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3-Deazaneplanocin A (Hydrochloride)

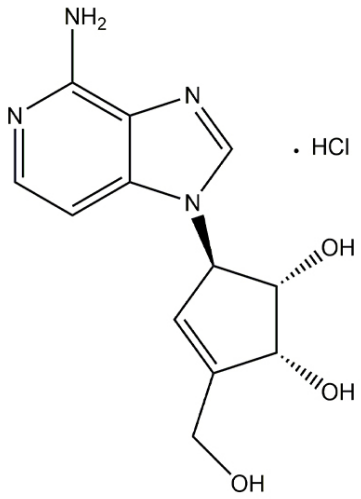
Epigenetic modifier; inhibits S-adenosyl-L-homocysteine hydrolase (SAHase)

Catalog #100-1640 1 mg

Product Description

3-Deazaneplanocin A (Hydrochloride) inhibits S-adenosyl-L-homocysteine hydrolase (SAHase; IC_{50} = 0.08 - 0.24 µM), which results in reduction of the histone methyltransferase enhancer of zeste homolog 2 (EZH2) protein levels (Tseng et al.). EZH2 is part of the polycomb repressive complex 2 (PRC2), an important regulator of tumor suppressor genes. EZH2 is associated with regulating cell proliferation, apoptosis, senescence, and DNA damage repair. It can be selectively targeted by 3-Deazaneplanocin A (Hydrochloride), making it an attractive target for cancer therapy (Duan et al.; Tan et al.). This product is supplied as the hydrochloride salt of the molecule.

Alternative Names:	2,3-DMCC, DZnep, NSC 617989
CAS Number:	120964-45-6
Chemical Formula:	$C_{12}H_{14}N_4O_3 \bullet HCl$
Molecular Weight:	298.7 g/mol
Purity:	≥ 98%
Chemical Name:	5R-(4-amino-1H-imidazo[4,5-c]pyridin-1-yl)-3-(hydroxymethyl)-3-cyclopentene-1S,2R-diol, monohydrochloride
Structure:	



Properties

Product Format: A crystalline solid

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:
- Phosphate-buffered saline (PBS; pH 7.2) ≤ 16 mM
- DMSO ≤ 10 mM

For example, to prepare a 10 mM stock solution in PBS (pH 7.2), resuspend 1 mg in 335 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

DIFFERENTIATION
- Supports differentiation of reprogrammed urine-derived cells into myotubes by promoting expression of myogenin (Takizawa et al.).
- Contributes to erythroid differentiation of human leukemia cells by promoting expression of erythroid-related genes (Fujiwara et al.).

CANCER RESEARCH
- Induces cell death and reduces cell migration in human chondrosarcoma cell lines (Girard et al.).
- Increases apoptosis in human gastric cancer cells with high expression of EZH2 (Pan et al.).

References

Duan R et al. (2020) EZH2: A novel target for cancer treatment. J Hematol Oncol 13(1): 104.
Fujiwara T et al. (2014) 3-Deazaneplanocin A (DZNep), an inhibitor of S-adenosylmethionine-dependent methyltransferase, promotes erythroid differentiation. J Biol Chem 289(12): 8121–34.
Girard N et al. (2014) 3-Deazaneplanocin A (DZNep), an inhibitor of the histone methyltransferase EZH2, induces apoptosis and reduces cell migration in chondrosarcoma cells. PLoS One 9(5): e98176.
Pan YM et al. (2016) STAT3 signaling drives EZH2 transcriptional activation and mediates poor prognosis in gastric cancer. Mol Cancer 15(1): 79.
Takizawa H et al. (2019) Modelling Duchenne muscular dystrophy in MYOD1-converted urine-derived cells treated with 3-deazaneplanocin A hydrochloride. Sci Rep 9(1): 3807.
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 CKH 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 Products

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