

产品名称：**RG108**  
产品别名：**RG108**

生物活性:				
Description	RG108 (N-Phthalyl-L-tryptophan) is a non-nucleoside DNA methyltransferases (DNMTs) inhibitor (IC50=115 nM) that blocks the DNMTs active site. RG108 (N-Phthalyl-L-tryptophan) causes demethylation and reactivation of tumor suppressor genes, but it does not affect the methylation of centromeric satellite sequences[1][2][3].			
IC <sub>50</sub> & Target [1]	CpG methylase M.SssI			
	115 nM (IC <sub>50</sub> )			
In Vitro	RG108 effectively blocks DNA methyltransferases in vitro and does not cause covalent enzyme trapping in human cell lines. Incubation of cells with low micromolar concentrations of RG108 results in significant demethylation of genomic DNA without any detectable toxicity. Intriguingly, RG108 causes demethylation and reactivation of tumor suppressor genes, but it does not affect the methylation of centromeric satellite sequences[1]. In another study, the synthesis and in vitro analysis of a biotinylated RG108 conjugate is investigated to evaluate the interactions with DNA methyltransferase enzymes[2]. In a recent study, it is shown RG108 can significantly reduce the DNA methyltransferases activity in SM derived iPS cells as compared to the native SMS[3].			
Solvent&Solubility	<b>In Vitro:</b> <b>DMSO : 100 mg/mL (299.11 mM; Need ultrasonic)</b>			
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	2.9911 mL	14.9553 mL
		5 mM	0.5982 mL	2.9911 mL
		10 mM	0.2991 mL	1.4955 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。			
	<b>In Vivo:</b> 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 <b>In Vitro</b> 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 (7.48 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.48 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 (7.48 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.48 mM, 饱和度未知) 的澄清溶液。			

	<p>以 1 mL 工作液为例，取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-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 <math>\rightarrow</math>90% corn oil</p> <p>Solubility: <math>\geq</math> 2.5 mg/mL (7.48 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (7.48 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 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中，混合均匀。</p>
References	<p>[1]. Brueckner B, et al. <u>Epigenetic reactivation of tumor suppressor genes by a novel small-molecule inhibitor of human DNA methyltransferases</u>. Cancer Res. 2005 Jul 15;65(14):6305-11.</p> <p>[2]. Schirmacher E, et al. <u>Synthesis and in vitro evaluation of biotinylated RG108: a high affinity compound for studying binding interactions with human DNA methyltransferases</u>. Bioconjug Chem. 2006 Mar-Apr;17(2):261-6.</p> <p>[3]. Pasha Z, et al. <u>Efficient non-viral reprogramming of myoblasts to stemness with a single small molecule to generate cardiac progenitor cells</u>. PLoS One. 2011;6(8):e23667.</p>
实验参考：	
Kinase Assay	<p>The substrate DNA for the in vitro methylation assay is a 798 bp fragment (<math>-423/+375</math> relative to the initiation codon) from the promoter region of the human p16Ink4a gene. The methylation reaction contains 350 to 400 ng substrate DNA and 4 units of M.SssI methylase (0.5 <math>\mu</math>M) in a final volume of 50 <math>\mu</math>L. Inhibitors are added to final concentrations of 10, 100, 200, and 500 <math>\mu</math>M, respectively.</p> <p>Reactions are done at 37°C for 2 hours. After completion, the reaction is inactivated at 65°C for 15 minutes and the DNA is purified using PCR Purification kit. Three hundred nanograms of purified DNA is digested for 3 hours at 60°C with 30 units of BstUI and analyzed on 2% Tris-borate EDTA agarose gels. [1]</p>
References	<p>[1]. Brueckner B, et al. <u>Epigenetic reactivation of tumor suppressor genes by a novel small-molecule inhibitor of human DNA methyltransferases</u>. Cancer Res. 2005 Jul 15;65(14):6305-11.</p> <p>[2]. Schirmacher E, et al. <u>Synthesis and in vitro evaluation of biotinylated RG108: a high affinity compound for studying binding interactions with human DNA methyltransferases</u>. Bioconjug Chem. 2006 Mar-Apr;17(2):261-6.</p> <p>[3]. Pasha Z, et al. <u>Efficient non-viral reprogramming of myoblasts to stemness with a single small molecule to generate cardiac progenitor cells</u>. PLoS One. 2011;6(8):e23667.</p>