产品名称：SR12813
产品别名：GW 485801

生物活性：

Description	SR12813 is an inhibitor of 3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase, with an IC50 value of 0.85 μM.
IC_{50} & Target	IC50: 0.85 μM (HMG-CoA Reductase)
In Vitro	SR-12813 inhibits incorporation of tritiated water into cholesterol with an IC50 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 IC50 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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 50 mg/mL (99.10 mM)** * "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (4.96 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.96 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (4.96 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.96 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

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.

实验参考：

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