

## Product Specification Sheet

**Product Name:** BIBW2992 (Afatinib)

**Catalog Number:** C2929

**Technical information:**

Chemical Formula:  $C_{24}H_{25}ClFN_5O_3$

CAS #: 439081-18-2

Molecular Weight: 485.94

Purity: > 98%

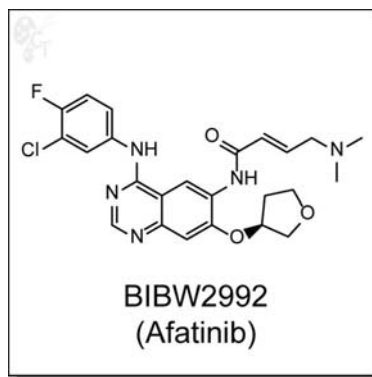
Appearance: Off White solid

Solubility: Soluble in DMSO up to 100 mM

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

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.206mL of DMSO for each mg of BIBW2992 (Afatinib)
  - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** BIBW2992 (Afatinib) is an orally-available, aminoquinazoline-acrylamide-based inhibitor with IC50 potency for EGFRwt, EGFR(L858R), EGFR(L858R/T790M), and HER2 at 0.5, 0.4, 10, and 14 nM, respectively. [1] Via its crotonamide functional group, BIBW2992 targets the ErbB family in an irreversible manner, providing a sustained, selective, and covalent inhibition that has been shown to be effective toward erlotinib-resistant tumors. [2]

In cellular assays, BIBW2992 inhibits phosphorylation of EGFR wild type (A431), EGFR (NCI-H1975), and HER2 (BT474) at EC50s of 8, 49, and 75 nM, respectively. [3]

BIBW2992 displays potent growth inhibition of EGFR/HER2 expressing lung cancer cell lines H1666, H3255, and NCI1975 at IC50 values of 60, 0.7, and 99 nM, respectively. [1]

- Reference:**
1. Li et al., BIBW2992, an irreversible EGFR/HER2 inhibitor highly effective in preclinical lung cancer models. *Oncogene*, 2008, 27(34), 4702-4711. Pubmed ID: 18408761
  2. Boehringer Ingelheim backgrounder (BIBW2992, Afatinib), May, 2012.
  3. Solca et al., Target binding properties and cellular activity of afatinib (BIBW 2992), an irreversible ErbB family blocker. *J. Pharmacol. Exp. Ther.* 2012, 343(2), 342-350. Pubmed ID: 22888144

To reorder: <http://www.cellagentech.com/BIBW2992-Afatinib/>

For Technical Support: [technical@cellagentech.com](mailto:technical@cellagentech.com)

*Chemicals are sold for research use only, not for clinical or diagnostic use.*