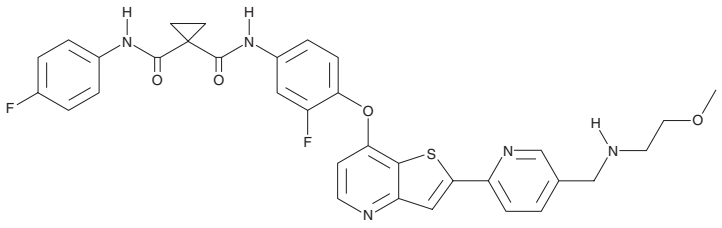
PRODUCT INFORMATION

Sitravatinib

Item No. 27338

CAS Registry No.:	1123837-84-2
Formal Name:	N-[3-fluoro-4-[[2-[5-[[(2-methoxyethyl)amino]methyl]-2-pyridinyl]thieno[3,2-b]pyridin-7-yl]oxy]phenyl]-N'-(4-fluorophenyl)-1,1-cyclopropanedicarboxamide
Synonym:	MGCD-516
MF:	$C_{33}H_{29}F_2N_5O_4S$
FW:	629.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 254, 316 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Sitravatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the sitravatinib in the solvent of choice, which should be purged with an inert gas. Sitravatinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of sitravatinib in ethanol and DMF is approximately 5 mg/ml and approximately 10 mg/ml in DMSO.

Sitravatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, sitravatinib should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Sitravatinib has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Sitravatinib is a multi-kinase inhibitor.[1] It inhibits 35 kinases (IC_{50}s = 0.5-5,550 nM) in a panel of 55 receptor tyrosine kinases (RTKs). Sitravatinib reduces proliferation of A-673, LPS141, MPNST, DDLS, and Saos-2 cancer cells (IC_{50}s = 1,750, 340.1, 705.7, 266, and 1,830 nM, respectively) and decreases phosphorylation of insulin-like growth factor 1 receptor (IGF1-R), PDGFRβ, and Akt in these same cells when used at concentrations ranging from 62.5 to 4,000 nM. It decreases tumor growth in LPS141 and MPNST mouse xenograft models when administered at a dose of 15 mg/kg per day.

Reference

1. Patwardhan, P.P., Ivy, K.S., Musi, E., *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* **7(4)**, 4093-4109 (2016).

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