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Zuschläge

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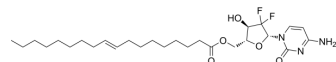
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Gemcitabine elaidate

Cat. No.:	HY-13538
CAS No.:	210829-30-4
Molecular Formula:	C ₂₇ H ₄₃ F ₂ N ₃ O 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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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 IC₅₀s 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 μ M; 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 μ M
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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