



## **Pinometostat**

**Catalog No: tcsc1419** 

Available Sizes
ize: 5mg
ize: 10mg
ize: 50mg
Specifications
<b>AS No:</b> 380288-87-8
ormula: 30 <sup>H</sup> 42 <sup>N</sup> 8 <sup>O</sup> 3
athway: oigenetics
arget: istone Methyltransferase
urity / Grade: 98%
<b>olubility:</b> MSO : ≥ 47.8 mg/mL (84.95 mM)
Iternative Names: PZ-5676

## **Product Description**

562.71

**Observed Molecular Weight:** 





Pinometostat (EPZ-5676) is a potent **DOT1L histone methyltransferase** inhibitor with a  $K_i$  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<sup>[1]</sup>.

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!