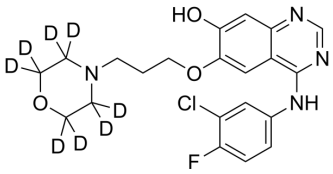
O-Desmethyl gefitinib-d_8

Cat. No.:	HY-100064S		
Molecular Formula:	$C_{21}H_{14}D_8ClFN_4O_3$		
Molecular Weight:	440.93		
Target:	EGFR		
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description	O-Desmethyl gefitinib-d_8 is a 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].
IC_{50} & Target	IC50: 36 nM (EGFR)[2]

REFERENCES

[1]. 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.

[2]. 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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