Web: www.taiclone.com
Tel: +886-2-2735-9682
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Afatinib (dimaleate)

Catalog No: tcsc1329

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
850140-73-7

Formula:
$C_{32}H_{33}ClFN_5O_{11}$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK;Autophagy

Target:
EGFR;EGFR;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 35 mg/mL (48.74 mM)

Alternative Names:
BIBW 2992MA2;BIBW2992;Afatinib

Observed Molecular Weight:
718.08

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Product Description

Afatinib dimaleate is an irreversible, dual **EGFR**/**HER2** inhibitor, shows potent activity against wild-type and mutant forms of EGFR and HER2, with **IC_{50}** of 0.5 nM, 0.4 nM, 10 nM and 14 nM for $EGFR^{wt}$, $EGFR^{L858R}$, $EGFR^{L858R/T790M}$ and HER2, respectively.

IC50 & Target: IC50: 0.5 nM ($EGFR^{wt}$), 0.4 nM ($EGFR^{L858R}$), 10 nM ($EGFR^{L858R/T790M}$), 14 nM (HER2)[1]

In Vitro: In cell-free in vitro kinase assays, Afatinib (BIBW2992) dimaleate shows potent activity against wild-type and mutant forms of EGFR and HER2, similar to Gefitinib in potency for L858R EGFR, but about 100-fold more active against the Gefitinib-resistant L858R-T790M EGFR double mutant, with an IC_{50} of 10 nM. BIBW2992 is furthermore comparable to Lapatinib and Canertinib for in vitro potency against HER2, with an IC_{50} of 14 nM. The most sensitive kinase in this evaluation is lyn with an IC_{50} of 736 nM[1]. Afatinib is an irreversible inhibitor of these ErbB family receptors. Esophageal squamous cell carcinoma (ESCC) cell lines are sensitive to Afatinib with IC_{50} concentrations at lower micro-molar range (at 48 hour incubation: HKESC-1=78 nM, HKESC-2=115 nM, KYSE510=3.182 μM, SLMT-1=4.625 μM and EC-1=1.489 μM; and at 72 hour incubation: HKESC-1=2 nM, HKESC-2=2 nM, KYSE510=1.090 μM, SLMT-1=1.161 μM and EC-1=109 nM) with a maximum growth inhibition over 95%. Afatinib can strongly induce G_0/G_1 cell cycle arrest in HKESC-2 and EC-1 in a dose- and time-dependent manner[2].

In Vivo: Afatinib (15 mg/kg) strongly inhibits the growth of HKESC-2 tumor once the treatment began. Average tumor sizes of vehicle and treatment at end point are 348±24 mm^3 and 108±36 mm^3 respectively, showing significantly difference between them. And apparently tumor size does not bounce back in a short period of time after the end of Afatinib administration. Without rapid change of body weight throughout the treatment shows that the toxicity of Afatinib is minimal and this drug is well tolerated[2].