



上海源叶生物科技有限公司
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产品名称: **GSK 525768A**
产品别名: **GSK 525768A**

生物活性:

Description	GSK 525768A is the inactive enantiomer of GSK525762A. GSK 525768A has no activity towards BET.			
In Vitro	GSK 525768A has no activity towards BET[1]. GSK 525768A (GSK525768A) is the (R)-enantiomer of GSK525762A. GSK525762A is shown to regulate levels of the high-density lipid protein apolipoprotein A1 (APOA1) in assays monitoring APOA1 release in liver cells, whereas the (R)-enantiomer (GSK 525768A) has no effect. GSK 525768A directly engages the protein module by forming hydrogen bonds with the conserved asparagine residue in a way that mimics the binding mode of acetylated lysine; this usually results in the binding of the inhibitor deeper within the acetylated lysine binding site but without displacing the conserved water molecules that are present at the bottom of the acetyl-lysine binding cavity[2].			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (235.90 mM) * "$\geq$" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent	Mass	Concentration
		1 mg	5 mg	10 mg
		1 mM	2.3590 mL	11.7952 mL
		5 mM	0.4718 mL	2.3590 mL
		10 mM	0.2359 mL	1.1795 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 (5.90 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.90 mM, 饱和度未知) 的澄清溶液。 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 400 μ L PEG300 中, 混合均匀。向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 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 (5.90 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.90 mM, 饱和度未知) 的澄清溶液。 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 20% 的 SBE- β -CD 生理盐水溶液中, 混合均匀。			



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References	[1]. Nicodeme E, et al. Suppression of inflammation by a synthetic histone mimic. Nature. 2010 Dec 23;468(7327):1119-23. [2]. Filippakopoulos P, et al. Targeting bromodomains: epigenetic readers of lysine acetylation. Nat Rev Drug Discov. 2014 May;13(5):337-56.



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