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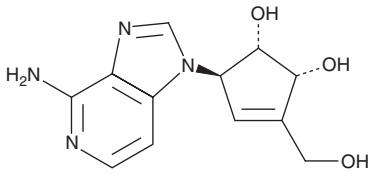
PRODUCT INFORMATION

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%
UV/Vis.:	λ_{max}: 268 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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, which should be purged with an inert gas. 3-Deazaneplanocin A is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of 3-deazaneplanocin A in these solvents is approximately 1, 20, and 30 mg/ml, respectively.

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.

Description

3-Deazaneplanocin A is a cyclopentenyl analog of 3-deazaadenosine, originally synthesized as an inhibitor of S-adenosyl-L-homocysteine hydrolase.[1] 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).[2] 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.[2] 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.[2,3]

References

1. Tseng, C.K.H., Marquez, V.E., Fuller, R.W., *et al.* Synthesis of 3-deazaneplanocin A, a powerful inhibitor of S-adenosylhomocysteine hydrolase with potent and selective in vitro and in vivo antiviral activities. *J. Med. Chem.* **32(7)**, 1442-1446 (1989).
2. Fiskus, W., 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* **114(13)**, 2733-2743 (2009).
3. Bissinger, E.M., Heinke, R., Sippl, W., *et al.* Targeting epigenetic modifiers: Inhibitors of histone methyltransferases. Med. Chem. Commun. **1(2)**, (2010).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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