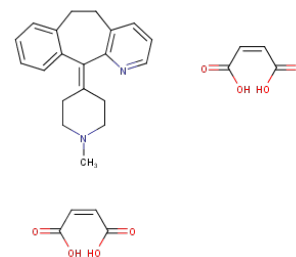


Catalog Number: CM04480

产品信息

Catalog Number:
CM04480CAS号:
3978-86-7分子式:
 $C_{28}H_{30}N_2O_8$ 主要靶点:
AChR|Histamine Receptor|5-HT
Receptor主要通路:
免疫与炎症|G蛋白偶联受体|神经科学分子量:
522.55溶解度:
H₂O:96 mg/mL (183.7
mM),DMSO:97 mg/mL (185.6
mM),Ethanol:<1 mg/mL

靶点活性

Cholinergic:10 nM|Histamine receptor:6.5 nM

体外活性

95% of Azatadine is biotransformed in Sabouraud dextrose broth within 72 hours treatment with two major metabolites, 7-hydroxyazatadine (25%) and 8-hydroxyazatadine (50%), and two minor metabolites, N-desmethyazatadine and 9-hydroxyazatadine. [2]

体内活性

Azatadine delays the onset of dyspnea-induced by aerosolized histamine, acetylcholine and serotonin in the conscious guinea-pig with PD₅₀ of 0.01 mg/kg, 0.739 mg/kg and 0.86 mg/kg. Azatadine protects conscious guinea-pigs against death induced by the intravenous injection of histamine with oral PD₅₀ of 0.009 mg/kg in guinea-pig and 0.22 mg/kg in mice. [1] Following a single oral dose of Azatadine (4 mg) in fasted volunteers, a C_{max} of 3 μg/L has been measured by RIA 4.2 hours after administration. Azatadine is almost completely metabolised by hydroxylation, demethylation and formation of zwitterion isomers by oxidative ring opening. Azatadine (8.8 mg oral) in healthy volunteers results in a C_{sub>max} of 5.9 μg/L at 5.3 hours after treatment and bioavailability of 80%. [3] Azatadine (1 mg twice daily for 14 days) is effective in relieving the histamine-mediated symptoms of seasonal allergic rhinitis. [4]

描述

Azatadine dimaleate (SCH10649) is an inhibitor for histamine (IC₅₀=6.5 nM) and cholinergic (IC₅₀=10 nM).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years