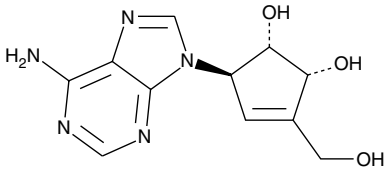
Product Information

(-)-Neplanocin A

Item No. 10584

CAS Registry No.: 72877-50-0
Formal Name: 5R-(6-amino-9H-purin-9-yl)-3-(hydroxymethyl)-3-cyclopentene-1S,2R-diol
MF: $C_{11}H_{13}N_5O_3$
FW: 263.3
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 262 nm



Laboratory Procedures

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

(-)-Neplanocin A is supplied as a crystalline solid. A stock solution may be made by dissolving the (-)-neplanocin A in the solvent of choice. (-)-Neplanocin A is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of (-)-neplanocin A in these solvents is approximately 3 mg/ml and 0.2 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 (-)-neplanocin A can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of (-)-neplanocin A in PBS, pH 7.2, is approximately 0.3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

S-Adenosylhomocysteine (SAH) hydrolase catalyzes the reversible hydrolysis of SAH to adenosine and homocysteine. The inhibition of SAH hydrolase causes the intracellular accumulation of SAH, elevating the ratio of SAH to S-adenosylmethionine (SAM) and inhibiting SAM-dependent methyltransferase. (-)-Neplanocin A potently and irreversibly inactivates SAH hydrolase (K_i = 8.39 nM).[1] It has antitumor activity against mouse leukemia L1210 cells and broad-spectrum antiviral activity.[1-4] Neplanocin A is more potent against vesicular stomatitis than the reversible SAH hydrolase inhibitor 3-deazaneplanocin (ID_{50} = 0.07 and 0.3 µg/ml, respectively).[3,5]

References

1. Borchardt, R.T., Keller, B.T., and Patel-Thombre, U. Neplanocin A. A potent inhibitor of S-adenosylhomocysteine hydrolase and of vaccinia virus multiplication in mouse L929 cells. *J. Biol. Chem.* **259(7)**, 4353-4358 (1984).
2. Yaginuma, S., Muto, N., Tsujino, M., *et al.* Studies on neplanocin A, new antitumor antibiotic. I. Producing organism, isolation and characterization. *J. Antibiot.* **34(4)**, 359-66 (1981).
3. De Clercq, E. Antiviral and antimetabolic activities of neplanocins. *Antimicrob. Agents Chemother.* **28(1)**, 84-9 (1985).
4. Borcherding, D.R., Narayanan, S., Hasobe, M., *et al.* Potential inhibitors of S-adenosylmethionine-dependent methyltransferases. 11. Molecular dissections of neplanocin A as potential inhibitors of S-adenosylhomocysteine hydrolase. *J. Med. Chem.* **31**, 1729-38 (1988).
5. 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**, 1442-1446 (1989).

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