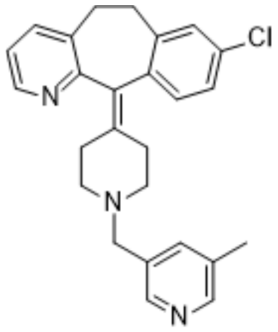
Rupatadine



Cat. No.: HY-13511

CAS No.: 158876-82-5

Molecular Formula: $C_{26}H_{26}ClN_3$

Molecular Weight: 415.96

Target: Histamine Receptor; Autophagy

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Autophagy

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Rupatadine (UR-12592) is a potent, orally active and long-lasting dual PAF/H1 antagonist, with K_is of 0.55 μM and 0.1 μM, respectively. Rupatadine can be used for the research of allergic rhinitis and urticaria[1][2][3].

IC_{50} & Target

H_1 Receptor	PAF
0.1 μM (Ki)	0.55 μM (Ki)

In Vitro

Rupatadine competitively inhibits histamine-induced guinea pig ileum contraction (pA_2=9.29) without affecting contraction induced by ACh, serotonin or leukotriene D4 (LTD4)[1].

Rupatadine competitively inhibits PAF-induced platelet aggregation in washed rabbit platelets (WRP) (pA_2=6.68) and in human platelet-rich plasma (HPRP) (IC_{50}=0.68 μM), while not affecting ADP- or arachidonic acid-induced platelet aggregation[1].

Rupatadine (0.1-30 μM) inhibits TNF-α secretion in a concentration-dependent manner, with maximum values of 92.5%[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Rupatadine blocks histamine- and PAF-induced effects in vivo, such as hypotension in rats (ID_{50}=1.4 and 0.44 mg/kg i.v., respectively) and bronchoconstriction in guinea pigs (ID_{50}=113 and 9.6 μg/kg i.v.)[1].

Rupatadine potently inhibits PAF-induced mortality in mice (ID_{50}=0.31 and 3.0 mg/kg i.v. and p.o., respectively) and endotoxin-induced mortality in mice and rats (ID_{50}=1.6 and 0.66 mg/kg i.v.)[1].

Rupatadine (6 mg/kg) promotes the absorption of the lesions and decreased the density of lungs[3].

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Animal Model:	Male C57BL/6J mice (18 g, 6-8 wk)[3]
Dosage:	1.5, 3, 6 mg/kg
Administration:	Oral gavage once a day
Result:	Markedly decreased the BLM-enhanced inflammatory scores and lung index.

CUSTOMER VALIDATION

- Pharmaceutics. 2020 Jun 8;12(6):525.

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REFERENCES

[1]. 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.

[2]. Lv XX, et al. Rupatadine protects against pulmonary fibrosis by attenuating PAF-mediated senescence in rodents. PLoS One. 2013 Jul 15;8(7):e68631.

[3]. 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.

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