

Small Molecules

3-Deazaneplanocin A

Epigenetic modifier; Inhibits histone EZH2 lysine methyltransferase

Catalog # 72322
72324

500 µg
1 mg



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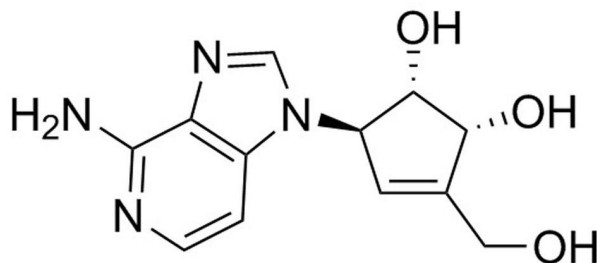
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Product Description

3-Deazaneplanocin A (DZNep) was originally synthesized as a potent inhibitor of S-Adenosylhomocysteine hydrolase, and is a cyclopentenyl derivative of 3-Deazaadenosine. More recently DZNep has been identified as an inhibitor of lysine methyltransferases, particularly EZH2. DZNep therefore acts as an epigenetic modifier, specifically inhibiting the trimethylation of histone 3, lysine 27, by depleting levels of EZH2 (Miranda et al.; Tan et al.; Tseng et al.).

Molecular Name:	3-Deazaneplanocin A
Alternative Names:	DZNep; NSC 617989
CAS Number:	102052-95-9
Chemical Formula:	C ₁₂ H ₁₄ N ₄ O ₃
Molecular Weight:	262.3 g/mol
Purity:	≥ 97%
Chemical Name:	5R-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)-3-(hydroxymethyl)-3-cyclopentene-1S,2R-diol
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· PBS (pH 7.2) ≤ 35 mM · DMSO ≤ 75 mM · Absolute ethanol ≤ 3.5 mM For example, to prepare a 10 mM stock solution in PBS, resuspend 500 µg in 191 µL of PBS (pH 7.2).

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

REPROGRAMMING

- Enables chemical reprogramming (without genetic factors) of mouse embryonic fibroblasts to induced pluripotent stem (iPS) cells, in combination with CHIR99021, Forskolin, Valproic Acid, Tranylcypromine, and RepSox, by increasing OCT4 expression at later stages of reprogramming (Hou et al.).

- Reactivation of XIST-dependent inactive X chromosomes in human embryonic stem cells (Diaz Perez et al.).

CANCER RESEARCH

- Inhibits self-renewal of glioblastoma multiforme cancer stem cells (Suva et al.).

- Inhibits survival of acute myeloid leukemia blast cells, in combination with a histone deacetylase inhibitor (Fiskus et al.).

References

Diaz Perez S V et al. (2012) Derivation of new human embryonic stem cell lines reveals rapid epigenetic progression in vitro that can be prevented by chemical modification of chromatin. *Hum Mol Genet* 21(4): 751–64.

Fiskus W et al. (2009) 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): 2733–43.

Hou P et al. (2013) Pluripotent stem cells induced from mouse somatic cells by small-molecule compounds. *Science* 341(6146): 651–4.

Miranda TB et al. (2009) DZNep is a global histone methylation inhibitor that reactivates developmental genes not silenced by DNA methylation. *Mol Cancer Ther* 8(6): 1579–88.

Suvà M-L et al. (2009) EZH2 is essential for glioblastoma cancer stem cell maintenance. *Cancer Res* 69(24): 9211–8.

Tan J et al. (2007) Pharmacologic disruption of Polycomb-repressive complex 2-mediated gene repression selectively induces apoptosis in cancer cells. *Genes Dev* 21(9): 1050–63.

Tseng CK et al. (1989) 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): 1442–6.

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