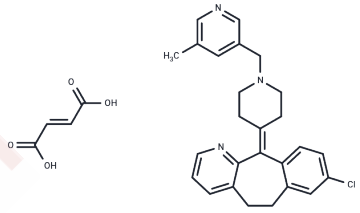
Data Sheet (Cat.No.T6242)

TargetMol

Rupatadine Fumarate

Chemical Properties



CAS No. : 182349-12-8

Formula: C26H26ClN3·C4H4O4

Molecular Weight: 532.03

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	Rupatadine Fumarate (Rinialer) (UR-12592 Fumarate) is a potent dual PAF/H1 antagonist with Ki of 0.55/0.1 uM.
Targets(IC50)	Autophagy,Histamine Receptor,PAFR
In vitro	Rupatadine inhibits both platelet-activating factor (PAF) and histamine (H1) effects through its interaction with specific receptors. Rupatadine competitively inhibits histamine-induced guinea pig ileum contraction (pA2 = 9.29 ± 0.06) without affecting contraction induced by ACh, serotonin or leukotriene D4(LTD4). It also competitively inhibits PAF-induced platelet aggregation in washed rabbit platelets (WRP) (pA2= 6.68 ± 0.08) and in human platelet-rich plasma (HPRP) (IC50 = 0.68 μM), while not affecting ADP- or arachidonic acid-induced platelet aggregation. [1] In another study, it is reported rupatadine and loratadine shows similar inhibitory effect on histamine and TNF-α release, whereas SR-27417A only exhibits inhibitory effect against TNF-α. [2]
In vivo	Rupatadine blocks histamine- and PAF-induced effects in vivo, such as hypotension in rats (ID50 = 1.4 and 0.44 mg/kg i.v., respectively) and bronchoconstriction in guinea pigs (ID50 = 113 and 9.6 μg/kg i.v.). Moreover, it potently inhibits PAF-induced mortality in mice (ID50 = 0.31 and 3.0 mg/kg i.v. and p.o., respectively) and endotoxin-induced mortality in mice and rats (ID50 = 1.6 and 0.66 mg/kg i.v.). Rupatadine's duration of action is long, as assessed by the histamine- and PAF-induced increase in vascular permeability test in dogs (42 and 34% inhibition at 26 h after 1 mg/kg p.o.). Rupatadine at a dose of 100 mg/kg p.o. neither modifies spontaneous motor activity nor prolongs barbiturate-sleeping time in mice, which indicates a lack of sedative effects. [1]
Kinase Assay	[3H]-Pyrilamine binding to histamine (H1) receptors in guinea pig cerebellum membranes.: Antagonists are incubated with guinea pig cerebellum membranes (0.6 mg/ml) and [3H]-pyrilamine (1.2 nM) in 0.5 ml 50 mM PBS, pH 7.5, for 30 min at 25 °C. The incubation is ended by the addition of 5 ml of ice-cold PBS containing 2 μM pyrilamine and the collection of membranes on Whatman GF/B filters. Then the filters are washed with 3 × 5 ml of ice-cold PBS plus 2 μM pyrilamine and transferred to counting vials. The radioactivity retained by each filter is measured by liquid scintillation counting in 3 ml of HiSafe 3. Specific binding is determined from the difference between the [3H]-pyrilamine bound in the absence and in the presence of a large molar excess (10 μM) of unlabeled promethazine.

Cell Research	Platelet aggregation is induced by C18-PAF and measured by using a dual-channel aggregometer Chrono-log 560. Platelet aggregation in the absence and in the presence (5-min incubation) of the test compounds is recorded. Activity of the inhibitors is expressed as the IC50 values. To assess selectivity, rupatadine is tested against other aggregating agents, including arachidonic acid (1 mM) and ADP (5 μM), in WRP. Dose-response curves for PAF-induced aggregation in WRP are obtained in the absence of rupatadine and in its presence at various concentrations (3 × 10-7–3 × 10-5 M).(Only for Reference)

Solubility Information

Solubility	Ethanol: 11 mg/mL (20.68 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 12 mg/mL (22.56 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 (3.76 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	1.8796 mL	9.398 mL	18.7959 mL
5 mM	0.3759 mL	1.8796 mL	3.7592 mL
10 mM	0.188 mL	0.9398 mL	1.8796 mL
50 mM	0.0376 mL	0.188 mL	0.3759 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. J Pharmacol Exp Ther, 1997, 280(1), 114-121.
Queralt M, et al. Inflamm Res, 2000, 49(7), 355-360.
Barbanoj MJ, et al. Neuropsychobiology, 2004, 50(4), 311-321.
Sudhakara Rao M, et al. Indian J Otolaryngol Head Neck Surg, 2009, 61(4), 320-332.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481