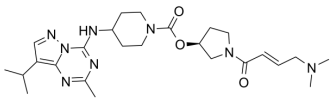
CDK7-IN-8

Cat. No.:	HY-143586
CAS No.:	2654003-64-0
Molecular Formula:	$C_{25}H_{38}N_8O_3$
Molecular Weight:	498.62
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CDK7-IN-8 is a potent CDK7 inhibitor with IC_{50} of 54.29 nM. CDK7-IN-8 has inhibitory effect on certain cancer cells and in vivo tumor models[1].

IC_{50} & Target

CDK7
54.29 nM (IC_{50})

In Vitro

CDK7-IN-8 (0-100 nM; 72 hours) has inhibitory effect on HCC70, OVCAR-3, HCT116 and HCC1806 cells, with IC_{50} of 50.85 nM, 45.31 nM, 25.26 nM and 44.47 nM respectively[1].

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

Cell Proliferation Assay

Cell Line:	HCC70, OVCAR-3, HCT116 and HCC1806 cells[1]
Concentration:	0-100 nM
Incubation Time:	72 hours
Result:	Exhibited inhibitory effect on HCC70, OVCAR-3, HCT116 and HCC1806 cells, with IC_{50} of 50.85 nM, 45.31 nM, 25.26 nM and 44.47 nM respectively.

In Vivo

CDK7-IN-8 (20 or 40 mg/kg; i.g., single) has good advantages Pharmacokinetic properties[1].

CDK7-IN-8 (25 mg/kg; p.o., qd, for 21 days) effectively inhibits tumor proliferation with tumor growth inhibition (TGI) value of 81.9%[1].

Pharmacokinetic Parameters of CDK7-IN-8 in male ICR mice[1].

	IG (20 mg/kg)	IG (40 mg/kg)
$T_{1/2}$ (h)	1.48	2.99
T_{max} (h)	0.50	4.67

C_{max} (ng/mL)	3379.92	783.01
AUC_{0-t} (h*ng/mL)	6258.34	7828.87
$AUC_{0-\infty}$ (h*ng/mL)	6375.00	7879.45

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

Animal Model:	Male ICR mice [1]
Dosage:	20 or 40 mg/kg
Administration:	i.g., single (pharmacokinetic analysis)
Result:	Showed good advantages Pharmacokinetic properties.

Animal Model:	Male BALB/c nude mice (injected with HCT116 tumor cells)[1]
Dosage:	25 mg/kg
Administration:	p.o., qd, for 21 days
Result:	Effectively inhibited tumor proliferation with tumor growth inhibition (TGI) value of 81.9%.

REFERENCES

[1]. Hu Yonghan, et al. Heterocyclic compound, and pharmaceutical composition thereof, preparation method therefor, intermediate thereof and application thereof. WO2021121390

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