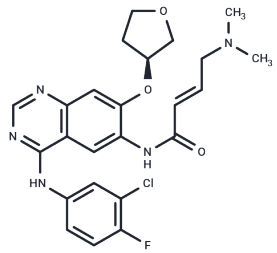
Data Sheet (Cat.No.T21312)

TargetMol

Afatinib

Chemical Properties



CAS No. : 850140-72-6

Formula: C24H25ClFN5O3

Molecular Weight: 485.94

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

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)	Apoptosis,EGFR,Akt,Autophagy,c-Met/HGFR,p38 MAPK
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]

Solubility Information

Solubility	DMSO: 242 mg/mL (498 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.5 mg/mL (9.26 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Li D, et al. BIBW2992, an irreversible EGFR/HER2 inhibitor highly effective in preclinical lung cancer models. Oncogene. 2008 Aug 7;27(34):4702-11.
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).
Wei X, Zhang G, Liu Q, et al.Almonertinib and alflutinib show novel inhibition on rare EGFR S768I mutant cells. Clinical and Translational Oncology.2024: 1-16.
Suzawa K, et al. Antitumor effect of afatinib, as a human epidermal growth factor receptor 2-targeted therapy, in lung cancers harboring HER2 oncogene alterations. Cancer Sci. 2016 Jan;107(1):45-52.
Long Y, Jia X, Chu L.Insight into the structure, function and the tumor suppression effect of gasdermin E. Biochemical Pharmacology.2024: 116348.
Zhang C, Sun Y X, Yi D C, et al.Neoadjuvant sintilimab plus chemotherapy in EGFR-mutant NSCLC: Phase 2 trial interim results (NEOTIDE/CTONG2104).Cell Reports Medicine.2024
Tu R, Ma J, Chen Y, et al.USP7 depletion potentiates HIF2α degradation and inhibits clear cell renal cell carcinoma progression.Cell Death & Disease.2024, 15(10): 749.