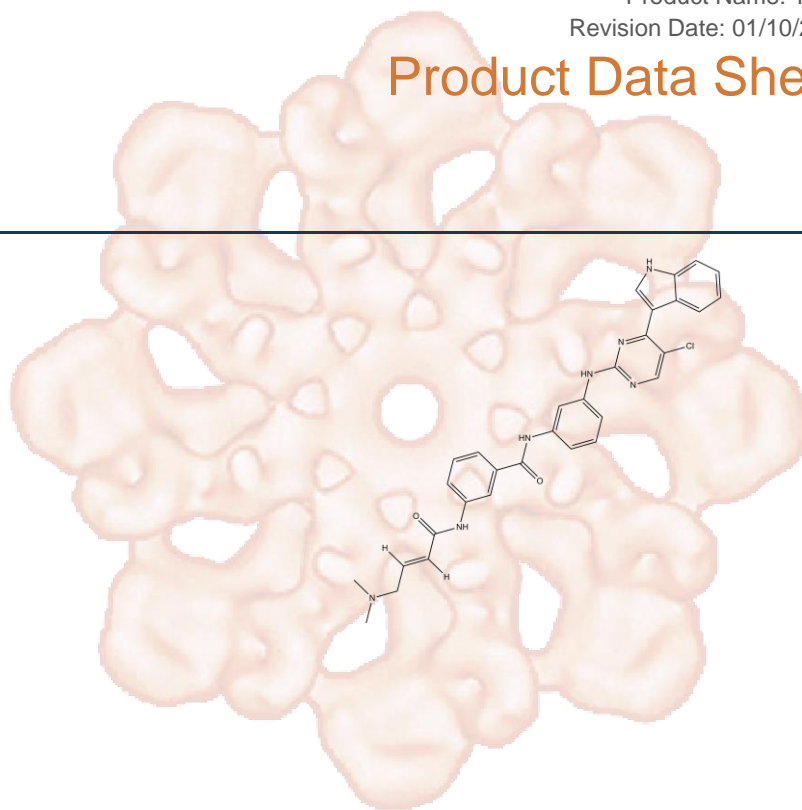


Product Data Sheet

THZ2

Cat. No.:	A8717
CAS No.:	1604810-84-5
Formula:	C ₃₁ H ₂₈ CIN ₇ O ₂
M.Wt:	566.05
Synonyms:	CDK7-IN-1
Target:	Cell Cycle/Checkpoint
Pathway:	Cyclin-Dependent Kinases
Storage:	Store at -20°C



Solvent & Solubility

≥28.3mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	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

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

CDK7 inhibitor

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line:	TNBC cells
Preparation method:	The solubility of this compound in DMSO is > 28.3 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	0.1 ~ 10000 nM; 7 days
Applications:	At low nanomolar doses, THZ2 efficiently suppressed the cell growth of TNBC

cells (IC50 = ~ 10 nM). Besides, THZ2 also induced apoptotic cell death in triple-negative, but not ER/PR+, breast cancer cells or normal human cells. Meanwhile, THZ2 did not elicit an obvious alteration in cell cycle.

Animal experiment

Animal models: Nude mice bearing triple-negative breast tumors

Dosage form: 10 mg/kg; i.p.; 50 hrs or 25 days

Applications: In nude mice bearing triple-negative breast tumors, THZ2 significantly reduced the growth rate of tumors without affecting body weight. Moreover, both acute (50 hrs) and chronic exposure (25 days) to THZ2 substantially reduced CTD phosphorylation of RNAPII at all 3 phosphorylation sites (i.e. S2, S5 and S7), which indicated that CDK7 was efficiently inhibited in the tumor cells. According to the immunostaining analysis results of tumor tissues isolated from mice treated with THZ2, reduced proliferation and increased apoptosis were observed.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

In Vivo

Product Citations

1. Huang JR, Qin WM, et al. "Cyclin-dependent kinase 7 inhibitor THZ2 inhibits the growth of human gastric cancer in vitro and in vivo." Am J Transl Res. 2018 Nov 15;10(11):3664-3676.PMID:30662617

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References

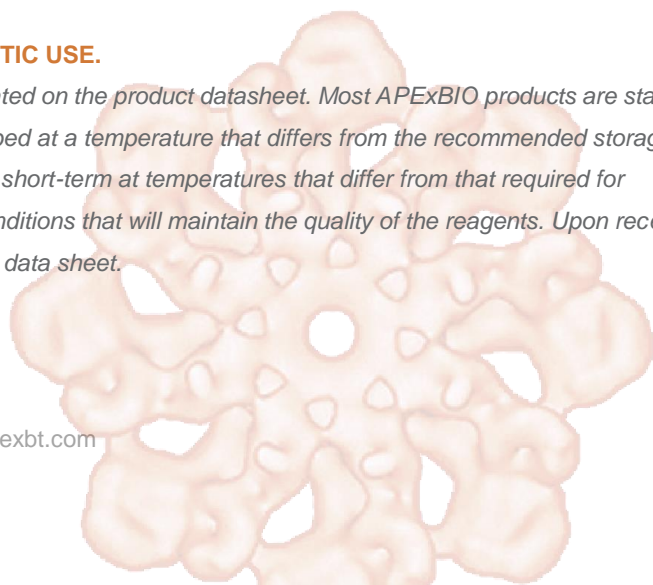
[1]. Wang Y, Zhang T, Kwiatkowski N et al. CDK7-dependent transcriptional addiction in triple-negative breast cancer. Cell. 2015 Sep 24;163(1):174-86.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX^{BIO} products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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