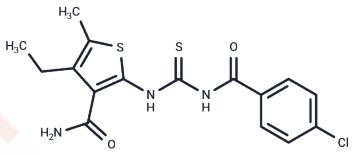
Data Sheet (Cat.No.T12454)

PI-273

Chemical Properties



CAS No. : 925069-34-7

Formula: C16H16ClN3O2S2

Molecular Weight: 381.9

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PI-273, a Substrate-Competitive, Specific Small-Molecule Inhibitor of PI4KIIα, Inhibits the Growth of Breast Cancer Cells
Targets(IC50)	Apoptosis,PI4K
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. The 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 the 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, a subtype-specific inhibitor of PI4KIIα, the use of which will facilitate evaluations of PI4KIIα as a cancer therapeutic target.
In vivo	PI-273 (intraperitoneal injection; 25 mg/kg/day; 15 days) significantly reduces tumor volume and weight in 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 intragastric administration, with an absolute bioavailability of 5.1% [1].

Solubility Information

Solubility	DMSO: 0.95 mg/mL (2.49 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6185 mL	13.0924 mL	26.1849 mL
5 mM	0.5237 mL	2.6185 mL	5.237 mL
10 mM	0.2618 mL	1.3092 mL	2.6185 mL
50 mM	0.0524 mL	0.2618 mL	0.5237 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.