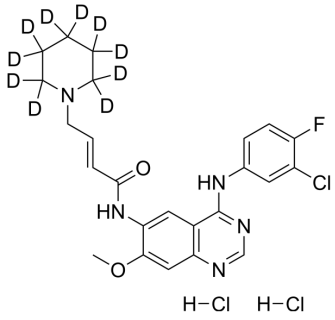
Dacomitinib-d_{10} dihydrochloride

Cat. No.:	HY-13272S2
Molecular Formula:	$C_{24}H_{17}D_{10}Cl_3FN_5O_2$
Molecular Weight:	552.92
Target:	EGFR; Apoptosis; Isotope-Labeled Compounds
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Apoptosis; Others
Storage:	-20°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



BIOLOGICAL ACTIVITY

Description	Dacomitinib-d_{10} (dihydrochloride) is the deuterium labeled Dacomitinib dihydrochloride. Dacomitinib (PF-00299804) dihydrochloride is a specific and irreversible inhibitor of the ERBB family of kinases with IC50s of 6 nM, 45.7 nM and 73.7 nM for EGFR, ERBB2, and ERBB4, respectively[1].
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]. Engelman JA, et al. PF00299804, an irreversible pan-ERBB inhibitor, is effective in lung cancer models with EGFR and ERBB2 mutations that are resistant to ZD1839. Cancer Res. 2007 Dec 15;67(24):11924-32.

[3]. Kalous O, et al. Dacomitinib (PF-00299804), an irreversible Pan-HER inhibitor, inhibits proliferation of HER2-amplified breast cancer cell lines resistant to Anti-Human HER2 and GW572016. Mol Cancer Ther. 2012 Sep;11(9):1978-87.

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