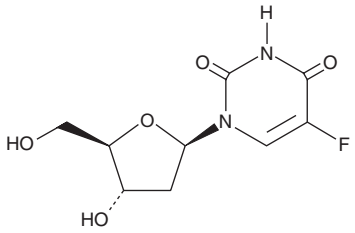
PRODUCT INFORMATION

Floxuridine

Item No. 14154

CAS Registry No.: 50-91-9
Formal Name: 2'-deoxy-5-fluoro-uridine
Synonyms: 5-FDU, FdUrd, 5-Fluorodeoxyuridine, 5-FUDR, NSC 26740, NSC 27640
MF: $C_9H_{11}FN_2O_5$
FW: 246.2
Purity: ≥98%
UV/Vis.: λ_{max}: 269 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

Floxuridine is supplied as a crystalline solid. A stock solution may be made by dissolving the floxuridine in the solvent of choice, which should be purged with an inert gas. Floxuridine is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of floxuridine in these solvents is approximately 10 and 16 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 floxuridine can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of floxuridine in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Floxuridine is an active metabolite of the fluorodeoxyuridylate (FdUMP) prodrug 5-fluorouracil (5-FU; Item No. 14416).[1] It is formed from 5-FU by thymidine phosphorylase, which is then phosphorylated by thymidine kinase to form FdUMP. Floxuridine (1 µM) induces DNA double-strand breaks in thymidylate synthase-deficient FM3A murine breast cancer cells, as well as increases dATP levels and decreases dTTP and dGTP levels in FM3A F28-7 murine breast cancer cells expressing wild-type thymidylate synthase.[2] It inhibits the proliferation of L1210 leukemia and HeLa cancer cells (IC_{50}s = 1.1 and 9.4 nM, respectively).[1] Floxuridine (200 mg/kg, i.p.) reduces tumor growth by 86.3% in a S180 murine sarcoma model.[3] Formulations containing floxuridine have previously been used in the treatment of metastatic gastrointestinal adenocarcinoma.

References

1. Tzioumaki, N., Manta, S., Tsoukala, E., *et al.* Synthesis and biological evaluation of unsaturated keto and exomethylene D-arabinopyranonucleoside analogs: Novel 5-fluorouracil analogs that target thymidylate synthase. *Eur. J. Med. Chem.* **46(4)**, 993-1005 (2011).
2. Yoshioka, A., Tanaka, S., Hiraoka, O., *et al.* Deoxyribonucleoside triphosphate imbalance. 5-Fluorodeoxyuridine-induced DNA double strand breaks in mouse FM3A cells and the mechanism of cell death. *J. Biol. Chem.* **262(17)**, 8235-8241 (1987).
3. Bollag, W. and Hartmann, H.R. Tumor inhibitory effects of a new fluorouracil derivative: 5'-Deoxy-5-fluorouridine. *Eur. J. Cancer* **16(4)**, 427-432 (1980).

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