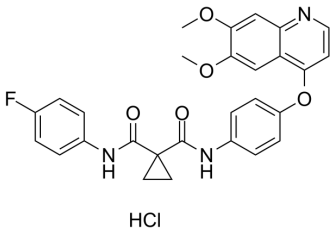
Cabozantinib hydrochloride

Cat. No.:	HY-13016A
CAS No.:	1817759-42-4
Molecular Formula:	$C_{28}H_{25}ClFN_3O_5$
Molecular Weight:	537.97
Target:	VEGFR; c-Met/HGFR; c-Kit; TAM Receptor; FLT3; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Cabozantinib hydrochloride is a potent and orally active inhibitor of VEGFR2 and MET, with IC_{50} values of 0.035 and 1.3 nM, respectively. Cabozantinib hydrochloride displays strong inhibition of KIT, RET, AXL, TIE2, and FLT3 (IC_{50}=4.6, 5.2, 7, 14.3, and 11.3 nM, respectively). Cabozantinib hydrochloride shows antiangiogenic activity. Cabozantinib hydrochloride disrupts tumor vasculature and promotes tumor and endothelial cell apoptosis[1].

IC_{50} & Target

VEGFR2	Flt-4	Flt-1
0.035 ± 0. nM (IC_{50})	6 nM (IC_{50})	12 nM (IC_{50})

In Vitro

Cabozantinib hydrochloride inhibits phosphorylation of MET and VEGFR2, as well as KIT, FLT3, and AXL with IC_{50} values of 7.8, 1.9, 5.0, 7.5, and 42 μM, respectively[1].

Cabozantinib hydrochloride (4.6 nM) inhibits tubule formation with no evidence of cytotoxicity, with IC_{50} values of 6.7, 5.1, 4.1, 7.7, and 4.7 nM in HMVEC, MDA-MB-231, A431, HT1080, and B16F10 cells, respectively[1].

Cabozantinib hydrochloride (0-370 nM, 24 h) inhibits cellular migration and invasion[1].

Cabozantinib hydrochloride (48 h) inhibits tumor cell proliferation in a variety of tumor types[1].

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

Cell Proliferation Assay

Cell Line:	SNU-5, Hs746T, SNU-1, SNU-16, MDA-MB-231, U87MG, H441, H69, and PC3 cells[1]
Concentration:	
Incubation Time:	48 hours
Result:	Inhibited tumor cell proliferation, with IC_{50} of 19, 9.9, 5223, 1149, 6421, 1851, 21700, 20200, and 10800 nM, respectively.

Cell Migration Assay

Cell Line:	B16F10 cells[1]
Concentration:	0, 41, 123, and 370 nM
Incubation Time:	24 hours
Result:	Potently inhibited HGF-induced migration (IC_{50} = 31 nM) of B16F10 cells.

Cell Invasion Assay

Cell Line:	B16F10 cells[1]
Concentration:	0, 1.5, 14, and 123 nM
Incubation Time:	24 hours
Result:	Potently inhibited HGF-induced invasion (IC_{50} = 9 nM) of B16F10 cells.

In Vivo

Cabozantinib hydrochloride (100 mg/kg, Orally, once) inhibits MET and VEGFR2 phosphorylation in mice[1].
Cabozantinib hydrochloride (100 mg/kg, Orally, once) significantly increases tumor hypoxia and apoptosis[1].
Cabozantinib hydrochloride (0-60 mg/kg, Orally, once daily for 14 days) inhibits tumor growth in a dose-dependent manner [1].

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

Animal Model:	Female mice bearing MBA-MB-231 tumor (5 per group)[1]
Dosage:	0, 100 mg/kg
Administration:	Orally, once
Result:	Inhibited MET and VEGFR2 phosphorylation.

Animal Model:	Mice bearing MBA-MB-231 tumor[1]
Dosage:	1, 3, 10, 30, 60 mg/kg
Administration:	Orally, once daily for 14 days
Result:	Inhibited tumor growth in a dose-dependent manner.

CUSTOMER VALIDATION

- Cancer Discov. 2021 Jan;11(1):126-141.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Biomaterials. 16 September 2022.
- Cancer Lett. 2019 Apr 10;447:105-114.
- J Med Chem. 2016 Jan 14;59(1):358-73.

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REFERENCES

[1]. 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.

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

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

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