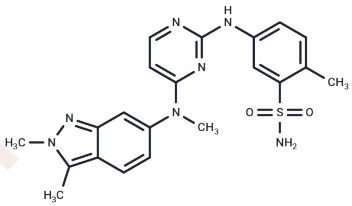
Data Sheet (Cat.No.T0097L)

TargetMol

Pazopanib

Chemical Properties



CAS No. : 444731-52-6

Formula: C21H23N7O2S

Molecular Weight: 437.52

Appearance: no data available

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

Biological Description

Description	Pazopanib (GW786034) is an inhibitor of protein tyrosine kinases that inhibits VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms (IC50=10/30/47/84/74/140/146 nM). Pazopanib has antitumor activity.
Targets(IC50)	FGFR,Autophagy,c-Kit,PDGFR,VEGFR
In vitro	**METHODS**: SCLC cell lines NCI-H446 and NCI-H82 were treated with Pazopanib (0.01-30 μM) for 24-72 h. Cell viability was measured by CCK-8 assay. **RESULTS**: Pazopanib significantly reduced the proliferation of NCI-H446 cells in a dose- and time-dependent manner, with an IC50 value of 1.05 μM at 24 h. Pazopanib also induced potent cell death in NCI-H82 cells in a dose- and time-dependent manner, with an IC50 of 1.298 μM at 24 h. Pazopanib significantly antagonized the proliferation of small cell lung cancer cells. [1] **METHODS**: Human colorectal cancer cells HCT-116 were treated with Pazopanib (1-20 μM) for 3-24 h, and the expression levels of target proteins were detected by Western Blot. **RESULTS**: Pazopanib significantly induced the expression of PUMA in a time- and dose-dependent manner. [2]
In vivo	**METHODS**: To assay anti-tumor activity in vivo, Pazopanib (30 mg/kg, suspended in 0.5% hydroxypropylmethyl cellulose and 0.1% Tween-80 in water) was administered by gavage to NOD-SCID mice bearing NCI-H446 xenografts The drug was administered once daily for two weeks. **RESULTS**: Administration of Pazopanib significantly inhibited the growth of NCI-H446 xenografts. [1]
Kinase Assay	VEGFR enzyme assays for VEGGR1, VEGFR2, and VEGFR3 are run in homogeneous time-resolved fluorescence (HTRF) format in 384-well microtiter plates using a purified, baculovirus-expressed glutathione-S-transferase (GST) fusion protein encoding the catalytic c-terminus of human VEGFR receptor kinases 1, 2, or 3. Reactions are initiated by the addition of 10 μL of activated VEGFR2 kinase solution [final concentration, 1 nM enzyme in 0.1 M 4-(2-hydroxyethyl)-1-piperazineethanesulfonic acid (HEPES), pH 7.5, containing 0.1 mg/mL bovine serum albumin (BSA), 300 μM dithiothreitol (DTT)] to 10 μL substrate solution [final concentration, 360 nM peptide, (biotin-aminohexyl-EEEEYFELVAKKKK-NH2), 75 μM ATP, 10 μM MgCl2], and 1 μL of titrated compound in DMSO. Plates are incubated at room temperature for 60 min, and then the reaction is quenched by the addition of 20 μL of 100 mM ethylene diamine tetraacetic acid (EDTA).

	After quenching, 20 μL HTRF reagents (final concentration, 15 nM Streptavidin-linked allophycocyanin, 1 nM Europium-labeled antiphosphotyrosine antibody diluted in 0.1 mg/mL BSA, 0.1 M HEPES, pH 7.5) is added and the plates incubated for a minimum of 10 min. The fluorescence at 665 nM is measured with a Wallac Victor plate reader using a time delay of 50 μs[1].
Cell Research	Pazopanib is prepared in DMSO and then diluted to final concentration in medium[1]. The effect of Pazopanib on cell proliferation is measured using 5-bromo-2-deoxyuridine (BrdU) incorporation method using commercially available kits. HUVEC is seeded in medium containing 5% fetal bovine serum (FBS) in type 1 collagen coated 96-well plates and incubated overnight at 37°C, 5% CO2. The medium is aspirated from the cells, and various concentrations of Pazopanib in serum-free medium are added to each well. After 30 min, either VEGF (10 ng/mL) or bFGF (0.3 ng/mL) is added to the wells. Cells are incubated for an additional 72 h and BrdU (10 μM) is added during the last 18 to 24 h of incubation. At the end of incubation, BrdU incorporation in cells is measured by ELISA. Data are fitted with a curve described by the equation, y=Vmax(1?(x/(K+x))), where K is equal to the IC50[1].

Solubility Information

Solubility	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.57 mM),Solution. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 20 mg/mL (45.71 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2856 mL	11.428 mL	22.8561 mL
5 mM	0.4571 mL	2.2856 mL	4.5712 mL
10 mM	0.2286 mL	1.1428 mL	2.2856 mL
50 mM	0.0457 mL	0.2286 mL	0.4571 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

Li Y, et al. Pazopanib restricts small cell lung cancer proliferation via reactive oxygen species-mediated endoplasmic reticulum stress. Thorac Cancer. 2022 Sep;13(17):2421-2428.
Cao R, Liu Y, Wei K, et al.Genes related to neural tube defects and glioblastoma.Scientific Reports.2025, 15(1): 3777.
Zhang L, et al. Pazopanib, a novel multi-kinase inhibitor, shows potent antitumor activity in colon cancer through PUMA-mediated apoptosis. Oncotarget. 2017 Jan 10;8(2):3289-3303.
Kernt M, et al. Retina. 2012.

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