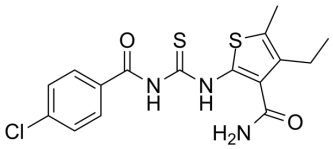
PI-273

Cat. No.:	HY-103489
CAS No.:	925069-34-7
Molecular Formula:	$C_{16}H_{16}ClN_3O_2S_2$
Molecular Weight:	381.9
Target:	PI4K; Apoptosis
Pathway:	PI3K/Akt/mTOR; 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 : 6.02 mg/mL (15.76 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6185 mL	13.0924 mL	26.1849 mL
5 mM	0.5237 mL	2.6185 mL	5.2370 mL
10 mM	0.2618 mL	1.3092 mL	2.6185 mL

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

BIOLOGICAL ACTIVITY

Description

PI-273 is a first reversibly and specific phosphatidylinositol 4-kinase (PI4KIIα) inhibitor with an IC_{50} of 0.47 μM. PI-273 can inhibit breast cancer cell proliferation, block the cell cycle and induce cell apoptosis[1].

IC_{50} & Target

PI4KIIα
0.47 μM (IC_{50})

In Vitro

PI-273 (2 μM; 48 hours) blocks the cell cycle at the G2-M phase[1].
PI-273 (2 μM; 48 hours) induces cell apoptosis in all three Ras wild-type breast cancer cells: MCF-7, T-47D, and SK-BR-3[1].
PI-273 (0.5-2 μM; for 3 days) can suppress the AKT signaling pathway in a dose- and time-dependent manner[1].
PI-273 of 1 μM and 2 μM inhibits the cell proliferation of both MCF-7 and T-47D cells in a time-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	MCF-7, T-47D, SK-BR-3, MDA-MB-231, SUM229PE, Hs 578T cells

Concentration:	2 μM
Incubation Time:	48 hours
Result:	Blocked the cell cycle at the G2-M phase.

Apoptosis Analysis[1]

Cell Line:	MCF-7, T-47D, and SK-BR-3 cells
Concentration:	2 μM
Incubation Time:	48 hours
Result:	Induced cell apoptosis in all three Ras wild-type breast cancer cells: MCF-7, T-47D, and SK-BR-3.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.5, 1, 2 μM
Incubation Time:	For 3 days
Result:	Suppressed the AKT signaling pathway in a dose- and time-dependent manner.

In Vivo

PI-273 (intraperitoneal injection; 25 mg/kg/day; 15 days) profoundly suppresses the tumor volume and weight in the MCF-7 xenografts[1].

PI-273 (0.5 mg/kg (intravenously) or 1.5 mg/kg (intragastrically); 0.08-5 hours) has a half-life of 0.411 hours for intravenous administration and 1.321 hours for intragastrical administration, and the absolute bioavailability of PI-273 is 5.1%[1].

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

Animal Model:	Eight-week-old male BALB/c nude mice with MCF-7 cell[1]
Dosage:	25 mg/kg
Administration:	Intraperitoneal injection; daily; 15 days
Result:	Suppressed the tumor volume and weight in the MCF-7 xenografts.

Animal Model:	Male Sprague-Dawley (SD) rats[1]
Dosage:	0.5 mg/kg (intravenously) or 1.5 mg/kg (intragastrically) (Pharmacokinetic Study)
Administration:	Intravenously or intragastrically; 0.08, 0.16, 0.33, 0.67, 1, 1.5, 2, 3 and 5 hours
Result:	Has a half-life of 0.411 hours for intravenous administration and 1.321 hours for intragastrical administration, and the absolute bioavailability of PI-273 is 5.1%.

CUSTOMER VALIDATION

- J Clin Invest. 2023 Feb 9;e165863.

- Cell Rep. 2023 Jun 13;42(6):112633.
- bioRxiv. 2023 Feb 23.

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REFERENCES

[1]. Li J, et al. PI-273, a Substrate-Competitive, Specific Small-Molecule Inhibitor of PI4KIIα, Inhibits the Growth of Breast Cancer Cells. Cancer Res. 2017 Nov 15;77(22):6253-6266.

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