

# Domatinostat

## Catalog No: tcsc3275



### Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



### Specifications

**CAS No:**

910462-43-0

**Formula:**

$C_{23}H_{21}N_5O_3S$

**Pathway:**

Epigenetics;Cell Cycle/DNA Damage

**Target:**

HDAC;HDAC

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 58$  mg/mL (129.61 mM)

**Alternative Names:**

4SC-202 (free base)

**Observed Molecular Weight:**

447.51

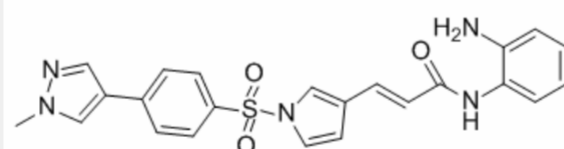
## Product Description

Domatinostat (4SC-202 free base) is a selective class I **HDAC** inhibitor with **IC<sub>50</sub>** of 1.20  $\mu$ M, 1.12  $\mu$ M, and 0.57  $\mu$ M for HDAC1, HDAC2, and HDAC3, respectively. It also displays inhibitory activity against **Lysine specific demethylase 1 (LSD1)**.

IC50 & Target: IC50: 1.20  $\mu$ M (HDAC1), 1.12  $\mu$ M (HDAC2), 0.57  $\mu$ M (HDAC3)<sup>[4]</sup>

**In Vitro:** Domatinostat (4SC-202 free base) tosylate significantly reduces proliferation of all epithelial and mesenchymal UC cell lines (IC<sub>50</sub> 0.15-0.51  $\mu$ M), inhibits clonogenic growth and induces caspase activity<sup>[1]</sup>. Domatinostat (4SC-202 free base) tosylate provokes apoptosis activation in CRC cells, while caspase inhibitors (z-VAD-CHO and z-DVED-CHO) significantly alleviate Domatinostat (4SC-202 free base) tosylate-exerted cytotoxicity in CRC cells. Meanwhile, Domatinostat (4SC-202 free base) 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 (4SC-202 free base) 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 (4SC-202 free base) tosylate, at a low concentration, enhances oxaliplatin-induced in vitro anti-CRC activity<sup>[2]</sup>. Domatinostat (4SC-202 free base) 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 (4SC-202 free base) tosylate induces apoptosis signal-regulating kinase 1 (ASK1) activation, causing it translocation to mitochondria and physical association with Cyp-D<sup>[3]</sup>.

**In Vivo:** Oral gavage of Domatinostat (4SC-202 free base) inhibits HT-29 xenograft growth in nude mice, and when combined with oxaliplatin, its activity is further strengthened<sup>[2]</sup>.



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