BIOLOGICAL ACTIVITY:

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

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].

References:


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

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