Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Icotinib (Hydrochloride)

Catalog No: tcsc0918

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1204313-51-8

Formula:
$C_{22}H_{22}ClN_3O_4$

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

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
BPI-2009H

Observed Molecular Weight:
427.88

Product Description

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Icotinib Hydrochloride (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 $EGFR^{L861Q}$.

IC50 & Target: IC50: 5 nM (EGFR)[1]

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].

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].