Gemcitabine elaidate hydrochloride

Cat. No.: HY-13538A

CAS No.: 2918768-08-6

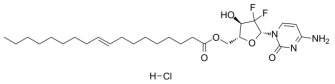
Molecular Formula: $C_{27}H_{44}ClF_2N_3O_5$

Molecular Weight: 564.11

Target: Nucleoside Antimetabolite/Analog; Autophagy; Apoptosis

Pathway: Cell Cycle/DNA Damage; Autophagy; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (177.27 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7727 mL	8.8635 mL	17.7270 mL
	5 mM	0.3545 mL	1.7727 mL	3.5454 mL
	10 mM	0.1773 mL	0.8864 mL	1.7727 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gemcitabine elaidate (CP-4126) hydrochloride is lipophilic pro-agent of Gemcitabine. Gemcitabine elaidate hydrochloride is converted to Gemcitabine by esterases in order to be phosphorylated. Gemcitabine elaidate hydrochloride exhibits anti-tumor activity[1][2].

In Vitro

Gemcitabine elaidate (0.2 nM-1 mM; 72 h) hydrochloride inhibits the growth of gemcitabine sensitive and resistant cells, with IC_{50}s of 0.0033, 16.0, 0.0042, 13.0, 0.0015, 0.03, 0.0025, 91, 0.0040, 0.0077, 0.028, and 0.088 μM for L1210/L5, L4A6, BCLO, Bara-C, C26-A, C26-G, A2780, AG6000, THX, LOX, MOLT4 and MOLT4/C8 cells, respectively[1].

?Gemcitabine elaidate (0.5 nM-1 μM; 72 h) hydrochloride increases S phase accumulation and dose-dependent cell kill in A549 and WiDR cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[2]

Cell Line:	A549 and WiDR cells

Concentration:	0.0005, 0.001, 0.005, 0.01, 0.05, 0.1, 0.5, 1.0 μM
Incubation Time:	72 h
Result:	Induced a G2/M and S phase accumulation.

In Vivo

Gemcitabine elaidate (25-120 mg/kg; i.p. every 3 days for 5 doses) hydrochloride inhibits the solid tumor xenografts growth of non-small cell lung cancer (EKVX), non-classifiable sarcoma (MHMX), fibrous histiocytoma (TAX II-1), malignant melanoma (THX), prostate cancer (CRL-1435), pancreatic cancer (PANC-1)[1].

?Gemcitabine elaidate (10-20 mg/kg; p.o. every 3 days for 5 doses) hydrochloride shows acceptable toxicity and significant antitumor activity in the colon cancer xenograft Co6044 bearing mice[1].

?Gemcitabine elaidate (p.o. once daily for 5 doses) hydrochloride shows a favorable toxicity and antitumor activity, while the dose of 15 mg/kg is highly toxic in the human colon cancer xenograft Co6044[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c nude (nu/nu) mice (5-8 weeks; 20-27 g) were bearing tumor of EKVX, H-146, MHMX, TAX II-1, OHS, THX, MA-11, CRL-1435, PANC-1 and MiaPaCa-2, respectively[1]
Dosage:	25-120 mg/kg
Administration:	I.p. every 3 days for 5 doses
Result:	Inhibited the growth of EKVX, MHMX, TAX II-1, THX, CRL-1435 and PANC-1, with T/C values of 7%, 1%, 30%, 7%, 9%, and 12%, respectively.

CUSTOMER VALIDATION

- J Control Release. 2022 Oct 10;351:834-846.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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REFERENCES

[1]. Bergman AM, 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. 2011 Jun;29(3):456-66.

[2]. Adema AD, et, al. Cell cycle effects of fatty acid derivatives of cytarabine, CP-4055, and of gemcitabine, CP-4126, as basis for the interaction with oxaliplatin and docetaxel. Int J Oncol. 2010 Jan;36(1):285-94.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA