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| Product Name: | Afatinib |
| Product Number: | A170 |
| CAS Number: | 850140-72-6 |
| Molecular Formula: | $C_{24}H_{25}ClFN_5O_3$ |
| Molecular Weight: | 485.94 |
| Form: | Powder |
| Appearance: | White to off-white powder |
| Solubility: | DMSO (97 mg/ml), ethanol (15 mg/ml) and water (<1 mg/ml) at 25 °C). |
| Source: | Synthetic |
| Storage Conditions: | -20°C |
| Description: | Afatinib is an anilinoquinazoline derivative with a reactive acrylamide group. It is a tyrosine kinase inhibitor and blocks enzymatically active ErbB (proto-oncogene B of the avian erythroblastosis virus AEV-H strain) receptor family members. |
| Mechanism of Action: | Afatinib is an irreversible ErbB-family blocker. The reactive acrylamide group is important as it differentiates Afatinib from other ErbB targeting agents by inhibiting the activity of the kinases found in all members of the ErbB family. |
| Cancer Applications | Deregulation of the ErbB receptor network is a driver in epithelial cancers. Afatinib inhibits ErbB-4 and the proliferation of cancer cell lines driven by multiple ErbB receptor aberrations at concentrations below 100 nM (Solca et al, 2012). Afatinib showed positive results during in vitro assays against a variety of human cancer cell lines, including human epidermoid carcinoma cell line A431, murine NIH-3T3 cells, breast cancer cell line BT-474, and gastric cancer cell line NCI-N87. (Li et al, 2008). |
| References: | Li D et al. (2008) BIBW2992, an irreversible EGFR/HER2 inhibitor highly effective in preclinical lung cancer models. <i>Oncogene</i> 27(34):4702-4711 PMID 18408761 Solca F et al (2012) Target binding properties and cellular activity of Afatinib (BIBW 2992), an irreversible ErbB family blocker. <i>J. Pharmacol. Exper. Ther.</i> 343(2): 342-350 |