JX06

Cat. No.: HY-19564

CAS No.: 729-46-4

Molecular Formula: $C_{10}H_{16}N_2O_2S_4$

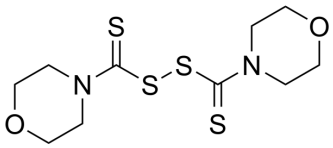
Molecular Weight: 324.51

Target: PDHK; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (154.08 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	3.0816 mL	15.4078 mL	30.8157 mL
	5 mM	0.6163 mL	3.0816 mL	6.1631 mL
	10 mM	0.3082 mL	1.5408 mL	3.0816 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 (7.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.70 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JX06 is a potent, selective and covalent inhibitor of PDK. JX06 inhibits PDK1, PDK2 and PDK3 with IC_{50}s of 49 nM, 101 nM, and 313 nM, respectively. JX06 inhibits PDK1 activity via covalently binding to a cysteine residue in an irreversible manner. JX06 shows significant antitumor activity[1].

IC_{50} & Target

IC50: 49 nM (PDK1), 101 nM (PDK2), 313 nM (PDK3)[1]

In Vitro

JX06 barely shows inhibitory activity against PDK4 at a concentration of 10 μM[1].
?JX06 (1-10 μM; 48 hours) induces cell apoptosis in cancer cells with high ECAR/OCR[1].

?JX06 (0-0.6 μM; 72 hours) dose-dependently suppresses the growth of A549 cells[1].
?JX06 (0.1-10 μM; 6-24 hours) inhibits PDHA1 phosphorylation in A549 cells in a time- and dose-dependent manner[1].
?JX06 (1-10 μM) increases glucose uptake and intracellular ATP level and reduces aerobic glycolysis determined by the lactate production in A549 cells[1].
?JX06 (1-10 μM; 24 hours) induces ROS generation in cancer cells with high extracellular acidification rate (ECAR)/ oxygen consumption rate (OCR) [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	A549, EBC-1, HT-29 and H460 cells
Concentration:	0, 1, 3, 10 μM
Incubation Time:	48 hours
Result:	Induces cell apoptosis in A549 and EBC-1 cells.

Cell Viability Assay[1]

Cell Line:	A549 cells
Concentration:	0, 0.2, 0.4, 0.6 μM
Incubation Time:	72 hours
Result:	Inhibits the viability of A549 cells in a dose dependent manner.

Western Blot Analysis[1]

Cell Line:	A549 cells
Concentration:	0, 0.1, 0.3, 1, 3, 10 μM
Incubation Time:	0, 6, 12, 24 hours
Result:	Decreased PDHA1 phosphorylation at both serine 293 and serine 232 (S293 and S232) in a time- and dose-dependent manner.

In Vivo

JX06 (40-80?mg/kg; i.p. for 21 days) inhibits tumor growth in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A549 subcutaneous xenograft mice[1]
Dosage:	40, 80 mg/kg
Administration:	I.p. injections for 21 days
Result:	Reduced tumor weights and 67.5% tumor volume at the dose of 80 mg/kg compared with the vehicle control. Well tolerated at the administration dose.

CUSTOMER VALIDATION

- BMC Musculoskelet Disord. 2023 Jul 20;24(1):597.

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REFERENCES

[1]. Wenyi S, et, al. JX06 Selectively Inhibits Pyruvate Dehydrogenase Kinase PDK1 by a Covalent Cysteine Modification. Cancer Res. 2015 Nov 15; 75(22): 4923-36.

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