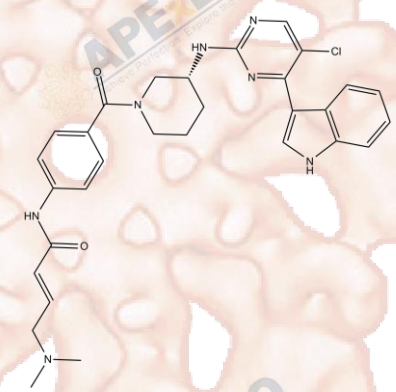
Product Data Sheet

THZ531



Cat. No.:	A8736
CAS No.:	1702809-17-3
Formula:	C30H32ClN7O2
M.Wt:	558.07
Synonyms:	
Target:	Cell Cycle/Checkpoint
Pathway:	Cyclin-Dependent Kinases
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥55.8 mg/mL in DMSO; insoluble in H2O; ≥4.9 mg/mL in EtOH

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.7919 mL	8.9594 mL	17.9189 mL
5 mM	0.3584 mL	1.7919 mL	3.5838 mL
10 mM	0.1792 mL	0.8959 mL	1.7919 mL

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

Biological Activity

Shortsummary	CDK12 and CDK13 covalent inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Jurkat cells
Preparation method:	This compound is soluble in DMSO. 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:	50-1200 nM, 6 h

	Applications:	THZ531 treatment led to a dramatic and irreversible decrease in Jurkat cell proliferation with an IC50 of 50 nM. Treatment with escalating doses of THZ531 displayed a dose- and time-dependent increase in the number of cells exhibiting sub-G1 content. Higher doses of THZ531 led to a pronounced annexin V signal, with 30–40% annexin-V-stained cells by 72 h. THZ531 selectively reduced Ser2 phosphorylation levels without appreciable effect on CTD pSer5 or pSer7 levels. Treatment with 50 nM THZ531 resulted in the loss of expression of a small subset of genes.
In Vivo	**Animal experiment**	
	Applications:	
	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.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Zhang T, Kwiatkowski N, Olson C M, et al. Covalent targeting of remote cysteine residues to develop CDK12 and CDK13 inhibitors[J]. Nature chemical biology, 2016.

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 APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm 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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