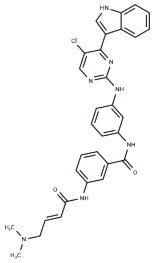
Data Sheet (Cat.No.T7296)

TargetMol

THZ2

Chemical Properties



CAS No. : 1604810-84-5

Formula: C31H28ClN7O2

Molecular Weight: 566.05

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	THZ2 (CDK7-IN-1), an analog of THZ1, is a potent and selective CDK7 inhibitor(IC50:13.9 nM),with the potential to treat Triple-negative breast cancer (TNBC).
Targets(IC50)	CDK
In vitro	The survivals of all six cell lines were decreased in a dose-dependent manner after THZ2 treatment. GES-1 cells were most insensitive to THZ2 with the highest IC50 values of 2.45 μM. The IC50 values of THZ2 in AGS, BGC-823, MGC-803, MKN-45 and SGC-7901 were 0.19 μM, 0.69 μM, 0.74 μM, 0.73 μM and 0.68 μM respectively, which were positively correlated with the protein expression of CDK7 in these cells[1].
In vivo	Generated the xenograft tumor models by transplanting BGC-823 cells into nude mice. Compared with the control group, treatment with THZ2 significantly inhibited the growth of BGC-823 tumors by diminishing the volume and weight of tumors, but did not change the the weight of nude mice. The inhibition rate of tumor growth in THZ2 treatment group was 44.00%[1].
Cell Research	Cells were firstly seeded into a 96-well plate at a density of 3000 cells per well, and incubated with THZ2 in three parallel wells for 72 h. Then MTT was added to each well at a final concentration of 0.5 mg/ml. After incubation for 4 h, formazan crystals were dissolved in 100 μl of DMSO, and absorbance at 570 nm was measured by plate reader. The concentrations required to inhibit growth by 50% (IC50) were calculated from survival curves using the Bliss method[1].
Animal Research	Balb/c nude mice feed on sterilized food and water. Six female nude mice with 5 weeks old were used for two groups. Each mouse was injected subcutaneously with BGC-823 cells (3 × 10^6 in 100 μl of medium) under the shoulder. When the subcutaneous tumors were approximately 0.3 × 0.3 cm^2 (two perpendicular diameters) in size, mice were randomized into two groups, and were injected intraperitoneally with vehicle alone (0.9% saline) and THZ2 (10 mg/kg) once/day at first 16 days and twice/day at last 6 days. The body weights of mice and the two perpendicular diameters (A and B) of tumors were recorded. The tumor volume (V) was calculated according to the formula: V = (π/6) × [(A+B)/2]^3. The mice were anaesthetized after experiment, and tumor tissue was excised from the mice and weight. The rate of inhibition (IR) was calculated according to the formula: IR = [1 - (Mean tumor weight of experimental group/Mean tumor weight of control group)] × 100%[1].

Solubility Information

Solubility	DMSO: 25 mg/mL (44.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (4.42 mM),Solution. 10% DMSO+90% Saline: < 2.5 mg/mL (4.42 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	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
50 mM	0.0353 mL	0.1767 mL	0.3533 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Huang JR, Qin WM, Wang K,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.
Jiang L, Yu Y, Li Z, et al.BMS-265246, a Cyclin-Dependent Kinase Inhibitor, Inhibits the Infection of Herpes Simplex Virus Type 1.Viruses.2023, 15(8): 1642.
CDK7-dependent transcriptional addiction in triple-negative breast cancer.

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