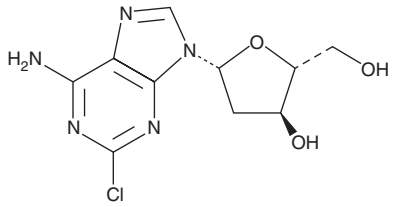
PRODUCT INFORMATION

Cladribine

Item No. 12085

CAS Registry No.: 4291-63-8
Formal Name: 2-chloro-2'-deoxy-adenosine
Synonyms: 2-Chlorodeoxyadenosine, Jk 6251, NSC 105014, RWJ 26251
MF: $C_{10}H_{12}ClN_5O_3$
FW: 285.7
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 265 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Cladribine is supplied as a crystalline solid. A stock solution may be made by dissolving the cladribine in the solvent of choice. Cladribine is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of cladribine in these solvents is approximately 11 and 16 mg/ml, respectively.

Cladribine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cladribine should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Cladribine has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cladribine is a nucleoside analog of deoxyadenosine and prodrug form of 2-chlorodeoxyadenosine triphosphate (2-CdATP).[1] It is triphosphorylated to Cd-ATP by the successive actions of deoxycytidine kinase, nucleoside monophosphate kinase, and nucleoside diphosphate kinase. Cladribine is cytotoxic to resting or proliferating lymphocytes.[2] It inhibits RNA synthesis and induces DNA strand breaks in resting human peripheral blood lymphocytes when used at concentrations of 1 and 10 µM.[3] Cladribine induces cell cycle arrest at the G_1 phase and apoptosis in U266, RPMI-8226, and MM.1S multiple myeloma cells in a concentration-dependent manner.[4] It reduces tumor growth in HT-29 colon cancer, RL lymphoma, and RPMI-8226 multiple myeloma mouse xenograft models when administered at a dose of 12 mg/kg.[5] Formulations containing cladribine have been used in the treatment of hairy cell leukemia.

References

1. Hermann, R., Krajcsi, P., Fluck, M., *et al.* Cladribine as a potential object of nucleoside transporter-based drug interactions. *Clin. Pharmacokinet.* (2021).
2. Carson, D.A., Wasson, D.B., Taetle, R., *et al.* Specific toxicity of 2-chlorodeoxyadenosine toward resting and proliferating human lymphocytes. *Blood* **62(4)**, 737-743 (1983).
3. Seto, S., Carrera, C.J., Kubota, M., *et al.* Mechanism of deoxyadenosine and 2-chlorodeoxyadenosine toxicity to nondividing human lymphocytes. *J. Clin. Invest.* **75(2)**, 377-383 (1985).
4. Ma, J., Wang, S., Zhao, M., *et al.* Therapeutic potential of cladribine in combination with STAT3 inhibitor against multiple myeloma. *BMC Cancer* **11**, 255 (2011).
5. Bagley, R.G., Roth, S., Kurtzberg, L.S., *et al.* Bone marrow CFU-GM and human tumor xenograft efficacy of three antitumor nucleoside analogs. *Int. J. Oncol.* **34(5)**, 1329-1340 (2009).

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