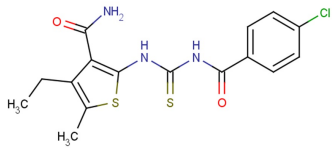
Data Sheet (Cat.No.T12454)

TargetMol
A DRUG SCREENING EXPERT

PI-273

Chemical Properties



CAS No.:	925069-34-7
Formula:	C16H16ClN3O2S2
Molecular Weight:	381.9
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	PI-273, a Substrate-Competitive, Specific Small-Molecule Inhibitor of PI4KIIα, Inhibits the Growth of Breast Cancer Cells
Targets(IC_{50})	PI4KIIα: 0.47 μM
In vitro	PI-273 exhibited the greatest inhibitory effect on PI4KIIα kinase activity (IC50 = 0.47 μmol/L) and suppressed cell proliferation. Surface plasmon resonance and thermal shift assays indicated that PI-273 interacted directly with PI4KIIα. Kinetic analysis identified PI-273 as a reversible competitive inhibitor with respect to the substrate phosphatidylinositol (PI), which contrasted with most other PI kinase inhibitors that bind the ATP binding site. PI-273 reduced PI4P content, cell viability, and AKT signaling in wild-type MCF-7 cells, but not in PI4KIIα knockout MCF-7 cells, indicating that PI-273 is highly selective for PI4KIIα. Mutant analysis revealed a role of palmitoylation insertion in the selectivity of PI-273 for PI4KIIα. In addition, PI-273 treatment retarded cell proliferation by blocking cells in G2-M, inducing cell apoptosis and suppressing colony-forming ability. Importantly, PI-273 significantly inhibited MCF-7 cell-induced breast tumor growth without toxicity. PI-273 is the first substrate-competitive, subtype-specific inhibitor of PI4KIIα, the use of which will facilitate evaluations of PI4KIIα as a cancer therapeutic target.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.618 mL	13.092 mL	26.185 mL
5 mM	0.524 mL	2.618 mL	5.237 mL
10 mM	0.262 mL	1.309 mL	2.618 mL
50 mM	0.052 mL	0.262 mL	0.524 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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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