# Small Molecules

## 3-Deazaneplanocin A

Epigenetic modifier; Inhibits histone EZH2 lysine methyltransferase

| | | |
|---|---|---|
| Catalog # | 72322 | 500 µg |
| | 72324 | 1 mg |

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TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
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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.).

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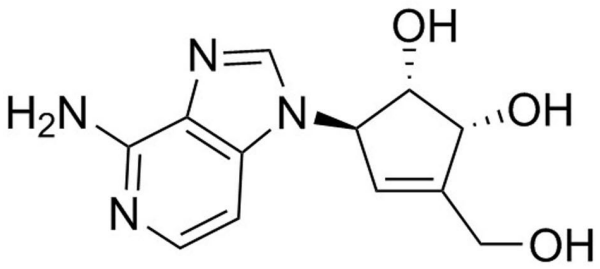
|---|---|
| Molecular Name: | 3-Deazaneplanocin A |
| Alternative Names: | DZNep; NSC 617989 |
| CAS Number: | 102052-95-9 |
| Chemical Formula: | $C_{12}H_{14}N_4O_3$ |
| Molecular Weight: | 262.3 g/mol |
| Purity: | ≥ 97% |
| Chemical Name: | 5R-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)-3-(hydroxymethyl)-3-cyclopentene-1S,2R-diol |
| Structure: | |




## Properties

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| Physical Appearance: | A crystalline solid |
| Storage: | Product stable at -20°C as supplied. Protect from prolonged exposure to light.<br>Stable as supplied for 12 months from date of receipt. |
| Solubility: | · PBS (pH 7.2) ≤ 35 mM<br>· DMSO ≤ 75 mM<br>· Absolute ethanol ≤ 3.5 mM<br>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 (Catalog #72052), Forskolin (Catalog #72112), Valproic Acid (Catalog #72292), Tranylcypromine (Catalog #72272), and RepSox (Catalog #73792), 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.

## Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).