# (E/Z)-Afatinib

**Cat. No.:** HY-10261B

**CAS No.:** 439081-18-2

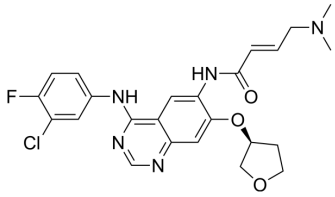
**Molecular Formula:** $C_{24}H_{25}ClFN_5O_3$

**Molecular Weight:** 485.94

**Target:** EGFR; Apoptosis; c-Met/HGFR; Akt; p38 MAPK; Autophagy

**Pathway:** JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis; PI3K/Akt/mTOR; MAPK/ERK Pathway; Autophagy

**Storage:** 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (205.79 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.0579 mL | 10.2893 mL | 20.5787 mL |
| | 5 mM | 0.4116 mL | 2.0579 mL | 4.1157 mL |
| | 10 mM | 0.2058 mL | 1.0289 mL | 2.0579 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.14 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

(E/Z)-Afatinib ((E/Z)-BIBW 2992) is the mixture of (E)-Afatinib and (Z)-Afatinib. Afatinib (HY-10261) is an irreversible inhibitor of EGFR, by irreversibly binding to their ATP binding site to block activation of EGFR, HER2, HER4, and EGFRvIII. Afatinib used in co-administration with Temozolomide (HY-17364), potently targeting to EGFRvIII-cMet signaling in glioblastoma cells[1].

**In Vitro**

Potent, irreversible Her2/ErbB 2 and EGFR kinase inhibitor

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

## REFERENCES

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

[2]. 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.

[3]. 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.

[4]. 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.



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