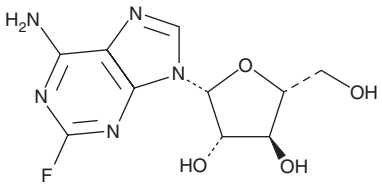
PRODUCT INFORMATION

Fludarabine

Item No. 14128

CAS Registry No.: 21679-14-1
Formal Name: 9-β-D-arabinofuranosyl-2-fluoro-9H-purin-6-amine
Synonyms: 2-fluoro-ara-A, NSC 118218
MF: $C_{10}H_{12}FN_5O_4$
FW: 285.2
Purity: ≥98%
UV/Vis.: λ_{max}: 261 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

Fludarabine is supplied as a crystalline solid. A stock solution may be made by dissolving the fludarabine in the solvent of choice, which should be purged with an inert gas. Fludarabine is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of fludarabine in DMSO and DMF is approximately 11 and 3.3 mg/ml, respectively.

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

Description

Fludarabine is an intermediate active metabolite of the prodrug fludarabine phosphate (Item No. 14251).[1] It is produced by the dephosphorylation of fludarabine phosphate *in vivo* and re-phosphorylation intracellularly to 2-fluoro-ara-ATP, another active metabolite. Fludarabine inhibits the proliferation of RPMI-8226, MM.1S, and MM.1R multiple myeloma cells (IC_{50}s = 1.54, 13.48, and 33.79 µM, respectively).[2] It increases Bax levels and decreases BH3-interacting domain (Bid), X-linked inhibitor of apoptosis (XIAP), and survivin levels, indicating the induction of apoptosis, in RPMI-8226 cells when used at a concentration of 2 µg/ml. Fludarabine is also an inhibitor of severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) RNA-dependent RNA polymerase (RdRp; EC_{50} = 1.06 µM).[3] It completely inhibits DNA synthesis in an SA-NH murine sarcoma model when administered at a dose of 200 mg/kg.[4] Fludarabine reduces tumor growth in an RPMI-8226 mouse xenograft model when administered at a dose of 40 mg/kg.[2] It also reduces tumor growth in an L1210 murine leukemia model.[5]

References

1. Mittelman, A., Savona, S., Puccio, C., *et al.* Phase II trial of fludarabine phosphate (F-Ara-AMP) in patients with advanced head and neck cancer. *Invest. New Drugs* **8**, S65-S67 (1990).
2. Meng, H., Yang, C., Ni, W., *et al.* Antitumor activity of fludarabine against human multiple myeloma *in vitro* and *in vivo*. *Eur. J. Haematol.* **79(6)**, 486-493 (2007).
3. Zhao, J., Liu, Q., Yi, D., *et al.* 5-Iodotubercidin inhibits SARS-CoV-2 RNA synthesis. *Antiviral Res.* **198**, 105254 (2022).
4. Gregoire, V., Van, N.T., Stephens, C., *et al.* The role of fludarabine-induced apoptosis and cell cycle synchronization in enhanced murine tumor radiation response *in vivo*. *Cancer Res.* **54(23)**, 6201-6209 (1994).
5. Brockman, R.W., Cheng, Y.-C., Schabel, F.M.J., *et al.* Metabolism and chemotherapeutic activity of 9-β-D-arabinofuranosyl-2-fluoroadenine against murine leukemia L1210 and evidence for its phosphorylation by deoxycytidine kinase. *Cancer Res.* **40(10)**, 3610-3615 (1980).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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