



上海源叶生物科技有限公司
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产品名称: **HPGDS inhibitor 1**
产品别名: **HPGDS inhibitor 1**

生物活性:				
Description	HPGDS inhibitor 1 is a novel and selective Hematopoietic Prostaglandin D Synthase (HPGDS) inhibitor with an IC50 Value of 0.7 nM. IC50 Value: 0.7 nM [1] Target: HPGDS HPGDS inhibitor 1 was elected for further profiling based on its enzyme and cell potency. The compound illustrated equal potency against purified HPGDS from human , rat, dog, and sheep (IC50, 0.5-2.3 nM). HPGDS inhibitor 1 was profiled in a panel of cellular assays to screen for activity against several relevant human enzyme targets. Those assay indicated that HPGDS inhibitor 1 does not inhibit human L- PGDS, m-PGDS, COX-1, COX-2 or 5 LOX (IC50 values > 10000 nM). HPGDS inhibitor 1 had a solubility of 1.5 ug/ml (3.9 uM) at pH 6.5. The compound had excellent PK characteristics when dosed in rats at 1 mpk with 76% bioavailability. Rats dosed orally with 1 and 10 mpk HPGDS inhibitor 1 were sacrificed at various times, and plasma concentrations of HPGDS inhibitor 1 and spleen PGD2 concentrations were measured. Oral administration of HPGDS inhibitor 1 blocked PGD2 production in the rat spleen; inhibition of PGD2 was inversely correlated with the plasma concentration of HPGDS inhibitor 1 in a time and dose-dependent manner. Spleen PGD2 levels fall as HPGDS inhibitor 1 plasma levels increase over time; PGD2 levels return to baseline levels as HPGDS inhibitor 1 plasma levels decline.			
	In Vitro: DMSO : 50 mg/mL (131.11 mM; Need ultrasonic) H₂O : < 0.1 mg/mL (insoluble)			
Solvent&Solubility	Preparing Stock Solutions	Solvent Concentration	Mass	
		1 mM	1 mg	5 mg 10 mg
		5 mM	2.6221 mL	13.1106 mL 26.2213 mL
		10 mM	0.5244 mL	2.6221 mL 5.2443 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 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% corn oil Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.56 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。			



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	以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μ L 玉米油中, 混合均匀。
References	[1]. Chris P. Carron, et al. Discovery of an Oral Potent Selective Inhibitor of Hematopoietic Prostaglandin D Synthase (HPGDS). ACS Med. Chem. Lett., 2010, 1 (2), pp 59-63



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