



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: Poloxin
产品别名: Poloxin

生物活性:					
Description	Poloxin is a non-ATP competitive Polo-like Kinase 1 (PLK1) inhibitor that targets the polo-box domain, with an IC50 of appr 4.8 μM.				
IC50 & Target	PLK1 PBD	PLK2 PBD	PLK3 PBD		
	4.8 μM (IC50)	18.7 μM (IC50)	53.9 μM (IC50)		
In Vitro	Poloxin (25 μM) induces defects in centrosome integrity, spindle formation, and chromosome alignment in mitosis. Centrosomal fragmentation induced by Poloxin is partially rescued by Kiz T379E. Poloxin (25 μM) activates the mitotic checkpoint, induces apoptosis and inhibits proliferation of MDA-MB-231 cells[1]. Poloxin inhibits proliferation in both cell lines with a comparable efficiency through 72 h period[2]. Poloxin inhibits the polo-box domain (PBD) interaction with an apparent IC50 of ~4.8 μM. Poloxin exhibits a loose Plk1 PBD specificity with 4-10 times higher IC50 values for Plk2 and Plk3, and does not significantly inhibit other types of phosphopeptide-binding domains such as FHA, WW, and SH2 domains[3].				
In Vivo	Poloxin (40 mg/kg) decreases the proliferation of MDA-MB-231 cells, and surpresses the growth of the tumor nude mice bearing established xenografts of MDA-MB-231[1].				
Solvent&Solubility	In Vitro:				
	DMSO : 14.29 mg/mL (48.06 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
		1 mM	3.3630 mL	16.8152 mL	33.6304 mL
		5 mM	0.6726 mL	3.3630 mL	6.7261 mL
		10 mM	0.3363 mL	1.6815 mL	3.3630 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。				
	In Vivo:				
	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:				
——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					
1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline					
Solubility: ≥ 1.43 mg/mL (4.81 mM); Clear solution					
此方案可获得 ≥ 1.43 mg/mL (4.81 mM, 饱和度未知) 的澄清溶液。					
以 1 mL 工作液为例, 取 100 μL 14.299999 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。					
2.请依序添加每种溶剂: 10% DMSO →90% corn oil					



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

	<p>Solubility: ≥ 1.43 mg/mL (4.81 mM); Clear solution</p> <p>此方案可获得 ≥ 1.43 mg/mL (4.81 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 14.299999 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]. Yuan J, et al. Polo-box domain inhibitor poloxin activates the spindle assembly checkpoint and inhibits tumor growth in vivo. Am J Pathol. 2011 Oct;179(4):2091-9.</p> <p>[2]. Sanhaji M, et al. p53 is not directly relevant to the response of Polo-like kinase 1 inhibitors. Cell Cycle. 2012 Feb 1;11(3):543-53.</p> <p>[3]. Lee KS, et al. Pinning down the polo-box domain. Chem Biol. 2008 May;15(5):415-6.</p> <p>[4]. Reindl W, et al. Inhibition of polo-like kinase 1 by blocking polo-box domain-dependent protein-protein interactions. Chem Biol. 2008 May;15(5):459-66.</p>
实验参考:	
Cell Assay	<p>Cell Viability Assay on treated cells in 96-well plates, based on viable cells. 20 μL of CellTiter-Blue® reagent is added to each well and then incubated at 37°C with 5% CO₂ for 4h before fluorescence reading using a Victor 1420 Multilabel Counter. All experiments are performed in triplicate and at least three independent experiments are performed. Data are presented as percentage compared with control. [2]</p>
Animal Administration	<p>Viable MDA-MB-231 or HeLa cells (1×10^6) are resuspended in 300 μL of 0.9% NaCl and s.c. injected into both flanks of nude mice (MDA-MB-231: n=8 mice in each group, total N=16; HeLa: n=7 mice in each group, total N=14). Approximately 3 weeks after inoculation, mice are treated with Poloxin (40 mg/kg) or TQ (20 mg/kg) by intratumoral injection on Mondays, Wednesdays, and Fridays for 5 to 6 weeks. The tumor area is calculated by multiplication of the greatest diameter with the perpendicular diameter every 2 to 3 days. Measurements of all tumors within the group are represented by the mean value. U-tests and Student's t-tests are performed for statistical evaluation among MDA-MB-231 groups and between HeLa groups, respectively. All mice are properly treated in accordance with the guidelines of the local animal committee. [1]</p>
References	<p>[1]. Yuan J, et al. Polo-box domain inhibitor poloxin activates the spindle assembly checkpoint and inhibits tumor growth in vivo. Am J Pathol. 2011 Oct;179(4):2091-9.</p> <p>[2]. Sanhaji M, et al. p53 is not directly relevant to the response of Polo-like kinase 1 inhibitors. Cell Cycle. 2012 Feb 1;11(3):543-53.</p> <p>[3]. Lee KS, et al. Pinning down the polo-box domain. Chem Biol. 2008 May;15(5):415-6.</p> <p>[4]. Reindl W, et al. Inhibition of polo-like kinase 1 by blocking polo-box domain-dependent protein-protein interactions. Chem Biol. 2008 May;15(5):459-66.</p>