

Product Data Sheet

Product Name: SR 12813

Cat. No.: GC10092

Chemical Properties

Cas. No. 126411-39-0

Chemical Name tetraethyl (2-(3,5-di-tert-butyl-4-hydroxyphenyl)ethene-1,1-diyl)bis(phosphonate)

SMILES OC(C(C(C)(C)C)=CC(/C=C(P(OCC)(OCC)=O)P(OCC)(OCC)=O)=C1)=C1C(C)(C)C

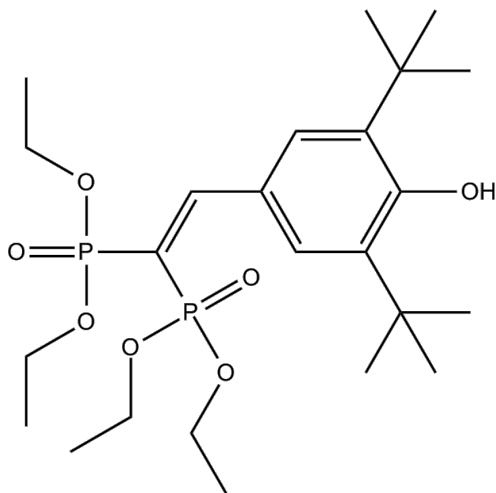
Formula $C_{24}H_{42}O_7P_2$ M.Wt 504.53

Solubility DMF: 15 mg/mL, DMSO: 1 mg/mL, Ethanol: 5 mg/mL Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Kinase experiment: 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.

References:

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

Background

SR12813 is an inhibitor of 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase, with an IC₅₀ value of 0.85 μ M.

SR-12813 inhibits incorporation of tritiated water into cholesterol with an IC₅₀ of 1.2 μ M 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 IC₅₀ of 0.85 μ M[1]. Both 25-HC and SR-12813 can kill mammalian cells through blocking the synthesis of cholesterol, thereby they are ideal reagents for lethal selection. SR-12813 kills HeLa cells at concentration range from 8 μ M to 16 μ M. 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].

References:

- [1]. Berkhout T, 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]. Jiang W, et al. Forward genetic screening for regulators involved in cholesterol synthesis using

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

validation-based insertional mutagenesis. PLoS One. 2014 Nov 26;9(11):e112632.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA