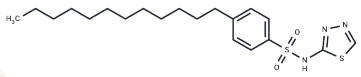
Data Sheet (Cat.No.T2420)

PHT-427

Chemical Properties



CAS No. : 1191951-57-1

Formula: C20H31N3O2S2

Molecular Weight: 409.61

Appearance: no data available

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

Biological Description

Description	PHT-427 (CS-0223) is a dual Akt (Ki: 2.7 μM) and PDPK1 (Ki: 5.2 μM) inhibitor (high-affinity binding for the PH domains of Akt and PDPK1).
Targets(IC50)	Apoptosis,Akt,PDK
In vitro	PHT-427 had an antiproliferative effect on Panc-1 cells (IC50: 65 μM). In PC-3 prostate cancer cells, PHT-427 (10 μM) significantly decreased p-Ser241-PDPK1 and p-Thr308-Akt, indicating that PHT-427 could inhibit Akt and PDKP1. PHT-427 also inhibited the translocation of the PH domains of Akt and PDKP1 in the plasma membrane. PHT-427 induced apoptosis and inhibited AKT phosphorylation, mainly at residue Ser473 and less at residue Thr308 (IC50: 6.3 μM), with no effect on all AKT protein expression.
In vivo	PHT-427 had an antiproliferative effect on Panc-1 cells (IC50: 65 μM). In PC-3 prostate cancer cells, PHT-427 (10 μM) significantly decreased p-Ser241-PDPK1 and p-Thr308-Akt, indicating that PHT-427 could inhibit Akt and PDKP1. PHT-427 also inhibited the translocation of the PH domains of Akt and PDKP1 in the plasma membrane. PHT-427 induced apoptosis and inhibited AKT phosphorylation, mainly at residue Ser473 and less at residue Thr308 (IC50: 6.3 μM), with no effect on all AKT protein expression.
Kinase Assay	Surface plasmon resonance (SPR) spectroscopy binding assays: All interaction analyses are performed with a Biacore 2000, Biacore 2000 Control Software v3.2, and BIAevaluation v4.1 analysis software. The PH domain GST-fusion proteins (Akt1, IRS1, and PDK1) are immobilized on a CM5 Sensorchip using Biacore's Amine Coupling Kit to a level of 10,000 Response units (RUs). Small molecule analytes at concentrations ranging from 0.1 to 10 × the predicted KD are injected at a high flow rate (30μL/min). DMSO concentrations in all samples and running buffer are 1% (v/v) or less.

Solubility Information

Solubility	DMSO: 45 mg/mL (109.86 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4413 mL	12.2067 mL	24.4135 mL
5 mM	0.4883 mL	2.4413 mL	4.8827 mL
10 mM	0.2441 mL	1.2207 mL	2.4413 mL
50 mM	0.0488 mL	0.2441 mL	0.4883 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

Meuillet EJ, et al. Mol Cancer Ther, 2010, 9(3), 706-717.
Huang Q, Ru Y, Luo Y, et al.Identification of a targeted ACSL4 inhibitor to treat ferroptosis-related diseases.Science Advances.2024, 10(13): eadk1200.
Moses SA, et al. Cancer Res, 2009, 69(12), 5073-5081.