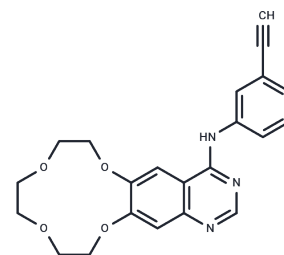


Icotinib

Chemical Properties

CAS No. :	610798-31-7
Formula:	C ₂₂ H ₂₁ N ₃ O ₄
Molecular Weight:	391.42
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Icotinib (Conmana) is an orally available quinazoline-based inhibitor of epidermal growth factor receptor (EGFR), with potential antineoplastic activity.
Targets(IC50)	EGFR
In vitro	Icotinib inhibits EGFR activity in a dose-dependent manner, with an IC ₅₀ value of 5 nM and complete inhibition at 62.5 nM. Icotinib selectively solely inhibits the EGFR members including the wild type and mutants with inhibition efficacies of 61-99%. Icotinib blocks EGFR-mediated intracellular tyrosine phosphorylation in human epidermoid carcinoma A431 cells in a dose-dependent manner. Meanwhile, in our proliferation assay performed on A431, BGC-823, A549, H460, HCT8, KB and Bel-7402 cell lines, we found that the relative sensitivity of cell lines to Icotinib is A431 > BGC-823 > A549 > H460 > KB > HCT8 and Bel-7402. Icotinib exhibits a broad spectrum of antitumor activity and it is especially effective against tumors expressing higher levels of EGFR. [1]
In vivo	Icotinib shows an antitumor effect in different types of xenografts. Icotinib inhibits tumor growth at a rate of 51.5%, 31.0% and 67.4% in the A431, A549 and H460 xenografts at a dose of 120 mg/kg, respectively. [1]
Kinase Assay	Biochemical kinase assays: In the in vitro kinase assays, 2.4 ng/μL EGFR protein is mixed with 32 ng/μL Crk in 25 μL kinase reaction buffer containing 1 μM cold ATP and 1 μCi ³² P-γ-ATP. The mix is incubated with Icotinib at 0, 0.5, 2.5, 12.5 or 62.5 nM on ice for 10 min followed by incubation at 30 °C for 20 min. After quenching with SDS sample buffer at 100 °C for 4 min, the protein mix is resolved by electrophoresis in a 10% SDS-PAGE gel. The dried gel is then exposed to the PhosphorImager to detect radioactivity. Quantification is performed by ImageQuant software. In this methodology the radioactive signal inversely correlates with kinase activity.
Cell Research	Cells (103 /well) are seeded into 96-well plates in RPMI-1640 medium containing 10% FBS and grown in a 5% CO ₂ incubator at 37 °C. After 24 h, cells are treated with Icotinib at 0, 0.78, 1.56, 3.125, 6.25, 12.5 or 25 μM for 96 h. Cell proliferation is calculated by subtracting the mean absorbance value on day 0 from the mean absorbance value on day 4.(Only for Reference)

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 72 mg/mL (183.9 mM), Ethanol: 6 mg/mL(15.3 mM), H2O: < 1 mg/mL (insoluble or slightly soluble), < 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5548 mL	12.774 mL	25.548 mL
5 mM	0.511 mL	2.5548 mL	5.1096 mL
10 mM	0.2555 mL	1.2774 mL	2.5548 mL
50 mM	0.0511 mL	0.2555 mL	0.511 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Tan F, et al. Lung Cancer, 2012, 76(2), 177-182.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

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