For Research Use Only

UNC0642

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Catalog Number: CM04586

产品信息

Catalog Number:
CM04586

CAS号:
1481677-78-4

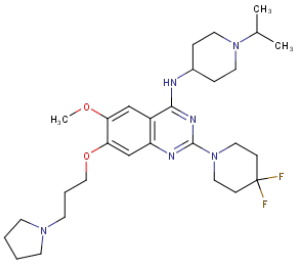
分子式:
$C_{29}H_{44}F_2N_6O_2$

主要靶点:
Histone Methyltransferase

主要通路:
表观遗传

分子量:
546.69

溶解度:
DMSO:295 mg/mL,Sonification/heating is recommended.



靶点活性

G9a:<2.5 nM|GLP:<2.5 nM

体外活性

UNC0642 (Ki: 3.7±1 nM) is competitive with the peptide substrate and non-competitive with the cofactor SAM. UNC0642 is more than 300-fold selective for G9a and GLP over a broad range of kinases, transporters, GPCRs, and ion channels. UNC0642 exhibits high potency at low cell toxicity, reducing the H3K9me2 mark, and good separation of functional potency in a number of cell lines.

体内活性

UNC0642 (5 mg/kg, i.p.) results in a plasma Cmax of 947 ng/mL and an AUC of 1265 h·ng/ml.

动物实验

Mouse: Standard PK studies are performed using male Swiss albino mice. Plasma and brain concentrations are measured at 0.08, 0.25, 0.5, 1, 2, 4, 8, and 24 h following UNC0642 (5 mg/kg, i.p.). The compound concentration at each time point in plasma or brain is the average value from 3 test animals[1].

细胞实验

MDA-MB-231, PC3, and U2OS cells are treated with UNC0642 for 48 h. Cell viability assays are performed by incubating cells with 0.1 mg/mL of resazurin for 3 – 4 h. Resazurin reduction is monitored with 544 nm excitation, measuring fluorescence at 590 nm.

描述

UNC0642 is an effective and specific G9a/GLP inhibitor (IC50< 2.5 nM).

储存

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