Web: www.taiclone.com
Tel: +886-2-2735-9682
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Tazemetostat

Catalog No: tcsc1758

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1403254-99-8

Formula:
$C_{34}H_{44}N_4O_4$

Pathway:
Epigenetics;Epigenetics

Target:
Histone Methyltransferase;Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
DMSO : 33 mg/mL (57.62 mM; Need ultrasonic)

Alternative Names:
EPZ-6438;E-7438

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Observed Molecular Weight:
572.74

Product Description

Tazemetostat (EPZ-6438) is a potent, selective and orally available **EZH2** inhibitor with $\mathbf{K_i}$ and $\mathbf{IC_{50}}$ of 2.5 and 11 nM, respectively.

IC50 & Target: Ki: 2.5 nM (EZH2)[1]

In Vitro: Tazemetostat (EPZ-6438) inhibits EZH2 in a manner competitive with the substrate S-adenosylmethionine (SAM). Tazemetostat inhibits EZH1, EZH2(in peptide assay), EZH2 (in nucleosome assay) with $IC_{50}$of 392 nM, 11 nM and 16 nM, respectively. Tazemetostat displays a 35-fold selectivity versus EZH1 and >4,500-fold selectivity relative to 14 other HMTs tested[1].

In Vivo: Tazemetostat (EPZ-6438, 125 mg/kg) induces tumor stasis during the administration period and produced a significant tumor growth delay compared with vehicle after the dosing period. Measuring Tazemetostat plasma levels either 5 min before or 3 h after dosing on day 21 reveals a clear dose-dependent increase in systemic exposure[1]. Dose-dependent target inhibition is observed in PBMCs and bone marrow from rats dosed with Tazemetostat (EPZ-6438, orally administered, 100, 300, or 1,000 mg/kg) as measured by ELISA[2].