Web: www.taiclone.com
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Rupatadine (Fumarate)

Catalog No: tcsc3482

Available Sizes

Size: 100mg

Size: 500mg

Specifications

CAS No:
182349-12-8

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

Pathway:
Autophagy;Neuronal Signaling;GPCR/G Protein

Target:
Autophagy;5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

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

Observed Molecular Weight:
532.03

Product Description

Rupatadine Fumarate (UR-12592 Fumarate) 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 antagonist

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in 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 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].