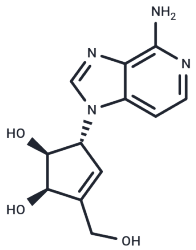
Data Sheet (Cat.No.T6292)

TargetMol

3-Deazaneplanocin A

Chemical Properties



CAS No. : 102052-95-9

Formula: C12H14N4O3

Molecular Weight: 262.27

Storage: Store at low temperature
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	3-Deazaneplanocin A (DZNep) is a dual inhibitor of histone methyltransferase (EZH2) and S-adenosylhomocysteine hydrolase (AHCY) with antipoxidative activity that inhibits hepatic, renal, peritoneal, and airway fibrosis by inducing proteasomal degradation of its targets and reducing toxicity in animal models.
Targets(IC50)	Histone Methyltransferase,Virus Protease
In vitro	Under 1.0 μM 3-Deazaneplanocin A (DZNep) treatment, OCI-AML3 cells showed an increase in cell number at G0/G1 phase, indicating cell cycle arrest. 3-Deazaneplanocin A treatment for 48 hours was able to dose-dependently inhibit the colony-forming ability of OCI-AML3 and HL-60 cells in the concentration range of 200 nM to 2.0 μM. [1] In addition, 3-Deazaneplanocin A treatment for 72 hours significantly reduced the expression of EZH2 in several cancer cell lines (e.g., PANC-1, MIA-PaCa-2, and LPc006) by 48%, 32%, and 36%, respectively. Although 3-Deazaneplanocin A had a weak inhibitory effect on the proliferation of PANC-1 cells, it had a stronger inhibitory effect on MIA-PaCa-2 and LPc006 cells, with IC50 values of 1 μM and 0.1 μM, respectively.[2] In non-small cell lung cancer (NSCLC) cell lines, 3-Deazaneplanocin A also exhibited dose-dependent inhibition of proliferation with IC50 ranging from 0.08 to 0.24 μM.[3]
In vivo	In the NOD/SCID mouse model, the median survival of acute myeloid leukemia (AML) mice was significantly prolonged in the treatment group with the combination of 3-Deazaneplanocin A and Panobinostat (PS) compared to the group with the drug alone and the control group. Specifically, 36 days for the control group, 42 days for PS, 43 days for 3-Deazaneplanocin A, and 52 days for the combination group. [1] In addition, administration of 20 mg/kg 3-Deazaneplanocin A to rats significantly reduced body weight during the first three days of treatment (2.0%, 4.9%, and 1.2% reductions, respectively), and suppressed the rate of body weight growth to 2.6% per day from the fourth day on. [4]

Solubility Information

Solubility	DMSO: 75 mM,Sonication is recommended. H2O: 80 mg/mL (305.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8129 mL	19.0643 mL	38.1286 mL
5 mM	0.7626 mL	3.8129 mL	7.6257 mL
10 mM	0.3813 mL	1.9064 mL	3.8129 mL
50 mM	0.0763 mL	0.3813 mL	0.7626 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

Fiskus W, et al. Combined epigenetic therapy with the histone methyltransferase EZH2 inhibitor 3-deazaneplanocin A and the histone deacetylase inhibitor panobinostat against human AML cells. Blood. 2009 Sep 24;114(13):2733-43.
Avan A, et al. Molecular mechanisms involved in the synergistic interaction of the EZH2 inhibitor 3-deazaneplanocin A with gemcitabine in pancreatic cancer cells. Mol Cancer Ther. 2012 Aug;11(8):1735-46.
Kikuchi J, et al. Epigenetic therapy with 3-deazaneplanocin A, an inhibitor of the histone methyltransferase EZH2, inhibits growth of non-small cell lung cancer cells. Lung Cancer. 2012 Nov;78(2):138-43.
Sun F, et al. Preclinical pharmacokinetic studies of 3-deazaneplanocin A, a potent epigenetic anticancer agent, and its human pharmacokinetic prediction using GastroPlus™. Eur J Pharm Sci. 2015 Sep 18;77:290-302.