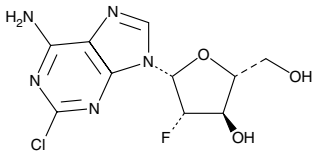
Product Information

Clofarabine

Item No. 14125

CAS Registry No.: 123318-82-1
Formal Name: 2-chloro-9-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-9H-purin-6-amine
Synonyms: Clolar, Evoltra
MF: $C_{10}H_{11}ClFN_5O_3$
FW: 303.7
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 212, 263 nm



Laboratory Procedures

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

Clofarabine is supplied as a crystalline solid. A stock solution may be made by dissolving the clofarabine in the solvent of choice. Clofarabine is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of clofarabine in these solvents is approximately 20 mg/ml.

Clofarabine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, clofarabine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Clofarabine 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.

Clofarabine is a nucleoside analog that inhibits ribonucleotide reductase and DNA polymerase-α (IC_{50}s = 65 and 3.9 nM, respectively) and is cytotoxic to K562 myelogenous leukemia cells (IC_{50} = 5 nM).[1] It induces apoptosis in primary chronic lymphocytic leukemia cells by directly altering mitochondrial transmembrane potential.[2] Clofarabine demonstrates growth inhibition and cytotoxic activity in a variety of leukemias and solid tumors.[3-5]

References

1. Parker, W.B., Shaddix, S.C., Chang, C.-H., *et al.* Effects of 2-chloro-9-(2-deoxy-2-fluoro-β-D-arabinofuranosyl) adenine on K562 cellular metabolism and the inhibition of human ribonucleotide reductase and DNA polymerases by its 5'-triphosphate. *Cancer Res.* **51**, 2386-2394 (1991).
2. Genini, D., Adachi, S., Chao, Q., *et al.* Deoxyadenosine analogs induce programmed cell death in chronic lymphocytic leukemia cells by damaging the DNA and by directly affecting the mitochondria. *Blood* **96(10)**, 3537-3543 (2000).
3. Yamauchi, T., Nowak, B.J., Keating, M.J., *et al.* DNA repair initiated in chronic lymphocytic leukemia lymphocytes by 4-hydroperoxycyclophosphamide is inhibited by fludarabine and clofarabine. *Clin. Cancer Res.* **7**, 3580-3589 (2001).
4. Chiarini, F., Lonetti, A., Teti, G., *et al.* A combination of temsirolimus, an allosteric mTOR inhibitor, with clofarabine as a new therapeutic option for patients with acute myeloid leukemia. *Oncotarget* **3(12)**, 1615-1628 (2012).
5. Lee, Y.-J., Im, J.-H., Lee, D.M., *et al.* Synergistic inhibition of mesothelioma cell growth by the combination of clofarabine and resveratrol involves Nrf2 downregulation. *BMB Rep.* **45(11)**, 647-652 (2012).

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Mailing address
1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone
(800) 364-9897
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Fax
(734) 971-3640

E-Mail
custserv@caymanchem.com

Web
www.caymanchem.com