

# Icotinib

Catalog No: tcsc2017



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

610798-31-7

**Formula:**

$C_{22}H_{21}N_3O_4$

**Pathway:**

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

**Target:**

EGFR;EGFR

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 155$  mg/mL (395.99 mM)

**Alternative Names:**

BPI-2009

**Observed Molecular Weight:**

391.42

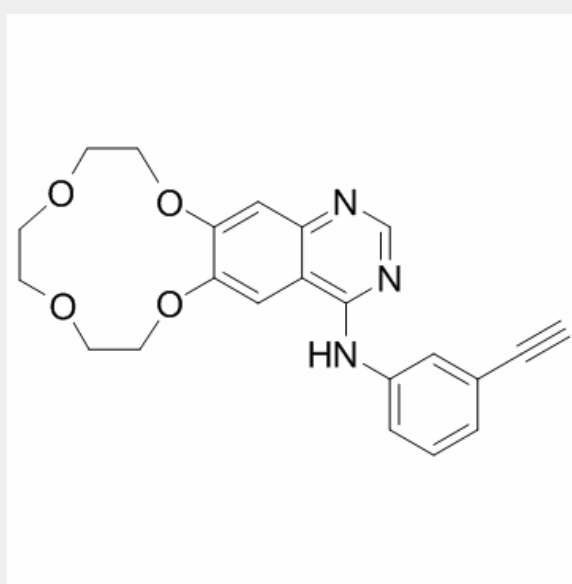
## Product Description

Icotinib (BPI-2009) is a potent and specific **EGFR** inhibitor with an **IC<sub>50</sub>** of 5 nM; also inhibits mutant EGFR<sup>L858R</sup>, EGFR<sup>L858R/T790M</sup>, EGFR<sup>T790M</sup> and EGFR<sup>L861Q</sup>.

IC50 & Target: IC50: 5 nM (EGFR)<sup>[1]</sup>

**In Vitro:** Incubation with Icotinib at 0.5 μM results in kinase activity inhibition of 91%, 99%, 96%, 61% and 61%, respectively. Icotinib inhibits the proliferation of A431 and BGC-823 A549, H460 and KB cell lines with IC<sub>50</sub>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<sub>50</sub>=45 nM) in the human epidermoid carcinoma A431 cell line and inhibits tumor cell proliferation<sup>[1]</sup>.

**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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!