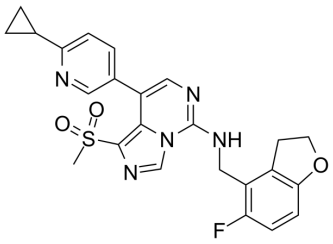
EEDi-5285

Cat. No.:	HY-136977
CAS No.:	2488952-40-3
Molecular Formula:	$C_{24}H_{22}FN_5O_3S$
Molecular Weight:	479.53
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (260.67 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0854 mL	10.4269 mL	20.8538 mL
	5 mM	0.4171 mL	2.0854 mL	4.1708 mL
	10 mM	0.2085 mL	1.0427 mL	2.0854 mL

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

BIOLOGICAL ACTIVITY

Description

EEDi-5285 is an exceptionally potent and orally active embryonic ectoderm development (EED) inhibitor with an IC_{50} value of 0.2 nM for binds to the EED protein. EEDi-5285 has anti-cancer activity[1].

IC_{50} & Target

IC50: 0.2 nM (Embryonic ectoderm development (EED))[1]

In Vitro

EEDi-5285 inhibits cell growth with IC_{50} values of 20 pM and 0.5 nM in the Pfeiffer and KARPAS422 lymphoma cell lines, respectively, carrying an EZH2 mutation[1].

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

In Vivo

EEDi-5285 (compound 28; 50-100 mg/kg; oral gavage; daily; for 28 days; SCID mice) treatment achieves complete and durable tumor regression in the KARPAS422 xenograft model in mice with oral administration[1].

A single 100 mg/kg oral administration of EEDi-5285 (compound 28) effectively reduces the level of H3K27me3 at 24 h in KARPAS422 tumor tissue in mice[1].

EEDi-5285 (compound 28) achieves a C_{max} of 1.8 μM and an AUC of 6.0 h□μg/ml with 10 mg/kg oral administration and has an oral bioavailability (F) of 75%. EEDi-5285 has a moderate volume of distribution of 1.4 L/kg and a terminal $T_{1/2}$ of approximately 2 h[1].

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

Animal Model:	SCID mice injected with KARPAS422 cells[1]
Dosage:	50 mg/kg, 100 mg/kg
Administration:	Oral gavage; daily; for 28 days
Result:	Showed highly efficacious and capable of achieving complete and long-lasting tumor regression in the KARPAS422 xenograft model in mice with oral administration.

REFERENCES

[1]. Rohan Kalyan Rej, et al. EEDi-5285: An Exceptionally Potent, Efficacious, and Orally Active Small-Molecule Inhibitor of Embryonic Ectoderm Development. J Med Chem. 2020 Jul 9;63(13):7252-7267.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA