

产品名称: Selinexor (KPT-330)

产品别名: Selinexor

生物活性:																										
Description	Selinexor (KPT-330), analog of KPT-185, is an orally bioavailable selective CRM1 inhibitor.																									
IC₅₀ & Target	CRM1																									
In Vitro	As the clinical candidate analog of KPT-185, KPT-330 exhibits similar effects on the viability of T-ALL cells and elicits rapid apoptotic response. KPT-330 also reduces cell growth in MOLT-4, Jurkat, HBP-ALL, KOPTK-1, SKW-3, and DND-41 cell lines, with IC ₅₀ values of 34-203 nM [1].																									
In Vivo	Selinexor (KPT-330) dramatically suppresses the growth of T-ALL cells (MOLT-4) and AML cells (MV4-11) in vivo, with little toxicity to normal haematopoietic cells [1]. In SCID mice with diffuse human MM bone lesions, KPT-330 inhibits MM-induced bone lysis and prolongs survival. Moreover, KPT-330 directly impairs osteoclastogenesis and bone resorption by blocking RANKL-induced NF-κB and NFATc1, with minimal impact on osteoblasts and BMSCs [2].																									
Solvent&Solubility	<p>In Vitro:</p> <p>DMSO : ≥ 48 mg/mL (108.28 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p> <table border="1"><thead><tr><th rowspan="2">Preparing Stock Solutions</th><th>Solvent / Mass</th><th>1 mg</th><th>5 mg</th><th>10 mg</th></tr><tr><th>Concentration</th><th></th><th></th><th></th></tr></thead><tbody><tr><td>1 mM</td><td>2.2558 mL</td><td>11.2788 mL</td><td>22.5576 mL</td></tr><tr><td>5 mM</td><td>0.4512 mL</td><td>2.2558 mL</td><td>4.5115 mL</td></tr><tr><td>10 mM</td><td>0.2256 mL</td><td>1.1279 mL</td><td>2.2558 mL</td></tr></tbody></table> <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 (5.64 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.64 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% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.64 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>					Preparing Stock Solutions	Solvent / Mass	1 mg	5 mg	10 mg	Concentration				1 mM	2.2558 mL	11.2788 mL	22.5576 mL	5 mM	0.4512 mL	2.2558 mL	4.5115 mL	10 mM	0.2256 mL	1.1279 mL	2.2558 mL
Preparing Stock Solutions	Solvent / Mass	1 mg	5 mg	10 mg																						
	Concentration																									
1 mM	2.2558 mL	11.2788 mL	22.5576 mL																							
5 mM	0.4512 mL	2.2558 mL	4.5115 mL																							
10 mM	0.2256 mL	1.1279 mL	2.2558 mL																							

References

- | |
|--|
| [1]. Etchin J, et al. KPT-330 inhibitor of CRM1 (XPO1)-mediated nuclear export has selective anti-leukaemic activity in preclinical models of T-cell acute lymphoblastic leukaemia and acute myeloid leukaemia. Br J Haematol. 2013 Apr;161(1):117-27. |
| [2]. Tai YT, et al. CRM1 inhibition induces tumor cell cytotoxicity and impairs osteoclastogenesis in multiple myeloma: molecular mechanisms and therapeutic implications. Leukemia. 2014 Jan;28(1):155-65. |



源叶生物