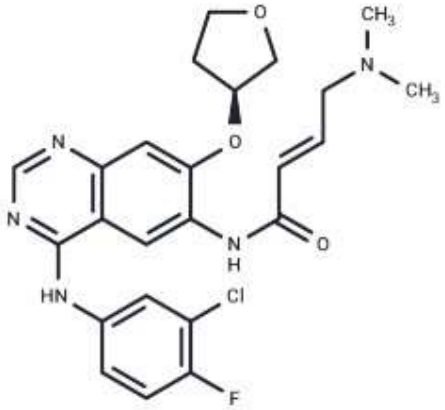
Afatinib [850140-72-6]

#Cat: NB-64-10870-1ml **Size: 1ml**
#Cat: NB-64-10870-5mg **Size: 5mg**
#Cat: NB-64-10870-10mg **Size: 10mg**
#Cat: NB-64-10870-25mg **Size: 25mg**
#Cat: NB-64-10870-50mg **Size: 50mg**
#Cat: NB-64-10870-200mg **Size: 200mg**
#Cat: NB-64-10870-100mg **Size: 100mg**
#Cat: NB-64-10870-500mg **Size: 500mg**



Chemical Properties

Cas No: 850140-72-6
Formula: $C_{24}H_{25}ClFN_5O_3$
Molecular weight: 485.94
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Afatinib (BIBW 2992) is an irreversible inhibitor of the EGFR family (EGFR-wt, EGFR-L858R, EGFR-L858R/T790M, and HER2) with IC50s of 0.5 nM, 0.4 nM, 10 nM, and 14 nM, respectively.
Targets (IC50)	EGFR, Autophagy
In vitro	**Methods:** NSCLC cells NCI-H1975, NCI-H1781, HCC827 and A549 were treated with Afatinib (0.0001-10 μM) for 72 h. Cell viability was measured by MTS assay. **Results:** Afatinib inhibited the survival of tumor cell lines harboring wild-type (H1666) or L858R/T790M (NCI-H1975) EGFR. Afatinib is also effective against NSCLC cell lines expressing HER2 776insV (NCI-H1781) or EGFR E746_A750del (HCC827), but is inactive against A549 cells expressing wild-type EGFR and HER2 but also harboring the oncogenic Kras G12S point mutation. [1] **Methods:** BEAS-2B cells overexpressing wild type or mutant HER2 were treated with Afatinib (0.1 μM) for 6 h, and target protein expression levels were measured by Western Blot. **Results:** Afatinib treatment inhibited the phosphorylation of HER2, EGFR and AKT. [2]
In vivo	**Methods:** To assay antitumor activity in vivo, Afatinib (20 mg/kg, 1.8% HP-beta-CD + 5% acetic acid (10%) + aqueous Natrosol (0.5%)) was administered by gavage to NMRI nu/nu mice bearing A431 xenografts once daily for 25 days. **Results:** Afatinib resulted in significant tumor regression with a cumulative treatment/control tumor volume ratio (T/C ratio) of 2% and downregulation of EGFR and AKT phosphorylation. [1]

Soloubility Information

Solubility	DMSO: 45 mg/mL (92.6 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0412 mL	0.2058 mL	0.4116 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Liang J, Bi G, Sui Q, et al. Transcription factor ZNF263 enhances EGFR-targeted therapeutic response and reduces residual disease in lung adenocarcinoma. Cell Reports.2024, 43(2).
Li D, et al. BIBW2992, an irreversible