

产品名称: **SGC707**

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生物活性:				
Description	SGC707 is a first-in-class PRMT3 chemical probe which is a potent, selective, and cell-active allosteric inhibitor of PRMT3 with IC50 of 31 nM. IC50 value: 31 nM Target: PRMT3 in vitro: SGC707 is the first PRMT3 chemical probe. SGC707 is a potent PRMT3 inhibitor (IC50=31±2 nM, KD=53±2 nM) with outstanding selectivity (selective against 31 other methyltransferases and more than 250 non-epigenetic targets). SGC707 can engage PRMT3 and effectively inhibit its catalytic activity in cells and that overexpressed PRMT3 can methylate histone H4 in cells. SGC707 stabilizes PRMT3 in both HEK293 and A549 cells with EC50 values of 1.3 μM and 1.6 μM in PRMT3 InCELL Hunter Assays. in vivo: SGC707 is bioavailable and suitable for animal studies. This well characterized chemical probe is an excellent tool to further study the role of PRMT3 in health and disease. We assessed in vivo pharmacokinetic (PK) properties of SGC707. Intraperitoneal injection of SGC707 at 30 mg/kg gave good plasma exposure in CD-1 male mice over 6 h with the peak plasma level of 38000 nM. The plasma level of SGC707 at 6 h post injection was 208 nM, more than 2-fold higher than its IC50 value in the cellular assay and the half-life of SGC707 was about 1 h. This mdose was well tolerated by the test animals. These results suggest that SGC707 is suitable for animal studies in addition to cell-based studies.			
	<div>In Vitro:</div> <div>DMSO : ≥ 100 mg/mL (335.19 mM)</div> <div>* "≥" means soluble, but saturation unknown.</div>			
Solvent&Solubility	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	3.3519 mL	16.7594 mL
		5 mM	0.6704 mL	3.3519 mL
		10 mM	0.3352 mL	1.6759 mL
	10 mg			
<div>*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。</div> <div>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。</div> <div>In Vivo:</div> <div>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：</div> <div>——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</div> <div>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</div> <div>Solubility: ≥ 3 mg/mL (10.06 mM); Clear solution</div> <div>此方案可获得 ≥ 3 mg/mL (10.06 mM, 饱和度未知) 的澄清溶液。</div> <div>以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀</div> <div>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。</div> <div>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</div> <div>Solubility: ≥ 3 mg/mL (10.06 mM); Clear solution</div>				

	<p>此方案可获得 $\geq 3 \text{ mg/mL}$ (10.06 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 30.0 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 \rightarrow 90% corn oil</p> <p>Solubility: $\geq 3 \text{ mg/mL}$ (10.06 mM); Clear solution</p> <p>此方案可获得 $\geq 3 \text{ mg/mL}$ (10.06 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 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. <u>Kaniskan H?, et al. A potent, selective and cell-active allosteric inhibitor of protein arginine methyltransferase 3 (PRMT3). Angew Chem Int Ed Engl. 2015 Apr 20;54(17):5166-70.</u></p>



源叶生物