

Product Data Sheet

Chemical Properties

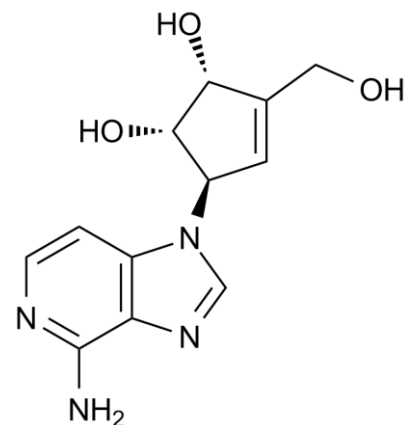
Product Name: 3-Deazaneplanocin, DZNep

Cas No.: 102052-95-9

M.Wt: 262.26

Formula: C₁₂H₂₄N₄O₃

Synonyms: DZNep, 3-Deazaneplanocin A, NSC 617989, NSC617989



Chemical Name: (1S,2R,5R)-5-(4-aminoimidazo[4,5-c]pyridin-1-yl)-3-(hydroxymethyl)cyclopent-3-ene-1,2-diol

Canonical SMILES: C1=CN=C(C2=C1N(C=N2)C3C=C(C(C3O)O)CO)N

Solubility: Soluble in Water

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets : Histone Methyltransferase

Pathways: Chromatin/Epigenetics >> Histone Methyltransferase

Description:

3-Deazaneplanocin is a highly potent inhibitor of S-adenosylhomocysteine hydrolase with Ki value of 0.05 nM [1].

3-Deazaneplanocin was synthesized as an inhibitor of S-adenosylhomocysteine hydrolase. It is an analog of adenosine and inhibits S-adenosylhomocysteine hydrolase through competing with the

substrate, adenosine. 3-Deazaneplanocin was not so that potent in cell growth inhibition. 10 μ M 3-Deazaneplanocin treatment resulted in moderate cell growth reduction in HL-60 cells. In HCC cell lines Huh1 and Huh7, 3-Deazaneplanocin inhibited growth and non-adherent sphere formation dose-dependently. It decreased the epithelial cell adhesion molecule EpCAMhigh fraction from 49.0% to 12.5% in Huh1 cells and from 44.4% to 11.6% in Huh7 cells. Moreover, in mice implanted with Huh7 cells, administration of 3-Deazaneplanocin suppressed tumor initiation and growth via directly affecting the growth and self-renewal of tumor-initiating cells [1, 2].

Reference:

- [1] Glazer R I, Hartman K D, Knode M C, et al. 3-Deazaneplanocin: a new and potent inhibitor of S-adenosylhomocysteine hydrolase and its effects on human promyelocytic leukemia cell line HL-60. *Biochemical and biophysical research communications*, 1986, 135(2): 688-694.
- [2] Chiba T, Suzuki E, Negishi M, et al. 3-Deazaneplanocin A is a promising therapeutic agent for the eradication of tumor-initiating hepatocellular carcinoma cells. *International Journal of Cancer*, 2012, 130(11): 2557-2567.

Protocol

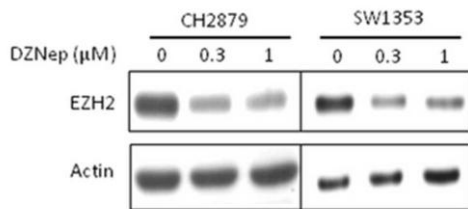
Cell experiment:

Cell lines	Human acute myeloid leukemia (AML) cell
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions	100-750 nM; 24-72h
Applications	DZNep induced apoptosis in cultured and primary AML cells. DZNep exhausted EZH2 levels, and inhibits trimethylation of lysine 27 on histone H3 in the AML HL-60 and OCI-AML3 cells. DZNep induced the levels of p16, p21, p27, and FBXO32 after cyclin E and HOXA9 levels run out.

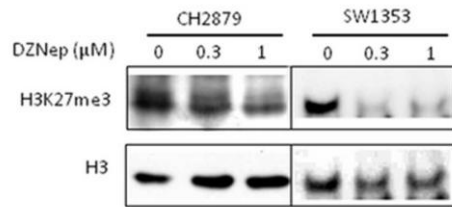
Reference:

1. Fiskus W1, Wang Y, Sreekumar A 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.
2. Vella S, Gnani D, Crudele A et al. EZH2 down-regulation exacerbates lipid accumulation and inflammation in vitro and in vivo NAFLD. *Int J Mol Sci*. 2013 Dec 12;14(12):24154-68.

Product Validation



Treatment of DZNep inhibits EZH2 level



Treatment of DZNep inhibits H3K27me3

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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