Ceranib-2

Cat. No.: HY-116147

CAS No.: 1402830-75-4

Molecular Formula: $C_{25}H_{19}NO_3$

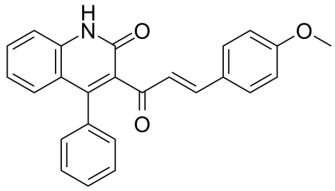
Molecular Weight: 381.42

Target: LPL Receptor; Apoptosis

Pathway: GPCR/G Protein; 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 : 50 mg/mL (131.09 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6218 mL	13.1089 mL	26.2178 mL
	5 mM	0.5244 mL	2.6218 mL	5.2436 mL
	10 mM	0.2622 mL	1.3109 mL	2.6218 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 (6.55 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.55 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Ceranib-2 is a potent and nonlipid ceramidase inhibitor that inhibits cellular ceramidase activity with an IC_{50} of 28 μM in SKOV3 cells. Ceranib-2 induces the accumulation of multiple ceramide species, decreases levels of sphingosine and sphingosine-1-phosphate (S1P), and induces cell apoptosis. Anticancer activity[1][2].

IC_{50} & Target

IC50: 28 μM (Ceramidase)[1]

In Vitro

Ceranib-2 (10 nM-10 μM; 72 hours; SKOV3 cells) treatment inhibits cell proliferation and/or survival with an IC_{50} value of 0.73 μM[1].

Ceranib-2 (0.75-1.5 μM; 48 hours; SKOV3 cells) treatment causes accumulation of cells in the sub-G1 (apoptosis), G2 and S (0.75 μM only) phases of the cell cycle, concomitant with reductions in the number of cells in G1 phase[1].

Ceranib-2 produces a dose-dependent decrease in ceramidase activity, with 50% inhibition at 28 μM, induces the accumulation of multiple ceramide species, and decreases levels of sphingosine and S1P[1].

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

Cell Proliferation Assay[1]

Cell Line:	SKOV3 cells
Concentration:	10 nM-10 μM
Incubation Time:	72 hours
Result:	Cell proliferation and/or survival were inhibited with an IC_{50} value of 0.73 μM for Ceranib-2.

Cell Cycle Analysis[1]

Cell Line:	SKOV3 cells
Concentration:	0.75 μM, or 1.5 μM
Incubation Time:	48 hours
Result:	Induced cell-cycle arrest and cell death.

In Vivo

Ceranib-2 (20-50 mg/kg; intraperitoneal injection; daily for 5 days per week; for 3 weeks; female Balb/c mice) treatment delays tumor growth in a syngeneic tumor model without hematologic suppression or overt signs of toxicity[1]. Intraperitoneal administration of 50 mg/kg Ceranib-2 results in progressive increases in its circulating levels, reaching a peak plasma concentration of approximately 40 μM at the 2 hr time point. Ceranib-2 appears to be cleared with a half-life of less than 2 hr[1].

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

Animal Model:	Female Balb/c mice injected with JC murine mammary adenocarcinoma cells[1]
Dosage:	20 mg/kg or 50 mg/kg
Administration:	Intraperitoneal injection; daily for 5 days per week; for 3 weeks
Result:	Delayed tumor growth in a syngeneic tumor model.

REFERENCES

[1]. Draper JM, et al. Discovery and evaluation of inhibitors of human ceramidase. Mol Cancer Ther. 2011 Nov;10(11):2052-61.

[2]. Kus G, et al. Induction of apoptosis in prostate cancer cells by the novel ceramidase inhibitor ceranib-2. In Vitro Cell Dev Biol Anim. 2015 Nov;51(10):1056-63.

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