Rupatadine Fumarate

Cat. No.: HY-13511A

CAS No.: 182349-12-8

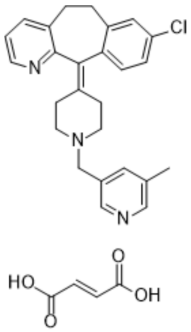
Molecular Formula: $C_{30}H_{30}ClN_3O_4$

Molecular Weight: 532.03

Target: Autophagy; Histamine Receptor

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

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 30 mg/mL (56.39 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8796 mL	9.3980 mL	18.7959 mL
	5 mM	0.3759 mL	1.8796 mL	3.7592 mL
	10 mM	0.1880 mL	0.9398 mL	1.8796 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rupatadine (UR-12592) Fumarate 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 Fumarate 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 Fumarate 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 Fumarate 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) Fumarate 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 Fumarate 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 Fumarate 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) Fumarate promotes the absorption of the lesions and decreased the density of lungs[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. 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.

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

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