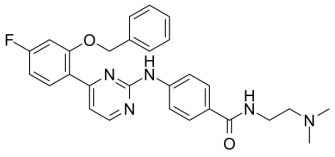
CDK9-IN-22

Cat. No.:	HY-151984
CAS No.:	2872677-61-5
Molecular Formula:	$C_{28}H_{28}FN_5O_2$
Molecular Weight:	485.55
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CDK9-IN-22 is a potent CDK9 inhibitor with IC_{50}s of 10.4, 876.2 nM for CDK9, CDK, respectively. CDK9-IN-22 induces apoptosis and cell cycle arrests at G2/M phase. CDK9-IN-22 decreases the expression of p-RNAPII (S2) and CDK9 protein. CDK9-IN-22 shows antiproliferative and aiti-tumor activity[1].

IC_{50} & Target

CDK9/cyclinT1 10.4 nM (IC_{50})	cdk2/cyclin A 876.2 nM (IC_{50})

In Vitro

CDK9-IN-22 (compound 8 d) (0.1, 0.5, 2.5 μM; 24, 48 h) induces apoptosis and cell cycle arrests at G2/M phase in a concentration-dependent manner in PANC-1 cells[1].

CDK9-IN-22 (0.1, 0.5, 2.5 μM; 24 h) decreases the expression of p-RNAPII (S2) and CDK9 protein in PANC-1 cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	A549, H1975, A431, PANC-1, HCT-116, LO2 cells
Concentration:	0-100 μM
Incubation Time:	72 h
Result:	Showed antiproliferative activity with IC_{50}s of 0.66, 0.43, 0.10, 0.08, 0.09, 1.43 μM for A549, H1975, A431, PANC-1, HCT-116, LO2 cells, respectively.

Apoptosis Analysis[1]

Cell Line:	PANC-1 cells
Concentration:	0.1, 0.5, 2.5 μM
Incubation Time:	48 h
Result:	Induced apoptosis with the percentage of total apoptotic cells was 43.6, 54.1 and 65.8% at 0.1, 0.5 and 2.5 μM, respectively.

Cell Cycle Analysis[1]

Cell Line:	PANC-1 cells
Concentration:	0.1, 0.5, 2.5 μM
Incubation Time:	24 h
Result:	Arrested the cell cycle at the G2/M phase in a dose-dependent manner (21.83% for 0.1 μM, 25.85% for 0.5 μM and 34.26% for 2.5 μM).

Western Blot Analysis[1]

Cell Line:	PANC-1 cells
Concentration:	0.1, 0.5, 2.5 μM
Incubation Time:	24 h
Result:	Decreased the expression of p-RNAPII (S2) and CDK9 protein in a dose-dependent manner.

In Vivo

CDK9-IN-22 (5, 10, 20 mg/kg; i.p.; every other day for four weeks) inhibits tumor growth in xenograft murine model[1].

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

Animal Model:	BALB/c nude mice (PANC-1 tumor xenograft murine model)[1]
Dosage:	5, 10, 20 mg/kg
Administration:	I.p.; every other day for four weeks
Result:	Inhibited the tumor growth with the tumor inhibition rate (TIR) was 6.2, 32.6 and 54.2% at the dose of 5, 10 and 20 mg/kg, respectively.

REFERENCES

[1]. Xu Z, et al. Design, synthesis and anticancer evaluation of selective 2,4-disubstituted pyrimidine CDK9 inhibitors. Eur J Med Chem. 2022 Dec 15;244:114875.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA