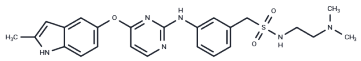
Data Sheet (Cat.No.T4075)

TargetMol

Sulfatinib

Chemical Properties



CAS No. : 1308672-74-3

Formula: C24H28N6O3S

Molecular Weight: 480.58

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Sulfatinib (KDR-IN-1) (HMPL-012) is a potent and highly selective tyrosine kinase inhibitor against VEGFR1/2/3, FGFR1 and CSF1R with IC 50 s ranging from 1 to 24 nM.
Targets(IC50)	FGFR,Potassium Channel,VEGFR
In vitro	Sulfatinib inhibits VEGFR1, 2, and 3, FGFR1 and CSF1R kinases with IC 50 s in a range of 1 to 24 nM. Sulfatinib strongly blocks VEGF induced VEGFR2 phosphorylation in HEK293KDR cells and CSF1 stimulated CSF1R phosphorylation in RAW264.7 cells with IC 50 of 2 and 79 nM, respectively. Sulfatinib also attenuates VEGF or FGF stimulated HUVEC cells proliferation with IC 50 < 50 nM [1]. Also, it is a hERG inhibitor with IC 50 of 6.8 μM in CHO cell [2].
In vivo	In animal studies, a single oral dose of Sulfatinib effectively inhibits VEGFR2 phosphorylation stimulated by VEGF in lung tissues of nude mice in an exposure-dependent manner. Additionally, a rise in FGF23 plasma levels 24 hours after dosing indicates a suppression of FGFR signaling. Sulfatinib exhibits strong antitumor efficacy across various human xenograft models and significantly reduces CD31 expression, which evidences its potent antiangiogenic effects via the inhibition of VEGFR and FGFR signaling pathways. In the CT-26 syngeneic murine colon cancer model, Sulfatinib achieves moderate tumor growth inhibition following monotherapy. Moreover, after a 10 mg/kg oral dose, the AUC and C_max in mice are 397 ng/mL and 138 ng/mL, respectively [1].

Solubility Information

Solubility	DMSO: 55 mg/mL (114.45 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.16 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0808 mL	10.4041 mL	20.8082 mL
5 mM	0.4162 mL	2.0808 mL	4.1616 mL
10 mM	0.2081 mL	1.0404 mL	2.0808 mL
50 mM	0.0416 mL	0.2081 mL	0.4162 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

PCT Int. Appl. (2011), WO 2011060746 A1 20110526.