Afatinib-d_4

Cat. No.: HY-10261S1

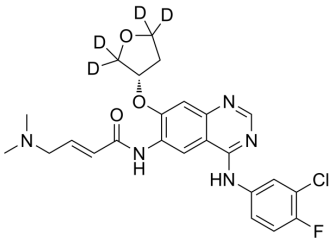
Molecular Formula: $C_{24}H_{21}D_4ClFN_5O_3$

Molecular Weight: 489.96

Target: EGFR; Autophagy

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Afatinib-d_4 is the deuterium labeled Afatinib. Afatinib (BIBW 2992) is an irreversible EGFR family inhibitor with IC50s of 0.5 nM, 0.4 nM, 10 nM and 14 nM for EGFRwt, EGFRL858R, EGFRL858R/T790M and HER2, respectively.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.

[2]. 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.

[3]. 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.

[4]. Wang XK, et al. Afatinib circumvents multidrug resistance via dually inhibiting ATP binding cassette subfamily G member 2 in vitro and in vivo. Oncotarget. 2014 Dec 15;5(23):11971-85.

[5]. Yoshioka T, et al. Antitumor activity of pan-HER inhibitors in HER2-positive gastric cancer. Cancer Sci. 2018 Apr;109(4):1166-1176.

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