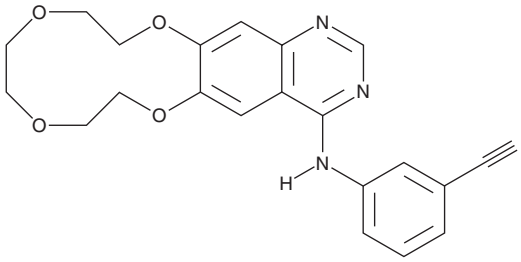
PRODUCT INFORMATION

Icotinib

Item No. 30093

CAS Registry No.:	610798-31-7
Formal Name:	N-(3-ethynylphenyl)-7,8,10,11,13,14-hexahydro-[1,4,7,10]tetraoxacyclododecino[2,3-g]quinazolin-4-amine
Synonym:	BPI 2009H
MF:	$C_{22}H_{21}N_3O_4$
FW:	391.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 210, 212, 226, 247, 346 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Icotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the icotinib in the solvent of choice, which should be purged with an inert gas. Icotinib is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml.

Icotinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, icotinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Icotinib has a solubility of approximately 0.25 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Icotinib is an inhibitor of EGFR (IC_{50} = 2 nM).[1] It is selective for EGFR over Abl, Abl2, and c-Src tyrosine kinases at 1,000 nM. Icotinib inhibits EGFR-mediated tyrosine phosphorylation in A431 human epidermoid carcinoma cells with an IC_{50} value of 45 nM. It inhibits the growth of PC-9 and HCC827 non-small cell lung cancer (NSCLC) cells (IC_{50}s = <20 and <1,250 nM, respectively), which contain EGFR mutations, and A549 cells (IC_{50} = 8,800 nM), which do not.[2] It also inhibits migration of HCC827 cells when used at a concentration of 100 nM and increases apoptosis by 43.7% at 10 nM. Icotinib reduces tumor growth in a variety of mouse xenograft models when administered at doses ranging from 50 to 120 mg/kg per day.

References

1. Hu, S., Xie, G., Zhang, D.X., *et al.* Synthesis and biological evaluation of crown ether fused quinazoline analogues as potent EGFR inhibitors. *Bioorg. Med. Chem. Lett.* **22(19)**, 6301-6305 (2012).
2. Yang, G., Yao, Y., Zhou, J., *et al.* Effects of icotinib, a novel epidermal growth factor receptor tyrosine kinase inhibitor, in EGFR-mutated non-small cell lung cancer. *Oncol. Rep.* **27(6)**, 2066-2072 (2012).

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