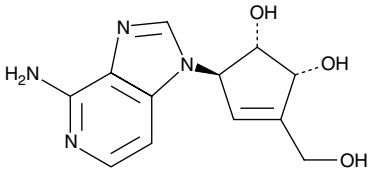
Product Information

3-Deazaneplanocin A

Item No. 13828

CAS Registry No.: 102052-95-9
Formal Name: 5R-(4-amino-1H-imidazo[4,5-c] pyridin-1-yl)-3-(hydroxymethyl)-3-cyclopentene-1S,2R-diol
Synonyms: DZNep, NSC 617989
MF: $C_{12}H_{14}N_4O_3$
FW: 262.3
Purity: ≥97%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 268 nm



Laboratory Procedures

For long term storage, we suggest that 3-deazaneplanocin A be stored as supplied at -20°C. It should be stable for at least two years.

3-Deazaneplanocin A is supplied as a crystalline solid. A stock solution may be made by dissolving the 3-deazaneplanocin A in the solvent of choice. 3-Deazaneplanocin A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of 3-deazaneplanocin A in these solvents is approximately 1 mg/ml in ethanol and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of 3-deazaneplanocin A can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of 3-deazaneplanocin A in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

The lysine methyltransferase EZH2 (KMT6), part of the polycomb repressive complex 2, catalyzes trimethylation of lysine 27 on histone H3 and is involved in proliferation and aggressive cell growth associated with neoplastic cells.[1] 3-Deazaneplanocin A is a cyclopentenyl analog of 3-deazaadenosine, originally synthesized as an inhibitor of S-adenosyl-L-homocysteine hydrolase.[2] It has been shown to deplete EZH2 levels and to inhibit trimethylation of lysine 27 on histone H3 in cultured human acute myeloid leukemia (AML) HL-60 and OCI-AML3 cells and in primary AML cells in a dose-dependent manner (0.2-1 µM).[3] 3-Deazaneplanocin A treatment of cultured human AML cells induces increased expression of the cell-cycle regulators p21, p27, and FBXO32, leading to cell cycle arrest and apoptosis.[3] When used in combination with the pan-histone deacetylase inhibitor panobinostat (10 mg/kg), 3-deazaneplanocin A's (1 mg/kg) antileukemic effects are synergistically enhanced in mice implanted with AML cells.[3,4]

References

1. Simon, J.A. and Lange, C.A. *Mutat. Res.* **647**, 21-29 (2008).
2. Tseng, C.K.H., Marquez, V.E., Fuller, R.W., *et al. J. Med. Chem.* **32**, 1442-1446 (1989).
3. Fiskus, W., Wang, Y., Sreekumar, A., *et al. Blood* **114(13)**, 2733-2743 (2009).
4. Bissinger, E.-M., Heinke, R., Sippl, W., *et al. Med. Chem. Commun.* (2010).

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