

产品名称: **KPT-8602**

产品别名: **Eltanexor**

生物活性:

Description	Eltanexor (KPT-8602) is a second-generation, highly specific and orally active exportin-1 (XPO1) inhibitor with potent anti-leukemic activity. Eltanexor (KPT-8602) inhibits XPO1-dependent nuclear export (EC <sub>50</sub> =60.9 nM) by directly targeting XPO1. Eltanexor (KPT-8602) induces caspase-dependent apoptosis in a panel of leukemic cell lines <sup>[1]</sup> .				
IC <sub>50</sub> & Target	XPO1 <sup>[1]</sup>				
In Vitro	KPT-8602 (2-6 nM; 72 hours) reduces cell viability in leukemia cell lines with EC50s ranging from 25 to 145 nM <sup>[1]</sup> .				
	KPT-8602 (1 nM; 16 hours) induces apoptosis in leukemia cell lines <sup>[1]</sup> .				
	Cell Viability Assay <sup>[1]</sup>				
	Cell Line:	T-ALL cells (Jurkat, MOLT-4, ALL-SIL, DND41, and HPB-ALL), B-ALL cells (BV173, EHEB, and REH), AML cells (MV4-11, MOLM13, K-562, and HL-60)			
	Concentration:	2, 4, 6 nM			
	Incubation Time:	72 hours			
	Result:	Cell viability was reduced with EC <sub>50</sub> values ranging from 25 to 145 nM.			
	Western Blot Analysis <sup>[1]</sup>				
	Cell Line:	T-ALL, B-ALL, AML cells			
	Concentration:	1 μM			
	Incubation Time:	16 hours			
	Result:	Appearance of cleaved caspase-3 substrate PARP as early as 6 hours.			
	In Vivo	KPT-8602 (15 mg/kg; oral gavage; daily for 12 days) shows potent anti-lymphoblastic leukemia activity <sup>[1]</sup> .			
Animal Model:		Female BALB/c mice (model with the JAK3 (M511I) mutation) <sup>[1]</sup>			
Dosage:		15 mg/kg			
Administration:		Oral gavage; daily for 12 days			
Result:		Showed a marked reduction in total white blood cell (WBC) counts after 2 days of treatment compared to placebo-treated animals and the WBC counts continued to drop until they reached normal levels (<10,000 cells/μL) by day 12.			
	In Vitro:				
	DMSO : ≥ 100 mg/mL (233.49 mM)				
	H <sub>2</sub> O : < 0.1 mg/mL (insoluble)				
	* "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.3349 mL	11.6743 mL	23.3487 mL
		5 mM	0.4670 mL	2.3349 mL	4.6697 mL
		10 mM	0.2335 mL	1.1674 mL	2.3349 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°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><b>Solvent&amp;Solubility</b></p>	<p><b><i>In Vivo:</i></b></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 <b>In Vitro</b> 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: ≥ 2.5 mg/mL (5.84 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.84 mM，饱和度未知) 的澄清溶液。</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 400 <math>\mu</math>L PEG300 中，混合均匀向上述体系中加入 50 <math>\mu</math>L Tween-80，混合均匀；然后继续加入 450 <math>\mu</math>L 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-<math>\beta</math>-CD in saline) Solubility: 2.5 mg/mL (5.84 mM); Suspended solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (5.84 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。</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 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液中，混合均匀。</p> <p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.84 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.84 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>
<p><b>References</b></p>	<p>[1]. <a href="#">Vercruysse T et al. The second-generation exportin-1 inhibitor KPT-8602 demonstrates potent activity against acute lymphoblastic leukemia. Clin Cancer Res. 2016 Oct 25.</a></p>

源叶生物