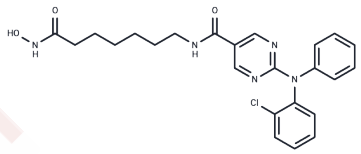
Data Sheet (Cat.No.T3661)

TargetMol

Citarinostat

Chemical Properties



CAS No. : 1316215-12-9

Formula: C24H26ClN5O3

Molecular Weight: 467.95

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	ACY-241, also known as Citarinostat (ACY241), is a potent, selective and orally available histone deacetylase (HDAC) inhibitor, with potential antineoplastic activity. Upon oral administration, ACY-241 inhibits the activity of HDACs; this results in an accumulation of highly acetylated chromatin histones, the induction of chromatin remodeling and an altered pattern of gene expression. This leads to the inhibition of tumor oncogene transcription, and the selective transcription of tumor suppressor genes, which inhibit tumor cell division and induce tumor cell apoptosis.
Targets(IC50)	HDAC
In vitro	In cell lines from multiple solid tumor lineages, combination treatment with ACY-241 and paclitaxel enhances inhibition of proliferation and increases cell death relative to either single agent alone. Combination treatment with ACY-241 and paclitaxel also results in more frequent occurrence of mitotic cells with abnormal multipolar spindles and aberrant mitoses, and is associated with increased frequency of abnormal multipolar mitotic spindle formation, induction of aneuploidy, and increased cell death. In A2780 ovarian cancer cells, 24 hour treatment with 300 nM ACY-241 results in increased hyperacetylation of α-tubulin, consistent with inhibition of the tubulin deacetylase HDAC6. Low exposures of ACY-241 result in selective inhibition of HDAC6, while higher exposures lead to inhibition of Class I HDAC isozymes[1].
In vivo	ACY-241 has a favourable safety profile than non-selective pan-HDAC inhibitors. It has the potential for a substantially reduced side effect profile versus current nonselective HDAC inhibitor drug candidates due to reduced potency against Class I HDACs while retaining the potential for anticancer effectiveness[1].
Cell Research	A2780 cells are cultured with vehicle or a range of ACY-241 concentrations for 24 hours prior to immunoblotting.(Only for Reference)

Solubility Information

Solubility	DMSO: 250 mg/mL (534.25 mM),Sonication is recommended. (< 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 (4.27 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 vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.137 mL	10.6849 mL	21.3698 mL
5 mM	0.4274 mL	2.137 mL	4.274 mL
10 mM	0.2137 mL	1.0685 mL	2.137 mL
50 mM	0.0427 mL	0.2137 mL	0.4274 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

Huang P, et al. Oncotarget. 2017, 8(2):2694-2707.