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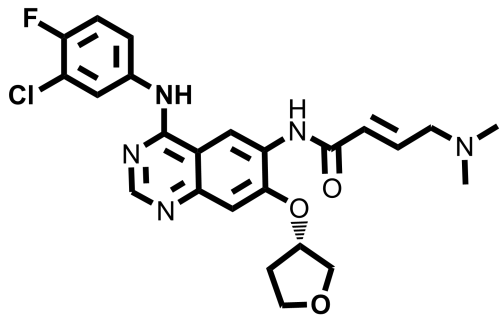
EGFR Inhibitor BIBW2992 (Afatinib)

Chemical Name: (S,E)-N-(4-(3-chloro-4-fluorophenylamino)-7-(tetrahydrofuran-3-yloxy)quinazolin-6-yl)-4-(dimethylamino)but-2-enamide



Molecular Weight:	485.94
Formula:	$C_{24}H_{25}ClFN_5O_3$
Purity:	≥98%
CAS#:	439081-18-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

BIBW2992 (Afatinib) is a highly potent and selective irreversible EGFR inhibitor, inhibiting $EGFR^{wt}$, $EGFR^{L858R}$, $EGFR^{L858R/T790M}$ and HER2 with IC_{50} of 0.5 nM, 0.4 nM, 10 nM, 14 nM, respectively. BIBW2992 suppresses transformation in isogenic cell-based assays, inhibits survival of cancer cell lines, exhibits potent effects on both EGFR and HER2 phosphorylation, and induces tumor regression in xenograft and transgenic lung cancer models, with superior activity over erlotinib. BIBW2992 is currently undergoing Phase III clinical trials for non-small cell lung carcinoma (NSCLC) and breast cancer.

How to Use:

In vitro: BIBW2992 was used at 1-10 μM final concentration in vitro and in cellular assays.

In vivo: BIBW2992 was orally dosed to mice at 20 mg/kg once per day, or in combination with 2 mg/kg of Rapamycin to significantly reduce the tumor volume. Formulation: 0.5% methylcellulose/0.4% Tween-80.

Reference:

1. Li D, et al. BIBW2992, an irreversible EGFR/HER2 inhibitor highly effective in preclinical lung cancer models. (2008) Oncogene. 27(34):4702-11.
2. Perera SA, et al. HER2YVMA drives rapid development of adenosquamous lung tumors in mice that are sensitive to BIBW2992 and rapamycin combination therapy. (2009) Proc Natl Acad Sci U S A. 106(2):474-9.
3. Khelwatty SA, et al. Growth response of human colorectal tumour cell lines to treatment with afatinib (BIBW2992), an irreversible erbB family blocker, and its association with expression of HER family members. (2011) Int J Oncol. 39(2):483-91.
4. Solca F, et al. Target Binding Properties and Cellular Activity of Afatinib (BIBW 2992), an Irreversible ErbB Family Blocker. (2012) J Pharmacol Exp Ther. 343(2):342-50.