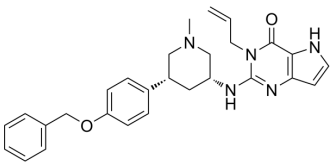
SETDB1-TTD-IN-1

Cat. No.:	HY-141539
CAS No.:	2755823-12-0
Molecular Formula:	$C_{28}H_{31}N_5O_2$
Molecular Weight:	469.58
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (266.20 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1296 mL	10.6478 mL	21.2956 mL
	5 mM	0.4259 mL	2.1296 mL	4.2591 mL
	10 mM	0.2130 mL	1.0648 mL	2.1296 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.98 mg/mL (4.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SETDB1-TTD-IN-1 is a potent, selective and endogenous binder competitive inhibitor of SET domain bifurcated protein 1 tandem tudor domain (SETDB1-TTD), with a K_d of 88 nM. SETDB1-TTD-IN-1 can be used for the research of biological functions and disease associations of SETDB1-TTD[1].

IC_{50} & Target

SETDB1/KMT2G

In Vitro

SETDB1-TTD-IN-1 shows some activity for 53BP1 and JMJD2A, with K_ds of 4.3 μM and 86 μM, respectively. SETDB1-TTD-IN-1 does not show activity against 14 of the 16 tested tudor domains (K_d>100 μM)[1].
?SETDB1-TTD-IN-1 (2.5-40 μM) efficiently and dose-dependently stabilizes the SETDB1-TTD protein in HEK293T cells[1].
?SETDB1-TTD-IN-1 (2.5-40 μM; 24 h) significantly affected the expression of 72 genes in human acute monocytic leukemia THP-1 cells[1].

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

REFERENCES

[1]. Guo Y, et, al. Structure-Guided Discovery of a Potent and Selective Cell-Active Inhibitor of SETDB1 Tudor Domain. Angew Chem Int Ed Engl. 2021 Apr 12;60(16):8760-8765.

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