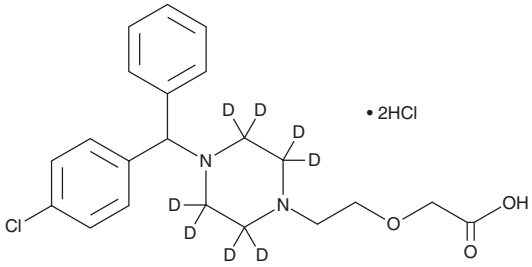
PRODUCT INFORMATION

Cetirizine-d_8 (hydrochloride)

Item No. 26445

CAS Registry No.:	2070015-04-0
Formal Name:	2-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl-d_8]ethoxy]-acetic acid, dihydrochloride
MF:	$C_{21}H_{17}ClD_8N_2O_3 \bullet 2HCl$
FW:	469.9
Chemical Purity:	≥98% (Cetirizine)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_8); ≤1% d_0
Supplied as:	A 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-d_8 (hydrochloride) is intended for use as an internal standard for the quantification of cetirizine (Item No. 19686) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Cetirizine-d_8 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the cetirizine-d_8 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Cetirizine-d_8 (hydrochloride) is slightly soluble in methanol.

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. The role of histamine H_1 and H_4 receptors in allergic inflammation: The search for new antihistamines. *Nat. Rev. Drug Discov.* **7(1)**, 41-53 (2008).
2. 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).
3. Tillement, J.P. The advantages for an H_1 antihistamine of a low volume of distribution. *Allergy* **55(suppl 60)**, 17-21 (2000).
4. Köller, M., Hilger, R.A., Rihoux, J.P., *et al*. Cetirizine exerts anti-inflammatory effects on human neutrophils. *Int. Arch. Allergy. Immunol.* **110(1)**, 52-56 (1996).
5. Llupià, J., Gras, J., and Llenas, J. Comparative antiallergic effects of second-generation H_1-antihistamines ebastine, cetirizine and loratadine in preclinical models. *Arzneimittelforschung* **53(2)**, 93-97 (2003).

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