

Domatinostat (tosylate)

Catalog No: tcsc3102



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1186222-89-8

Formula:

$C_{30}H_{29}N_5O_6S_2$

Pathway:

Epigenetics;Cell Cycle/DNA Damage

Target:

HDAC;HDAC

Purity / Grade:

>98%

Solubility:

DMSO : \geq 51 mg/mL (82.30 mM)

Alternative Names:

4SC-202

Observed Molecular Weight:

619.71

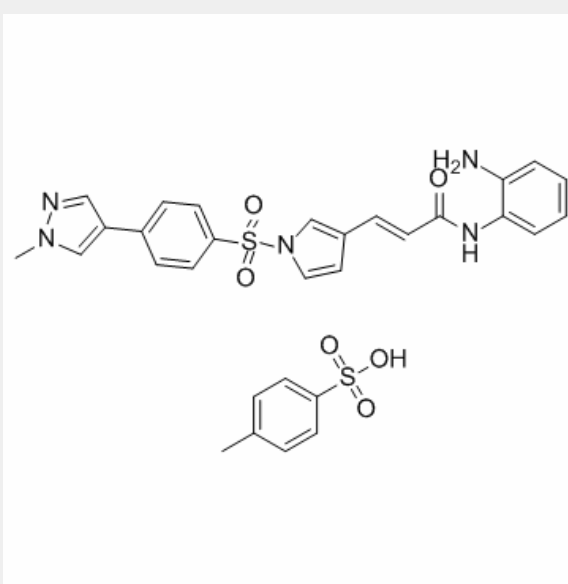
Product Description

Domatinostat tosylate (4SC-202) is a selective class I **HDAC** inhibitor with **IC₅₀** of 1.20 μ M, 1.12 μ M, and 0.57 μ M for HDAC1, HDAC2, and HDAC3, respectively. It also displays inhibitory activity against **Lysine specific demethylase 1 (LSD1)**.

IC50 & Target: IC50: 1.20 μ M (HDAC1), 1.12 μ M (HDAC2), 0.57 μ M (HDAC3)^[4]

In Vitro: Domatinostat tosylate significantly reduces proliferation of all epithelial and mesenchymal UC cell lines (IC₅₀ 0.15-0.51 μ M), inhibits clonogenic growth and induces caspase activity^[1]. Domatinostat tosylate provokes apoptosis activation in CRC cells, while caspase inhibitors (z-VAD-CHO and z-DVED-CHO) significantly alleviate Domatinostat tosylate-exerted cytotoxicity in CRC cells. Meanwhile, Domatinostat tosylate induces dramatic G2-M arrest in CRC cells. Further studies show that AKT activation might be an important resistance factor of Domatinostat tosylate. Domatinostat tosylate-induced cytotoxicity is dramatically potentiated with serum starvation, AKT inhibition (by perifosine or MK-2206), or AKT1-shRNA knockdown in CRC cells. On the other hand, exogenous expression of constitutively active AKT1 (CA-AKT1) decreases the sensitivity by Domatinostat tosylate in HT-29 cells. Notably, Domatinostat tosylate, at a low concentration, enhances oxaliplatin-induced in vitro anti-CRC activity^[2]. Domatinostat tosylate treatment induces potent cytotoxic and proliferation-inhibitory activities against established HCC cell lines (HepG2, HepB3, SMMC-7721) and patient-derived primary HCC cells. Domatinostat tosylate induces apoptosis signal-regulating kinase 1 (ASK1) activation, causing it translocation to mitochondria and physical association with Cyp-D^[3].

In Vivo: Oral gavage of Domatinostat tosylate inhibits HT-29 xenograft growth in nude mice, and when combined with oxaliplatin, its activity is further strengthened^[2].



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