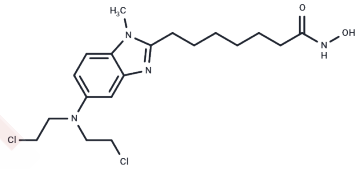
Data Sheet (Cat.No.T3516)

TargetMol

EDO-S101

Chemical Properties



CAS No. : 1236199-60-2

Formula: C19H28Cl2N4O2

Molecular Weight: 415.36

Appearance: no data available

Storage: store at low temperature,keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	EDO-S101 (Tinostamustine) is a pan HDAC inhibitor with IC50 values of 9, 9 and 25 nM for HDAC1, HDAC2 and HDAC3 , respectively.
Targets(IC50)	HDAC
In vitro	EDOS101 inhibits HDAC activity in rat peripheral blood mononuclear cells (PBMCs) in a cellular assay by approximately 90% one hour after dosing with 10 mg/kg i.v. HDAC inhibition in PBMCs could not be increased with higher doses up to 50 mg/kg. EDO-S101 triggers apoptosis and shows strong antitumor activity in HL60 and Daudi cells. Initial in vitro experiments in HL60 cells shows an activation of the intrinsic pathway of apoptosis with cleavage of caspases 3, 9 and PARP and a marked reduction of anti-apoptotic proteins XIAP and Mcl-1.
In vivo	Intracellular HDAC inhibition of EDO-S101, which occurs rapidly after dosing is at maximum activity already at the lowest dose of 10 mg/kg and lasts for about 12-16 hours. Exposure to EDO-S101 causes a strong DNA repair response evidenced by activation of pH2AX and p53 in tumors taken from mice bearing subcutaneous human Burkitt's lymphoma. Tumors of BL rapidly shrink or are completely eradicated after i.v. administration of EDO-S101.
Kinase Assay	EDO-S101 is dissolved in DMSO and added to the assay buffer solution. EDO-S101 dilutions of 5 μL of each dilution is added to 50 μL of the reaction mixture including the Fluor de Lys substrate and all of the enzymatic reactions are conducted in duplicate at 37oC for 30 minutes. After enzymatic reactions, 50 μL of 2xHDAC developer is added to each well and fluorescence intensity is measured[1].

Solubility Information

Solubility	DMSO: 30 mg/mL (72.23 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4076 mL	12.0378 mL	24.0755 mL
5 mM	0.4815 mL	2.4076 mL	4.8151 mL
10 mM	0.2408 mL	1.2038 mL	2.4076 mL
50 mM	0.0482 mL	0.2408 mL	0.4815 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.

Reference

Mehrling T, et al. The Alkylating-HDAC Inhibition Fusion Principle: Taking Chemotherapy to the Next Level with the First in Class Molecule EDO-S101. Anticancer Agents Med Chem. 2016;16(1):20-8.