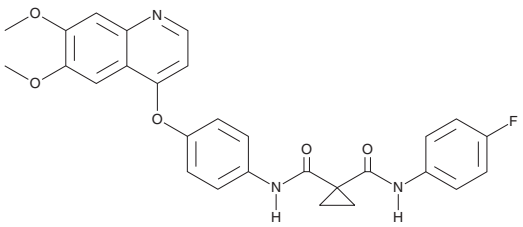
PRODUCT INFORMATION

XL184

Item No. 18464

CAS Registry No.:	849217-68-1
Formal Name:	N'-[4-[(6,7-dimethoxy-4-quinolinyl) oxy]phenyl]-N-(4-fluorophenyl)-1,1-cyclopropanedicarboxamide
Synonyms:	BMS-907351, Cabozantinib
MF:	$C_{28}H_{24}FN_3O_5$
FW:	501.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 242, 308, 322 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

XL184 is supplied as a crystalline solid. A stock solution may be made by dissolving the XL184 in the solvent of choice. XL184 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of XL184 in these solvents is approximately 2, 4, and 3 mg/ml, respectively.

XL184 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, XL184 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. XL184 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

XL184 is a pan tyrosine kinase inhibitor that primarily targets VEGFR2 (IC_{50} = 0.035 nM) and c-Met (IC_{50} = 1.3 nM) but also inhibits RET, c-Kit, Axl, FLT3, and Tie2 (IC_{50}s = 5.2, 4.6, 7, 11.3, and 14.3 nM, respectively).[1] In *in vivo* breast, lung, and glioma tumor models that represent dysregulated c-Met and VEGFR signaling, XL184 was shown to reduce tumor and endothelial cell proliferation, inhibiting both angiogenesis and metastasis.[1] XL184 has undergone clinical trial in a broad number of cancers, including thyroid carcinoma, prostate cancer, ovarian cancer, melanoma, breast cancer, non-small cell lung cancer, hepatocellular cancer, renal cell carcinoma, and glioblastoma.[2]

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. *Mol. Cancer Ther.* **10(12)**, 2298-2308 (2011).
2. Zhang, Y., Guessous, F., Kofman, A., *et al*. XL-184, a MET, VEGFR-2 and RET kinase inhibitor for the treatment of thyroid cancer, glioblastoma multiforme and NSCLC. *IDrugs.* **13(2)**, 112-121 (2010).

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