

Product Datasheet

S-22611

Catalog No. **BP-02515** · CAS **908305-13-5** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0** · Revision **2026-09-10**

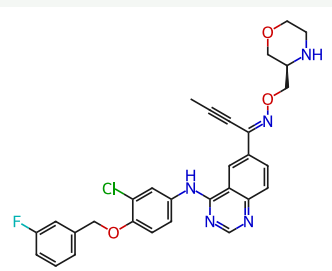
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Target EGFR	Research area Cancer
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Molecular formula **C₃₀H₂₇ClFN₅O₃**

Molecular weight **560.0180**

STRUCTURE



DESCRIPTION

Epertinib (S-22611) is a potent, orally active, reversible, and selective tyrosine kinase inhibitor of EGFR, HER4 and HER2, with IC₅₀s of 1.48 nM, 2.49 nM and 7.15 nM, respectively. Epertinib shows potent antitumor activity . Epertinib 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

Epertinib inhibits the phosphorylation of EGFR and HER2 in NCI-N87 cells, with IC₅₀ values of 4.5 and 1.6 nM, respectively.

Epertinib shows inhibitory activity against MDA-MB-361 cell, with an IC₅₀ of 26.5 nM.

Epertinib (0-10 μM, 72 h) can selectively inhibit the proliferation of a range of cancer cell lines expressing EGFR and/or HER2.

In Vivo

Epertinib (0-100 mg/kg, Orally, once daily for 28 days) shows antitumor activity.

Epertinib (50 mg/kg, Orally, once daily for 30 days) significantly reduces the brain tumor volume.

Epertinib (0-50 mg/kg, Orally, once daily for 10-28 days) significantly inhibits the tumor growth in a dose-dependent manner.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1].Tanaka Y, et al. Distribution analysis of epertinib in brain metastasis of HER2-positive breast cancer by imaging mass spectrometry and prospect for antitumor activity. Sci Rep. 2018 Jan 10;8(1):343.

[2].Tanaka H, et al. Preclinical antitumor activity of S-222611, an oral reversible tyrosine kinase inhibitor of epidermal growth factor receptor and human epidermal growth factor receptor 2. Cancer Sci. 2014 Aug;105(8):1040-8.

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Lot CoA and SDS are separate documents.