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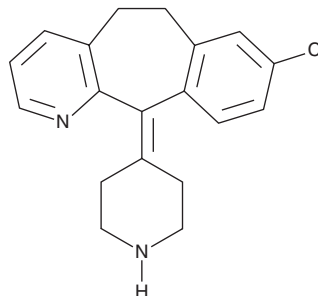
PRODUCT INFORMATION



Desloratadine

Item No. 16931

CAS Registry No.: 100643-71-8
Formal Name: 8-chloro-6,11-dihydro-11-(4-piperidinylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine
Synonyms: Descarboethoxyloratadine, NSC 675447, SCH 34117
MF: $C_{19}H_{19}ClN_2$
FW: 310.8
Purity: $\geq 98\%$
UV/Vis.: λ_{max} : 245 nm
Supplied as: A crystalline solid
Storage: $-20^{\circ}C$
Stability: ≥ 2 years



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

Laboratory Procedures

Desloratadine is supplied as a crystalline solid. A stock solution may be made by dissolving the desloratadine in the solvent of choice, which should be purged with an inert gas. Desloratadine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of desloratadine in ethanol and DMF is approximately 30 mg/ml and approximately 10 mg/ml in DMSO.

Desloratadine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, desloratadine should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Desloratadine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Desloratadine is the orally active metabolite of the non-sedating antihistamine Loratadine. Desloratadine is a histamine H_1 receptor antagonist ($K_i = 0.97$ nM) and an active metabolite of loratadine (Item No. 15625).^{1,2} It is formed from loratadine primarily by the cytochrome P450 (CYP) isoforms CYP3A4, CYP2D6, and CYP2C19.³ It inhibits calcium flow in neurons and glia *in vitro* (IC_{50} s = 9.177 and 0.3185 μ M, respectively), an effect that can be blocked by knockdown of the 5-HT receptor subtype 5-HT_{2A}.⁴ Desloratadine (10 mg/kg) reduces ovalbumin-induced sneezing, nose rubbing, eye watering, and congestion in ovalbumin-sensitized guinea pigs.⁵ It also increases the clearance of amyloid- β by microglia and reverses learning and memory deficits in the Morris water maze in the transgenic APP/PS1 mouse model of Alzheimer's disease when administered at a dose of 20 mg/kg per day.⁴ Formulations containing desloratadine have been used in the treatment of seasonal and perennial allergic rhinitis and chronic idiopathic urticaria.

References

1. Lewis, T.A., Young, M.A., Arrington, M.P., *et al.* *Bioorg. Med. Chem. Lett.* **14**(22), 5591-5594 (2004).
2. Ramanathan, R., Alvarez, N., Su, A.-D., *et al.* *Xenobiotica* **35**(2), 155-189 (2005).
3. Aratyn-Schaus, Y. and Ramanathan, R. *Bioanalysis* **8**(16), 1645-1662 (2016).
4. Lu, J., Zhang, C., Lv, J., *et al.* *Aging Cell* **20**(1), e13286 (2021).
5. Bahekar, P.C., Shah, J.H., Ayer, U.B., *et al.* *Int. Immunopharmacol.* **8**(11), 1540-1551 (2008).

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.

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