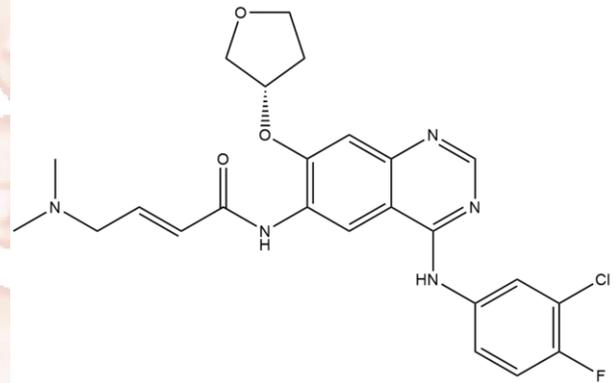


Product Data Sheet

Afatinib

Cat. No.:	A4746
CAS No.:	850140-72-6
Formula:	C ₂₄ H ₂₅ CIFN ₅ O ₃
M.Wt:	485.94
Synonyms:	/
Target:	ErbB family
Pathway:	RTK
Storage:	Store at -20°C



Solvent & Solubility

≥49.3 mg/mL in DMSO; ≥13.07 mg/mL in EtOH with ultrasonic; insoluble in H₂O

In Vitro

Preparing Stock Solutions	Solvent	Mass	1mg	5mg	10mg
			Concentration		
	1 mM		2.0579	10.2893	20.5787
	5 mM		0.4116	2.0579	4.1157
	10 mM		0.2058	1.0289	2.0579

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

Biological Activity

Shortsummary

A covalent inhibitor targeting members of the ErbB family

IC₅₀ & Target

EGFR: 0.5 nM. HER2: 14 nM.

In Vitro

Cell Viability Assay

Cell Line:	NIH-3T3 cells, H1666, H3255, and NCI 1975 cells
Preparation method:	Cell Proliferation Assay
Reacting conditions:	0, 1, 10, 100, 1000, 10000 nM
Applications:	Effectively inhibited anchorage-independent proliferation of NIH-3T3 cells ectopically expressing EGFR mutants. Showed inhibition of anchorage

		independent cell proliferation of various lung cancer cell lines (H1666, H3255, and NCI 1975 cells), with IC50 values of 60 nM, 0.7 nM and 99 nM, respectively.
In Vivo	Animal experiment	
	Animal models:	Six weeks old female athymic nude mice (nu/nu) (16-20 g)
	Dosage form:	15 mg/kg
	Applications:	Strongly inhibited the growth of HKESC-2 tumor. Average tumor sizes of vehicle and treatment at end point are $348 \pm 24 \text{ mm}^3$ and $108 \pm 36 \text{ mm}^3$ respectively.
	Preparation method:	Oral administration in a schedule of 5 days on plus 2 days off, for two weeks
	Other notes:	The technical data provided above is for reference only.

Product Citations

/

See more customer validations on www.apexbt.com.

References

1. 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.
2. Wong CH, et al. Preclinical evaluation of afatinib (BIBW2992) in esophageal squamous cell carcinoma (ESCC). *Am J Cancer Res*. 2015 Nov 15;5(12):3588-99.

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. Short-term 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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