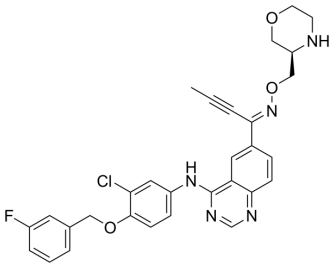
Epertinib

Cat. No.:	HY-107367
CAS No.:	908305-13-5
Molecular Formula:	$C_{30}H_{27}ClFN_5O_3$
Molecular Weight:	560.02
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



BIOLOGICAL ACTIVITY

Description

Epertinib (S-22611) is a potent, orally active, reversible, and selective tyrosine kinase inhibitor of EGFR, HER4 and HER2, with IC_{50}s of 1.48 nM, 2.49 nM and 7.15 nM, respectively. Epertinib shows potent antitumor activity[1][2]. 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.

IC_{50} & Target

EGFR	HER4	HER2
1.48 ± 0.0 nM (IC_{50})	2.49 ± 0.1 nM (IC_{50})	7.15 ± 0.5 nM (IC_{50})

In Vitro

Epertinib inhibits the phosphorylation of EGFR and HER2 in NCI-N87 cells, with IC_{50} values of 4.5 and 1.6 nM, respectively[2].
Epertinib shows inhibitory activity against MDA-MB-361 cell, with an IC_{50} of 26.5 nM[1].
Epertinib (0-10 μM, 72 h) can selectively inhibit the proliferation of a range of cancer cell lines expressing EGFR and/or HER2 [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	NCI-N87 (stomach), BT-474 (breast), SK-BR-3 (breast), MDA-MB-453 (breast), MDA-MB-175VII (breast), HT115 (colon), Calu-3 (lung), fR2 (breast), and MRC-5 (lung)
Concentration:	0-10 μM
Incubation Time:	72 h
Result:	Inhibited the growth of NCI-N87, BT-474, SK-BR-3, MDA-MB-453, MDA-MB-175VII, HT115, Calu-3, fR2, and MRC-5, with IC_{50} values of 8.3 ± 2.6, 9.9 ± 0.8, 14.0 ± 3.6, 48.6 ± 3.1, 21.6 ± 4.3, 53.3 ± 8.6, 241.5 ± 29.2, 5366.7 ± 65.2, and 4964.6 ± 340.3.

In Vivo

Epertinib (0-100 mg/kg, Orally, once daily for 28 days) shows antitumor activity[1].
Epertinib (50 mg/kg, Orally, once daily for 30 days) significantly reduces the brain tumor volume[1].
Epertinib (0-50 mg/kg, Orally, once daily for 10-28 days) significantly inhibits the tumor growth in a dose-dependent manner [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice (BALB/cAJcl-nu/nu, implanted intracranially with MDA-MB-361 or BR2 cells)[1]
Dosage:	12.5, 25, 50, 100 mg/kg
Administration:	Orally, once daily for 28 days
Result:	Showed antitumor activity in the mammary fat pad implantation model using both cell lines and the ED_{50} values were comparable (24.1 mg/kg and 26.5 mg/kg for MDA-MB-361 and BR2 (MDA-MB-361-luc-BR2), respectively).

Animal Model:	Nude mice (BALB/cAJcl-nu/nu, implanted intracranially with MDA-MB-361 or BR2 cells)[1]
Dosage:	50 mg/kg
Administration:	Orally, once daily for 30 days
Result:	Significantly reduced the brain tumor volume, indicating that epertinib could have potent antitumor activity in brain metastasis even in the presence of an intact BTB (blood-tumor barrier).

Animal Model:	Nude mice (BALB/cAJcl-nu/nu, prepared by subcutaneous implantation of human gastric cancer cells, NCI-N87 into the back of nude mice)[2]
Dosage:	0, 6.25, 12.5, 25, and 50 mg/kg
Administration:	Oral gavage, daily for 10-28 days
Result:	Significantly inhibited the tumor growth in a dose-dependent manner.

REFERENCES

[1]. 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.

[2]. 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.

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