

Product Data Sheet

Product Name: PI-273
 Cat. No.: GC39155

Chemical Properties

Cas. No. 925069-34-7

SMILES O=C(C1=C(NC(NC(C2=CC=C(Cl)C=C2)=O)=S)SC(C)=C1CC)N

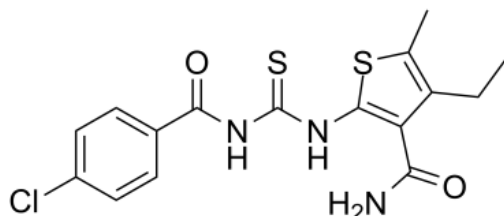
Formula $C_{16}H_{16}ClN_3O_2S_2$ M.Wt 381.9

Solubility DMSO: 6.25 mg/mL (16.37 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines	HEK293 cells (human embryonic kidney cell line)
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Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation Method	HEK293 cells stably expressing sGFP(PI4P) were maintained in DMEM with 10% FBS at 37°C, 5% CO ₂ and treated with PI4KIIa inhibitor PI-273 at 0.1nM-10µM for 3 days, followed by alamarBlue proliferation assay, urea lysate sGFP signal measurement, protein normalization and confocal imaging.
Reaction Conditions	0.1nM-10µM; 3 days
Applications	PI-273 inhibited cell proliferation, accumulates Golgi-localized PI4P while further affecting viability.
Animal experiment [2]:	
Animal models	BALB/c nude mice
Preparation Method	Mice were subcutaneously injected with MCF-7 cell suspension in Matrigel/DMEM and after 4 days received intraperitoneal injection of PI-273 25mg/kg/day or vehicle for 16 days, then tumors were measured by caliper and mice were sacrificed for TUNEL, Ki-67, PI4P and p-AKT analysis.
Dosage form	25mg/kg/day; i.p.; 16 days
Applications	PI-273 reduced tumor volume and weight, increased TUNEL ⁺ apoptotic cells, decreased Ki-67 ⁺ proliferating cells, lowered tumor PI4P content and reduced p-AKT levels.
References:[1] Manuel S, Bilenka E, Gupta M, et al. Dynamic assessment of PI4P metabolism using split GFP based sensors. Sci Rep. 2025 Aug 21;15:30805.[2] Li J, Gao Z, Zhao D, et al. PI-273, a substrate-competitive, specific small molecule inhibitor of PI4KIIa, inhibits the growth of breast cancer cells. Cancer Res. 2017 Oct 1;77(21):6253-6266.	

Background

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PI-273 is a substrate-competitive and specific phosphatidylinositol 4-kinase II α (PI4KII α) inhibitor (IC₅₀=0.47 μ M). PI-273 downregulates PI4P content and inhibits AKT signaling to block cell cycle at G2/M phase and induce apoptosis. PI-273 targets the palmitoylation of PI4KII α to inhibit phosphatidylinositol signaling pathway. PI-273 can be used for tumor research such as breast cancer^[1-4].

In vitro, KBM7 cells were co-treated with 1 μ M PI-273 and orpinolide for 72 hours. PI-273 did not affect orpinolide-induced cytotoxicity^[5]. HEK293 cells stably expressing sGFP(PI4P) were treated with 0.1nM-10 μ M PI-273 for 3 days. PI-273 inhibited cell proliferation and promoted Golgi PI4P pool accumulation^[6]. Drug-resistant 1q21.3-amplified lung adenocarcinoma cells were treated with 5 μ M PI-273 for 48 hours. PI-273 decreased Golgi-resident PI4P levels and PI4KIII β -dependent secretory proteins and inhibited cell proliferation and viability^[7].

In vivo, nude mice bearing human lung cancer cells received intraperitoneal injection of 25mg/kg/day PI-273 for 14 days. PI-273 reduced subcutaneous tumor volume and weight, shrank orthotopic lung tumors, decreased metastasis number and prolonged survival time of tumor-bearing mice^[8]. BALB/c nude mice inoculated with MCF-7 cells received intraperitoneal injection of 25mg/kg/day PI-273 for 16 days. PI-273 inhibited tumor volume and weight and increased tumor cell apoptosis^[9]. NSG mice xenografted with human high-grade serous ovarian cancer cells and TAM mixture received intraperitoneal injection of 25mg/kg PI-273 combined with 5mg/kg cisplatin twice weekly for 60 days. PI-273 reduced subcutaneous xenograft volume of high-grade serous ovarian cancer and TAM mixture^[10].

References:

- [1] Llorente A, Arora GK, Murad R, et al. Phosphoinositide kinases in cancer: from molecular mechanisms to therapeutic opportunities. Nat Rev Cancer. 2025 Jun;25(6):463-487.
- [2] Liu DA, Tao K, Wu B, et al. A phosphoinositide switch mediates exocyst recruitment to multivesicular endosomes for exosome secretion. Nat Commun. 2023 Oct 28;14(1):6883.
- [3] Manuel SKA, Bilenka E, Gupta M, et al. Dynamic assessment of PI4P metabolism using split GFP based sensors. Sci Rep. 2025 Aug 21;15(1):30805.
- [4] Blumrich EM, Nicholson-Fish JC, Pronot M, et al. Phosphatidylinositol 4-kinase II α is a glycogen synthase kinase 3-regulated interaction hub for activity-dependent bulk endocytosis. Cell Rep. 2023

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[5] Cigler M, Imrichova H, Frommelt F, et al. Orpinolide disrupts a leukemic dependency on cholesterol transport by inhibiting OSBP. *Nat Chem Biol.* 2025 Feb;21(2):193-202.

[6] Li J, Huang J, Zeng Y, et al. PI-273, a Substrate-Competitive, specific Small-Molecule inhibitor of PI4KIIalpha, inhibits the growth of breast cancer cells. *Cancer Res.* 2017 Oct 1;77(21):6253-6266.

[7] Shi L, Tan X, Liu X, et al. Addiction to Golgi-resident PI4P synthesis in chromosome 1q21.3-amplified lung adenocarcinoma cells. *Proc Natl Acad Sci U S A.* 2021 Jun 21;118(25):e2023537118.

[8] Tan X, Xiao GY, Wang S, et al. EMT-activated secretory and endocytic vesicular trafficking programs underlie a vulnerability to PI4K2A antagonism in lung cancer. *J Clin Invest.* 2023 Apr 3;133(7):e165863.

[9] Li J, Gao Z, Zhao D, et al. PI-273, a substrate-competitive, specific small molecule inhibitor of PI4KIIa, inhibits the growth of breast cancer cells. *Cancer Res.* 2017 Oct 1;77(21):6253-6266.

[10] Li J, Lu J, Zheng C, et al. Serotonin-licensed macrophages potentiate chemoresistance via inositol metabolic crosstalk in ovarian cancer. *Cell Metab.* 2026 Feb 3;38(2):331-349.

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