Data Sheet (Cat.No.T12765)

RPR107393 free base

Chemical Properties

CAS No.:	197576-78-6
Formula:	C22H22N2O
Molecular Weight:	330.42
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	RPR107393 free base is a selective inhibitor of squalene synthase(rat liver microsomal squalene synthase, with an IC50 of 0.8 nM).
Targets(IC_{50})	rat liver microsomal squalene synthase: 0.8±0.2 nM
In vitro	RPR107393 is a selective inhibitor of squalene synthase with subnanomolar potency. Rat liver microsomal squalene synthase inhibited by RPR107393 (IC50 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 reduced by 92% (ED50 : 5 mg/kg),when one hour after RPR107393 (10 mg/kg p.o.). Six hours after RPR107393 (10 mg/kg p.o.) administration, Cholesterol biosynthesis is reduced by 74% (the time for 50% inhibition is ~7 hr). An 82% inhibition of hepatic Cholesterol biosynthesis is observed 10 hr after RPR107393 (25 mg/kg p.o.), but the effect is no longer apparent at 21 hr. Inhibition of Cholesterol biosynthesis by Zaragozic acid or RPR107393 is associated with an accumulation of radiolabeled diacid products in the liver. RPR107393 is a agent of potent Cholesterol-lowering in rats. RPR107393 (30 mg/kg p.o. b.i.d.) lowers serum Cholesterol by 35% after 2 days and by nearly 50% after 3 days of treatment[1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.026 mL	15.132 mL	30.265 mL
5 mM	0.605 mL	3.026 mL	6.053 mL
10 mM	0.303 mL	1.513 mL	3.026 mL
50 mM	0.061 mL	0.303 mL	0.605 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

2. Hiyoshi H, et al. Squalene synthase inhibitors suppress triglyceride biosynthesis through the farnesol pathway in rat hepatocytes. J Lipid Res. 2003 Jan;44(1):128-35.

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