



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: THZ2
产品别名: THZ2

生物活性:						
Description	THZ2 is a potent and selective CDK7 inhibitor with an IC ₅₀ of 13.9 nM.					
IC ₅₀ & Target	CDK7	CDK1	CDK2	CDK5	CDK9	CDK8
	13.9 nM (IC ₅₀)	96.9 nM (IC ₅₀)	222 nM (IC ₅₀)	134 nM (IC ₅₀)	194 nM (IC ₅₀)	6830 nM (IC ₅₀)
In Vitro	THZ2 selectively targets CDK7 and potently inhibits the growth of triple-negative but not ER/PR ⁺ breast cancer cells. THZ2 at low nanomolar doses also efficiently suppresses the clonogenic growth of TNBC cells with IC ₅₀ of appr 10 nM. THZ2 induces apoptotic cell death in triple-negative but not ER/PR ⁺ breast cancer cells or normal human cells ^[1] .					
In Vivo	THZ2 (10 mg/Kg) markedly reduces the growth rate of tumors in mice and demonstrates an anti-tumor activity. Compared to vehicle-treated tumors, tumor tissues isolated from mice treated with THZ2 has reduced proliferation and increased apoptosis, as indicated by immunostaining against Ki67 and cleaved Caspase 3 respectively. THZ2 in NOD-SCID mice leads to reduced body weight, suggesting that THZ2 may be less well-tolerated in this particular mouse strain ^[1] .					
Solvent&Solubility	In Vitro: DMSO : ≥ 39 mg/mL (68.90 mM) * "≥" means soluble, but saturation unknown.					
	<div>Preparing Stock Solutions</div>	<div>Solvent Mass Concentration</div>	1 mg	5 mg	10 mg	
		1 mM	1.7666 mL	8.8331 mL	17.6663 mL	
		5 mM	0.3533 mL	1.7666 mL	3.5333 mL	
		10 mM	0.1767 mL	0.8833 mL	1.7666 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。					
References	[1]. Wang Y, et al. CDK7-Dependent Transcriptional Addiction in Triple-Negative Breast Cancer. Cell. 2015 Sep 24;163(1):174-186.					
实验参考:						
Cell Assay	For 96-well plate assay, cells are plated at the density of 2000 cells per well, and on the next day treated with THZ1 or THZ2 of various concentrations. After 48-hour incubation, cells are fixed and stained with crystal violet. The staining is then extracted by adding each well with 10% acetic acid, with absorbance measured at 590 nm with 750 nm as a reference.					
	Mice: Nude mice (CrTac:NCr-Foxn1nu) are γ-irradiated with a single dose of 400 rads six hours before transplantation of cells. Breast cancer cells are harvested and resuspended in 40% Matrigel-Basement Membrane Matrix, LDEV-free, and then injected (100 μL per site) into the fourth pair of mammary fat pads of mice. Tumors are measured in two dimensions by using manual calipers. Tumor volume is calculated using the formula: V=0.5× length × width × width. Animal with					



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Animal Administration	tumor established (mean tumor volume of appr 200 mm ³) are randomly divided into two groups, which are then treated with vehicle (10% DMSO in D5W, 5% dextrose in water) or THZ2 (3 mg/mL, prepared in vehicle solutions) at the dose of 10 mg/kg intraperitoneally twice daily. Tumor volume is measure every 2-3 days. Upon harvesting tumors, tumors are cut into half, with one half fixed in formalin overnight and then in 70% ethanol for histopathology analysis, and the other half snap frozen in liquid nitrogen for immunoblotting. [1]
References	[1]. Wang Y, et al. CDK7-Dependent Transcriptional Addiction in Triple-Negative Breast Cancer. Cell. 2015 Sep 24;163(1):174-186.



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