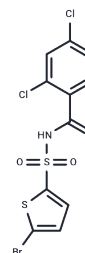


Tasisulam

Chemical Properties

CAS No. :	519055-62-0
Formula:	C ₁₁ H ₆ BrCl ₂ NO ₃ S ₂
Molecular Weight:	415.11
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Tasisulam (LY573636) is an apoptosis inducer and an antitumor agent via the intrinsic pathway.
Targets(IC50)	Apoptosis,Caspase
In vitro	Tasisulam inhibits growth of various human leukemia and lymphoma cell lines with ED50 ranging from 7 to 40 μ M. LY573636 also induces apoptosis in HL60, Reh, and MD901 cells, mainly by loss of mitochondrial membrane potential and induction of reactive oxygen species. [1] In addition, Tasisulam also produce antiproliferative activities in more than 70% of the 120 cell lines tested with EC50 of less than 50 μ M. Tasisulam induces G2-M accumulation and subsequent apoptosis in Calu-6 and A-375 cells. In vitro, Tasisulam also inhibits VEGF-, FGF- and EGF-induced endothelial cord formation with EC50 of 47, 103, and 34 nM, respectively. [2]
In vivo	Tasisulam induces morphologic features of vascular normalization, including increased pericyte coverage and decreased hypoxia in vivo. Tasisulam (25 or 50 mg/kg, i.v.) displays dose-dependent antitumor activity, induces apoptosis, and normalizes tumor-associated vasculature in the Calu-6 non-small cell lung xenograft model. Besides, Tasisulam displays potent antitumor activity across a range of in vivo xenografts, including colorectal (HCT-116), melanoma (A-375), gastric (NUGC-3), leukemia (MV-4-11), and pancreatic (QGP-1). [2]
Kinase Assay	Electrophysiology: The human IKCa1 is cloned and expressed in COS-7 cells. Cells are studied in the whole-cell configuration of the patch-clamp technique. The holding potential is 280 mV. The internal pipette solution contains: 145 mM K ⁺ aspartate, 2 mM MgCl ₂ , 10 mM Hepes, 10 mM K ₂ EGTA, and 8.5 mM CaCl ₂ (1 μ M free Ca ²⁺), pH 7.2, 290-310 mOsm. To reduce currents from the native chloride channels in COS-7 cells, Na ⁺ aspartate Ringer is used as an external solution: 160 mM Na ⁺ aspartate/4.5 mM KCl/2 mM CaCl ₂ /1 mM MgCl ₂ /5 mM Hepes, pH 7.4/290-310 mOsm. IKCa currents in COS-7 cells are elicited by 200-ms voltage ramps from -120 mV to 40 mV applied every 10 seconds and the reduction of slope conductance at -80 mV by TRAM-34 taken as a measure of channel block.
Cell Research	Cells are treated with various concentrations of LY573636. 3-(4,5-Dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) assay is performed. Briefly, MTT is dissolved in phosphate-buffered saline (PBS) at 5 mg/mL. Approximately 1,000 cells per well are incubated in culture medium for 96 hours in 96-well plates; and then, 10 μ L of the MTT

solution is added. After a 4-hour incubation, 100 μ L of solubilization solution (20 % sodium dodecyl sulfate [SDS]) is added, and the mixture was incubated at 37 °C for 16 hours. In this assay, MTT is cleaved to an orange formazan dye by metabolically active cells; and the absorbance of the formazan product is measured with an enzyme-linked immunoabsorbent assay (ELISA) reader at 540 nm. (Only for Reference)

Solubility Information

Solubility	Ethanol: 77 mg/mL (185.49 mM),Sonication is recommended. DMSO: 77 mg/mL (185.49 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.409 mL	12.045 mL	24.090 mL
5 mM	0.4818 mL	2.409 mL	4.818 mL
10 mM	0.2409 mL	1.2045 mL	2.409 mL
50 mM	0.0482 mL	0.2409 mL	0.4818 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

Haritunians T, et al. Oncol Rep. 2008, 20(5), 1237-1242.

Meier T, et al. Mol Cancer Ther. 2011, 10(11), 2168-2178.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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