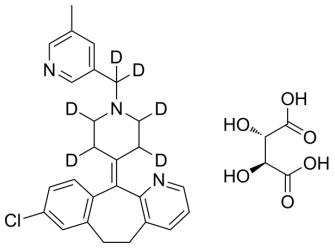
Rupatadine-d6 D-tartrate

Cat. No.:	HY-13511S
Molecular Formula:	$C_{30}H_{26}D_6ClN_3O_6$
Molecular Weight:	572.08
Target:	Histamine Receptor; Autophagy; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Autophagy; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Rupatadine-d_6 (D-tartrate) is deuterated labeled Rupatadine (HY-13511). 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].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Rupatadine competitively inhibits histamine-induced guinea pig ileum contraction (pA_2=9.29) without affecting contraction induced by ACh, serotonin or leukotriene D4 (LTD4)[2]. 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[2]. Rupatadine (0.1-30 μM) inhibits TNF-α secretion in a concentration-dependent manner, with maximum values of 92.5%[3]. 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.)[2]. 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.)[2]. Rupatadine (6 mg/kg) promotes the absorption of the lesions and decreased the density of lungs[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

Caution: Product has not been fully validated for medical applications. For research use only.

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