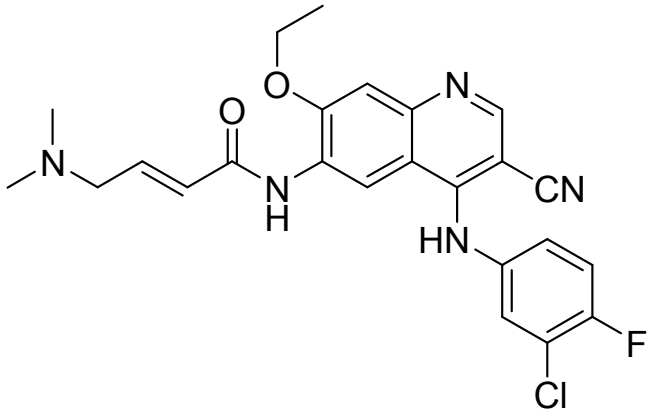
Pelitinib

SYN-1141



(E)-N-(4-(3-chloro-4-fluorophenylamino)-3-cyano-7-ethoxyquinolin-6-yl)-4-(dimethylamino)but-2-enamide

CAS Registry No.: 257933-82-7

Smiles String:
CCOc1cc2c(cc1NC(=O)/C=C/CN(C)C)c(c(cn2)C#N)Nc3ccc(c(c3)Cl)F

Molecular Weight: 467.92

Molecular Formula: C24H23ClFN5O2

Lot Number: Refer to vial

1H-NMR: Available on request

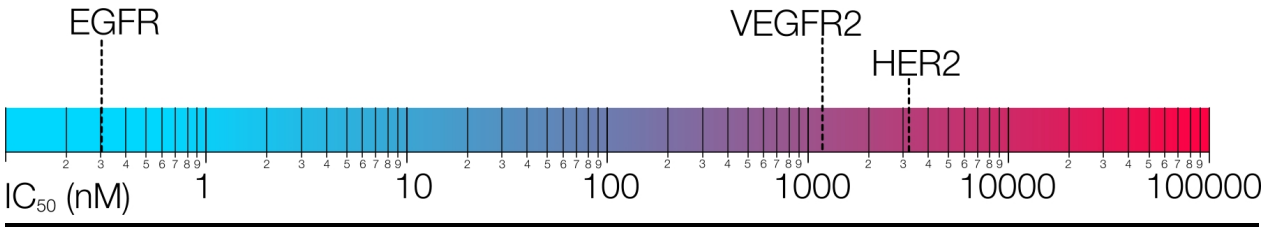
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

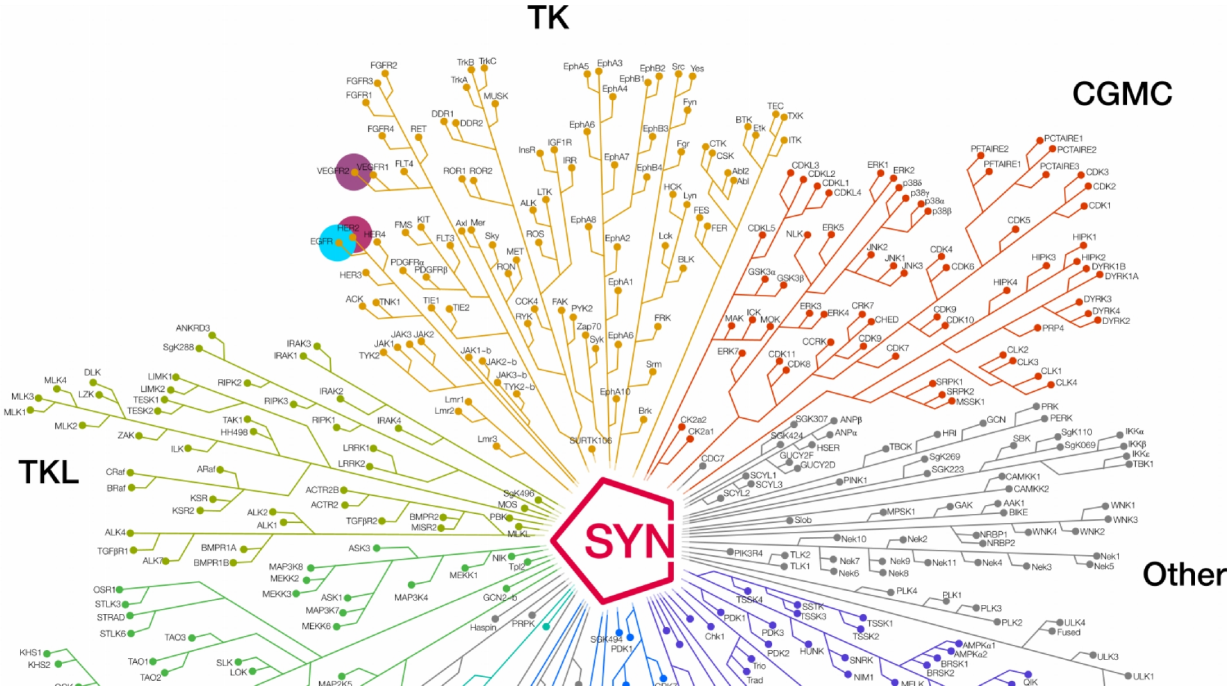
Description:

