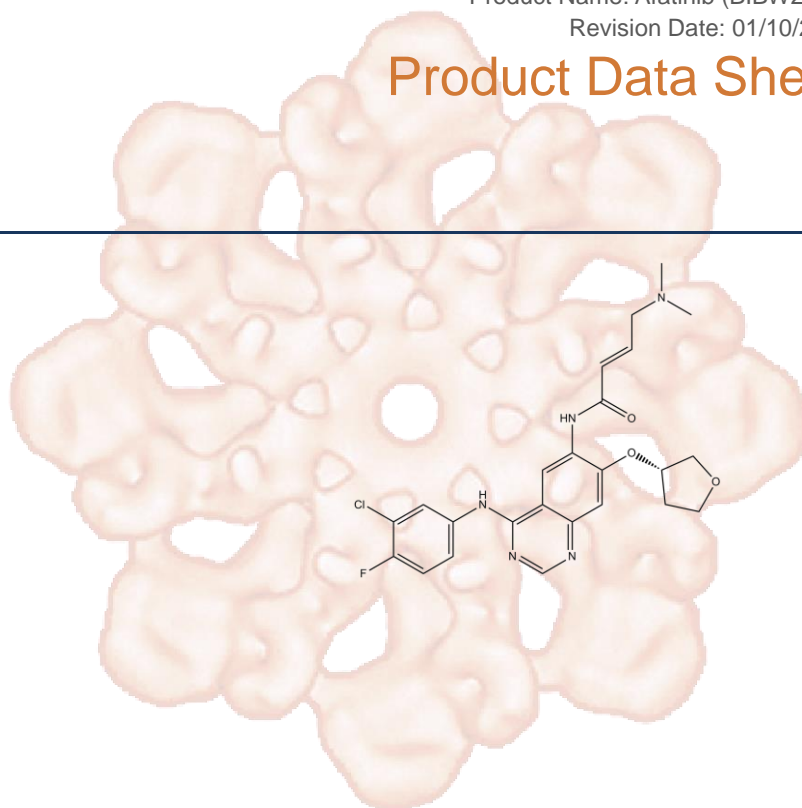


Afatinib (BIBW2992)

Cat. No.:	A8247
CAS No.:	439081-18-2
Formula:	C ₂₄ H ₂₅ CIFN ₅ O ₃
M.Wt:	485.94
Synonyms:	
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

≥24.3mg/mL in DMSO, ≥42.1 mg/mL in EtOH with ultrasonic, insoluble in H₂O

In Vitro

Preparing Stock Solutions	Mass		1mg	5mg	10mg
	Solvent	Concentration			
		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

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Irreversible EGFR/HER2 inhibitor

IC₅₀ & Target

0.5 nM (EGFRwt), 0.4 nM (EGFRL858R), 10 nM (EGFR L858R/T790M), 14 nM (HER2)

In Vitro

Cell Viability Assay

Cell Line:	NCI-H1975 and BT474 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	EC50: 92 nM for NCI-H1975 cells, 54 nM for BT474 cells; 96 hours
Applications:	The effect of the inhibitor on cellular proliferation was tested in various assay

formats including anchorage-dependent (BT474 cells grown on plastic; two-dimensional assays) and anchorage-independent (NCI-H1975 cells grown in soft agar; three-dimensional assays) assays. Afatinib dose-dependently inhibited cell proliferation and showed nanomolar activity. The EC50 values for NCI-H1975 and BT474 cells were 92 nM and 54 nM, respectively.

Animal experiment

Animal models: Transgenic mice expressing the delE748-A752 version of mouse Egfr and the L858R version of human EGFR

Dosage form: Oral administration, 5 mg/kg, once daily, 5 days per week

Applications: The transgenic mice received the oral administration of the drug until toxicity or death. All mice in the control group died, with a median survival time of 119 days. Afatinib treatment significantly enhanced the survival of transgenic mice with a median survival time of 456 days. No toxic death was observed in any mice. Four weeks after the initiation of treatment, body weight in the control group was significantly lower than in the afatinib group.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

In Vivo

Product Citations

1. White SM, Avantaggiati ML, et al. "YAP/TAZ Inhibition Induces Metabolic and Signaling Rewiring Resulting in Targetable Vulnerabilities in NF2-Deficient Tumor Cells." Dev Cell. 2019 May 6;49(3):425-443.e9.PMID:31063758
2. Huang L, Cai M, et al."Combinational therapy of crizotinib and afatinib for malignant pleural mesothelioma. Am J Cancer Res." 2017 Feb 1;7(2):203-217. eCollection 2017.PMID:28337371

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References

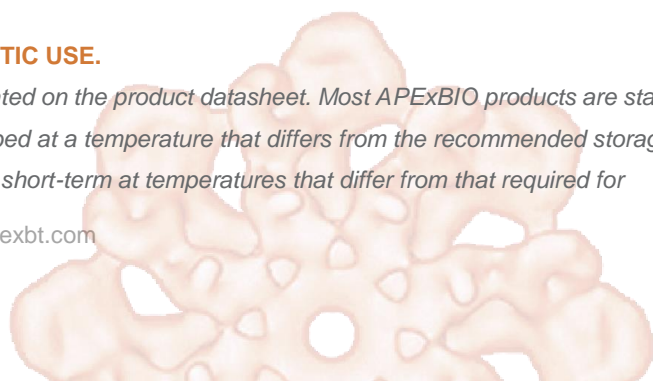
- [1] Solca F, Dahl G, Zoephel A, et al. Target binding properties and cellular activity of afatinib (BIBW 2992), an irreversible ErbB family blocker. Journal of Pharmacology and Experimental Therapeutics, 2012, 343(2): 342-350.
- [2] Ninomiya T, Takigawa N, Ichihara E, et al. Afatinib prolongs survival compared with gefitinib in an epidermal growth factor receptor-driven lung cancer model. Molecular cancer therapeutics, 2013, 12(5): 589-597.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for



long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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