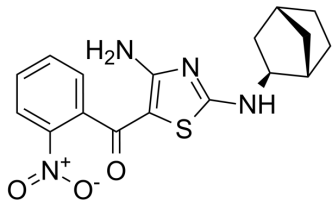
MC180295

Cat. No.:	HY-119940
CAS No.:	2237942-08-2
Molecular Formula:	$C_{17}H_{18}N_4O_3S$
Molecular Weight:	358.41
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (279.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7901 mL	13.9505 mL	27.9010 mL
	5 mM	0.5580 mL	2.7901 mL	5.5802 mL
	10 mM	0.2790 mL	1.3951 mL	2.7901 mL

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

BIOLOGICAL ACTIVITY

Description

MC180295 ((rel)-MC180295) is a potent and selective CDK9-Cyclin T1 inhibitor, with an IC_{50} of 5 nM, at least 22-fold more selective for CDK9 over other CDKs. MC180295 also inhibits GSK-3α and GSK-3β. MC180295 ((rel)-MC180295) has potent anti-tumor effect[1].

IC_{50} & Target

CDK9- Cyclin T1 5 nM (IC_{50})	CDK4-Cyclin D 112 nM (IC_{50})	CDK1-Cyclin B 138 nM (IC_{50})	cdk5-p35 159 nM (IC_{50})
cdk5-p25 186 nM (IC_{50})	cdk2-cyclin A 233 nM (IC_{50})	cdk2-cyclin E 367 nM (IC_{50})	CDK3-Cyclin E 399 nM (IC_{50})
CDK7-CycH/MAT1 555 nM (IC_{50})	cdk6-cyclin D3 712 nM (IC_{50})		

In Vitro

MC180295 is a potent and selective CDK9-Cyclin T1 inhibitor, with an IC_{50} of 5 nM, at least 22-fold more selective for CDK9 over other CDKs, such as CDK1-Cyclin B (IC_{50}, 138 nM), CDK2-Cyclin A (IC_{50}, 233 nM), CDK2-Cyclin E (IC_{50}, 367 nM), CDK3-Cyclin E (IC_{50}, 399 nM), CDK4-Cyclin D (IC_{50}, 112 nM), CDK5-P35 (IC_{50}, 159 nM), CDK5-P25 (IC_{50}, 186 nM), CDK6-Cyclin D3 (IC_{50}, 712 nM), and CDK7-CycH/MAT1 (IC_{50}, 555 nM). MC180295 also inhibits GSK-3α and GSK-3β[1].

	MC180295 (500 nM) reactivates epigenetically silenced genes by targeting CDK9 without affecting DNA methylation[1]. MC180295 (0.1 μM) inhibits the proliferation in cancer cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MC180295 (20 mg/kg, i.p., qod) inhibits significant anti-tumor activity in mice bearing SW48 cells, shows no inhibitory activity against human T cell growth in vivo[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Zhang H, et al. Targeting CDK9 Reactivates Epigenetically Silenced Genes in Cancer. Cell. 2018 Nov 15;175(5):1244-1258.e26.

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