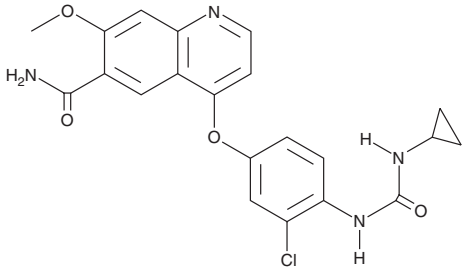
PRODUCT INFORMATION

Lenvatinib

Item No. 19375

CAS Registry No.: 417716-92-8
Formal Name: 4-[3-chloro-4-[[(cyclopropylamino) carbonyl]amino]phenoxy]-7-methoxy-6-quinolinecarboxamide
Synonyms: E-7080, ER-203492-00
MF: $C_{21}H_{19}ClN_4O_4$
FW: 426.9
Purity: ≥98%
UV/Vis.: λ_{max}: 242, 288 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Lenvatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the lenvatinib in the solvent of choice, which should be purged with an inert gas. Lenvatinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of lenvatinib in these solvents is approximately 1 mg/ml.

Lenvatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lenvatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lenvatinib has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lenvatinib is an inhibitor of the receptor tyrosine kinases VEGF receptor 2 (VEGFR2) and VEGFR3 (IC_{50}s = 4 and 5.2 nM, respectively).[1,2] It also inhibits the related kinases VEGFR1, FGFR1, PDGFRα, PDGFRβ and Kit (IC_{50}s = 22, 46, 51, 39, and 100 nM, respectively).[1] Lenvatinib (30 mg/kg, twice per day) reduces tumor growth in an H146 small cell lung cancer mouse xenograft model and induces tumor regression when administered at a dose of 100 mg/kg twice per day. Formulations containing lenvatinib have been used in the treatment of differentiated thyroid cancer, renal cell carcinoma, and hepatocellular carcinoma.

References

1. Matsui, J., Yamamaoto, Y., Funahashi, Y., *et al.* E7080, a novel inhibitor that targets multiple kinases, has potent antitumor activities against stem cell factor producing human small cell lung cancer H146, based on angiogenesis inhibition. *Int. J. Cancer* **122(3)**, 664-671 (2008).
2. Matsui, J., Funahashi, Y., Uenaka, T., *et al.* Multi-kinase inhibitor E7080 suppresses lymph node and lung metastases of human mammary breast tumor MDA-MB-231 via inhibition of vascular endothelial growth factor-receptor (VEGF-R) 2 and VEGF-R3 kinase. *Clin. Cancer Res.* **14(17)**, 5459-5465 (2008).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM