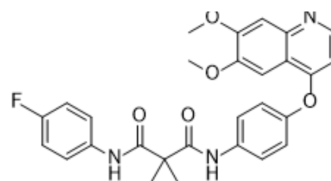


Cabozantinib

Cat. No.:	HY-13016
CAS No.:	849217-68-1
Molecular Formula:	C ₂₈ H ₂₄ FN ₃ O ₅
Molecular Weight:	501.51
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, 2 years; -20°C, 1 year (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (49.85 mM; Need ultrasonic)
H₂O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.9940 mL	9.9699 mL	19.9398 mL
	5 mM	0.3988 mL	1.9940 mL	3.9880 mL
	10 mM	0.1994 mL	0.9970 mL	1.9940 mL

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

In Vivo

- Add each solvent one by one: 0.5% CMC/saline water
Solubility: 2.5 mg/mL (4.98 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.98 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (4.15 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.08 mg/mL (4.15 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (4.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cabozantinib is a potent and orally active inhibitor of VEGFR2 and MET, with IC₅₀ values of 0.035, and 1.3 nM, respectively. Cabozantinib displays strong inhibition of KIT, RET, AXL, TIE2, and FLT3 (IC₅₀=4.6, 5.2, 7, 14.3, and 11.3 nM, respectively). Cabozantinib shows antiangiogenic activity. Cabozantinib disrupts tumor vasculature and promotes tumor and endothelial

	cell apoptosis ^{[1][2]} .																											
IC₅₀ & Target	VEGFR2 0.035 nM (IC ₅₀)	Flt-4 6 nM (IC ₅₀)	Flt-1 12 nM (IC ₅₀)	Met 1.3 ± 1.2 nM (IC ₅₀)																								
In Vitro	<p>Cabozantinib inhibits phosphorylation of MET and VEGFR2, as well as KIT, FLT3, and AXL with IC₅₀ values of 7.8, 1.9, 5.0, 7.5, and 42 μM, respectively^[1].</p> <p>Cabozantinib (4.6 nM) inhibits tubule formation with no evidence of cytotoxicity, with IC₅₀ 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].</p> <p>Cabozantinib (0-370 nM, 24 h) inhibits cellular migration and invasion^[1].</p> <p>Cabozantinib (48 h) inhibits tumor cell proliferation in a variety of tumor types^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>SNU-5, Hs746T, SNU-1, SNU-16, MDA-MB-231, U87MG, H441, H69, and PC3 cells^[1]</td> </tr> <tr> <td>Concentration:</td> <td></td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited tumor cell proliferation, with IC₅₀ of 19, 9.9, 5223, 1149, 6421, 1851, 21700, 20200, and 10800 nM, respectively.</td> </tr> </table> <p>Cell Migration Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>B16F10 cells^[1]</td> </tr> <tr> <td>Concentration:</td> <td>0, 41, 123, and 370 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Potently inhibited HGF-induced migration (IC₅₀ = 31 nM) of B16F10 cells.</td> </tr> </table> <p>Cell Invasion Assay</p> <table border="1"> <tr> <td>Cell Line:</td> <td>B16F10 cells^[1]</td> </tr> <tr> <td>Concentration:</td> <td>0, 1.5, 14, and 123 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Potently inhibited HGF-induced invasion (IC₅₀ = 9 nM) of B16F10 cells.</td> </tr> </table>				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 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 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.
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In Vivo	<p>Cabozantinib (100 mg/kg, Orally, once) inhibits MET and VEGFR2 phosphorylation in mice^[1].</p> <p>Cabozantinib (100 mg/kg, Orally, once) significantly increases tumor hypoxia and apoptosis^[1].</p> <p>Cabozantinib (0-60 mg/kg, Orally, once daily for 14 days) inhibits tumor growth in a dose-dependent manner^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female mice bearing MBA-MB-231 tumor (5 per group)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0, 100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Orally, once</td> </tr> <tr> <td>Result:</td> <td>Inhibited MET and VEGFR2 phosphorylation.</td> </tr> </table>				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.																
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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.
- Adv Healthc Mater. 2023 Aug 21;e2302046.
- Cancer Lett. 2019 Apr 10;447:105-114.

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REFERENCES

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

[2]. 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.

[3]. 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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