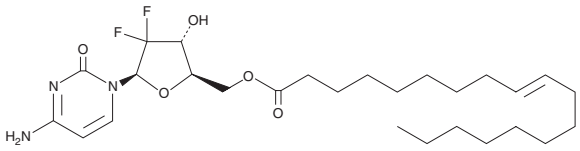
PRODUCT INFORMATION

Gemcitabine Elaidate

Item No. 28303

CAS Registry No.:	210829-30-4
Formal Name:	2'-deoxy-2',2'-difluoro-cytidine, 5'-(9E)-9-octadecenoate
Synonym:	CP 4126
MF:	$C_{27}H_{43}F_2N_3O_5$
FW:	527.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 273 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

Gemcitabine elaidate is supplied as a crystalline solid. A stock solution may be made by dissolving the gemcitabine elaidate in the solvent of choice, which should be purged with an inert gas. Gemcitabine elaidate is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of gemcitabine elaidate in these solvents is approximately 30 mg/ml.

Gemcitabine elaidate is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gemcitabine elaidate should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Gemcitabine elaidate has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Gemcitabine elaidate is a lipophilic prodrug form of the nucleoside analog gemcitabine (Item Nos. 11690 | 9003096) that contains an elaidic acid (Item No. 90250) moiety.[1] Gemcitabine elaidate inhibits growth of gemcitabine-sensitive L1210/L5, BCLO, and A2780 cells (IC_{50}s = 0.0033, 0.0042, and 0.0025 µM, respectively) but not cytarabine-resistant L4A6 and Bara-C cells (IC_{50}s = 16 and 13 µM, respectively) or gemcitabine-resistant AG6000 cells (IC_{50} = 91 µM). It inhibits growth of THX, LOX, MOLT-4, and MOLT-4/C8 cells in a manner independent of nucleoside transport. Gemcitabine elaidate reduces tumor growth in EKVX non-small cell lung cancer and MHMX sarcoma mouse xenograft models but not in an H-146 small cell lung cancer mouse xenograft model when administered at a dose of 40 mg/kg every three days.

Reference

1. Bergman, A.M., Adema, A.D., Balzarini, J., *et al.* Antiproliferative activity, mechanism of action and oral antitumor activity of CP-4126, a fatty acid derivative of gemcitabine, in in vitro and in vivo tumor models. *Invest. New Drugs* **29(3),** 456-466 (2011).

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