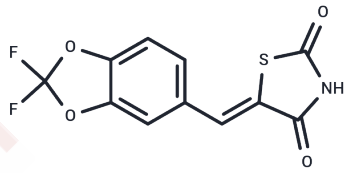
Data Sheet (Cat.No.T6394)

TargetMol

AS-604850

Chemical Properties



CAS No. : 648449-76-7

Formula: C11H5F2NO4S

Molecular Weight: 285.22

Appearance: no data available

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

Biological Description

Description	AS-604850 is a specific and ATP-competitive PI3Kγ inhibitor (IC50: 250 nM), 18-fold more selective for PI3Kγ than PI3Kα, and over 80-fold selectivity for PI3Kγ than PI3Kδ/β.
Targets(IC50)	PI3K
In vitro	AS-604850 is ATP-competitive PI3Kγ inhibitor with Ki values of 0.18 μM. AS-604850 is isoform selective inhibitor of PI3Kγ with over 30-fold selectivity for PI3Kδ and β, and 18-fold selectivity over PI3Kα. (PI3Kα: IC50 = 4.5 μM, PI3Kγ and β: IC50 > 20 μM) AS-604850 is capable of inhibiting C5a-mediated PKB phosphorylation in RAW264 mouse macrophages with an IC50 with 10 μM. AS-604850 blocks MCP-1-mediated chemotaxis in Pik3cg +/+ monocytes in a concentration- dependent manner, with an IC50 of 21 mM, but dosn't affect chemotaxis in Pik3cg-/- cells, indicating that AS-604850 acts through PI3Kγ. [1] AS-604850 diminishes glycochenodeoxycholate (GCDC) induced Akt-phosphorylation and apoptosis in rat hepatocytes. AS-604850 diminishes bile salt-induced apoptosis in HepG2 Ntcp and Huh7-Ntcp cells. [2] AS604850 causes a concentration-dependent suppression of chemotactic responses of EoL-1 cells and blood eosinophils to platelet-activating factor (PAF). [3]
In vivo	AS-604850 reduces RANTES-induced peritoneal neutrophil recruitment with a ED50 of 42.4 mg/kg. In the thioglycollate-induced peritonitis model, oral administration of 10 mg/kg AS-604850 results in a 31% reduction of neutrophil recruitment. [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	Hepatocyte cultures are treated with diluent (DMSO), 25 μM TLC, 250 μM TCDC, 50 μM GCDC, or 50 ng/ml Fas for 2-4 hours HepG2-Ntcp and Huh7-Ntcp cells are treated with DMSO, 20 μM TLC, 75 μM TCDC or GCDC, 200 μM etoposide or 200 ng/ml TNFa plus 28 ng/ml actinomycin D for 2-4 hours. The number of apoptotic cells is determined morphologically using fluorescent staining and expressed as % of cells. Apoptosis is confirmed in human cell lines by determination of caspase-3/-7 activity and in rat hepatocytes by detection of the 17 kDa proteolytic cleavage fragment of caspase-3 by immunoblotting; equal protein loading is monitored by immunoblotting for actin.(Only

	for Reference)

Solubility Information

Solubility	Ethanol: 5 mg/mL (17.53 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 57 mg/mL (199.85 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5061 mL	17.5303 mL	35.0607 mL
5 mM	0.7012 mL	3.5061 mL	7.0121 mL
10 mM	0.3506 mL	1.753 mL	3.5061 mL
50 mM	0.0701 mL	0.3506 mL	0.7012 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

Camps M, et al, Nat Med, 2005, 11(9), 936-943.
Lu C, Liu J, Escames G, et al.PIK3CG Regulates NLRP3/GSDMD-Mediated Pyroptosis in Septic Myocardial Injury. Inflammation.2023: 1-17.
Hohenester S, et al, J Hepatol, 2010, 53(5), 918-926.
Hasan AM, et al, Int Immunopharmacol, 2010, 10(9), 12017-1021.