上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Torcetrapib
产品别名：托彻普；CP-529414

生物活性：

Description

Torcetrapib(CP-529414) is a CETP inhibitor with IC50 of 37 nM, elevates HDL-C and reduces nonHDL-C in plasma. IC50 value: 37 nM [1] Target: CETP inhibitor in vitro: Torcetrapib dose-dependently increases aldosterone release from H295R cells after either 24 or 48 h of treatment with an EC50 of approximately 80 nM, this effect is mediated by calcium channel as calcium channel blockers completely blocks torcetrapib-induced corticoid release and calcium increase. Torcetrapib (1 μM) significantly increases the expression of steroidogenic gene, CYP11B2 and CYP11B1, in H295R cell lines [2]. in vivo: Torcetrapib (< 100 mg, daily) changes the plasma distribution of CETP, as the apparent molecular weight of the CETP has shifted to a larger form, by 2 hours after the dose in healthy young subjects. Torcetrapib treatment with 10 mg, 30 mg, 60 mg, and 120 mg daily and 120 mg twice daily results in 16%, 28%, 62%, 73%, and 91% increases in plasma HDL-C, respectively, with no significant changes in TPC in healthy young subjects. [1] Torcetrapib results in an increase of 72.1% in high-density lipoprotein cholesterol and a decrease of 24.9% in low-density lipoprotein cholesterol, in addition to an increase of 5.4 mm Hg in systolic blood pressure, a decrease in serum potassium, and increases in serum sodium, bicarbonate, and aldosterone, in patients at high cardiovascular risk after 12 months' treatment [3]. Torcetrapib (90 mg/kg/day) results in a 70% inhibition of CE transfer in rabbits fed an atherogenic diet. Torcetrapib (90 mg/kg/day) increases mean HDL-C levels by above 3-fold and apoA-I levels by 2.5-fold in plasma in rabbits fed an atherogenic diet. Torcetrapib-treated animal has a multiple-fold increase in HDL-C AUC and a corresponding reduction in aortic lesion area with 60% reduction of aortic free cholesterol (FC) and cholesteryl ester (EC) in rabbits fed an atherogenic diet. Torcetrapib-treated rabbits stimulate free cholesterol efflux to a significantly greater extent than does sera from control rabbits [4].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (166.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6654 mL	8.3268 mL	16.6536 mL
	5 mM	0.3331 mL	1.6654 mL	3.3307 mL
	10 mM	0.1665 mL	0.8327 mL	1.6654 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→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.16 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.16 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。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.16 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.16 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 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 玉米油中，混合均匀。
References	[1]. Clark RW, et al. Raising high-density lipoprotein in humans through inhibition of cholesteryl ester transfer protein: an initial multidose study of torcetrapib. Arterioscler Thromb Vasc Biol. 2004 Mar;24(3):490-7. [2]. Hu X, et al. Torcetrapib induces aldosterone and cortisol production by an intracellular calcium-mediated mechanism independently of cholesteryl ester transfer protein inhibition. Endocrinology. 2009 May;150(5):2211-9. [3]. Barter PJ, et al. Effects of torcetrapib in patients at high risk for coronary events. N Engl J Med. 2007 Nov 22;357(21):2109-22. [4]. Morehouse LA, et al. Inhibition of CETP activity by torcetrapib reduces susceptibility to diet-induced atherosclerosis in New Zealand White rabbits. J Lipid Res. 2007 Jun;48(6):1263-72.