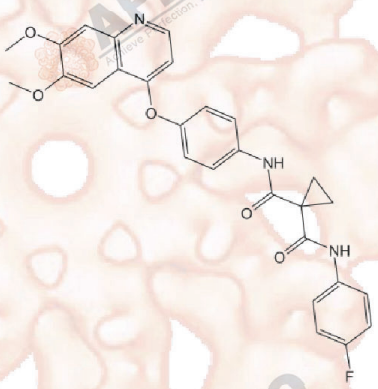
Product Data Sheet

Cabozantinib (XL184, BMS-907351)

Cat. No.: A2977
CAS No.: 849217-68-1
Formula: C28H24FN3O5
M.Wt: 501.51
Synonyms:
Target: Tyrosine Kinase
Pathway: c-MET
Storage: Store at -20°C



Solvent & Solubility

In Vitro

≥25.08 mg/mL in DMSO; insoluble in H2O; ≥20.65 mg/mL in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	VEGFR2/Met/Ret/Kit/FLT//AXL inhibitor
IC_{50} & Target	0.035 nM (VEGFR2), 1.3 nM (c-Met), 4 nM (Ret), 4.6 nM (c-Kit), 12 nM/11.3 nM/6 nM (Flt-1/3/4), 14.3 nM (Tie2)

In Vitro

Cell Viability Assay

Cell Line:	Human microvascular endothelial (HMVEC) cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	IC50: 6.7 nM, 7 days
	Applications:	HMVEC cells were incubated with VEGF in the presence of cabozantinib and tubule formation visualized by immunostaining for CD31. Cabozantinib inhibited tubule formation with an IC50 value of 6.7 nM with no evidence of cytotoxicity, showing that cabozantinib exerts an antiangiogenic rather than cytotoxic effect.
In Vivo	**Animal experiment**	
	Animal models:	Female nu/nu mice implanted with H441 cells
	Dosage form:	Oral administration, 100 mg/kg, 8 hours
	Applications:	A single 100 mg/kg oral dose of cabozantinib resulted in inhibition of phosphorylation of MET 2 to 8 hours postdose in H441 tumors that harbor constitutively phosphorylated MET. This effect was reversible, as MET phosphorylation returned to basal levels by 48 hours after treatment.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Yakes F M, Chen J, Tan J, et al. Cabozantinib (XL184), a novel MET and VEGFR2 inhibitor, simultaneously suppresses metastasis, angiogenesis, and tumor growth. Molecular cancer therapeutics, 2011, 10(12): 2298-2308.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com