



上海源叶生物科技有限公司
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产品名称: CX-6258

产品别名: CX-6258

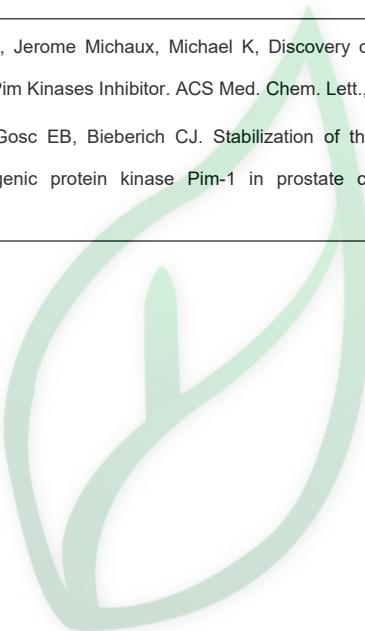
生物活性:

Description	CX-6258 is a potent and kinase selective pan-Pim kinases inhibitor, with IC ₅₀ s of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively[1].				
IC ₅₀ & Target	IC50: 5 nM (Pim-1), 25 nM (Pim-2), 16 nM (Pim-3)[1]				
In Vitro	<p>CX-6258 causes dose dependent inhibition of the phosphorylation of two pro-survival proteins, Bad and 4E-BP1, at the Pim kinase specific sites S112 and S65 and T37/46, respectively[1].</p> <p>CX-6258 treatment (12 mM, 3 h) treatment diminishes steady-state levels of ectopic NKX3.1 in PC3 cells[2].</p> <p>CX-6258 treatment results in a significant reduction in NKX3.1 half-life[2].</p>				
	Western Blot Analysis[1]				
	Cell Line:	MV-4-11 human AML cells			
	Concentration:	0.1 μM, 1 μM, 10 μM			
	Incubation Time:	2 hours			
	Result:	Caused dose dependent inhibition of the phosphorylation of two pro-survival proteins, Bad and 4E-BP1, at the Pim kinase specific sites S112 and S65 and T37/46, respectively.			
In Vivo	<p>CX-6258 (50-100 mg/kg; p.o; daily; over a period of 21 days) exhibits robust in vivo efficacy in two Pim kinases driven tumor models[1].</p>				
	Animal Model:	Nude mice, MV-4-11 xenograft models[1]			
	Dosage:	50 mg/kg, 100 mg/kg			
	Administration:	Oral administration; once daily; over a period of 21 days			
	Result:	Exhibited dose dependent efficacy, with a 50 mg/kg dose producing 45% tumor growth inhibition (TGI) and a 100 mg/kg dose producing 75% TGI.			
	<p>In Vitro:</p> <p>DMSO : ≥ 50 mg/mL (108.24 mM)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <p>* "≥" means soluble, but saturation unknown.</p>				
	Preparing Stock Solutions	Solvent / Mass Concentration	1 mg	5 mg	10 mg
		1 mM	2.1648 mL	10.8239 mL	21.6478 mL
		5 mM	0.4330 mL	2.1648 mL	4.3296 mL
		10 mM	0.2165 mL	1.0824 mL	2.1648 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>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</p>				



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Solvent&Solubility	<p>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: ≥ 2.75 mg/mL (5.95 mM); Clear solution</p> <p>此方案可获得 ≥ 2.75 mg/mL (5.95 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例，取 100 μL 27.5 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>
References	<p>[1]. Mustapha Haddach, Jerome Michaux, Michael K, Discovery of CX-6258. A Potent, Selective, and Orally Efficacious pan-Pim Kinases Inhibitor. ACS Med. Chem. Lett., 2012, 3 (2), pp 135-139</p> <p>[2]. Padmanabhan A, Gosc EB, Bieberich CJ. Stabilization of the prostate-specific tumor suppressor NKX3.1 by the oncogenic protein kinase Pim-1 in prostate cancer cells. J Cell Biochem. 2013 May;114(5):1050-7.</p>



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