



上海源叶生物科技有限公司
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产品名称: **GSK2837808A**
产品别名: **GSK2837808A**

生物活性:				
Description	GSK2837808A is a potent and selective lactate dehydrogenase A (LDHA) inhibitor with IC ₅₀ s of 1.9 and 14 nM for LDHA and LDHB, respectively.			
IC ₅₀ & Target	IC ₅₀ : 1.9 nM (LDHA), 1.9 nM (LDHB) ^[1]			
In Vitro	GSK2837808A rapidly and profoundly inhibits lactate production rates in multiple cancer cell lines including hepatocellular and breast carcinomas. The potency of GSK2837808A across 30 cancer cell lines with different LDHA and LDHB expression levels ranges from 400 nM to no effect (EC ₅₀ reported as 30 μM). GSK2837808A potency does not correlate with LDHA, LDHB, or the total LDH expression levels. GSK2837808A inhibits lactate production in hypoxia but at higher concentrations than in normoxia (EC ₅₀ =10 μM). It also reduces ECAR with EC ₅₀ =10 μM. LDH inhibition by GSK2837808A alters multiple metabolic pathways in Snu398 cells ^[1] .			
In Vivo	Clearance following IV infusion of GSK2837808A at 0.25 mg/kg is shown to be 69 mL/minute/kg in rats, which exceeds the animal liver blood flow. Oral dosing of GSK2837808A at 50 mg/kg in rats or 100 mg/kg in mice results in blood compound levels at or below the detection limit of 2.5 ng/mL ^[1] .			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (153.94 mM) H₂O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.			
		Solvent / Mass Concentration	1 mg	5 mg
	Preparing	1 mM	1.5394 mL	7.6968 mL
	Stock Solutions	5 mM	0.3079 mL	1.5394 mL
		10 mM	0.1539 mL	0.7697 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 (3.85 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.85 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。				



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: 2.5 mg/mL (3.85 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (3.85 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。</p> <p>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。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (3.85 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (3.85 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。</p> <p>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。</p>
References	[1]. Billiard J, et al. Quinoline 3-sulfonamides inhibit lactate dehydrogenase A and reverse aerobic glycolysis in cancer cells. Cancer Metab. 2013 Sep 6;1(1):19.
实验参考:	
Cell Assay	Sixty thousand Snu398 cells per well are plated in 6-well tissue culture plates in RPMI-1640 medium supplemented with 2.5% charcoal-stripped FBS. Cells are allowed to attach overnight and then DMSO control or the indicated doses of LDHA inhibitor dissolved in DMSO are added directly to the wells. After 4 to 8 days of incubation in the indicated oxygen conditions, adherent cells are trypsinized, counted, and had their viability assessed by the trypan-blue exclusion method using the Vi-Cell XR Cell Viability Analyzer[1].
Animal Administration	Mice: GSK2837808A is administered to male CD mice or male Sprague-Dawley rats orally or by intravenous (IV) infusion over 120 minutes into a femoral vein. Arterial blood samples are collected over time and GSK2837808A concentration is determined by liquid chromatography (LC)/MS/MS analysis[1].
References	[1]. Billiard J, et al. Quinoline 3-sulfonamides inhibit lactate dehydrogenase A and reverse aerobic glycolysis in cancer cells. Cancer Metab. 2013 Sep 6;1(1):19.