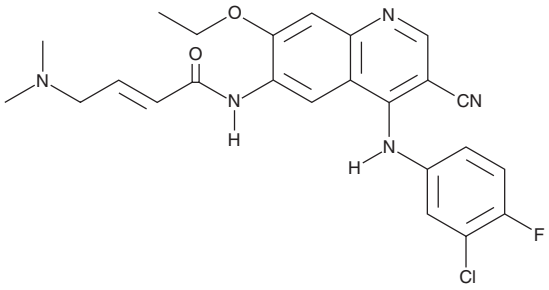
PRODUCT INFORMATION

Pelitinib

Item No. 15627

CAS Registry No.: 257933-82-7
Formal Name: N-[4-[(3-chloro-4-fluorophenyl) amino]-3-cyano-7-ethoxy-6-quinolinyl]-4-(dimethylamino)-2E-butenamide
Synonym: EKB-569
MF: $C_{24}H_{23}ClFN_5O_2$
FW: 467.9
Purity: ≥98%
UV/Vis.: λ_{max}: 209, 260, 283 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

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

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

Description

Pelitinib is a cyanoquinoline that irreversibly inhibits the EGFR receptor tyrosine kinase (IC_{50} = 39 nM).[1] It inhibits HER2 with a much weaker potency (IC_{50} = 1.2 µM).[1] By disrupting Akt and MAPK pathways, pelitinib can induce apoptosis and suppress the growth of tumor cell lines, displaying particularly strong efficacy against hepatocellular carcinoma cells (IC_{50}s = ~2 µM).[2]

References

1. Arkin, M. and Moasser, M.M. HER2 directed small molecule antagonists. *Curr. Opin. Investig. Drugs* **9(12)**, 1264-1276 (2008).
2. Kim, H. and Lim, H.Y. Novel EGFR-TK inhibitor EKB-569 inhibits hepatocellular carcinoma cell proliferation by AKT and MAPK pathways. *J. Korean Med. Sci.* **26(12)**, 1563-1568 (2011).

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