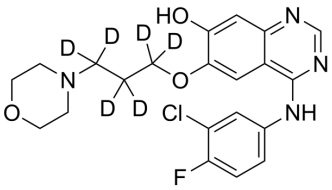
O-Desmethyl gefitinib-d_6

Cat. No.:	HY-100064S1
Molecular Formula:	$C_{21}H_{16}D_6ClFN_4O_3$
Molecular Weight:	438.91
Target:	EGFR; Isotope-Labeled Compounds
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	O-Desmethyl gefitinib-d_6 is the deuterium labeled O-Desmethyl gefitinib. O-Desmethyl gefitinib is an active metabolite of Gefitinib in human plasma. The formation of O-desmethyl gefitinib is dependent on CYP2D6 activity. O-desmethyl gefitinib inhibits EGFR with an IC50 of 36 nM in subcellular assays[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]. Kobayashi H, et al. Effects of polymorphisms in CYP2D6 and ABC transporters and side effects induced by gefitinib on the pharmacokinetics of the gefitinib metabolite, O-desmethyl gefitinib. Med Oncol. 2016 Jun;33(6):57.

[3]. McKillop D, et al. Minimal contribution of desmethyl-gefitinib, the major human plasma metabolite of gefitinib, to epidermal growth factor receptor (EGFR)-mediated tumour growth inhibition. Xenobiotica. 2006 Jan;36(1):29-39.

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