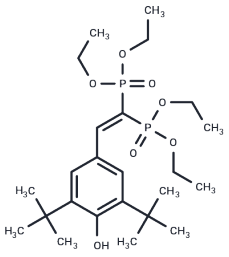
Data Sheet (Cat.No.T6994)

TargetMol

SR12813

Chemical Properties



CAS No. : 126411-39-0

Formula: C24H42O7P2

Molecular Weight: 504.53

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SR12813 (GW 485801) is a pregnane X receptor (PXR) agonist.
Targets(IC50)	HMG-CoA Reductase,Autophagy
In vitro	SR12813 is a very potent and efficacious activator of both the human and rabbit PXR, with EC50 values of approximately 200 nM and 700 nM, respectively. By contrast, SR12813 is only a very weak activator of the rat and mouse PXR[1]. SR-12813 inhibits incorporation of tritiated water into cholesterol with an IC50 of 1.2 μM but has no effect on fatty acid synthesis. SR-12813 reduces cellular 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase activity with an IC50 of 0.85 μM. The inhibition of HMG-CoA reductase activity is rapid with a T1/2 of 10 min[2].
In vivo	The bisphosphonate ester SR12813 lowers cholesterol levels in a range of species including rats, dogs and primates[1].
Kinase Assay	Briefly, compounds are added to the cells in Me2SO (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.
Cell Research	Hep G2 cells are incubated for 21 h with either 1 μM lovastatin or 3 μM SR-12813 in 5% LPDS medium. mRNA is isolated and analyzed by Northern blotting.(Only for Reference)

Solubility Information

Solubility	DMSO: 50.5 mg/mL (100.09 mM),Sonication is recommended. Ethanol: 50.5 mg/mL (100.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.96 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.982 mL	9.9102 mL	19.8204 mL
5 mM	0.3964 mL	1.982 mL	3.9641 mL
10 mM	0.1982 mL	0.991 mL	1.982 mL
50 mM	0.0396 mL	0.1982 mL	0.3964 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jones SA, et al. Mol Endocrinol. 2000, 14(1):27-39.
Dou X, Huo T, Liu Y, et al.Discovery of novel and selective farnesoid X receptor antagonists through structure-based virtual screening, preliminary structure-activity relationship study, and biological evaluation.European Journal of Medicinal Chemistry.2024: 116323.
Berkhout TA, et al. J Biol Chem. 1996, 271(24):14376-82.
Berkhout TA, et al. Atherosclerosis. 1997, 133(2):203-12.