



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

生物活性:				
Description	Glucocorticoid receptor agonist is a potent Glucocorticoid receptor agonist. IC50 value: Target:			
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (252.28 mM) * "≥" means soluble, but saturation unknown.			
		SolventMassConcentration	1 mg	5 mg
	Preparing	1 mM	2.5228 mL	12.6142 mL
	Stock Solutions	5 mM	0.5046 mL	2.5228 mL
		10 mM	0.2523 mL	1.2614 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 (6.31 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.31 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 (6.31 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.31 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 生理盐水中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.31 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.31 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 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。			



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References	[1]. Riether, Doris et al. Nonsteroidal dissociated glucocorticoid agonists containing azaindoles as steroid A-ring mimetics. Journal of Medicinal Chemistry (2010), 53(18), 6681-6698.
实验参考:	
Animal Administration	Animal administration [1] Female Balb/c mice weighing approximately 20 g were used. Mice were administered the test compound and in Cremophor (po) approximately 60 min prior to LPS/D-gal administration. The volume of oral gavage was 0.15 mL. Then mice were administered LPS (E. coli LPS 055:85, 1.0 µg/mouse) plus D-gal (50 mg/kg) intravenously in 0.2 mL of pyrogen-free saline. One hour after LPS/D-gal, each mouse was anesthetized, bled by cardiac puncture, and collected for serum TNF-R and compound levels. Blood samples were centrifuged at 2500 rpm for 10-15 min, the serum was decanted, and samples were stored frozen at -70°C until transfer either for TNF-R determination or to Drug Metabolism and Pharmacokinetics for plasma concentration analysis by HPLC. The concentration of TNF-R in the serum was measured by a commercially available ELISA kit. ELISA was performed. All samples are assayed in duplicate.
References	[1]. Riether, Doris et al. Nonsteroidal dissociated glucocorticoid agonists containing azaindoles as steroid A-ring mimetics. Journal of Medicinal Chemistry (2010), 53(18), 6681-6698.

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