



上海源叶生物科技有限公司
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产品名称: **GSK180736A**
产品别名: **GSK180736A**

生物活性:

Description	GSK180736A is a G protein-coupled receptor kinase 2 (GRK2) inhibitor with an IC50 of 0.77 μM.				
IC50 & Target	ROCK1	GRK2			
	100 nM (IC50)	770 nM (IC50)			
In Vitro	GSK180736A is a compound structurally similar to paroxetine that is developed as a ROCK inhibitor, is shown to be an even more potent and selective inhibitor of GRK2 with an IC50 of 0.77 μM and more than 100-fold selectivity over other GRKs. ROCK1 is a potential therapeutic target in the treatment of cardiovascular diseases such as hypertension. GSK180736A is a weak inhibitor of PKA with an IC50 of 30 μM, but highly potent against ROCK1 (IC50=100 nM)[1].				
Solvent&Solubility	In Vitro: DMSO : ≥ 30 mg/mL (82.11 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	2.7370 mL	13.6851 mL	27.3703 mL
		5 mM	0.5474 mL	2.7370 mL	5.4741 mL
		10 mM	0.2737 mL	1.3685 mL	2.7370 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 (6.84 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.84 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 (6.84 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.84 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。				



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	3.请依序添加每种溶剂: 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.84 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.84 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]. Waldschmidt HV, et al. Structure-Based Design, Synthesis, and Biological Evaluation of Highly Selective and Potent G Protein-Coupled Receptor Kinase 2 Inhibitors. J Med Chem. 2016 Apr 28;59(8):3793-807.
实验参考:	
Cell Assay	Cardiac myocytes are isolated from LV free wall and septum of C57/Bl6 mice. Cells are treated with isoproterenol (0.5 μM) for 2 min for the recording of contraction, with pretreatment of either PBS as vehicle or paroxetine (10 μM), 215022 (0.1, 0.5, 1, 10 μM), 215023 (0.1, 0.5, 1, 10 μM), 224064 (0.1, 0.5, 1, 10 μM), and GSK180736A (0.5, 1 μM), for 10 min[1].
References	[1]. Waldschmidt HV, et al. Structure-Based Design, Synthesis, and Biological Evaluation of Highly Selective and Potent G Protein-Coupled Receptor Kinase 2 Inhibitors. J Med Chem. 2016 Apr 28;59(8):3793-807.

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