
Product Data Sheet

Product Name: Epertinib (S-22611)
Cat. No.: GC33048

Chemical Properties

Cas. No. 908305-13-5

SMILES CC#C/C(C1=CC2=C(NC3=CC=C(OCC4=CC=CC(F)=C4)C(Cl)=C3)N=CN=C2C=C1)=N\OC[C@@H]5NCCOC5

Formula $C_{30}H_{27}ClFN_5O_3$ M.Wt 560.02

Solubility Soluble in DMSO Storage 4°C, protect from light

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon Condition request.

Structure

Background

Epertinib is a potent, oral, reversible, and selective tyrosine kinase inhibitor of EGFR, HER2 and HER4, with IC50s of 1.48 nM, 7.15 nM and 2.49 nM, respectively; Epertinib shows potent antitumor activity.

Epertinib (S-222611) is a potent, oral, reversible, and selective tyrosine kinase inhibitor of EGFR, HER2 and HER4, with IC50s of 1.48 nM, 7.15 nM and 2.49 nM, respectively, and shows no effect on KDR, IGF1R, SRC, KIT, and PDGFR β (IC50, >10000 nM). Epertinib inhibits relative phosphorylation of EGFR and HER2 in NCI-N87 cells, with IC50s of 4.5 and 1.6 nM, respectively. Furthermore, Epertinib exhibits inhibitory activity against the growth of cancer cell lines expressing EGFR and/or HER2, with IC50s of 8.3 nM (NCI-N87 (stomach)), 9.9 nM (BT-474 (breast)), and 14 nM (SK-BR-3 (breast)) [1]. Epertinib also inhibits MDA-MB-361 cell growth, with an IC50 of 26.5 nM[2].

Epertinib shows antitumor activity in nude mice bearing NCI-N87 xenograft via oral administration for 21 days, with an ED50 of 10.2 mg/kg. Epertinib (50 mg/kg, p.o.) is four times more potent activity than lapatinib and completely inhibits the growth of cancer cells in mice[1]. Epertinib (50 mg/kg, p.o.) markedly reduces the brain tumor volume in the breast cancer intraventricular injection mouse brain metastasis model (IVM)[2].

[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.

Caution: Product has not been fully validated for medical applications. For research use only.

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