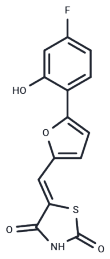
Data Sheet (Cat.No.T6208)

TargetMol

AS-252424

Chemical Properties



CAS No. : 900515-16-4

Formula: C14H8FNO4S

Molecular Weight: 305.28

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AS-252424 is a potent and selective inhibitor of PI3Kγ with an IC50 of 33 nM and demonstrates over 10-fold selectivity for PI3Kγ versus PI3Kα.
Targets(IC50)	Casein Kinase,PI3K
In vitro	AS-252424 is a furan-2-ylmethylene thiazolidinedione as a selective ATP-competitive PI3Kγ inhibitor with IC50 with 33 nM. AS-252424 shows reduced potency on PI3Kα with an IC50 with 935 nM. When screening against 80 different Ser/Thr and Tyr kinases, AS-252424 doesn't show significant inhibit towards any of them at 10 μM except for CK2. AS-252424 inhibits C5a-mediated PKB/Akt phosphorylation in a concentration-dependent manner with submicromolar or low-micromolar IC50 value. AS-252424 inhibits MCP-1-mediated chemotaxis in wild-type primary monocytes in a concentration-dependent manner with an IC50 value of 52 μM, as well as in the monocytic cell line THP-1 with an IC50 value of 53 μM. [1] AS252424 specifically blocks proliferation in the pancreatic cancer cell lines HPAF and Capan1, as assessed by cell counting. [2] A recent research indicates 100 nM of AS-252424 significantly reduces [Ca2+]i, ICa and Ca2+ transients in HL-1 cardiomyocytes. [3]
In vivo	Oral administration of AS-252424 at 10 mg/kg results in moderate reduction of neutrophil recruitment (35%), almost matching the result observed in PI3Kγ-deficient mice. [1]
Kinase Assay	In vitro PI3Kγ Kinase Assay: Human PI3Kγ (100 ng) is incubated at RT with kinase buffer (10 mM MgCl2, 1 mM β-glycerophosphate, 1 mM DTT, 0.1 mM Na3VO4, 0.1% Na Cholate and 15 M ATP/100 nCi γ[33]ATP, final concentrations) and lipid vesicles containing 18 M PtdIns and 250 M of PtdSer (final concentrations), in the presence of AS-252424 or DMSO. Kinase reaction is stopped by adding 250 g of Neomycin-coated Scintillation Proximity Assay (SPA) beads and preceded.
Cell Research	After 3 hours of starvation in serum-free medium, Raw-264 macrophages are pretreated with AS-252424 or DMSO for 30 minutes and stimulated for 5 minutes with 50 nM C5a. PKB/Akt phosphorylation is monitored using phospho-Ser-473 Akt specific antibody and standard ELISA protocols.(Only for Reference)

Solubility Information

Solubility	DMSO: 47.5 mg/mL (155.59 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2757 mL	16.3784 mL	32.7568 mL
5 mM	0.6551 mL	3.2757 mL	6.5514 mL
10 mM	0.3276 mL	1.6378 mL	3.2757 mL
50 mM	0.0655 mL	0.3276 mL	0.6551 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pomel V, et al, J Med Chem, 2006, 49(13), 3857-3871.
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.
Edling CE, et al, Clin Cancer Res, 2010, 16(20), 4928-4937.
Graves BM, et al, J Biomed Sci, 2012, 19(1), 59 [Epub ahead of print]