## Product Datasheet

# Afatinib dimaleate

Catalog No. **BP-02089A** · CAS **850140-73-7** · Form **other** · Physical state **other** · Color **white to yellow** · Version **1.0** · Revision **2026-09-14**

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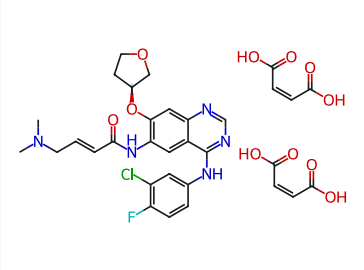
| Target | Research area |
|---|---|
| **EGFR; Autophagy; Apoptosisc-Met/HGFR; Akt; p38 MAPK** | **Cancer** |

| | |
|---|---|
| Molecular formula | $C_{32}H_{33}ClFN_5O_{11}$ |
| Molecular weight | **718.0830** |

**STRUCTURE**



## DESCRIPTION

Afatinib (BIBW 2992) dimaleate 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. Afatinib dimaleate can be used for the research of esophageal squamous cell carcinoma (ESCC), non-small cell lung cancer (NSCLC) and gastric cancer.

## BIOLOGICAL ACTIVITY

In Vitro

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

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

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

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

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

In Vivo

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

Afatinib dimaleate (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

H2O : 50 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.

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