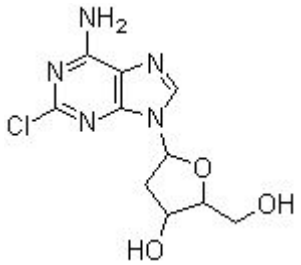
# Product Introduction

## Cladribine

Cladribine is an adenosine deaminase inhibitor for U266, RPMI8226, and MM1.S cells with IC50 of approximately 2.43 μM, 0.75 μM, and 0.18 μM, respectively.

### Technical Data:

| | | |
|---|---|---|
| **Molecular Weight (MW):** | 285.69 |  |
| **Formula:** | $C_{10}H_{12}ClN_5O_3$ | |
| **Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:** | DMSO 57 mg/mL | |
| | Water <1 mg/mL | |
| | Ethanol <1 mg/mL | |
| **Purity:** | >98% | |
| **Storage:** | 3 years -20℃Powder | |
| | 6 months-80℃in DMSO | |
| **CAS No.:** | 4291-63-8 | |

### Biological Activity

Cladribine exerts remarkable activity in hairy cell leukemia (HCL), a chronic B-cell lymphoproliferative disorder, producing prolonged complete remissions. Cladribine induces accumulation of DNA strand breaks, and subsequently activates the tumor suppressor p53 in lymphocytes. Cladribine may modulate STAT3 activity in MM cells. Cladribine inhibits proliferation/survival of U266, RPMI8226 and MM1.S cells in a dose-dependent manner. While U266 is the least sensitive cell line, MM1.S is the most sensitive one to cladribine. Treatment with cladribine gradually increases the percentage of cells in the G1 phase of the cell

cycle and reduces the percentage of cells in S phase. Cladribine appears to increase G2-M phase in U266 cells upon 24 hour-treatment. A dose-dependent increase in apoptosis induced by cladribine is seen in both RPMI8226 and MM1.S cells. Treatment with cladribine at 0.2 μM dramatically induces activation of caspase-3, -8, and -9 and PARP cleavage in a time-dependent manner in MM1.S. Cladribine significantly decreases the phospho-STAT3 (P-STAT3) levels in a dose-dependent manner, but has no effect on the total STAT3 protein levels. [1] Cladribine possesses concentration-dependent apoptosis-inducing potential in the HSB2 cells. [2] Cladribine inhibits growth of primary mast cell (MC) and the MC line HMC-1 in a dose-dependent manner, with lower IC50 values recorded in HMC-1.2 cells harboring KIT D816V compared to HMC-1.1 cells lacking KIT D816V. [3] Cladribine decreases the migratory capacity of $CD14^+$ monocytes, as well as of $CD4^+$ and $CD8^+$ T lymphocytes. [4]

Cladribine (0.7-3.5 mM) and/or diltiazem (2.4 mM), is injected intraperitoneally into adult zebrafish and red blood cell (RBC) lysates are assayed by HPLC for levels of purine nucleotides (e.g. ATP), potential biomarkers of cardiovascular health. Diltiazem increased RBC ATP concentrations, which are inhibited by co-injection of cladribine. [5] Plasma concentrations of Cladribine decreases rapidly following a biphasic decline after both ia and s.c. administrations. The AUC and $t_{1/2}$ beta after a single 1 mg/kg ia and 2 mg/kg s.c. injection of Cladribine are 0.66 vs 1.2 μg × h/mL and 3.5 vs 4.5 hours, respectively. [6]

Cladribine is primarily active in lymphoid tissues.

## References


[1] Ma J, et al. BMC Cancer. 2011, 11, 255.

[2] Guchelaar HJ, et al. Cancer Chemother Pharmacol. 1998, 42(1), 77-83.

[3] B?hm A, et al. Exp Hematol. 2010, 38(9), 744-755.

[4] Kopadze T, et al. Eur J Neurol. 2009, 16(3), 409-412.

[5] Klein LC, et al. Biomarkers. 2009, 14(8), 554-559.

[6] Yeung PK, et al. Drug Metabol Drug Interact. 2008, 23(3-4), 291-298.

[7] Szmigielska-Kaplon A, et al. Ann Hematol. 2002, 81(9), 508-513.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