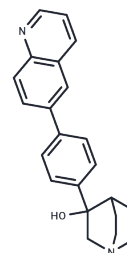


RPR107393 free base

Chemical Properties

CAS No. : 197576-78-6
Formula: C₂₂H₂₂N₂O
Molecular Weight: 330.42
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	RPR107393 free base is a selective inhibitor of squalene synthase(rat liver microsomal squalene synthase, with an IC ₅₀ of 0.8 nM).
Targets(IC ₅₀)	Others
In vitro	RPR107393 is a selective inhibitor of squalene synthase with subnanomolar potency. Rat liver microsomal squalene synthase inhibited by RPR107393 (IC ₅₀ value of 0.8±0.2 nM (n=4))[1]. Cells are treated with ER-27856 (1 μM), RPR-107393 (10 μM), Atorvastatin (1 μM), or NB-598 (1 μM) for 2-24 h, and lipid biosynthesis during the last 2 h of the incubation is determined. RPR-107393 (10 μM) inhibits Cholesterol biosynthesis and reduces triglyceride biosynthesis. Similarly, 1 μM RPR-107393 inhibits Cholesterol and triglyceride biosynthesis by 82.4% and 70.0%, respectively[2].
In vivo	Cholesterol biosynthesis is significantly reduced following administration of RPR107393, demonstrating a potent cholesterol-lowering effect in rats. Specifically, a 92% reduction in cholesterol biosynthesis is observed with a dose of 5 mg/kg, peaking one hour post-administration (10 mg/kg p.o.). This effect decreases to 74% six hours post-administration at the same dosage, with the half-life for 50% inhibition being approximately 7 hours. A more pronounced effect is seen 10 hours after administering a larger dose (25 mg/kg p.o.), achieving an 82% inhibition rate; however, this effect dissipates at 21 hours. Additionally, both Zaragozic acid and RPR107393 lead to an accumulation of radiolabeled diacid products in the liver, indicating a mechanism associated with the inhibition of cholesterol biosynthesis. Remarkably, repeated doses of RPR107393 (30 mg/kg p.o. b.i.d.) result in a substantial decrease in serum cholesterol, lowering it by 35% after two days and nearly 50% after three days of treatment.

A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0265 mL	15.1323 mL	30.2645 mL
5 mM	0.6053 mL	3.0265 mL	6.0529 mL
10 mM	0.3026 mL	1.5132 mL	3.0265 mL
50 mM	0.0605 mL	0.3026 mL	0.6053 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Amin D, et al. RPR107393, a potent squalene synthase inhibitor and orally effective Cholesterol-lowering agent: comparison with inhibitors of HMG-CoA reductase. J Pharmacol Exp Ther. 1997 May;281(2):746-52.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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