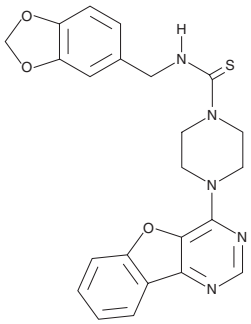
PRODUCT INFORMATION

Amuvatinib

Item No. 21461

CAS Registry No.:	850879-09-3
Formal Name:	N-(1,3-benzodioxol-5-ylmethyl)-4-benzofuro[3,2-d]pyrimidin-4-yl-1-piperazinecarbothioamide
Synonyms:	HPK56, MP470
MF:	$C_{23}H_{21}N_5O_3S$
FW:	447.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 290, 315 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

Amuvatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the amuvatinib in the solvent of choice, which should be purged with an inert gas. Amuvatinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of amuvatinib in these solvents is approximately 10 and 20 mg/ml, respectively.

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

Description

Amuvatinib is a multi-targeted inhibitor of receptor tyrosine kinases that inhibits c-Kit, platelet-derived growth factor receptor α (PDGFRα), and c-Met (IC_{50}s = 10, 40, and 81 nM, respectively).[1] It inhibits growth and induces apoptosis in prostate cancer cell lines, with additive effects achieved when combined with erlotinib (Item No. 10483).[1] Amuvatinib sensitizes cancer cells to radiation and chemotherapeutic compounds, in part by inhibiting homologous recombination.[2-4]

References

1. Qi, W., Cooke, L.S., Stejskal, A., *et al.* MP470, a novel receptor tyrosine kinase inhibitor, in combination with erlotinib inhibits the HER family/PI3K/Akt pathway and tumor growth in prostate cancer. *BMC Cancer* **9(142)**, 1-12 (2009).
2. Padda, S., Neal, J.W., and Wakelee, H.A. MET inhibitors in combination with other therapies in non-small cell lung cancer. *Transl. Lung Cancer Res.* **1(4)**, 238-253 (2012).
3. Welsh, J.W., Mahadevan, D., Ellsworth, R., *et al.* The c-metreceptortyrosine kinase inhibitor MP470 radiosensitizes glioblastoma cells. *Radiat. Oncol.* **4(69)** (2009).
4. Zhao, H.L., Luoto, K.R., Meng, A.X., *et al.* The receptor tyrosine kinase inhibitor amuvatinib (MP470) sensitizes tumor cells to radio- and chemo-therapies in part by inhibiting homologous recombination. *Radiother. Oncol.* **101(1)**, 59-65 (2011).

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