Product Datasheet

Icotinib hydrochloride

Catalog No. **BP-01851A** · CAS **1204313-51-8** · Form **salt · hydrochloride** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-07**

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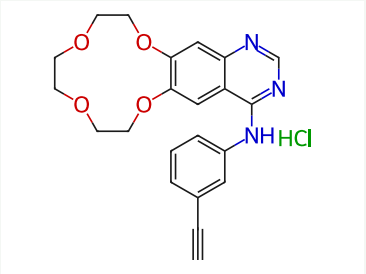
Target	Research area
EGFR	**Infection; Neurological Disease; Metabolic Disease; Cancer**

Molecular formula	$C_{22}H_{22}ClN_3O_4$
Molecular weight	**427.8810**

STRUCTURE



DESCRIPTION

Icotinib Hydrochloride (BPI-2009) is a potent, CNS-penetrant and specific EGFR inhibitor with an IC50 of 5 nM; also inhibits mutant EGFR L858R, EGFR L858R/T790M, EGFR T790M and EGFR L861Q. Icotinib (Hydrochloride) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

BIOLOGICAL ACTIVITY

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 IC50s 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 (IC50=45 nM) in the human epidermoid carcinoma A431 cell line and inhibits tumor cell proliferation.

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.

SOLUBILITY

Soluble in DMSO

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.

[2]. Zhao X, et al. Efficacy of icotinib versus traditional chemotherapy as first-line treatment for preventing brain metastasis from advanced lung adenocarcinoma in patients with epidermal growth factor receptor-sensitive mutation. J Cancer Res Ther. 2016 Jul-Sep;12(3):1127-1131.

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