Product Datasheet

3-Deazaneplanocin A

Catalog No. **BP-01828** · CAS **102052-95-9** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-08**

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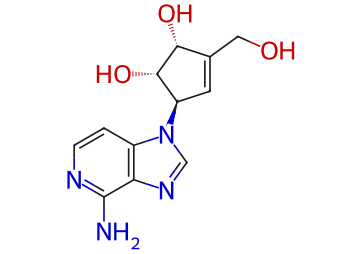
Target	Research area
Histone Methyltransferase; S-adenosylhomocysteine hydrolase (SAHH); Orthopoxvirus	**Infection; Metabolic Disease; Inflammation/Immunology; Cancer**

Molecular formula	$C_{12}H_{14}N_4O_3$
Molecular weight	**262.2646**

STRUCTURE



DESCRIPTION

3-Deazaneplanocin A (DZNep) is a potent histone methyltransferase EZH2 inhibitor. 3-Deazaneplanocin A is a potent S-adenosylhomocysteine hydrolase (AHCY) inhibitor. 3-Deazaneplanocin A shows anti-orthopoxvirus activity.

BIOLOGICAL ACTIVITY

In Vitro

3-Deazaneplanocin A is a potent histone methyltransferase EZH2 inhibitor. Treatment of OCI-AML3 cells with 3-Deazaneplanocin A (1.0 μM) results in a significant increase in accumulation of cells in the G0/G1 phase (58.5%) with a concomitant decrease in the number of cells in S phase (35.2%) and G2/M phases (6.3%) of the cell cycle (P<0.05). Treatment with 3-Deazaneplanocin A (200 nM to 2.0 μM) for 48 hours, dose dependently, inhibits colony growth of OCI-AML3 and HL-60 cells. 3-Deazaneplanocin A reduces the expression of EZH2, especially after 72 hours (e.g. 48%, 32% and 36% reduction of EZH2 in PANC-1, MIA-PaCa-2 and LPc006 cells, respectively). 3-Deazaneplanocin A shows minimal growth inhibition in PANC-1 cells. More than 50% of these cells are still growing after exposure at the highest concentration (20 μM). MIA-PaCa-2 and LPc006 cells are much more sensitive, with IC0 values of 1±0.3 and 0.1±0.03 μM, respectively[2]. 3-Deazaneplanocin A causes dose-dependent inhibition of cell proliferation of NSCLC cell lines, and the IC0 values range from 0.08 to 0.24 μM.

In Vivo

The survival of NOD/SCID mice with acute myeloid leukemia (AML) due to HL-60 cells is significantly higher, if treated with 3-Deazaneplanocin A and Panobinostat (PS) compare to treatment with PS, 3-Deazaneplanocin A, or vehicle alone (P<0.05). Median survival is as follows: control, 36 days; PS, 42 days; 3-Deazaneplanocin A, 43 days; and 3-Deazaneplanocin A plus PS, 52 days. There is a progressive increase in weight of rats treated with physiological saline in a time-dependent manner (the mean growth rate=3.19% per day). Administration of 20 mg/kg 3-Deazaneplanocin A not only markedly reduces the relative weight of the rats compare to the initial weight (

2.0%, 4.9% and 1.2%) in the first three days post-treatment, but also suppresses the weight growth rate to 2.6% per day from the fourth day onwards post-dose.

SOLUBILITY

Soluble in H2O

REFERENCES

[1]. 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, 114(13), 2733-2743.

[2]. 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.

[3]. 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.

[4]. 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.

[5]. Siddiqi FS, et al. The Histone Methyltransferase Enzyme Enhancer of Zeste Homolog 2 Protects against Podocyte Oxidative Stress and Renal Injury in Diabetes. J Am Soc Nephrol. 2016 Jul;27(7):2021-34.