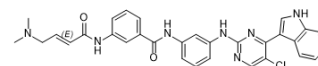


## THZ2

<b>Cat. No.:</b>	HY-12280		
<b>CAS No.:</b>	1604810-84-5		
<b>Molecular Formula:</b>	C <sub>31</sub> H <sub>28</sub> ClN <sub>7</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	566.05		
<b>Target:</b>	CDK		
<b>Pathway:</b>	Cell Cycle/DNA Damage		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 21.67 mg/mL (38.28 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
<b>Preparing Stock Solutions</b>	<b>1 mM</b>	1.7666 mL	8.8331 mL	17.6663 mL
	<b>5 mM</b>	0.3533 mL	1.7666 mL	3.5333 mL
	<b>10 mM</b>	0.1767 mL	0.8833 mL	1.7666 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.17 mg/mL (3.83 mM); Clear solution  2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.17 mg/mL (3.83 mM); Clear solution			

### BIOLOGICAL ACTIVITY

<b>Description</b>	THZ2 is a potent and selective CDK7 inhibitor with an IC <sub>50</sub> of 13.9 nM.			
<b>IC<sub>50</sub> &amp; Target</b>	CDK7	CDK1	CDK2	CDK5
	13.9 nM (IC <sub>50</sub> )	96.9 nM (IC <sub>50</sub> )	222 nM (IC <sub>50</sub> )	134 nM (IC <sub>50</sub> )
	CDK9	CDK8		
	194 nM (IC <sub>50</sub> )	6830 nM (IC <sub>50</sub> )		
<b>In Vitro</b>	THZ2 selectively targets CDK7 and potently inhibits the growth of triple-negative but not ER/PR <sup>+</sup> breast cancer cells. THZ2 at low nanomolar doses also efficiently suppresses the clonogenic growth of TNBC cells with IC <sub>50</sub> of appr 10 nM. THZ2 induces			

apoptotic cell death in triple-negative but not ER/PR<sup>+</sup> breast cancer cells or normal human cells<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### 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<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[1]</sup>

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.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration <sup>[1]</sup>

Mice: Nude mice (CrTac:NCr-Foxn1nu) are  $\gamma$ -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  $\mu$ L 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:  $V=0.5 \times \text{length} \times \text{width} \times \text{width}$ . Animal with tumor established (mean tumor volume of approx 200 mm<sup>3</sup>) 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 measured 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.  
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## CUSTOMER VALIDATION

- Nat Cell Biol. 2020 Aug;22(8):986-998.
- bioRxiv. 2020 Apr.

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## REFERENCES

[1]. Wang Y, et al. CDK7-Dependent Transcriptional Addiction in Triple-Negative Breast Cancer. Cell. 2015 Sep 24;163(1):174-186.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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