Icotinib

Cat. No.: HY-15164A

CAS No.: 610798-31-7

Molecular Formula: $C_{22}H_{21}N_3O_4$

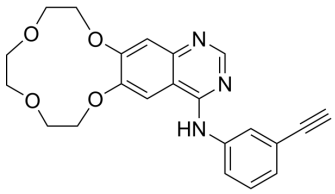
Molecular Weight: 391.42

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 155 mg/mL (395.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5548 mL	12.7740 mL	25.5480 mL
	5 mM	0.5110 mL	2.5548 mL	5.1096 mL
	10 mM	0.2555 mL	1.2774 mL	2.5548 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Icotinib (BPI-2009) is a potent and specific EGFR inhibitor with an IC_{50} of 5 nM; also inhibits mutant $EGFR^{L858R}$, $EGFR^{L858R/T790M}$, $EGFR^{T790M}$ and $EGFR^{L861Q}$. Icotinib is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

EGFR 5 nM (IC_{50})	$EGFR^{L858R}$	$EGFR^{L858R/T790M}$	$EGFR^{T790M}$
$EGFR^{L861Q}$			

In Vitro

Incubation with Iconitib at 0.5 μM results in kinase activity inhibition of 91%, 99%, 96%, 61% and 61%, respectively. Iconitib

	inhibits the proliferation of A431 and BGC-823 A549, H460 and KB cell lines with IC_{50}s of 1, 4.06, 12.16, 16.08, 40.71 μM. When profiled with 88 kinases, Icotinib only shows meaningful inhibitory activity to EGFR and its mutants. Icotinib blocks EGFR-mediated intracellular tyrosine phosphorylation (IC_{50}=45 nM) in the human epidermoid carcinoma A431 cell line and inhibits tumor cell proliferation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Icotinib exhibits potent dose-dependent antitumor effects in nude mice carrying a variety of human tumor-derived xenografts. The drug is well tolerated at doses up to 120 mg/kg/day in mice without mortality or significant body weight loss during the treatment. Icotinib inhibits tumor growth at a rate of 25.2%, 45.6% and 51.5% in the A431 cell line groups; 3.4%, 25.9% and 31.0% in the A549 cell line groups; 49.4%, 52.6% and 67.4% in the H460 cell line groups, and 30.3%, 36.4% and 46.5% in the HCT8 cell line groups, at 30, 60 and 120 mg/kg/dose, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	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 bufferat 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 detect radioactivity. Quantification is performed by software[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	Cells (1000/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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: The effect of three doses of Icotinib (30, 60, and 120 mg/kg/dose p.o. qd) on antitumor activity and survival is determined in mice bearing A431, A549, H460 and HCT8 tumor xenografts. Taxol (30 mg/kg/dose i.p. once a week) is employed in these experiments as a positive control group[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cell Rep Med. 2023 Jan 10;100911.
- Biochem Pharmacol. 2016 Dec 1;121:67-77.
- Clin Chim Acta. 2022 Jan 6;S0009-8981(21)00457-5.

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REFERENCES

[1]. Tan F, et al. Icotinib (BPI-2009H), a novel EGFR tyrosine kinase inhibitor, displays potent efficacy in preclinical studies. Lung Cancer. 2012 May;76(2):177-82.

Caution: Product has not been fully validated for medical applications. For research use only.

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