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

Catalog No: tcsc1610

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1339928-25-4

Formula:
$C_{23}H_{24}N_8O_4S$

Pathway:
PI3K/Akt/mTOR;Epigenetics;Cell Cycle/DNA Damage

Target:
PI3K;HDAC;HDAC

Purity / Grade:
>98%

Solubility:
DMSO : 75 mg/mL (147.48 mM; Need ultrasonic)

Alternative Names:
CUDC-907

Observed Molecular Weight:
508.55

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Product Description

Fimepinostat (CUDC-907) potently inhibits class I **PI3K**s as well as classes I and II **HDAC** enzymes with an **IC_{50}** of 19/54/39 nM and 1.7/5.0/1.8/2.8 nM for PI3Kα/PI3Kβ/PI3Kδ and HDAC1/HDAC2/HDAC3/HDAC10 , respectively.

IC50 & Target: IC50: 1.7/5.0/1.8/2.8 nM (HDAC1/2/3/10), 19/54/39 nM (PI3Kα/β/δ)[1]

In Vitro: Fimepinostat is a potent pan-inhibitor of HDAC classes I and II enzymes and observed that its potency against class I HDACs is similar to that of panobinostat and greater than that of vorinostat. Fimepinostat is also a potent inhibitor of class I PI3K kinases with an IC_{50} of 19, 54, and 39 nM for PI3Kα, PI3Kβ, and PI3Kδ, respectively. Fimepinostat markedly induces p21 protein in H460, a non-small cell lung cancer (NSCLC) cell line. Fimepinostat causes the reduction of both p-STAT3 (Y-705) and p-SRC in RPMI-8226 multiple myeloma cells and reduces both phosphorylated and total protein levels of MET and EGFR as well as HER2 and HER3 in H1975 NSCLC cells and BT-474 breast cancer cells, respectively. Fimepinostat induces caspase-3 and -7 activation in HCT-116 colon cancer cells in a dose-dependent manner. Fimepinostat potently inhibits the growth of cancer cells derived from both hematologic and solid tumors. Fimepinostat potently inhibits the proliferation of cells expressing either mutant or wild-type PI3K[1].

In Vivo: Oral administration of Fimepinostat inhibits growth of the Daudi cancer cell xenografts in a dose-dependent manner. Tumor stasis is observed at 100 mg/kg in this model without obvious toxicity. Importantly, in the same model, Fimepinostat achieves better efficacy than GDC-0941, Vorinostat, or a combination of these 2 compounds given at their maximal tolerated doses (MTD). Furthermore, Fimepinostat causes tumor regression or stasis after intravenous (50 mg/kg) or oral administration (100 mg/kg) in a xenograft tumor model of SU-DHL4 diffuse large B-cell lymphoma (DLBCL) and causes tumor stasis in KRAS-mutant A549 NSCLC cell xenografts[1].