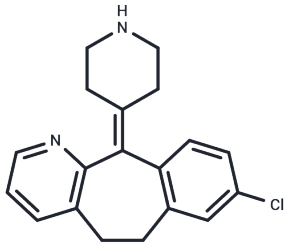
Data Sheet (Cat.No.T2520)

TargetMol

Desloratadine

Chemical Properties



CAS No. : 100643-71-8

Formula: C19H19ClN2

Molecular Weight: 310.82

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

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,Leukotriene Receptor,TNF
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

Solubility	Ethanol: 31.1 mg/mL (100.06 mM),Sonication is recommended. DMSO: 13.89 mg/mL (44.69 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.43 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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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