Rupatadine Fumarate Datasheet

Technical Data

| Molecular Weight (MW) | 532.03 |
| Formula               | C_{26}H_{26}CIN_{2}C_{4}H_{4}O_{4} |
| CAS No.              | 182349-12-8 |
| Synonyms             | N/A |

Solubility (25°C)

- DMEM 9 mg/mL
- Water <1 mg/mL
- Ethanol 13 mg/mL

Storage

- 2 years -20°C Powder
- 2 weeks 4°C in DMEM
- 6 months -80°C in DMEM

Biological Activity

**Description**
Rupatadine is an inhibitor of PAFR and histamine (H1) receptor with K_i of 550 and 102 nM, respectively.

**Targets**
- PAFR
- Histamine (H1)

**IC50**
- 550 nM (K_i) [1]
- 102 nM (K_i) [1]

**In vitro**
Rupatadine inhibits both platelet-activating factor (PAF) and histamine (H1) effects through its interaction with specific receptors. Rupatadine competitively inhibits histamine-induced guinea pig ileum contractile response (pA2 = 9.29 ± 0.06) without affecting contraction induced by ACh, serotonin or leukotriene D4 (LTD4). It also competitively inhibits PAF-induced platelet aggregation in washed rabbit platelet membranes (WRP) (pA2 = 6.68 ± 0.08) and in human platelet-rich plasma (HPRP) (IC50 = 0.68 μM), while not affecting ADP- or arachidonic acid-induced platelet aggregation. [1]

**In vivo**
Rupatadine blocks histamine- and PAF-induced effects in vivo, such as hypotension in rats (ED50 = 1.4 and 0.44 μg/kg i.v., respectively) and bronchoconstriction in guinea pigs (ID50 = 1.5 and 9.6 μg kg i.v.). Moreover, it potently inhibits PAF-induced mortality in mice (ID50 = 0.31 and 3.0 μg/kg i.v. and p.o., respectively) and endotoxin-induced mortality in mice and rats (ID50 = 1.6 and 0.66 μg/kg i.v.). Rupatadine’s duration of action is long, as assessed by the histamine- and PAF-induced increase in vascular permeability test in dogs (62 and 34% inhibition at 26 h after 1 mg/kg p.o.). Rupatadine at a dose of 100 mg/kg p.o. neither modifies spontaneous motor activity nor prolongs barbiturate-sleeping time in mice, which indicates a lack of sedative effects. [1]

**Clinical Trials**
Rupatadine fumarate presents a potent dose-dependent peripheral anti-H1 activity, displaying psychomotor impairment activity only at the highest dose (80 mg), while therapeutically relevant lower doses (10 and 20 mg) are similar to placebo. [3]

**Features**

Protocol (Only for Reference)

**Kinase Assay** [1]

[3H]-Pyrilamine binding to histamine (H1) receptors in guinea pig cerebral membranes.

Antagonists are incubated with guinea pig cerebellum membranes (0.8 mg/ml) and [3H]-pyrilamine (1.2 nM) in 0.5 ml 50 mM PBS, pH 7.5, for 30 min at 25°C. The incubation is ended by the addition of 5 ml of ice-cold PBS containing 2 μM pyrilamine and the collection of membranes on Whatman GF/B filters. The radioactivity retained by each filter is measured by liquid scintillation counting in 3 ml of HiSafe 3. Specific binding is determined from the difference between the [3H]-pyrilamine bound in the absence and in the presence of a large molar excess (10 μM) of unlabeled promethazine.

**Cell Assay** [1]

**Cell Lines**
Platelet

**Concentrations**
up to 100 μM

**Incubation Time**
5 mins

**Methods**
Platelet aggregation is induced by C18-PAF and measured by using a dual-channel aggregometer Chronolog 560. Platelet aggregation in the absence and in the presence (5-min incubation) of the test compounds is recorded. Activity of the inhibitors is expressed as the IC50 values. To assess selectivity, rupatadine is tested against other aggregating agents, including arachidonic acid (1 mM) and ADP (5 μM), in WRP. Dose-response curves for PAF-induced aggregation in WRP are obtained in the absence of rupatadine and in its presence at various concentrations (3 × 10^{-7}–3 × 10^{-6} M).

**Animal Study** [3]

**Animal Models**
PAF- and histamine-induced hypotension in nonmototive rats

**Formulation**
dissolved in saline

**Doses**
1 ml/kg

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<tr>
<th>Administration</th>
<th>i.v. injection</th>
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References


PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.

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