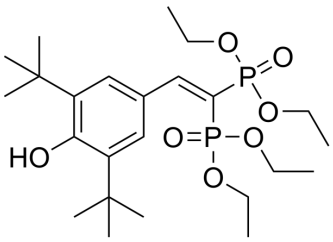
SR12813

Cat. No.:	HY-100793
CAS No.:	126411-39-0
Molecular Formula:	$C_{24}H_{42}O_7P_2$
Molecular Weight:	504.53
Target:	HMG-CoA Reductase (HMGCR); Autophagy
Pathway:	Metabolic Enzyme/Protease; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (99.10 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9820 mL	9.9102 mL	19.8204 mL
5 mM	0.3964 mL	1.9820 mL	3.9641 mL
10 mM	0.1982 mL	0.9910 mL	1.9820 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SR12813 (GW 485801) is an inhibitor of 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase, with an IC_{50} value of 0.85 μM[1][2]. SR12813 is also an efficient agonist of human pregnane X receptor (hPXR). SR12813 can strongly bind to hPXR but not to mouse PXR (mPXR)[3].

IC_{50} & Target

IC50: 0.85 μM (HMG-CoA Reductase)

In Vitro

SR-12813 inhibits incorporation of tritiated water into cholesterol with an IC_{50} of 1.2 μM but has no effect on fatty acid synthesis. Furthermore, SR-12813 reduces cellular 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase activity with an IC_{50} of 0.85 μM[1]. Both 25-HC and SR-12813 can kill mammalian cells through blocking the synthesis of cholesterol, thereby they are ideal regents for lethal selection. SR-12813 kills HeLa cells at concentration range from 8 μM to 16 μM. SR-

12813 kills wild type cells and mutant cells infected by Ad-Cre (SL-5+Cre), but the mutant SL-5 survives this condition. SR-12813 or 25-HC promotes the degradation of the 95-KDa full-length HMG-CoA reductase in wild type HeLa and SL-5 mutant cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

Briefly, compounds are added to the cells in Me_2SO (final concentration, 0.1%). After the experiment cells are lysed by the addition of 0.1 mL of 0.25% Brij 96, 0.1 M sucrose, 0.1 M KF, 50 mM KCl, 40 mM potassium dihydrophosphate, 30 mM EDTA, 5 mM dithiothreitol, pH 7.4 at room temperature. In some experiments KF is omitted to measure “total” HMG-CoA reductase activity. HMG-CoA reductase activity in the cell lysate is further determined.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2022 Jan 21.
- Biochem Biophys Res Commun. 2023 Oct 15, 677, 13-19.

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REFERENCES

[1]. Berkhout TA, et al. The novel cholesterol-lowering drug SR-12813 inhibits cholesterol synthesis via an increased degradation of 3-hydroxy-3-methylglutaryl-coenzyme A reductase. J Biol Chem. 1996 Jun 14;271(24):14376-82.

[2]. Wei Jiang, et al. Forward genetic screening for regulators involved in cholesterol synthesis using validation-based insertional mutagenesis. PLoS One. 2014 Nov 26;9(11):e112632.

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