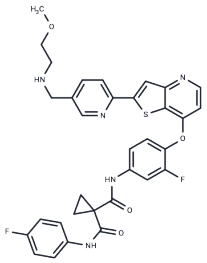
Data Sheet (Cat.No.T4349)

TargetMol

Sitravatinib

Chemical Properties



CAS No. : 1123837-84-2

Formula: C33H29F2N5O4S

Molecular Weight: 629.68

Storage: 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	Sitravatinib (MGCD516) is an inhibitor targeting multiple RTKs involved in driving sarcoma cell growth, including c-Met, c-Kit, PDGFRα/β, PDGFR, and Axl.
Targets(IC50)	Discoidin Domain Receptor (DDR),FLT,Ephrin Receptor,c-Kit,TAM Receptor,Trk receptor, VEGFR
In vitro	Sitravatinib (MGCD516) is an inhibitor of a closely related spectrum of RTKs including RET, the split RTKs (PDGFR, VEGFR, and KIT), DDR2, TRK family, MET, and AXL. Sitravatinib causes the marked blockade of phosphorylation of potential driver RTKs and induced potent anti-proliferative effects in vitro.
In vivo	Sitravatinib (MGCD516) has antitumor activity in nonClinicalal cancer models harboring genetic alterations of sitravatinib targets, including the rearrangement of NTRK, RET, or CHR4q12 amplification. In vivo tumor xenografts, MGCD516 causes the significant suppression of tumor growth. Efficacy of MGCD516 is superior to imatinib and crizotinib, two other well-studied multi-kinase inhibitors with overlapping target specificities, both in vitro and in vivo.
Cell Research	Cell lines: DDLS,LS141,and MPNST. Concentrations: 62.5,125,250,500,1000,2000 nM2,000-3,000 cells were plated in 96-well plates in RPMI/DME media with 10% FBS and then treated with the indicated drugs the next day.After 72 hours,media was replaced with 100 μL of media with 10% serum and 10% CCK-8 solution.After 1 hour,the optical density was read at 450 nm to determine viability.Background values from negative control wells without cells were subtracted for final sample quantification.Data was plotted as % cell viability compared to DMSO control.
Animal Research	Animal Models: ICR/SCID mice. Formulation: 0.5% hydroxypropyl methylcellulose (HPMC) and 0.1% Tween-80 solution (pH 1.4). Dosages: 15 mg/kg. Administration: p.o.

Solubility Information

Solubility	DMSO: 250 mg/mL (397.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (3.97 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5881 mL	7.9405 mL	15.8811 mL
5 mM	0.3176 mL	1.5881 mL	3.1762 mL
10 mM	0.1588 mL	0.7941 mL	1.5881 mL
50 mM	0.0318 mL	0.1588 mL	0.3176 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

Patwardhan PP et al. Significant blockade of multiple receptor tyrosine kinases by MGCD516 (Sitravatinib), a novel small molecule inhibitor, shows potent anti-tumor activity in preClinicalal models of sarcoma. Oncotarget, 2016 Jan 26;7(4):4093-109.

Zhang Y, Wang P, Wang Y, et al.Sitravatinib as a potent FLT3 inhibitor can overcome gilteritinib resistance in acute myeloid leukemia.Biomarker Research.2023, 11(1): 1-16.

Machine learning-enabled virtual screening indicates the anti-tuberculosis activity of aldoxorubicin and quarfloxin with verification by molecular docking, molecular dynamics simulations, and biological evaluations