For Research Use Only

LLY-507

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Catalog Number: CM04572

产品信息

Catalog Number:
CM04572

CAS号:
1793053-37-8

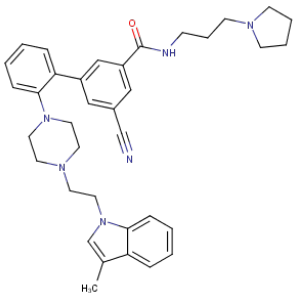
分子式:
$C_{36}H_{42}N_6O$

主要靶点:
Histone Methyltransferase

主要通路:
表观遗传

分子量:
574.76

溶解度:
DMSO:93 mg/mL (161.8 mM),H2O: <1 mg/mL,Ethanol:21 mg/mL (36.5 mM)



靶点活性

SMYD2:15 nM.

体外活性

LLY-507 effectively inhibits the ability of SMYD2 to methylate p53 peptide (IC50<15 nM). LLY-507 is able to potently inhibit the methylation of the H4 peptide by the SMYD2 enzyme (IC50: 31 nM). It has 100-fold selectivity for SMYD2 than 24 other protein or DNA methyltransferases including related family members SMYD3 and SUVH420H1/SUV420H2. LLY-507 inactive (>20 μM) against three cytochrome P450 enzymes, 14 nuclear hormone receptors, 35 G protein-coupled receptors, and 454 kinases. LLY-507 dose-dependently inhibits the proliferation of several liver, esophageal, and breast cancer cell lines.

细胞实验

To examine the methylation status of p53 in HEK293 cells treated with LLY-507 by Western blotting, 2 × 105 cells are seeded in 6-well plates in triplicate and co-transfected with FLAG-tagged p53 and FLAG-tagged SMYD2 using Lipofectamine? 2000. The day after transfection, cells are treated with 0-2.5 μM LLY-507 for 28 h, then collected, and lysed in RIPA buffer. Cell lysates are subject to 10% SDS-PAGE and transferred to a PVDF membrane.

描述

LLY-507 is an effective, cell-active, and specific inhibitor of protein-lysine Methyltransferase SMYD2.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years