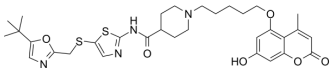
CDK9-IN-28

Cat. No.:	HY-156083
CAS No.:	3020773-81-0
Molecular Formula:	$C_{32}H_{40}N_4O_6S_2$
Molecular Weight:	640.81
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PROTAC CDK9/CycT1 Degrader-1 (compounds 10) is a potent inhibitor of CDK9. PROTAC CDK9/CycT1 Degrader-1 can be used as a PROTAC target protein ligand for PROTAC synthesis. PROTAC CDK9/CycT1 Degrader-1 shows strong anti-proliferative activity in solid tumors[1].

IC_{50} & Target

CDK9/cyclinT1

In Vitro

CDK9-IN-28 shows obvious CDK9 degradation in U-2932 cells and has obvious anti-proliferation activity in tumors[1].

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

Western Blot Analysis[1]

Cell Line:	U-2932 cells
Concentration:	100nM
Incubation Time:	6h
Result:	CDK9 degradation induced apoptosis, and degradation through autophagy.

In Vivo

CDK9-IN-28 (5 mg/kg, i.p., once a day for 15 days) inhibits the growth of tumors in MV4-11 Tumor Nude mice model[1].

CDK9-IN-28 (1mg/kg, i.v.,5 mg/kg, i.p., 15 days) exhibits an acceptable half-life (T1/2:4.66h) and moderate bioavailability (F = 43.1%) in ICR (CD-1) mice model[1]

[1]

	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	AUC_{0-t} (h*ng/mL)	CL_obs (mL/min/kg)	MRT_{INF_obs} (h)	Vss_obs (mL/kg)	F (%)
i.p. 5 mg/kg	4.66	0.25	88.4	156	/	4.17	/	43.1
i.v. 1 mg/kg	1.97	/	/	72.3	229	0.79	10480	/

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

Animal Model:	MV4-11 tumor nude mice
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection, once a day for 15 days.
Result:	Effective delayed of tumor growth but weight did not lose.

REFERENCES

[1]. Zeng Y, et al. Degradation of Cyclin-Dependent Kinase 9/Cyclin T1 by Optimized Microtubule-Associated Protein 1 Light Chain 3 Beta-Recruiting Coumarin Analogs. J Med Chem. 2023 Sep 6.

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