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

Pinometostat

Catalog No: tcsc1419

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1380288-87-8

Formula:
$C_{30}H_{42}N_8O_3$

Pathway:
Epigenetics

Target:
Histone Methyltransferase

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 47.8 mg/mL (84.95 mM)

Alternative Names:
EPZ-5676

Observed Molecular Weight:
562.71

Product Description

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Pinometostat (EPZ-5676) is a potent **DOT1L histone methyltransferase** inhibitor with a $\mathbf{K_i}$ of 80 pM.

IC50 & Target: Ki:

In Vitro: Pinometostat (EPZ-5676) inhibits H3K79me2 with IC_{50} values of 3 nM and 5 nM in MV4-11 and HL60 cells, respectively. Pinometostat (EPZ-5676) is a potent inhibitor of MV4-11 proliferation with an IC_{50} value of 3.5 nM[1]. Pinometostat (EPZ-5676) induces a synergistic and durable antiproliferative effect, increases expression of differentiation markers and apoptosis as dingle agent, and demonstrates combination benefit in combination with AML standard of care drugs in MLL-r cells[2].

In Vivo: Pinometostat (EPZ-5676) (70 mg/kg, i.p.) causes complete and sustained regression in a rat xenograft model of MLL-rearranged leukemia. Pinometostat (EPZ-5676) (70, 35 mg/kg, i.v.) reduces HOXA9 and MEIS1 mRNA levels of tumors taken from rats, and reduces MLL-fusion target gene expression in vivo[1].