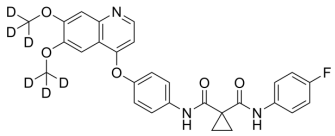
Cabozantinib-d_6

Cat. No.:	HY-13016S
CAS No.:	1802168-46-2
Molecular Formula:	$C_{28}H_{18}D_6FN_3O_5$
Molecular Weight:	507.54
Target:	VEGFR; c-Met/HGFR; c-Kit; TAM Receptor; FLT3; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (236.43 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9703 mL	9.8514 mL	19.7029 mL
	5 mM	0.3941 mL	1.9703 mL	3.9406 mL
	10 mM	0.1970 mL	0.9851 mL	1.9703 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (9.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (5.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (5.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cabozantinib-d_6 is the deuterium labeled Cabozantinib. Cabozantinib is a potent multiple receptor tyrosine kinases (RTKs) inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with IC50s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively[1][2][3].

In Vitro

Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.

[2]. You WK, et al. VEGF and c-Met blockade amplify angiogenesis inhibition in pancreatic islet cancer. Cancer Res, 2011, 71(14), 4758-4768.

[3]. Yakes FM, et al. Cabozantinib (XL184), a novel MET and VEGFR2 inhibitor, simultaneously suppresses metastasis, angiogenesis, and tumor growth. Mol Cancer Ther, 2011, 10(12), 2298-2308.

[4]. Fuse MA, et al. Combination Therapy With c-Met and Src Inhibitors Induces Caspase-Dependent Apoptosis of Merlin-Deficient Schwann Cells and Suppresses Growth of Schwannoma Cells. Mol Cancer Ther. Mol Cancer Ther. 2017 Nov;16(11):2387-2398.

Caution: Product has not been fully validated for medical applications. For research use only.

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