

产品名称: **EPZ-6438**
 产品别名: **Tazemetostat**

生物活性:

Description	Tazemetostat (EPZ-6438) is a potent, selective and orally available EZH2 inhibitor. Tazemetostat (EPZ-6438) inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with a Ki value of 2.5 nM. Tazemetostat (EPZ-6438) inhibits EZH2 with IC50s of 11 and 16 nM in peptide assay and nucleosome assay, respectively. Tazemetostat (EPZ-6438) inhibits rat EZH2 with an IC50 of 4 nM. Tazemetostat (EPZ-6438) also inhibits EZH1 with an IC50 of 392 nM[1].			
IC50 & Target	EZH2 WT	EZH2	EZH2	
	2.5 nM (Ki)	11 nM (IC50, in peptide assay)	16 nM (IC50, in nucleosome assay)	
	Rat EZH2	EZH1		
	4 nM (IC50)	392 nM (IC50)		
In Vitro	Tazemetostat (EPZ-6438) inhibits multi wild-type and mutant lymphoma cell lines proliferation with IC50s of 0.49 nM-7.6 μM[1].			
	Cell Proliferation Assay[1]			
	Cell Line:	Wild-type and mutant lymphoma cell lines: DOHH-2 cell (EZH2 wild-type), Farage cell (EZH2 wild-type), OCI-LY19 cell (EZH2 wild-type), Toledo cell (EZH2 wild-type), KARPAS-422 (EZH2 Y646N), Pfeiffer (EZH2 A682G), RL cell line (EZH2 Y646N), SU-DHL-10 (EZH2 Y646F), SU-DHL-6 (EZH2 Y646N), WSU-DLCL2 (EZH2 Y646F)		
	Concentration:	0.49 nM-7.6 μM		
	Incubation Time:	11 days		
	Result:	Inhibited DOHH-2 cell (EZH2 wild-type; IC50=1.7 μM), Farage cell (EZH2 wild-type; IC50=99 nM), OCI-LY19 cell (EZH2 wild-type; IC50=6.2 μM), Toledo cell (EZH2 wild-type; IC50=7.6 μM), KARPAS-422 (EZH2 Y646N; IC50=1.8 nM), Pfeiffer (EZH2 A682G; IC50=0.49 nM), RL cell line (EZH2 Y646N; IC50=5.8 μM), SU-DHL-10 (EZH2 Y646F; IC50=5.8 nM), SU-DHL-6 (EZH2 Y646N; IC50=4.7 nM), WSU-DLCL2 (EZH2 Y646F; IC50=8.6 nM) proliferation.		
In Vivo	Tazemetostat (EPZ-6438; 250 or 500 mg/kg twice daily for 21-28 days) practically eliminates the fast-growing G401 tumors[1].			
	Animal Model:	SCID mice bearing s.c. G401 xenografts[1]		
	Dosage:	125 mg/kg, 250 mg/kg, 500 mg/kg		
	Administration:	Oral; twice daily ; 28 days		
	Result:	Practically eliminated the fast-growing G401 tumors at 250 or 500 mg/kg.		
In Vitro:				
DMSO : 33 mg/mL (57.62 mM; Need ultrasonic)				
0.1 M HCL : 14.29 mg/mL (24.95 mM; ultrasonic and adjust pH to 5 with HCl)				
Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
	1 mM	1.7460 mL	8.7300 mL	17.4599 mL
	5 mM	0.3492 mL	1.7460 mL	3.4920 mL
	10 mM	0.1746 mL	0.8730 mL	1.7460 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				

<p>Solvent&Solubility</p>	<p>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><i>In Vivo:</i></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 Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (3.63 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 20.8 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) Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (3.63 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 20.8 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 →90% corn oil Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution</p> <p>此方案可获得 ≥ 2.08 mg/mL (3.63 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 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
<p>References</p>	<p>[1]. Knutson SK, et al. Durable tumor regression in genetically altered malignant rhabdoid tumors by inhibition of methyltransferaseEZH2. Proc Natl Acad Sci U S A. 2013 May 7;110(19):7922-7.</p>

源叶生物