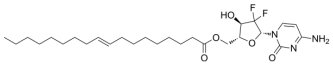
Gemcitabine elaidate

Cat. No.: HY-13538

CAS No.: 210829-30-4

Molecular Formula: $C_{27}H_{43}F_2N_3O_5$

Molecular Weight: 527.64



Target: Nucleoside Antimetabolite/Analog; Autophagy; Apoptosis

Pathway: Cell Cycle/DNA Damage; Autophagy; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (189.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8952 mL	9.4762 mL	18.9523 mL
5 mM	0.3790 mL	1.8952 mL	3.7905 mL
10 mM	0.1895 mL	0.9476 mL	1.8952 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.74 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

In Vitro

Gemcitabine elaidate (0.2 nM-1 mM; 72 h) 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) 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 Viability Assay[2]

Cell Line:	A549 and WiDR cells[2]
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) 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) 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) 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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