Product Datasheet

Afatinib

Catalog No. **BP-02089** · CAS **850140-72-6** · Form **free base** · Physical state **other** · Color **white to yellow** · Version **1.0** · Revision **2026-09-14**

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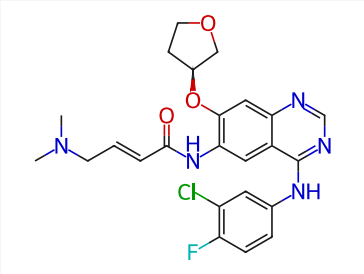
Target	Research area
EGFR; Autophagy; Apoptosisc-Met/HGFR; Akt; p38 MAPK	**Cancer**

Molecular formula	$C_{24}H_{25}ClFN_5O_3$
Molecular weight	**485.9380**

STRUCTURE



DESCRIPTION

Afatinib (BIBW 2992) is an orally active, potent and irreversible dual specificity inhibitor of ErbB family (EGFR and HER2), with IC50 values of 0.5 nM, 0.4 nM, 10 nM and 14 nM for EGFRwt, EGFRL858R, EGFRL858R/T790M and HER2, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Afatinib (100 nM) sufficiently prevents heregulin-stimulated HER3 phosphorylation.

Afatinib (0-10000 nM) effectively inhibits anchorage-independent proliferation of NIH-3T3 cells ectopically expressing EGFR mutants, and inhibits cell proliferation of H1666, H3255, and NCI 1975 cells.

Afatinib (48-72 h)shows growth inhibition in HKESC-1, HKESC-2, SLMT-1 and EC-1 cells.

Afatinib (0-1 μM, 24-48 h) inhibits AKT and MAPK pathways, and inhibits EGFR and AKT phosphorylation in ESCC cell lines.

Afatinib (0-1 μM, 16-48 h) induces G0/G1 cell cycle arrest in HKESC-2 and EC-1.

Afatinib (0-1 μM, 24-48 h) effectively induces apoptotic cell death in HKESC-2 and EC-1.

In Vivo

Afatinib (0-20 mg/kg, Orally, daily for 25 days) shows dramatic tumor regression and downregulation of EGFR, HER2, HER3 and AKT phosphorylation.

Afatinib (15 mg/kg, Orally, in a schedule of 5 days on plus 2 days off, for two weeks) strongly inhibits the growth of

HKESC-2 tumor.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

REFERENCES

[1]. Li D, et al. BIBW2992, an irreversible EGFR/HER2 inhibitor highly effective in preclinical lung cancer models. Oncogene. 2008 Aug 7;27(34):4702-11.

[2]. Wong CH, et al. Preclinical evaluation of afatinib (BIBW2992) in esophageal squamous cell carcinoma (ESCC). Am J Cancer Res. 2015 Nov 15;5(12):3588-99.

[3]. Wang XK, et al. Afatinib circumvents multidrug resistance via dually inhibiting ATP binding cassette subfamily G member 2 in vitro and in vivo. Oncotarget. 2014 Dec 15;5(23):11971-85.

[4]. Yoshioka T, et al. Antitumor activity of pan-HER inhibitors in HER2-positive gastric cancer. Cancer Sci. 2018 Apr;109(4):1166-1176.