



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: VO-OHPIC 三水合物
产品别名: VO-Ohpic trihydrate

生物活性:				
Description	VO-Ohpic trihydrate is a highly potent inhibitor of PTEN with an IC ₅₀ of 46±10 nM.			
IC ₅₀ & Target	IC ₅₀ : 46±10 nM (PTEN)[1]			
In Vitro	VO-OHpic with two OHpic ligands and an oxo ligand is a sterically demanding molecule, and one will therefore expect that binds substrate will affect the subsequent binding of the inhibitor due to steric hindrance. VO-OHpic significantly inhibits PTEN activity in low nanomolar concentrations (IC ₅₀ , 46±10 nM), which is in agreement with the previously determined potency (IC ₅₀ , 35±2 nM) in a PIP ₃ -based assay. The inhibition constants K _{ic} and K _{iu} are determined to be 27±6 and 45±11 nM, respectively[1]. VO-OHpic is an encouragingly specific and potent PTEN inhibitor. VO-OHpic is the most potent inhibitor (IC ₅₀ =35 nM) of the PTEN lipid phosphatase activity[2].			
Solvent&Solubility	In Vitro: DMSO : ≥ 50 mg/mL (120.42 mM) H ₂ O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent Concentration	Mass	
			1 mg	
			5 mg	
			10 mg	
		1 mM	2.4085 mL	12.0424 mL
		5 mM	0.4817 mL	2.4085 mL
		10 mM	0.2408 mL	1.2042 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 (6.02 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.02 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 (6.02 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.02 mM, 饱和度未知) 的澄清溶液。			



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

	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 生理盐水溶液中, 混合均匀。 3. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: 2.5 mg/mL (6.02 mM); Precipitated solution; Need warming 此方案可获得 2.5 mg/mL (6.02 mM) 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]. Mak LH, et al. Characterisation of the PTEN inhibitor VO-OHpic. J Chem Biol. 2010 Oct;3(4):157-63. [2]. Rosivatz, E, et al. A small molecule inhibitor for phosphatase and tensin homologue deleted on chromosome 10 (PTEN). ACS Chem Biol. 2006 Dec 15;1(12):780-90. [3]. Zu L, et al. PTEN inhibitors cause a negative inotropic and chronotropic effect in mice. Eur J Pharmacol. 2011 Jan 10;650(1):298-302.
实验参考:	
Animal Administration	Mice[3] The experiment is performed with male C57BL6 mice. Briefly, mice are anesthetized with pentobarbital (70 mg/kg). The left coronary artery is occluded about 1-2 mm below the left auricle. Reperfusion is accomplished by loosening the ligature. The PTEN inhibitor VO-OHpic is administered by intra-peritoneal injection at the dosage of 10 μg/kg once 30 min before ischemia. Saline is used as control. At the end of the experiment, the animals are euthanized by transecting the aorta and removing the heart for infarct size determination.
Kinase Assay	VO-OHpic is dissolved in DMSO (100 μM) and diluted further to the required concentration with 1% DMSO. For inhibition studies, PTEN is preincubated with VO-OHpic at RT for 10 min before substrate is added to initialise the reaction. Background absorbance (malachite green assay) and fluorescence (OMFP assay) are determined with VO-OHpic in assay buffer and corrected in the data analysis[1].
References	[1]. Mak LH, et al. Characterisation of the PTEN inhibitor VO-OHpic. J Chem Biol. 2010 Oct;3(4):157-63. [2]. Rosivatz, E, et al. A small molecule inhibitor for phosphatase and tensin homologue deleted on chromosome 10 (PTEN). ACS Chem Biol. 2006 Dec 15;1(12):780-90. [3]. Zu L, et al. PTEN inhibitors cause a negative inotropic and chronotropic effect in mice. Eur J Pharmacol. 2011 Jan 10;650(1):298-302.