



上海源叶生物科技有限公司
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产品名称: **GSK682753A**
产品别名: **GSK682753A**

生物活性:

Description	GSK682753A is a selective and highly potent inverse agonist of the epstein-barr virus-induced receptor 2 (EBI2) with an IC50 of 53.6 nM.				
IC ₅₀ & Target	IC50: 53.6 nM (EBI2)[1]				
In Vitro	GSK682753 is a selective and highly potent inverse agonist for murine as well as human EBI2 with inhibition of G protein-dependent signals as well as signals that are probably G protein-independent. In cAMP-response element-binding protein-based reporter and guanosine5'-3-O-(thio)-triphosphate (GTPyS) binding assays, the potency of this compound is 2.6-53.6 nM, and its inhibitory efficacy is 75%. GSK682753A dose-dependently inhibits EBI2 with an IC50of 53.6 nM. GSK682753A inhibits ERK phosphorylation, GTPyS binding, and cAMP-response element-binding protein activation with similar potency[1].				
Solvent&Solubility	In Vitro: DMSO : ≥ 27 mg/mL (56.28 mM) H₂O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	SolventMassConcentration	1 mg	5 mg	10 mg
		1 mM	2.0843 mL	10.4214 mL	20.8429 mL
		5 mM	0.4169 mL	2.0843 mL	4.1686 mL
		10 mM	0.2084 mL	1.0421 mL	2.0843 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 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 (5.21 mM); Clear solution				
	此方案可获得 ≥ 2.5 mg/mL (5.21 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 (5.21 mM); Suspended solution; Need ultrasonic					



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	此方案可获得 2.5 mg/mL (5.21 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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。 3.请依序添加每种溶剂： 10% DMSO $\rightarrow$90% corn oil Solubility: $\geq$ 2.5 mg/mL (5.21 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (5.21 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]. Benned-Jensen T, et al. Ligand modulation of the Epstein-Barr virus-induced seven-transmembrane receptor EBI2: identification of a potent and efficacious inverse agonist. J Biol Chem. 2011 Aug 19;286(33):29292-302.
实验参考:	
Cell Assay	The effect of GSK682753A on cAMP-induced CREB activation is measured. GSK682753A at varying concentrations is added when the transfection is stopped with a DMSO concentration after compound addition of 0.1%. The CREB activity is determined 24 h after transfection using the LucLite substrate[1].
References	[1]. Benned-Jensen T, et al. Ligand modulation of the Epstein-Barr virus-induced seven-transmembrane receptor EBI2: identification of a potent and efficacious inverse agonist. J Biol Chem. 2011 Aug 19;286(33):29292-302.

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