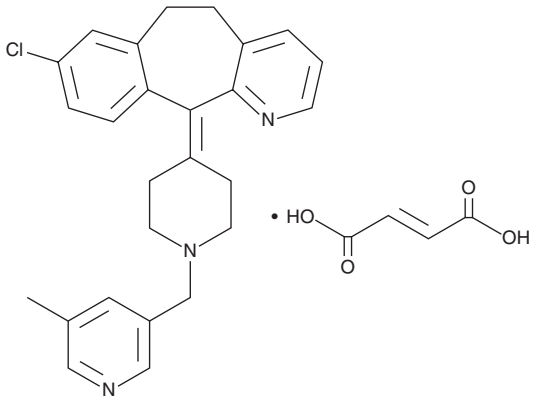
PRODUCT INFORMATION

Rupatadine (fumarate)

Item No. 29478



CAS Registry No.:	182349-12-8
Formal Name:	8-chloro-6,11-dihydro-11-[1-[(5-methyl-3-pyridinyl)methyl]-4-piperidinylidene]-5H-benzo[5,6]cyclohepta[1,2-b]pyridine, (2E)-2-butenedioate
MF:	$C_{26}H_{26}ClN_3 \bullet C_4H_4O_4$
FW:	532.0
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Rupatadine (fumarate) is supplied as a crystalline solid. A stock solution may be made by dissolving the rupatadine (fumarate) in the solvent of choice, which should be purged with an inert gas. Rupatadine (fumarate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of rupatadine (fumarate) in these solvents is approximately 1 mg/ml.

Rupatadine (fumarate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, rupatadine (fumarate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Rupatadine (fumarate) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Rupatadine is an antagonist of histamine H_1 and platelet-activating factor (PAF) receptors.[1] It binds to rabbit platelet membranes and guinea pig cerebellum membranes with apparent K_i values of 0.55 and 0.1 µM, respectively, in radioligand binding assays. It inhibits contraction of isolated guinea pig ileum induced by histamine (pA_2 = 9.29) and platelet aggregation induced by PAF in washed rabbit platelets (pA_2 = 6.68). Rupatadine prevents histamine- or PAF-induced hypotension in rats (ID_{50}s = 1.4 and 0.44 mg/kg, respectively) and reverses histamine- or PAF-induced bronchoconstriction in guinea pigs (ID_{50}s = 113 and 9.6 mg/kg, respectively). It does not reduce locomotor activity or potentiate sleep induced by barbiturates in mice, indicating a lack of sedative effects.

Reference

1. Merlos, M., Giral, M., Balsa, D., *et al.* Rupatadine, a new potent, orally active dual antagonist of histamine and platelet-activating factor (PAF). *J. Pharmacol. Exp. Ther.* **280(1)**, 114-121 (1997).

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