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

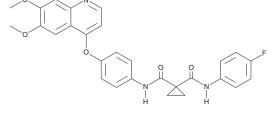
MF: $\mathsf{C}_{28}\mathsf{H}_{24}\mathsf{FN}_3\mathsf{O}_5$

FW: 501.5 **Purity:**

UV/Vis.: λ_{max} : 242, 308, 322 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

XL184 is supplied as a crystalline solid. A stock solution may be made by dissolving the XL184 in the solvent of choice, which should be purged with an inert gas. XL184 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of XL184 in these solvents is approximately 2, 5, 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 an inhibitor of VEGFR2 (IC₅₀ = 0.035 nM).¹ It is selective for VEGFR2 over Ron, EGFR, IGF-1R, and EphA4/B4, but also inhibits c-Met, RET, c-Kit, Axl, FLT3, and Tie2 (IC₅₀s = 1.3, 5.2, 4.6, 7, 11.3, and 14.3 nM, respectively). XL184 (4.6 nM) inhibits VEGF-induced tubule formation in human microvascular endothelial cells (HMVECs). It reduces migration and invasion of B16/F10 melanoma cells induced by hepatocyte growth factor (HGF) when used at a concentration of 123 nM. XL184 (60 mg/kg) induces tumor regression in an MDA-MB-231 breast cancer mouse xenograft model. Unlike sunitinib (Item No. 13159), XL184 does not increase the number of pulmonary tumor foci in an MDA-MB-231 mouse metastasis model. It also protects primary placental fibroblasts from Zika virus infection.² Formulations containing XL184 have been used in the treatment of renal cell and hepatocellular carcinomas.

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. Rausch, K., Hackett, B., Weinbren, N.R., S., et al. Screening bioactives reveals nanchangmycin as a broad spectrum antiviral active against Zika virus. Cell Rep. 18(3), 804-815 (2017).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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