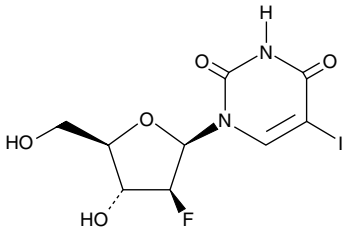
Product Information

Fialuridine

Item No. 15867

CAS Registry No.:	69123-98-4
Formal Name:	1-(2-deoxy-2-fluoro-β-D-arabinofuranosyl)-5-iodo-2,4(1H,3H)-pyrimidinedione
Synonyms:	FIAU, Fluoroiodoarauracil, 5-Iodo-2'-Fluoroarauracil, NSC 678514
MF:	$C_9H_{10}FIN_2O_5$
FW:	372.1
Purity:	≥98%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 215, 282 nm



Laboratory Procedures

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

FIAU is supplied as a crystalline solid. A stock solution may be made by dissolving the FIAU in the solvent of choice. FIAU is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of FIAU in these solvents is approximately 15 and 20 mg/ml, respectively.

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

FIAU is a nucleoside analog with antiviral activity. It inhibits thymidine kinases from herpes simplex virus types 1 and 2 with K_i values of 0.14 and 0.95 µM, respectively, while blocking green monkey Vero cell thymidine kinase less effectively (K_i = 53 µM).[1] FIAU blocks DNA synthesis in human cytomegalovirus and hepatitis B, as well as herpes simplex.[2-4] Notably, while FIAU has few adverse effects in several mammals, it causes mitochondrial toxicity leading to liver damage and death in humans.[5]

References

1. Mansuri, M.M., Ghazzouli, I., Chen, M.S., *et al.* 1-(2-Deoxy-2-fluoro-β-D-arabinofuranosyl)-5-ethyluracil. A highly selective antiherpes simplex agent. *J. Med. Chem.* **30(5)**, 867-871 (1987).
2. Colacino, J.M. and Lopez, C. Efficacy and selectivity of some nucleoside analogs as anti-human cytomegalovirus agents. *Antimicrob. Agents Chemother.* **24(4)**, 505-508 (1983).
3. Staschke, K.A., Colacino, J.M., Mabry, T.E., *et al.* The in vitro anti-hepatitis B virus activity of FIAU [1-(2'-deoxy-2'-fluoro-1-β-D-arabinofuranosyl-5-iodo)uracil] is selective, reversible, and determined, at least in part, by the host cell. *Antiviral Res.* **23(1)**, 45-61 (1994).
4. Watanabe, K.A., Reichman, U., Hirota, K., *et al.* Nucleosides. 110. Synthesis and antiherpes virus activity of some 2'-fluoro-2'-deoxyarabinofuranosylpyrimidine nucleosides. *J. Med. Chem.* **22(1)**, 21-24 (1979).
5. Attarwala, H. TGN1412: From Discovery to Disaster. *J. Young Pharm.* **2(3)**, 332-336 (2010).

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