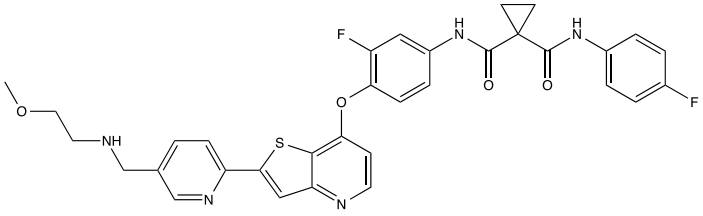
Catalog # 10-4826

Sitravatinib

1123837-84-2

MGCD516; 1-N'-[3-Fluoro-4-[2-[5-[(2-methoxyethylamino)methyl]pyridin-2-yl]thieno[3,2-b]pyridin-7-yl]oxyphenyl]-1-N-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

Lot # FBS1093



Sitravatinib is a broad spectrum receptor tyrosine kinase inhibitor. Its targets include Axl, c-Met, PDGFR, VEGFR, Ephrin receptor family, and FLT3 among others at nanomolar levels.[1] Sitravatinib has been tested in mouse models of sarcoma and showed better efficacy than both imatinib and crizotinib. Because of its unique kinase inhibition profile (especially that of TAM receptors), it has been used to restore response to anti-PD-1 therapy (nivolumab) in NSCLC patients.[2] Sitravatinib was able to significantly alter the immunosuppressive tumor microenvironment in three preclinical tumor models to enhance the effects of PD-1 blockade therapy.[3]

1) Parag *et al.* (2016) *Significant blockade of multiple receptor kinases by MGCD516 (Sitravatinib), a novel small molecule inhibitor, shows potent anti-tumor activity in preclinical models of sarcoma;* Oncotarget **7** 4093
2) Leal *et al.* (2017) *Evidence of clinical activity of sitravatinib in combination with nivolumab in NSCLC patients progressing on prior checkpoint inhibitors;* J.Thorac.Oncol. **12** S1803
3) Du *et al.* (2018); *Sitravatinib potentiates immune checkpoint blockade in refractory cancer models;* JCI Insight **3** 124184

PHYSICAL DATA

Molecular Weight:	629.68
Molecular Formula:	$C_{33}H_{29}F_2N_5O_4S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml); ethanol (>25mg/mL)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

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