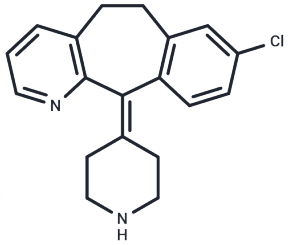
# Data Sheet (Cat.No.T2520)

TargetMol

## Desloratadine

### Chemical Properties




CAS No. : 100643-71-8

Formula: C19H19ClN2

Molecular Weight: 310.82

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

### Biological Description

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|---|---|
| Description | Desloratadine (Sch34117) is a long-acting piperidine derivate with selective H1 antihistaminergic and non-sedating properties. Desloratadine diminishes the typical histaminergic effects on H1-receptors in bronchial smooth muscle, capillaries and gastrointestinal smooth muscle, including vasodilation, bronchoconstriction, increased vascular permeability, pain, itching and spasmodic contractions of gastrointestinal smooth muscle. Desloratadine is used to provide symptomatic relieve of allergic symptoms. |
| Targets(IC50) | Endogenous Metabolite,Histamine Receptor |
| In vitro | Desloratadine inhibits histamine-induced paw edema in mice, with an ED50 of 0.15 mg/kg, and exhibits dose-dependent and sustained mydriasis in guinea pigs in vivo at concentrations of 1 mg/mL, 3 mg/mL, and 10 mg/mL. It also suppresses the increase in vascular permeability in guinea pigs caused by histamine assault on the upper respiratory tract, with an ED50 of 0.9 μg. Furthermore, 5 mg/kg desloratadine counteracts the disruption of the blood-brain barrier in awake mice, thereby inhibiting tremors induced by the tremogenic agent oxotremorine. |
| In vivo | Desloratadine acts as a competitive antagonist to carbachol-induced contractions in isolated rabbit iris sphincter muscles, with a pA2 of 6.67. It binds to the human H1 receptor with a Ki value of 0.87 nM, displacing tritiated mepyramine. In competitive binding studies, Desloratadine was found to be more effective than cetirizine, ebastine, fexofenadine, and loratadine by factors of 52, 57, 194, and 153, respectively. Desloratadine (0.1 μM to 10 μM) also inhibits platelet-activating factor-induced chemotaxis and TNF-α-induced adhesion of eosinophils in patients with allergic rhinitis or asthma. Furthermore, it dose-dependently reduces IL-13 secretion from human basophils activated by IL-3 and PMA across the same concentration range. Pre-treatment with Desloratadine at 10 μM results in an approximately 80% reduction in anti-IgE-induced accumulation of IL-4 messages in cultured basophils. [3H] Desloratadine binds to human histamine H1 receptors expressed in CHO cells with a Kd of 1.1 nM. Concentrations of Desloratadine ranging from 100 nM to 10 μM were found to inhibit both IgE-mediated and non-IgE-mediated production of cytokines IL-4 and IL-13 in human basophils. Additionally, Desloratadine at 300 nM to 100 μM inhibits the release of histamine from human peripheral blood basophils stimulated by both IgE-mediated and non-IgE-mediated pathways. |

## Solubility Information

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|---|---|
| Solubility | Ethanol: 31.1 mg/mL (100.06 mM),Sonication is recommended.<br>DMSO: 40 mg/mL (128.69 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 3.2173 mL | 16.0865 mL | 32.173 mL |
| 5 mM | 0.6435 mL | 3.2173 mL | 6.4346 mL |
| 10 mM | 0.3217 mL | 1.6086 mL | 3.2173 mL |
| 50 mM | 0.0643 mL | 0.3217 mL | 0.6435 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

1. Cardelús I, et al. Eur J Pharmacol. 1999 Jun 18;374(2):249-54.
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Wang D, Guo Q, Wu Z, et al.Molecular mechanism of antihistamines recognition and regulation of the histamine H1 receptor.Nature Communications.2024, 15(1): 84.
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