Product Data Sheet

Product Name: Rupatadine (UR-12592)
Cat. No.: GC31827

Chemical Properties

Cas. No.	158876-82-5		
SMILES	CC1=CN=CC(CN2CC/C(CC2)=C3C4=CC=C(Cl)C=C4CCC5=CC=CN=C5\3)=C1		
Formula	$C_{26}H_{26}ClN_3$	M.Wt	415.96
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Rupatadine (UR-12592)		

Background

Rupatadine (UR-12592) is a potent dual PAF/H1 antagonist with Ki of 0.55/0.1 uM(rabbit platelet membranes/guinea pig cerebellum membranes).IC50 value:Target: PAF/H1 antagonistin vitro: Rupatadine competitively inhibited 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 inhibited PAF-induced platelet aggregation in washed rabbit platelets (WRP) (pA2 = 6.68 +/- 0.08) and in human platelet-rich plasma (HPRP) (IC50 = 0.68 microM), while not affecting ADP- or arachidonic acid-induced platelet aggregation [1]. The IC50 for rupatadine in A23187, concanavalin A and anti-IgE induced histamine release was 0.7+/-0.4 microM, 3.2+/-0.7 microM and 1.5+/-0.4 microM, respectively whereas for loratadine the IC50 was 2.1+/-0.9 microM, 4.0+/-1.3 M and 1.7+/-0.5 microM. SR-27417A exhibited no inhibitory effect [2].in vivo: Rupatadine blocked 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 micrograms/kg i.v.). Moreover, it potently inhibited 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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

0.66 mg/kg i.v.) [1]. rupatadine treatment improved the declined lung function and significantly decreased animal death. Moreover, rupatadine was able not only to attenuate silica-induced silicosis but also to produce a superior therapeutic efficacy compared to pirfenidone, histamine H1 antagonist loratadine, or PAF antagonist CV-3988 [3].

[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.