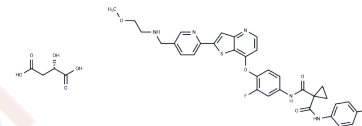


Sitravatinib malate

Chemical Properties

CAS No. :	2244864-88-6
Formula:	C37H35F2N5O9S
Molecular Weight:	763.76
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 malate is an orally bioavailable receptor inhibitor of tyrosine kinase (RTK) (IC50s of 1.5 nM, 2 nM, 2 nM, 5 nM, 6 nM, 6 nM, 8 nM, 0.5 nM, 29 nM, 5 nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT, FLT3, DDR2, DDR1, TRKA, TRKB, respectively.) , shows potent single-agent antitumor efficacy and enhances the activity of PD-1 blockade through promoting an antitumor immune microenvironment.
Targets(IC50)	Discoidin Domain Receptor (DDR),FLT,c-Kit,TAM Receptor,Trk receptor,VEGFR
In vitro	In KLN205 and E0771 cell lines,Sitravatinib (0.01 nM-10 µM; 14 days) reduces colony formation in a dose-dependent manner [2]. Sitravatinib (0.001-10 µM; 5 days) inhibits tumor cell viability(IC50s : 1 µM, in KLN205), E0771 and CT1B-A5 cell lines[2].
In vivo	In C57BL/6 mice bearing CT1B-A5 cells model,Sitravatinib (20 mg/kg; p.o.; once per day for 6 days) significantly inhibits tumor progression and induces tumor regression [2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.3093 mL	6.5466 mL	13.0931 mL
5 mM	0.2619 mL	1.3093 mL	2.6186 mL
10 mM	0.1309 mL	0.6547 mL	1.3093 mL
50 mM	0.0262 mL	0.1309 mL	0.2619 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 preclinical models of sarcoma. *Oncotarget*, 2016 Jan 26;7(4):4093-109.

Du W, et al. Sitravatinib potentiates immune checkpoint blockade in refractory cancer models. *JCI Insight*. 2018 Nov 2;3(21). pii: 124184.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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