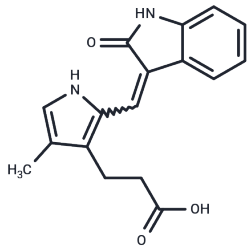
Data Sheet (Cat.No.T6996)

TargetMol

SU 5402

Chemical Properties



CAS No. : 215543-92-3

Formula: C17H16N2O3

Molecular Weight: 296.32

Appearance: no data available

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

Biological Description

Description	SU 5402 is a potent multi-targeted receptor tyrosine kinase inhibitor with IC50 values of 20 nM for VEGFR2, 30 nM for FGFR1, and 510 nM for PDGF-Rβ.
Targets(IC50)	FGFR,PDGFR,VEGFR
In vitro	SU5402 inhibits VEGF-, FGF-, PDGF- dependent cell proliferation with IC50 of 0.05 μM, 2.80 μM, 28.4 μM, respectively. [1] In HUVECs, SU5416 selectively inhibits VEGF-driven mitogenesis in a dose-dependent manner with IC50 of 0.04 μM. [2] In nasopharyngeal epithelial cells, SU5402 attenuates LMP1-mediated aerobic glycolysis, cellular transformation, cell migration, and invasion. [3] In mouse C3H10T1/2 cells, SU 5402 diminishes the effect of FGF23 on cell differentiation. [4]
In vivo	In mice, SU5416 (25 mg/kg, i.p.) inhibits subcutaneous growth of a panel of tumor cell lines by inhibiting the angiogenic process associated with tumor growth. [2]
Kinase Assay	FGF-R1 and Flk-1/KDR kinase assays.: The catalytic portion of FGF-R1 and Flk-1/KDR are expressed as GST fusion proteins following infection of Spodoptera frugiperda (sf9) cells with engineered baculoviruses. GST-FGFR1 and GST-Flk1 are purified to homogeneity from infected sf9 cell lysates by glutathione sepharose chromatography. The assays are performed in 96-well microtiter plates that had been coated overnight with 2.0 μg of a polyGlu-Tyr peptide (4:1) in 0.1 mL of PBS per well. The purified kinases are diluted in kinase assay buffer (100 mM Hepes pH 7.5, 100 mM NaCl, and 0.1 mM sodium orthovanadate) and added to all test wells at 5 ng of GST fusion protein per 0.05 mL volume buffer. Test compounds are diluted in 4% DMSO and added to test wells (0.025 mL/well). The kinase reaction is initiated by the addition of 0.025 mL of 40 μM ATP/40 mM MnCl2, and plates are shaken for 10 min before stopping the reactions with the addition of 0.025 mL of 0.5 M EDTA. The final ATP concentration was 10 μM, which is twice the experimentally determined Km value for ATP. Negative control wells receive MnCl2 alone without ATP. The plates are washed three times with 10 mM Tris pH 7.4, 150 mM NaCl, and 0.05% Tween-20 (TBST). Rabbit polyclonal anti-phosphotyrosine antiserum is added to the wells at a 1:10000 dilution in TBST for 1 h. The plates are then washed three times with TBST. Goat anti-rabbit antiserum conjugated with horseradish peroxidase was then added to all wells for 1 h. The plates are washed three times with TBST, and the peroxidase reaction is detected with the addition of 2,2′-azinobis(3-ethylbenzthiazoline-6-sulfonic acid) (ABTS). The color readout of the assay is allowed to develop for 20?30 min and read on a Dynatech MR5000 ELISA plate reader using a 410

	nM test filter.
Cell Research	Tumor cell lines used in the in vitro growth are cultured in media at 37°C in 5-10% CO2. SU5416 is serially diluted in media containing DMSO (<0.5%) and added to cultures of tumor cells 1 day after the initiation of culture. Cell growth is measured after 96 h using the sulforhodamine B method. IC50s are calculated by curve fitting using four-parameter analysis.(Only for Reference)

Solubility Information

Solubility	DMSO: 29.6 mg/mL (99.89 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3747 mL	16.8737 mL	33.7473 mL
5 mM	0.6749 mL	3.3747 mL	6.7495 mL
10 mM	0.3375 mL	1.6874 mL	3.3747 mL
50 mM	0.0675 mL	0.3375 mL	0.6749 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

Sun L, et al. J Med Chem. 1999, 42(25), 5120-5130.
Fong TA, et al. Cancer Res. 1999, 59(1), 99-106.
Lo AK, et al. J Pathol. 2015. doi: 10.12002/path.4575.
Li Y, et al. Calcif Tissue Int. 2013, 93(6), 556-5 64.
Trzcińska-Daneluti AM, et al. RNA Interference Screen to Identify Kinases That Suppress Rescue of ΔF508-CFTR. Mol Cell Proteomics. 2015 Jun;14(6):1569-83.