

Afatinib, Free Base

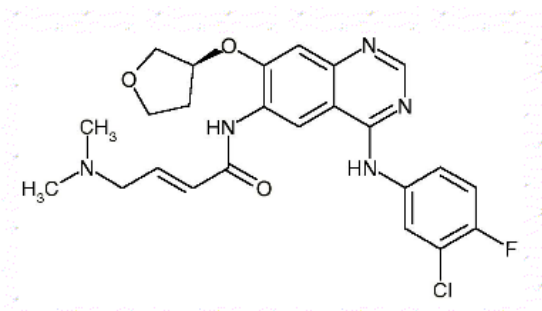
Catalog #: 27009

Lot #: 111121

Size: 10 mg

Structure:

CAS Registry #: 439081-18-2



Purity: $\geq 95\%$

Chemical Formula: $C_{24}H_{25}ClFN_5O_3$

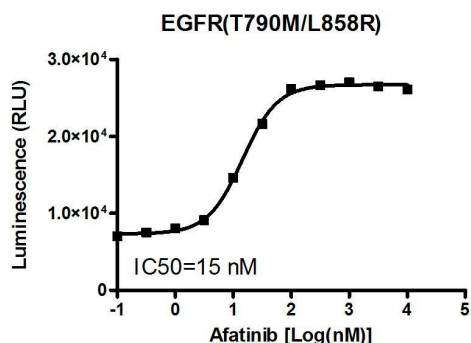
Molecular Weight: 485.94

Description: Afatinib, also known as BIW-2992, is an irreversible dual inhibitor of epidermal growth factor receptor 1 (EGFR) and 2 (HER2) tyrosine kinases. Afatinib suppresses EGF-induced EGFR phosphorylation and cellular proliferation in various cell lines, including EGFR-overexpressing and HER2-expressing cell lines A431, NIH-3T3-HER2, NCI-N87 and BT-474.

Appearance: White crystalline powder.

Solubility: Soluble in DMSO at 200 mg/mL; soluble in ethanol at 25 mg/mL; very poorly soluble in water; maximum solubility in plain water is estimated to be about 50-100 μM ; buffers, serum, or other additives may increase or decrease the aqueous solubility.

Biological Activity: Afatinib inhibited the EGFR kinase ($IC_{50} = 15 \text{ nM}$) using BPS Bioscience EGFR assay performed using 10 μM ATP and 0.2 mg/ml poly-(Glu-Tyr). Activity was measured by Kinase-Glo Plus reagents (Promega).



Storage/Stability: Store at or below -20°C .

Quality Control: The purity was determined by HPLC.

Reference: Eskens, F.A., *et al. Br. J. Cancer* **98**: 80-85 (2008).