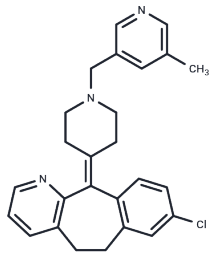
Data Sheet (Cat.No.T36618)

TargetMol

Rupatadine

Chemical Properties



CAS No. : 158876-82-5

Formula: C26H26ClN3

Molecular Weight: 415.96

Storage: Keep away from moisture
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	Rupatadine (UR-12592, rupatadine) is a potent and orally available dual antagonist of PAF and histamine H1 receptors with Ki values of 0.55 μM and 0.1 μM, respectively, which provides relief of allergic symptoms and anti-inflammatory properties, and is used in allergic rhinitis and chronic urticaria.
Targets(IC50)	Autophagy,Histamine Receptor,PAFR
In vitro	Rupatadine acts as a dual H1 and PAF receptor antagonist. Rupatadine has a Ki of 0.10μM for H1 and 0.55μM for PAF receptors. Rupatadine competitively inhibits histamine-induced guinea pig ileum contraction (pA_2 = 9.29), and PAF-induced platelet aggregation in rabbit platelets (pA_2 = 6.68) and human plasma (IC_{50} = 0.68μM), without affecting ACh, 5-HT, or LTD_4 responses[1].
In vivo	Rupatadine blocks histamine- and PAF-induced hypotension (ID_{50} = 1.4 and 0.44mg/kg i.v.) and bronchoconstriction (113 and 9.6μg/kg i.v.). Rupatadine protects mice from PAF- and endotoxin-induced death (ID_{50} = 0.31-3.0mg/kg). In dogs, 1mg/kg p.o. still inhibited vascular permeability >26h. No sedation was observed at 100mg/kg[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (240.41 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4041 mL	12.0204 mL	24.0408 mL
5 mM	0.4808 mL	2.4041 mL	4.8082 mL
10 mM	0.2404 mL	1.202 mL	2.4041 mL
50 mM	0.0481 mL	0.2404 mL	0.4808 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

Merlos M, et al. Rupatadine, a new potent, orally active dual antagonist of histamine and platelet-activating factor (PAF). J Pharmacol Exp Ther. 1997 Jan;280(1):114-21.
Sui Y, Shen Z, Li X, et al.Rupatadine-inhibited OTUD3 promotes DLBCL progression and immune evasion through deubiquitinating MYL12A and PD-L1.Cell Death & Disease.2024, 15(8): 561.
Queralt M, et al. In vitro inhibitory effect of rupatadine on histamine and TNF-alpha release from dispersed canine skin mast cells and the human mast cell line HMC-1. Inflamm Res. 2000 Jul;49(7):355-60.
Lv XX, et al. Rupatadine protects against pulmonary fibrosis by attenuating PAF-mediated senescence in rodents. PLoS One. 2013 Jul 15;8(7):e68631.