



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: shyyssw@sina.com

产品名称: MELK-8a hydrochloride

CAS 号: 2096992-20-8

产品货号: S34152

生物活性:				
Description	MELK-8a hydrochloride 是一种新颖的母体胚胎亮氨酸拉链激酶 (MELK) 抑制剂, 其 IC50 值为 2 nM.			
IC50 & Target	IC50: 2 nM (MELK)[1]			
In Vitro	MELK-8a remains very potent (IC50=140 nM) when the ATP concentration in the biochemical assay is shifted from 20 μ M to 2 mM. Its potency is well tracked between full-length MELK versus catalytic domain construct (5 nM versus 2 nM). It only inhibits seven off-target kinases in addition to MELK with >85% inhibition of binding at 1 μ M demonstrating great selectivity. The compound is at least 90-fold more selective in targeting MELK in all cases. MELK-8a is fairly soluble (0.22 g/L at pH 6.8) and shows a good permeability in the Caco-2 assay. MELK-8a inhibits the growth of MDA-MB-468 cells and MCF-7 cells with an IC50 of approximately 0.06 and 1.2 μ M, respectively[1].			
In Vivo	Subcutaneous administration of MELK-8a at 30 mg/kg in C57BL/6 mice results in good plasma exposure. The compound adsorption into the systemic circulation is rapid (Tmax=0.4 h) and peak plasma concentration reaches 6.6 μ M. An ascending dose PK study in female athymic nude mice shows that the rate of compound release is maximal at 120 mg/kg and all clearance mechanisms can be saturated at 240 mg/kg. However, when administered orally at 10 mg/kg in C57BL/6 male mice, it shows very poor PK (3.6% oral bioavailability) consistent with very high in vivo clearance[1].			
Solvent&Solubility	细胞实验: H2O 中的溶解度 : ≥ 100 mg/mL (213.21 mM) DMSO 中的溶解度 : 8.6 mg/mL (18.34 mM); 超声加热助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)			
		Solvent Concentration	Mass	
	Preparing	1 mM	2.1321 mL	10.6605 mL
	Stock Solutions	5 mM	0.4264 mL	2.1321 mL
		10 mM	0.2132 mL	1.0661 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 (sealed storage, away from moisture)。-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。 * 备注: 如您选择水作为储备液, 请稀释至工作液后, 再用 0.22 μ m 的滤膜过滤除菌后使用。 动物实验: 以下溶解方案, 请直接配制工作液。建议现用现配, 在短期内尽快用完。 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。 方案 一 请依序添加每种溶剂: PBS Solubility: 50 mg/mL (106.61 mM); 澄清溶液; 超声助溶				
参考文献	[1]. Touré BB, et al. Toward the Validation of Maternal Embryonic Leucine Zipper Kinase: Discovery,			



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: shyyssw@sina.com

Optimization of Highly Potent and Selective Inhibitors, and Preliminary Biology Insight. J Med Chem. 2016 May 26;59(10):4711-23.

完整储备液配制表:

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 (sealed storage, away from moisture)。-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。

可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO/ H ₂ O	1 mM	2.1321 mL	10.6605 mL	21.3211 mL	53.3026 mL
	5 mM	0.4264 mL	2.1321 mL	4.2642 mL	10.6605 mL
	10 mM	0.2132 mL	1.0661 mL	2.1321 mL	5.3303 mL
	15 mM	0.1421 mL	0.7107 mL	1.4214 mL	3.5535 mL
DMSO	20 mM	0.1066 mL	0.5330 mL	1.0661 mL	2.6651 mL
	25 mM	0.0853 mL	0.4264 mL	0.8528 mL	2.1321 mL
	30 mM	0.0711 mL	0.3554 mL	0.7107 mL	1.7768 mL
	40 mM	0.0533 mL	0.2665 mL	0.5330 mL	1.3326 mL
	50 mM	0.0426 mL	0.2132 mL	0.4264 mL	1.0661 mL
	60 mM	0.0355 mL	0.1777 mL	0.3554 mL	0.8884 mL
	80 mM	0.0267 mL	0.1333 mL	0.2665 mL	0.6663 mL
	100 mM	0.0213 mL	0.1066 mL	0.2132 mL	0.5330 mL

备注: 如您选择水作为储备液, 请稀释至工作液后, 再用 0.22 μ m 的滤膜过滤除菌后使用。