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

EPZ004777

Catalog No: tcsc2326

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1338466-77-5

Formula:
$C_{28}H_{41}N_7O_4$

Pathway:
Epigenetics

Target:
Histone Methyltransferase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
539.67

Product Description

EPZ004777 is a potent, selective **DOT1L** inhibitor with **IC_{50}** of 0.4 nM.

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IC50 & Target: IC50: 0.4 nM (DOT1L)[1]

In Vitro: EPZ004777 demonstrates potent, concentration-dependent inhibition of DOT1L enzyme activity with an IC_{50} of 400±100 pM. EPZ004777 displays remarkable selectivity for inhibition of DOT1L over other HMTs(PRMT5, 521±137 nM; others, >50 μM). The effect of extended EPZ004777 treatment is remarkably specific for the *MLL*-rearranged cell lines. The number of viable MV4-11 and MOLM-13 cells is dramatically reduced by EPZ004777, whereas the growth of Jurkat cells is unaffected. A small population of MV4-11 cells remain viable in the presence of EPZ004777, but their number remain constant when growth curves are tracked over longer periods indicating that they have ceased to divide. The proliferation of MLL-AF9-transformed cells is strongly inhibited by EPZ004777 at concentrations of 3 μM or greater[1]. EPZ004777 selectively inhibits proliferation of MLL-AF10 and CALM-AF10 transformed murine bone marrow cells[2].

In Vivo: EPZ004777 is well tolerated and no overt toxicity is observed. Complete blood count analysis after 14 days of continuous exposure to EPZ004777 revealed a statistically significant increase in the total white blood cell count, which resulted from an increase in neutrophils, monocytes, and lymphocytes. EPZ004777 (50, 100, or 150 mg/mL) administration is well tolerated, and no significant weight loss is observed[1].