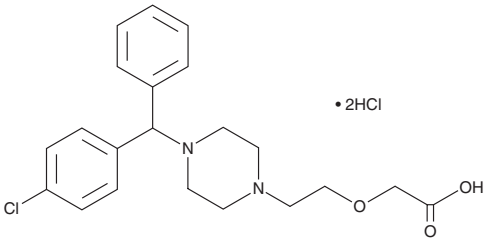
PRODUCT INFORMATION

Cetirizine (hydrochloride)

Item No. 19686

CAS Registry No.: 83881-52-1
Formal Name: 2-[2-[4-[(4-chlorophenyl) phenylmethyl]-1-piperazinyl]ethoxy]-acetic acid, dihydrochloride
Synonym: UCB-P 071
MF: $C_{21}H_{25}ClN_2O_3 \bullet 2HCl$
FW: 461.8
Purity: ≥98%
UV/Vis.: λ_{max}: 229 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

Cetirizine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the cetirizine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Cetirizine (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of 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 cetirizine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of 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

Cetirizine is a bioactive carboxylated metabolite of hydroxyzine (Item No. 24039) that acts as a selective histamine H_1 receptor antagonist (K_i = 10 nM).[1,2] As a second generation antihistamine, it is non-sedating due to low lipophilicity, which prevents blood-brain barrier transit.[3] Cetirizine is a racemic mixture composed of equal amounts of two enantiomers, (R)-cetirizine (Item No. 23992) and (S)-cetirizine, with pharmacological activity residing primarily in the (R) isomer.[2] Cetirizine inhibits eosinophil chemotaxis and leukotriene B_4 (LTB_4; Item No. 20110) release independent from H_1 antagonism.[4] It inhibits aerosol histamine-induced bronchospasm in guinea pigs (ED_{50} = 100 µg/kg, p.o.).[5] Formulations containing cetirizine have been used in the treatment of allergic rhinitis and chronic urticaria.

References

1. Thurmond, R.L., Gelfand, E.W., and Dunford, P.J. *Nat. Rev. Drug Discov.* **7(1)**, 41-53 (2008).
2. Zhang, L., Cheng, L., and Hong, J. *Pharmacology* **92(1-2)**, 14-25 (2013).
3. Tillement, J.P. *Allergy* **55(Suppl 60)**, 17-21 (2000).
4. Köller, M., Hilger, R.A., Rihoux, J.P., *et al. Int. Arch. Allergy Immunol.* **110(1)**, 52-56 (1996).
5. Llupià, J., Gras, J., and Llenas, J. *Arzneimittelforschung* **53(2),** 93-97 (2003).

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