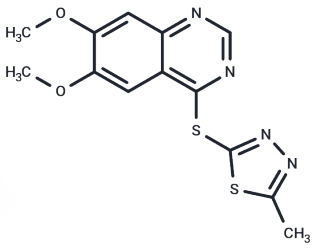
Data Sheet (Cat.No.T2421)

TargetMol

SKLB1002

Chemical Properties



CAS No. : 1225451-84-2

Formula: C13H12N4O2S2

Molecular Weight: 320.39

Appearance: no data available

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

Biological Description

Description	SKLB1002 is a potent and ATP-competitive VEGFR2 inhibitor with IC50 of 32 nM.
Targets(IC50)	VEGFR
In vitro	SKLB1002 significantly inhibited human umbilical vein endothelial cell proliferation, migration, invasion, and lumen formation by inhibiting VEGF-induced phosphorylation of VEGFR2 kinase and downstream protein kinases, including ERK, FAK, and Src. SKLB1002 significantly reduced cytotoxicity of L-02 in normal human cells.
In vivo	SKLB1002 significantly inhibited human umbilical vein endothelial cell proliferation, migration, invasion, and lumen formation by inhibiting VEGF-induced phosphorylation of VEGFR2 kinase and downstream protein kinases, including ERK, FAK, and Src. SKLB1002 significantly reduced cytotoxicity of L-02 in normal human cells.
Kinase Assay	Kinase inhibition assays : Kinase inhibition is measured by the use of radiometric assays conducted by Kinase Profiler service. Briefly, in the presence or absence of SKLB1002, VGFR2 (5–10 mU) is incubated in 25-μL reaction solution containing 8 mmol/L 3-(N-morpholino)propanesulfonic acid (MOPS), pH 7.0, 0.2 mmol/L EDTA, 0.33 mg/mL myelin basic protein, 10 mmol/L Mg acetate, and γ-[33P]ATP. After incubation for 40 minutes at room temperature, the reaction is stopped and 10 μL of the reaction solution is then spotted onto a P30 filtermat and washed 3 times for 5 minutes in 75 mmol/L phosphoric acid and once in methanol prior to scintillation counting.
Cell Research	Cell proliferation is measured using MTT assay. Various cells including HUVECs, L-02, B16-F10, HepG2, and SW620 are treated with indicated concentrations of SKLB1002 for 24 hours. Vandetanib and sunitinib serve as positive controls. Each assay is replicated 3 times.(Only for Reference)

Solubility Information

Solubility	DMSO: 1 mg/mL (3.12 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1212 mL	15.606 mL	31.212 mL
5 mM	0.6242 mL	3.1212 mL	6.2424 mL
10 mM	0.3121 mL	1.5606 mL	3.1212 mL
50 mM	0.0624 mL	0.3121 mL	0.6242 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

Zhang S, et al. Clin Cancer Res. 2011, 17(13), 4439-4450.
Nie W, et al. 2012. Doi 10.1007/s10238-012-0225-2.