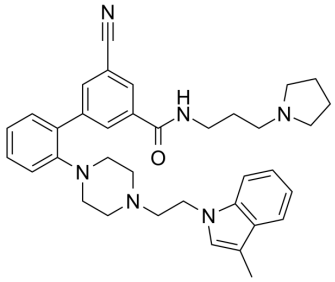
LLY-507

Cat. No.:	HY-19313
CAS No.:	1793053-37-8
Molecular Formula:	$C_{36}H_{42}N_6O$
Molecular Weight:	574.76
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 15 mg/mL (26.10 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7399 mL	8.6993 mL	17.3986 mL
	5 mM	0.3480 mL	1.7399 mL	3.4797 mL
	10 mM	0.1740 mL	0.8699 mL	1.7399 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 (4.35 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LLY-507 is a potent and selective inhibitor of protein-lysine methyltransferase SMYD2. LLY-507 potently inhibits the ability of SMYD2 to methylate p53 peptide with an IC_{50} <15 nM. LLY-507 serves as a valuable chemical probe to aid in the dissection of SMYD2 function in cancer and other biological processes[1].

IC_{50} & Target

SMYD2
<15 nM (IC_{50})

In Vitro

LLY-507 is >100-fold selective for SMYD2 over 21 other methyltransferases including SMYD3[1].
LLY-507 binds to the substrate channel of SMYD2 and supports the selectivity of its inhibition[1].
LY-507 is able to potently inhibit the methylation of H4 peptide by SMYD2 enzyme with an IC_{50} of 31 nM[1].

LLY-507 (0.03-20 μM; 28 hours) inhibits SMYD2-mediated methylation of p53 Lys^{370} in U2OS cells, with an IC_{50} of 0.6 μM[1].
LLY-507 (0-20 μM; 3-7 days) inhibits the proliferation of several ESCC, HCC, and breast cancer cell lines[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	ESCC, HCC, breast cancer cell lines
Concentration:	0-20 μM
Incubation Time:	3 days, 7 days
Result:	Inhibited tumor cell proliferation.

Western Blot Analysis[1]

Cell Line:	HEK293 cells
Concentration:	0.03 μM, 0.07 μM, 0.15 μM, 0.3 μM, 0.6 μM, 1.25 μM, 2.5 μM
Incubation Time:	28 hours
Result:	Inhibited SMYD2-mediated methylation of p53 Lys^{370} in cells.

CUSTOMER VALIDATION

- Exp Mol Med. 2023 May 1.
- Cell Death Dis. 2022 Oct 21;13(10):890.
- Cell Death Dis. 2018 Jan 26;9(2):129.
- Cell Death Discov. 2022 Jun 6;8(1):274.
- Cells. 2022 Apr 8;11(8):1262.

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REFERENCES

[1]. Nguyen H, et al. LLY-507, a Cell-active, Potent, and Selective Inhibitor of Protein-lysine Methyltransferase SMYD2. J Biol Chem. 2015 May 29;290(22):13641-13653.

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