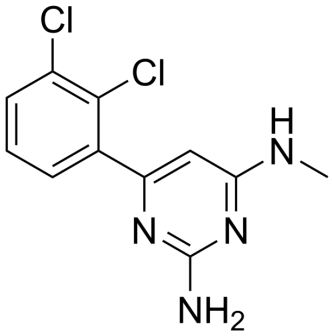
TH287

Cat. No.:	HY-16965
CAS No.:	1609960-30-6
Molecular Formula:	$C_{11}H_{10}Cl_2N_4$
Molecular Weight:	269.13
Target:	DNA/RNA Synthesis
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (371.57 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7157 mL	18.5784 mL	37.1568 mL
	5 mM	0.7431 mL	3.7157 mL	7.4314 mL
	10 mM	0.3716 mL	1.8578 mL	3.7157 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: ≥ 2.5 mg/mL (9.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TH287 is a potent and selective inhibitor of MTH1, with an IC_{50} of 0.8 nM. TH287 is highly selective towards MTH1, with no relevant inhibition of MTH2, NUDT5, NUDT12, NUDT14, NUDT16, dCTPase, dUTPase and ITPA at 100 μM. TH287 could act as a chemotherapeutic agent for cancer research[1].

IC_{50} & Target

IC50: 0.8 nM (MTH1)[1]

In Vitro

TH287 (1-10 μM; 24 h) selectively and effectively kills U2OS and other cancer cell lines, but is considerably less toxic to several primary or immortalized cells[1].

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

In Vivo	TH287 (5 mg/kg; i.p.) exhibits C_{max} of 0.82 μM and t_{max} of 0.5 h in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Biomater. 2020 Jun;109:229-243.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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REFERENCES

[1]. Gad H, et al. MTH1 inhibition eradicates cancer by preventing sanitation of the dNTP pool. Nature. 2014 Apr 10;508(7495):215-21.

[2]. Saleh A, et, al. Development and validation of method for TH588 and TH287, potent MTH1 inhibitors and new anti-cancer agents, for pharmacokinetic studies in mice plasma. J Pharm Biomed Anal. 2015 Feb;104:1-11.

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