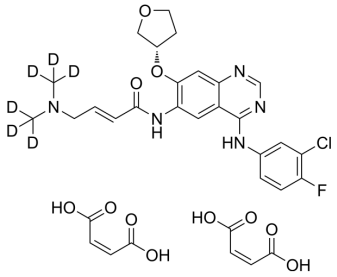
Afatinib-d_6 dimaleate

Cat. No.:	HY-10261AS
Molecular Formula:	$C_{32}H_{27}D_6ClFN_5O_{11}$
Molecular Weight:	724.12
Target:	EGFR; Autophagy; Isotope-Labeled Compounds
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Afatinib-d_6 (dimaleate) is the deuterium labeled Afatinib dimaleate. Afatinib dimaleate 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[1][2].
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]. 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

[3]. 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.

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