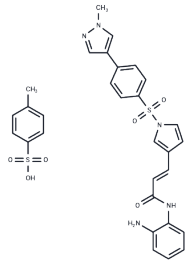
Data Sheet (Cat.No.T4477)

TargetMol

Domatinostat tosylate

Chemical Properties



CAS No. : 1186222-89-8

Formula: C30H29N5O6S2

Molecular Weight: 619.71

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Domatinostat tosylate (4SC-202) is a selective class I HDAC inhibitor targeting HDAC1/2/3 with IC50 values of 1.20/1.12/0.57 μM, respectively, and also inhibits Lysine-specific demethylase 1 (LSD1).
Targets(IC50)	Apoptosis,HDAC
In vitro	Domatinostat provokes apoptosis activation in CRC cells, while caspase inhibitors (z-VAD-CHO and z-DVED-CHO) significantly alleviate Domatinostat-exerted cytotoxicity in CRC cells. Meanwhile, Domatinostat induces dramatic G2-M arrest in CRC cells. Further studies show that AKT activation might be an important resistance factor of Domatinostat. Domatinostat-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 in HT-29 cells. Notably, Domatinostat, at a low concentration, enhances oxaliplatin-induced in vitro anti-CRC activity[2]. Domatinostat obviously reduces the proliferation of all epithelial and mesenchymal UC cell lines (IC50: 0.15-0.51 μM), inhibits clonogenic growth and induces caspase activity[1]. Domatinostat treatment induces potent cytotoxic and proliferation-inhibitory activities against established HCC cell lines (HepG2, HepB3, SMMC-7721) and patient-derived primary HCC cells. Domatinostat induces apoptosis signal-regulating kinase 1 (ASK1) activation, causing it translocation to mitochondria and physical association with Cyp-D[3].
In vivo	4SC-202 (i.g.) inhibits HT-29 xenograft growth in nude mice, and when combined with oxaliplatin, its activity is further strengthened[2].

Solubility Information

Solubility	DMSO: 50 mg/mL (80.68 mM),Sonication is recommended. H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.23 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6137 mL	8.0683 mL	16.1366 mL
5 mM	0.3227 mL	1.6137 mL	3.2273 mL
10 mM	0.1614 mL	0.8068 mL	1.6137 mL
50 mM	0.0323 mL	0.1614 mL	0.3227 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pinkerneil M, Hoffmann M J, Kohlhof H, et al. Evaluation of the Therapeutic Potential of the Novel Isotype Specific HDAC Inhibitor 4SC-202 in Urothelial Carcinoma Cell Lines[J]. Targeted Oncology, 2016, 11(6):783-798.
Zhijun H, et al. Pre-clinical characterization of 4SC-202, a novel class I HDAC inhibitor, against colorectal cancer cells. Tumour Biol. 2016 Aug;37(8):10257-67.
Fu M, et al. 4SC-202 activates ASK1-dependent mitochondrial apoptosis pathway to inhibit hepatocellular carcinoma cells. Biochem Biophys Res Commun. 2016 Mar 4;471(2):267-73.