

# Rupatadine

Catalog No: tcsc3481



## Available Sizes

**Size:** 100mg

**Size:** 500mg



## Specifications

**CAS No:**

158876-82-5

**Formula:**

$C_{26}H_{26}ClN_3$

**Pathway:**

Neuronal Signaling;GPCR/G Protein

**Target:**

5-HT Receptor;5-HT Receptor

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

UR-12592

**Observed Molecular Weight:**

415.96

## Product Description

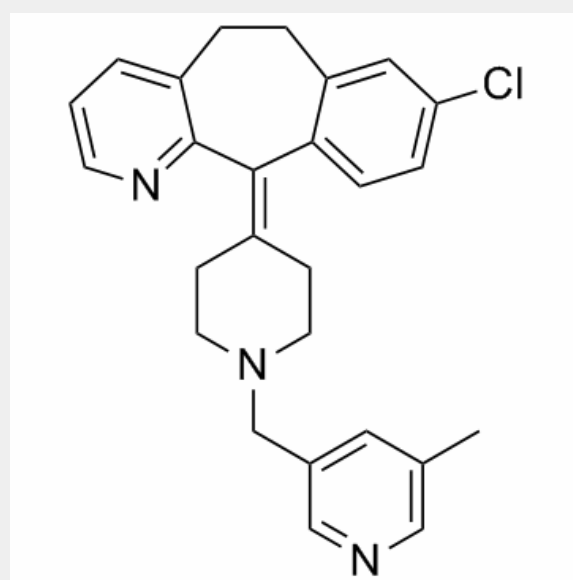
Rupatadine (UR-12592) is a potent dual PAF/H1 antagonist with  $K_i$  of 0.55/0.1  $\mu$ M(rabbit platelet membranes/guinea pig cerebellum membranes).

IC50 value:

Target: PAF/H1 antagonist

in vitro: Rupatadine competitively inhibited histamine-induced guinea pig ileum contraction ( $pA_2 = 9.29 \pm 0.06$ ) without affecting contraction induced by ACh, serotonin or leukotriene D4 (LTD4). It also competitively inhibited PAF-induced platelet aggregation in washed rabbit platelets (WRP) ( $pA_2 = 6.68 \pm 0.08$ ) and in human platelet-rich plasma (HPRP) ( $IC_{50} = 0.68 \mu M$ ), while not affecting ADP- or arachidonic acid-induced platelet aggregation [1]. The  $IC_{50}$  for rupatadine in A23187, concanavalin A and anti-IgE induced histamine release was  $0.7 \pm 0.4 \mu M$ ,  $3.2 \pm 0.7 \mu M$  and  $1.5 \pm 0.4 \mu M$ , respectively whereas for loratadine the  $IC_{50}$  was  $2.1 \pm 0.9 \mu M$ ,  $4.0 \pm 1.3 \mu M$  and  $1.7 \pm 0.5 \mu M$ . SR-27417A exhibited no inhibitory effect [2].

in vivo: Rupatadine blocked histamine- and PAF-induced effects in vivo, such as hypotension in rats ( $ID_{50} = 1.4$  and  $0.44 \text{ mg/kg i.v.}$ , respectively) and bronchoconstriction in guinea pigs ( $ID_{50} = 113$  and  $9.6 \text{ micrograms/kg i.v.}$ ). Moreover, it potently inhibited PAF-induced mortality in mice ( $ID_{50} = 0.31$  and  $3.0 \text{ mg/kg i.v. and p.o.}$ , respectively) and endotoxin-induced mortality in mice and rats ( $ID_{50} = 1.6$  and  $0.66 \text{ mg/kg i.v.}$ ) [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].



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