Pelitinib, also known as EKB 569, is a potent, irreversible inhibitor of the EGFR tyrosine kinase. Cell based IC50 values range from 39 nM to 80nM and in vitro kinase assays are in sub-nanomolar range for EGFR receptors. In xenograft tumor models using overexpressing A431 cells Pelitinib inhibits growth of tumors with effective doses of 3.5-10 mg/kg/dl. (PMID:16710023). Recent research also shows that Pelitinib can potentiate radiation induced killing of squamous cell carcinoma via the inhibition of IR-induced NF-kB mediated cell survival pathway (PMID:23379415).

Biological Activity



Kinome Mapping



Shipping and Storage Temperature

Shipping:
Ambient

Storage:
2 years -20C, Powder 1 month, -4C in DMSO, More than one month -80C in DMSO

Solubility

DMSO 13mg/mL, Ethanol 1mg/mL

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.4679	4.6792	9.3584	23.3960

References

1. Pisaneschi F, Nguyen QD, Shamsaei E, Glaser M, Robins E, Kaliszczak M, Smith G, Spivey AC, Aboagye EO. Development of a new epidermal growth factor receptor positron emission tomography imaging agent based on the 3-cyanoquinoline core: synthesis and biological evaluation. Bioorg Med Chem. 2010 Sep 15;18(18):6634-45. doi: 10.1016/j.bmc.2010.08.004. Epub 2010 Aug 6.

2. Karaman MW, Herrgard S, Treiber DK, Gallant P, Atteridge CE, Campbell BT, Chan KW, Ciceri P, Davis MI, Edeen PT, Faraoni R, Floyd M, Hunt JP, Lockhart DJ, Milanov ZV, Morrison MJ, Pallares G, Patel HK, Pritchard S, Wodicka LM, Zarrinkar PP. A quantitative analysis of kinase inhibitor selectivity. Nat Biotechnol. 2008 Jan;26(1):127-32. doi: 10.1038/nbt1358.

3. Wissner A, Fraser HL, Ingalls CL, Dushin RG, Floyd MB, Cheung K, Nittoli T, Ravi MR, Tan X, Loganzo F. Dual irreversible kinase inhibitors: quinazoline-based inhibitors incorporating two independent reactive centers with each targeting different cysteine residues in the kinase domains of EGFR and VEGFR-2. Bioorg Med Chem. 2007 Jun 1;15(11):3635-48. Epub 2007 Mar 23.

Ordering Information

To order more of this or any other SYNkinase compound, go to synkinase.com, Call us Toll Free (US Only) at 1- 877-854-6273 or email orders@synkinase.com.