

产品名称: CPI-360
产品别名: CPI-360

生物活性:					
Description	<p>CPI-360 is a potent, selective EZH2inhibitor with IC50 of 0.5 nM and 2.5 nM nM for wt EZH2 and Y641N EZH2, respectively. IC50 value: 0.5 nM, 2.5 nM Target: EZH2 in vitro: CPI-360 functions on the basis of S-adenosyl-Lmethionine (SAM)-competition, inhibits EZH1 about 100-fold less and shows exquisite selectivity across a large panel of histone lysine and arginine, and DNA methyltransferases. CPI-360 potently reduced global H3K27me3 and H3K27me2 levels in a dosedependent manner. CPI-360 effectively suppressed heavy H3K27me3 incorporation in KARPAS-422 cells without affecting total histone turnover. CPI-360 treatment causes time-dependent transcriptional changes in germinal center B cell-like diffuse large B cell lymphoma. in vivo: Twice daily, subcutaneous administration of 200 mg/kg of CPI-360 reduced tumor growth (TGI 44%) of KARPAS-422 xenografts in mice without affecting body weight or causing any overt adverse effects. CPI-360 completely inhibits EZH2 catalytic activity, since we entirely suppress H3K27me3 turnover over time.</p>				
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : ≥ 48.9 mg/mL (111.76 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p>				
	<div>Preparing Stock Solutions</div>	<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg	10 mg
		1 mM	2.2856 mL	11.4278 mL	22.8556 mL
		5 mM	0.4571 mL	2.2856 mL	4.5711 mL
		10 mM	0.2286 mL	1.1428 mL	2.2856 mL
	<p>*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。</p> <p>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。</p> <p>In Vivo:</p> <p>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：</p> <p>——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</p>				
	<p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (5.71 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.71 mM, 饱和度未知) 的澄清溶液。</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 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。</p>				
	<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 (5.71 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.71 mM, 饱和度未知) 的澄清溶液。</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 生理盐水平溶液中，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 (5.71 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.71 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	<p>[1]. Bradley WD, et al. EZH2 inhibitor efficacy in non-Hodgkin's lymphoma does not require suppression of H3K27 monomethylation. Chem Biol. 2014 Nov 20;21(11):1463-1475.</p> <p>[2]. Bruno NC, et al. Design and Preparation of New Palladium Precatalysts for C-C and C-N Cross-Coupling Reactions. Chem Sci. 2013;4:916-920.</p>



源叶生物