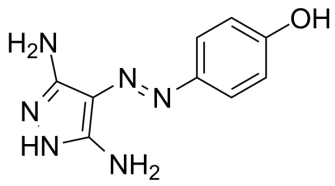
CAN508

Cat. No.:	HY-100429
CAS No.:	140651-18-9
Molecular Formula:	$C_9H_{10}N_6O$
Molecular Weight:	218
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (1146.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.5872 mL	22.9358 mL	45.8716 mL
	5 mM	0.9174 mL	4.5872 mL	9.1743 mL
	10 mM	0.4587 mL	2.2936 mL	4.5872 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (9.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CAN508 is a potent, ATP-competitive CDK9/cyclin T1 inhibitor with an IC_{50} of 0.35 μM. CAN508 exhibits a 38-fold selectivity for CDK9/cyclin T over other CDK/cyclin complexes. Antitumor activity[1][2].

IC_{50} & Target

CDK9/cyclinT1 0.35 μM (IC_{50})	CDK2/cyclinE 20 μM (IC_{50})	cdk2/cyclin A 69 μM (IC_{50})	Cdk4/cyclin D1 13.5 μM (IC_{50})
CDK7/cyclin H 26 μM (IC_{50})	Cdk1/cyclin B 44 μM (IC_{50})		

In Vitro

CAN508 reduces the frequency of S-phase cells of the cancer cell line HT-29 in antiproliferation assays[1].
CAN508 (20-40 μM; 72 hours) significantly reduces cell proliferation in a dose dependent manner in all three esophageal adenocarcinoma cell lines (SKGT4, OE33 and FLO-1 cells) with IC_{50}s ranging from 34.99 to 91.09 μM[2].
CAN508 (40 μM; 72 hours) increases apoptosis in all three esophageal adenocarcinoma cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	SKGT4, OE33 and FLO-1 cells
Concentration:	40 μM
Incubation Time:	72 hours
Result:	Increased apoptosis by 2 fold in all three esophageal adenocarcinoma cells compared to untreated controls.

In Vivo

CAN508 (60 mg/kg; i.p.; daily for 10 days) has antitumor effects in esophageal adenocarcinoma xenografts[1].

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

Animal Model:	4 weeks-old female nude mice (esophageal adenocarcinoma xenografts)[1]
Dosage:	60 mg/kg
Administration:	I.p.; daily for 10 days
Result:	Caused reduction of tumor growth starting from post-treatment day three with 50.83% reduction.

REFERENCES

[1]. Krystof V, et al. 4-arylazo-3,5-diamino-1H-pyrazole CDK inhibitors: SAR study, crystal structure in complex with CDK2, selectivity, and cellular effects. J Med Chem. 2006;49(22):6500-6509.

[2]. Tong Z, et al. Antitumor effects of cyclin dependent kinase 9 inhibition in esophageal adenocarcinoma. Oncotarget. 2017;8(17):28696-28710.

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