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UNC0638

Catalog No: tcsc2035

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1255580-76-7

Formula:
$C_{30}H_{47}N_5O_2$

Pathway:
Epigenetics;Autophagy

Target:
Histone Methyltransferase;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 30 mg/mL (58.85 mM)

Observed Molecular Weight:
509.73

Product Description

UNC0638 is a potent **G9a** (**EHMT2**) (**IC_{50}**GLP (**EHMT1**) inhibitor (**IC_{50}**=19 nM) in S-adenosyl-L-homocysteine hydrolase (SAHH)-

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coupled assays.

IC50 & Target: IC50: [1]

In Vitro: UNC0638, an inhibitor of G9a and GLP with excellent potency and selectivity over a wide range of epigenetic and non-epigenetic targets.The K_i of UNC0638 is determined to be 3.0±0.05 nM (n=2). Consistent with this, the Morrison K_i for UNC0638 is 3.7±0.2 nM (n=3). The selectivity of UNC0638 over a wide range of epigenetic targets is evaluated. Notably, UNC0638 is inactive against other H3K9 (SUV39H1 and SUV39H2), H3K27 (EZH2), H3K4 (SETD7, MLL and SMYD3), H3K79 (DOT1L) and H4K20 (SETD8) methyltransferases, as well as PRDM1, PRDM10 and PRDM12. In addition, UNC0638 is inactive against protein arginine methyltransferases PRMT1 and PRMT3, and HTATIP, a histone acetyltransferase. Of note, UNC0638 has weak but measurable activity against JMJD2E (IC_{50}=4,500±1,100 nM), a Jumonji protein demethylase and DNA methyltransferase DNMT1 (IC_{50}=107,000±6,000 nM). Nevertheless, the selectivity of UNC0638 for G9a and GLP over JMJD2E is >200-fold, and selectivity for G9a and GLP over DNMT1 is >5,000-fold[1]. UNC0638 is a type of small molecule that can specifically inhibit the enzyme activity of histone methyltransferase EHMT and reduce the H3K9 dimethylation (H3K9me2) levels in cells[2].