Lobaplatin

Cat. No.: HY-105930

CAS No.: 135558-11-1

Molecular Formula: $C_9H_{18}N_2O_3Pt$

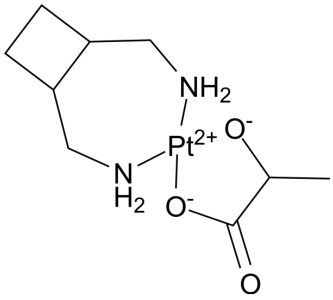
Molecular Weight: 397.33

Target: Apoptosis; Caspase; Bcl-2 Family

Pathway: Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (125.84 mM; ultrasonic and warming and heat to 60°C; DMSO can inactivate Lobaplatin's activity)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5168 mL	12.5840 mL	25.1680 mL
	5 mM	0.5034 mL	2.5168 mL	5.0336 mL
	10 mM	0.2517 mL	1.2584 mL	2.5168 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (251.68 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Lobaplatin (D-19466) is a diastereometric mixture of platinum(II) complexe. Lobaplatin arrests cell cycle at G1 and G2/M phase. Lobaplatin induces apoptosis by increasing expressions of caspase and Bax, decreasing expression of Bcl-2. Lobaplatin can be used for research of cancer[1][2][3].

In Vitro

Lobaplatin (D-19466, 0.25-32 μg/mL; 24-72 h) exhibits anti-proliferative activities against esophageal squamous cell carcinoma (ESCC) cell lines[1].

Lobaplatin (0-16 μg/mL; 48 h) induces esophageal squamous cell carcinoma (ESCC) apoptosis and modulates expression of apoptosis-related proteins[1].

Lobaplatin (1.45 μg/mL; 0-48 h; SMMC-7721 cells) arrests cell cycle progression at G1 and G2/M phases in a time-dependent manner[2].

Lobaplatin (1.45 μg/mL; 0-48 h; SMMC-7721 cells) inhibits the mRNA levels of cyclin B, CDK1, and CDC25C phosphatase, down-regulates Rb/E2F complexes and up-regulates of CDK inhibitors[2].

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

Cell Viability Assay[1]

Cell Line:	KYSE-410 cells and EC-109 cells
Concentration:	0.25, 0.5, 1, 2, 4, 8, 16 and 32 μg/mL
Incubation Time:	24, 48 and 72 hours
Result:	Inhibited the growth of KYSE-410 and EC-109 cells in a dose- and time-dependent manner. Inhibited the clone formation activity of KYSE-410 and EC-109 cells in a dosedependent manner.

Apoptosis Analysis[1]

Cell Line:	KYSE-410 cells and EC-109 cells
Concentration:	0.25, 1, 4 and 16 μg/mL
Incubation Time:	48 hours
Result:	Increased the percentage of apoptotic cells in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	KYSE-410 cells and EC-109 cells
Concentration:	0, 1, 4 and 16 μg/mL
Incubation Time:	48 hours
Result:	Increased expressions of cleaved-caspase-3, cleaved-caspase-8, cleaved-caspase-9 and Bax, while decreased expression of Bcl-2.

Cell Cycle Analysis[2]

Cell Line:	SMMC-7721 cells
Concentration:	1.45 μg/mL
Incubation Time:	0, 24, 36 and 48 hours
Result:	Arrested the proportions of G1, S, and G2/M phases in cells were 45.31, 22.88, and 31.81% at 0 h, 59.91, 11.92, and 28.17% at 24 h, 56.89, 2.83, and 40.28% at 36 h, and 53.80, 2.07, and 44.13% at 48 h, respectively.

Western Blot Analysis[2]

Cell Line:	SMMC-7721 cells
Concentration:	1.45 μg/mL
Incubation Time:	0, 24, 36 and 48 hours
Result:	Down-regulated cyclin B, CDK1, CDC25C, phosphorylated CDK1 (pCDK1), pCDK4, Rb, E2F, and pRb, and up-regulated p53, p21, and p27.

In Vivo

Lobaplatin (5 and 10 mg/kg; i.p.; once a week, for 3 weeks) suppresses tumor growth of esophageal squamous cell carcinoma (ESCC) xenograft[1].

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

Animal Model:	Male BALB/c nude mice (4-6 weeks) with ESCC xenograft[1]
Dosage:	Intraperitoneal injection; once a week, for 3 weeks
Administration:	5 and 10 mg/kg
Result:	Suppressed tumor volumes in a dose-dependent manner. Increased expressions of Bax and decreased expressions of Bcl-2.

CUSTOMER VALIDATION

- Cancer Cell Int. 2021 Oct 30;21(1):581.

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REFERENCES

[1]. Du L, et, al. Antitumor activity of Lobaplatin against esophageal squamous cell carcinoma through caspase-dependent apoptosis and increasing the Bax/Bcl-2 ratio. Biomed Pharmacother. 2017 Nov;95:447-452.

[2]. Wu Q, et, al. Lobaplatin arrests cell cycle progression in human hepatocellular carcinoma cells. J Hematol Oncol. 2010 Oct 31;3:43.

[3]. McKeage MJ. Lobaplatin: a new antitumour platinum drug. Expert Opin Investig Drugs. 2001 Jan;10(1):119-28.

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