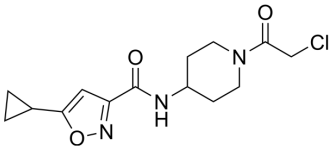
EM127

Cat. No.:	HY-151379
CAS No.:	1886879-71-5
Molecular Formula:	$C_{14}H_{18}ClN_3O_3$
Molecular Weight:	311.76
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (80.19 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2076 mL	16.0380 mL	32.0760 mL
	5 mM	0.6415 mL	3.2076 mL	6.4152 mL
	10 mM	0.3208 mL	1.6038 mL	3.2076 mL

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

BIOLOGICAL ACTIVITY

Description

EM127 (compound 11c) is a SMYD3 covalent inhibitor with high selectivity, high affinity (K_D=13 μM) and site-specificity. EM127 effectively inhibits ERK1/2 phosphorylation and reduces transcriptional regulation of SMYD3 target genes. EM127 effectively and prolongedly impairs methyltransferase activity. EM127 can be used in cancer research, particularly in SMYD3 positive tumours[1].

IC_{50} & Target

SMYD3

In Vitro

EM127 (5 μM; 24, 48, 72 h) shows good anti-proliferative activity in MDA-MB-231and HCT116 cells[1].

EM127 (5 μM; 24, 48, 72 h) attenuates the expression of SMYD3 target genes while does not affect expression when SMYD3 is knocked out or expressed at low levels in MDA-MB-231 cells[1].

EM127 (1, 3.5, 5 μM; 48, 72 h) decreases ERK1/2 phosphorylation in a dose- and time-dependent manner in HCT116 and MDA-MB-231cells[1].

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

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231, HCT116 cells

Concentration:	5 μM
Incubation Time:	24, 48, 72 h
Result:	Significantly retarded cell proliferation by 48 h.

RT-PCR[1]

Cell Line:	MDA-MB-231 cells
Concentration:	0.5, 3.5, 5 μM
Incubation Time:	48 h
Result:	Significantly reduced the expression of CDK2 and C-MET, the known SMYD3 regulated genes. Attenuated the abundance of mRNAs of the extracellular matrix component fibronectin 1 (FN1) and N-cadherin (N-CAD).

Western Blot Analysis[1]

Cell Line:	HCT116, MDA-MB-231cells
Concentration:	1, 3.5, 5 μM
Incubation Time:	48, 72 h
Result:	Attenuated ERK1/2 phosphorylation and induced PARP processing at the same concentrations that retarded cell proliferation.

REFERENCES

[1]. Parenti M D, et al. Discovery of the 4-aminopiperidine-based compound EM127 for the site-specific covalent inhibition of SMYD3. European Journal of Medicinal Chemistry, 2022: 114683.

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