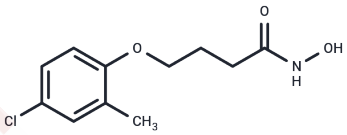
Data Sheet (Cat.No.T6481)

TargetMol

Droxinostat

Chemical Properties



CAS No. : 99873-43-5

Formula: C11H14ClNO3

Molecular Weight: 243.69

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Droxinostat (NS 41080) is a selective HDAC inhibitor, primarily targeting HDACs 6 and 8 with IC50 values of 2.47 μM and 1.46 μM, respectively. It is over 8-fold more selective against HDAC3 and shows no inhibition for HDAC1, 2, 4, 5, 7, 9, and 10.
Targets(IC50)	Apoptosis,HDAC
In vitro	Droxinostat is originally identified as a sensitizer of PPC-1 cells to FAS and TRAIL by downregulating the expression of c-Fas-associated death domain-like interleukin-1-converting enzyme-like inhibitory protein (c-FLIP). [1] In PPC-1 cells cultured in suspension but not adherent conditions, Droxinostat (20 μM-60 μM) sensitizes cells to anoikis by initially activating caspase 8 with subsequent activation of the mitochondrial pathway. Similarly, Droxinostat also sensitizes other cancer cell lines including PC-3, DU-145, T47D, and OVCAR-3, but not LNCaP or MB-MDA-468, to anoikis or CH-11-induced apoptosis. [2] However, the direct targets of Droxinostat remains enigma until recently. It is revealed that in histone deacetylases (HDAC) isoform 1-10, Droxinostat selective inhibits HDAC3, 6, and 8, with IC50 values of 16.9 μM, 2.47 μM, and 1.46 μM, respectively, without inhibiting other HDAC members (IC50 > 20 μM). [3] In MCF-7 breast cancer cells, Droxinostat (10 μM-100 μM) sensitizes cells to apoptosis by decreasing c-FLIPL and c-FLIPS expression, reducing cell survival, and inducing apoptosis. [4]
In vivo	In SCID mice models, Droxinostat (30 μM)-treated PPC-1 cells results in decreased distant tumor formation than untreated cells. [2]
Kinase Assay	HDAC Inhibition Assay: HDAC inhibition is assessed using the CycLex HDACs fluorometric assay according to the manufacturer's protocol and using crude nuclear extract from HeLa cells (principally HDAC1 and HDAC2). The relative activity is expressed as (fluorescence intensity of treated samples/fluorescence intensity of controls) × 100
Cell Research	PPC-1 cells (1 × 104) are seeded overnight into 96-well flat-bottomed plates in 100 μL of medium containing 2.5% FCS. The next day, Droxinostat is added. CH-11 antibody (100 ng/mL) is then added and the cells are incubated for 24 hours before assessing cell viability by 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) dye reduction assay.(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 46 mg/mL (188.76 mM),Sonication is recommended. Ethanol: 46 mg/mL (188.76 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.1036 mL	20.5179 mL	41.0357 mL
5 mM	0.8207 mL	4.1036 mL	8.2071 mL
10 mM	0.4104 mL	2.0518 mL	4.1036 mL
50 mM	0.0821 mL	0.4104 mL	0.8207 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

Schimmer AD, et al. Cancer Res, 2006, 66(4), 2367-2375.
Mawji IA, et al. J Natl Cancer Inst, 2007, 99(10), 811-822.
Wood TE, et al. Mol Cancer Ther, 2010, 9(1), 246-256.
Bijangi-Vishehsaraei K, et al. Mol Cell Biochem, 2010, 342(1-2), 133-142.