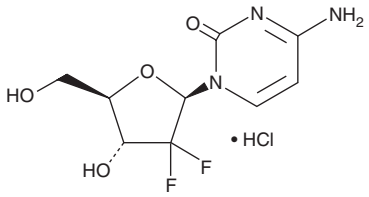
PRODUCT INFORMATION

Gemcitabine (hydrochloride)

Item No. 9003096

CAS Registry No.:	122111-03-9
Formal Name:	2'-deoxy-2',2'-difluoro-cytidine, monohydrochloride
MF:	$C_9H_{11}F_2N_3O_4$ • HCl
FW:	299.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 272 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 (hydrochloride) is supplied as a crystalline solid. Aqueous solutions of gemcitabine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of gemcitabine (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Gemcitabine is an active metabolite of the gemcitabine prodrugs NUC-1031 (Item No. 9003247) and gemcitabine elaidate (Item No. 28303) and a prodrug form of gemcitabine di- and triphosphates.[1-3] Gemcitabine is phosphorylated by intracellular kinases to the intermediate metabolite gemcitabine monophosphate (Item No. 31726) and the active di- and triphosphate forms.[3] It is cytotoxic to HepG2 hepatocellular carcinoma and A549 non-small cell lung cancer (NSCLC) cells (IC_{50}s = 5.2 and 16 nM, respectively) and inhibits tumor growth in various breast, colon, lung, and pancreatic cancer mouse xenograft models.[4] Gemcitabine (12 mg/kg) sensitizes tumors to antibodies targeting programmed cell death protein 1 (PD-1), decreases the number of tumor-infiltrating regulatory T cells (Tregs), and increases survival in an MC-38 syngeneic mouse model of colon carcinoma.[5] Formulations containing gemcitabine have been used in the treatment of cancer.

References

1. Slusarczyk, M., Lopez, M.H., Balzarini, J., *et al.* Application of ProTide technology to gemcitabine: A successful approach to overcome the key cancer resistance mechanisms leads to a new agent (NUC-1031) in clinical development. *J. Med. Chem.* **57(4)**, 1531-1542 (2014).
2. 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).
3. Veltkamp, S.A., Pluim, D., van Eijndhoven, M.A.J., *et al.* New insights into the pharmacology and cytotoxicity of gemcitabine and 2',2'-difluorodeoxyuridine. *Mol. Cancer Ther.* **7(8)**, 2415-2425 (2008).
4. Merriman, R.L., Hertel, L.W., Schultz, R.M., *et al.* Comparison of the antitumor activity of gemcitabine and ara-C in a panel of human breast, colon, lung and pancreatic xenograft models. *Invest. New. Drugs* **14(3)**, 243-247 (1996).
5. Obradovic, A., Ager, C., Turunen, M., *et al.* Systematic elucidation and pharmacological targeting of tumor-infiltrating regulatory T cell master regulators. *Cancer Cell* **41(5)**, 933-949 (2023).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM