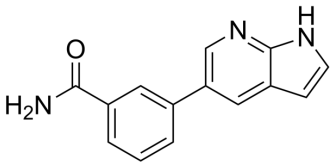
CDK8-IN-13

Cat. No.:	HY-149974
CAS No.:	918523-75-8
Molecular Formula:	$C_{14}H_{11}N_3O$
Molecular Weight:	237.26
Target:	Apoptosis; CDK
Pathway:	Apoptosis; Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (526.85 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2148 mL	21.0739 mL	42.1479 mL
	5 mM	0.8430 mL	4.2148 mL	8.4296 mL
	10 mM	0.4215 mL	2.1074 mL	4.2148 mL

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

BIOLOGICAL ACTIVITY

Description

CDK8-IN-13 is a potent, selective and orally active CDK8 inhibitor with an IC_{50} value of 51.9 nM. CDK8-IN-13 induces Apoptosis. CDK8-IN-13 decreases the expression of p-STAT1 S727 and p-STAT5 S726. CDK8-IN-13 shows antitumor activity[1].

IC_{50} & Target

CDK8
51.9 nM (IC_{50})

In Vitro

CDK8-IN-13 (compound 43; 1, 2.5, 5, 10 μM; 12 h) decreases the expression of p-STAT1 S727 and p-STAT5 S726 i a dose-dependent manner in HCT-116 cells[1].
CDK8-IN-13 (0, 1, 5, 10 μM; 48 h) induces apoptosis in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	molm-13, HL-60, MV4-11, MGC-803, MDA-MB-231, A375, A549 cells
Concentration:	0-50 μM

Incubation Time:	
Result:	Showed antiproliferative activity with GC_{50}s of 1.57, 1.00, 4.61, >50, >50, >50, >50 μM, respectively.

Western Blot Analysis[1]

Cell Line:	HCT-116 cells
Concentration:	1, 2.5, 5, 10 μM
Incubation Time:	12 h
Result:	Decreased the expression of p-STAT1 S727 and p-STAT5 S726, and suppressed the phosphorylation of STAT1 S727 induced by IFN-γ (10 ng/mL) in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	HL-60 cells
Concentration:	0, 1, 5, 10 μM
Incubation Time:	48 h
Result:	Induced approximately 7% and 36% apoptotic at concentrations of 5 and 10 μM, respectively.

In Vivo

CDK8-IN-13 (40, 80 mg/kg; p.o.; for 15 days) inhibits tumor growth in a dose-dependent manner in mouse[1].
Pharmacokinetic Parameters of CDK8-IN-13 in Sprague-Dawley rats[1].

dose/routes	$t_{1/2}$ (h)	T_{max} (h)	MRT(h)/td>	C_{max} (μg/L)	$AUC_{0-\infty}$ (μg/L × h)	CL (mL/h/kg)	F (%)
10 mg/kg (po)	1.40	1.00	2.47	206.1	434.09	17.12	28.00
2 mg/kg (iv)	0.81	0.083	1.50	230.7	310.02	7.05	/

Sprague-Dawley rats, 2 mg/kg iv; 10 mg/kg po[1]

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

Animal Model:	6-week-old Balb/C mice (C1498 cells)[1]
Dosage:	40, 80 mg/kg
Administration:	P.o.; for 15 days
Result:	Decreased the tumor growth with no significant weight loss, the expression of Ki67 decreased in a dose-dependent manner, the level of phosphorylation of STAT1 S727 in tumor tissues was downregulated.

REFERENCES

[1]. Zhang XX, et al. Discovery of a novel oral type Ⅱ CDK8 inhibitor against acute myeloid leukemia. Eur J Med Chem. 2023 May 5;251:115214.

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