_1 receptor antagonist and second generation antihistamine cetirizine (Item No. 19686).[1] (R)-Cetirizine binds to the H_1 receptor with higher affinity than cetirizine (K_is = 3 and 6 nM, respectively) and is 25,000-100,000-fold selective for H_1 receptors over muscarinic M_1-M_5 receptors.[2] It decreases production of RANTES and eotaxin following antigen stimulation in mouse eosinophils *in vitro* in a concentration-dependent manner with a minimum effective concentration (MEC) of 0.05 µM.[3] Intranasal administration of (R)-cetirizine (0.01-1%) dose-dependently decreases histamine-induced nasal rubbing and sneezing in mice.[4] Formulations containing (R)-cetirizine have been used in the treatment of allergic rhinitis and chronic idiopathic urticaria.

References

1. Zhang, L., Cheng, L., and Hong, J. The clinical use of cetirizine in the treatment of allergic rhinitis. *Pharmacology* **92(1-2)**, 14-25 (2013).
2. Gillard, M., Christophe, B., Wels, B., *et al.* H_1 antagonists: Receptor affinity versus selectivity. *Inflamm. Res.* **52(Suppl 1)**, S49-S50 (2003).
3. Kanei, A., Asano, K., Kanai, K., *et al.* Inhibitory action of levocetirizine on the production of eosinophil chemoattractants RANTES and eotaxin *in vitro* and *in vivo*. *In Vivo* **28(4)**, 657-666 (2014).
4. Kitayama-Sugiyama, C., Mochizuki, N., Murata, H., *et al.* Attenuation of histamine-induced airway effects by intranasal application of levocetirizine in mice. *Immunopharmacol. Immunotoxicol.* **35(5)**, 545-548 (2013).

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