Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

GSK126

Catalog No: tcsc1401

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1346574-57-9

Formula:
$C_{31}H_{38}N_6O_2$

Pathway:
Epigenetics;Epigenetics

Target:
Histone Methyltransferase;Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
DMSO : 13.5 mg/mL (25.63 mM; Need ultrasonic)

Alternative Names:
EZH2 inhibitor;GSK2816126A

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Observed Molecular Weight:
526.67

Product Description

GSK126 is a potent, highly selective inhibitor of **EZH2 methyltransferase** activity with **IC_{50}** of 9.9 nM.

IC50 & Target: IC50: 9.9 nM (EZH2)[1]

In Vitro: GSK126 potently inhibits both wild-type and mutant EZH2 methyltransferase activity with similar potencies (K_i=0.5-3 nM) independent of substrate used, and is competitive with S-adenosyl-methionine (SAM) and non-competitive with peptide substrates. GSK126 is highly selective against other methyltransferases and multiple other protein classes (EZH1, IC_{50}=680 nM)[1]. Treatment of three SCLC cell lines with GSK126, induces growth inhibition. SCLC cell lines (Lu130, H209, and DMS53) are treated with 0.5, 2, and 8 μM GSK126, and growth curve is analyzed by WST-8 assay. Inhibition of cellular growth by GSK126 treatment is observed at 8 μM in all the three cell lines, while Lu130 and H209 are more sensitive to GSK126, even at lower doses[2].

In Vivo: GSK126 is administered intraperitoneally at a dose volume of 0.2 mL per 20 g body weight in female beige SCID mice. GSK126 effectively inhibits the proliferation of EZH2 mutant DLBCL cell lines and markedly inhibits the growth of EZH2 mutant DLBCL xenografts in mice[1].