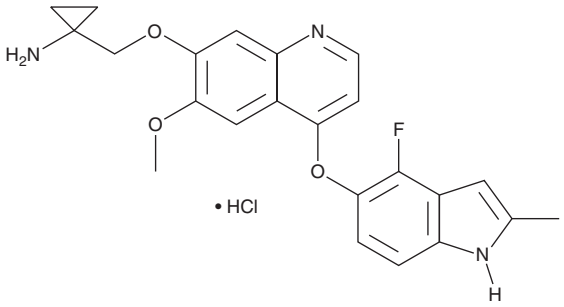
PRODUCT INFORMATION

Anlotinib (hydrochloride)

Item No. 27655

CAS Registry No.: 1058157-76-8
Formal Name: 1-[[[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-methoxy-7-quinolinyl]oxy]methyl]-cyclopropanamine, monohydrochloride
MF: $C_{23}H_{22}FN_3O_3 \bullet HCl$
FW: 443.9
Purity: ≥95%
UV/Vis.: λ_{max}: 233, 321 nm
Supplied as: A 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

Anlotinib (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the anlotinib (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Anlotinib (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of anlotinib (hydrochloride) in these solvents is approximately 1 and 0.5 mg/ml, respectively.

Anlotinib (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, anlotinib (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Anlotinib (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Anlotinib is an orally bioavailable tyrosine kinase inhibitor that inhibits human VEGFR1, VEGFR2, VEGFR3, PDGFRβ, and c-Kit (IC_{50}s = 26.9, 0.2, 0.7, 115, and 14.8 nM, respectively).[1] It is selective for these kinases over c-Met, c-Src, HER2, and EGFR (IC_{50}s = >2,000 nM). It also inhibits FGFR1 (IC_{50} = 11.7 nM for the human receptor).[2] Anlotinib inhibits the growth of SW620 and HT-29 colorectal, 786-O and Caki-1 renal, A549 and NCI H526 lung, MDA-MB-231 breast, HMC-1 leukemia, A375 melanoma, and U-87 MG glioblastoma cancer cells (IC_{50}s = 3-12.5 µM).[1] It inhibits VEGF-induced migration (IC_{50} = 0.1 nM) and FBS-induced tube formation in human umbilical vein endothelial cells (HUVECs). Anlotinib (1.5 nmol) inhibits VEGF-induced angiogenesis in a chicken chorioallantoic membrane (CAM) assay.[2] It also decreases tumor volume by 83% and tumor angiogenesis by 91.2% in a SW620 xenograft mouse model when administered at a dose of 3 mg/kg per day.[1]

References

1. Xie, C., Wan, X., Quan, H., *et al.* Preclinical characterization of anlotinib, a highly potent and selective vascular endothelial growth factor receptor-2 inhibitor. *Cancer Sci.* **109(4)**, 1207-1219 (2018).
2. Lin, B., Song, X., Yang, D., *et al.* Anlotinib inhibits angiogenesis *via* suppressing the activation of VEGFR2, PDGFRβ and FGFR1. *Gene* **654**, 77-86 (2018).

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