O-Desmethyl gefitinib-d_8

Cat. No.: HY-100064S

Molecular Formula: $C_{21}H_{14}D_8ClFN_4O_3$

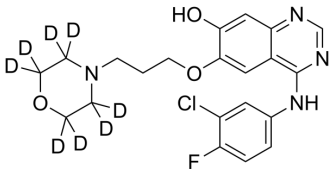
Molecular Weight: 440.93

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (226.79 mM; Need ultrasonic)

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	2.2679 mL	11.3397 mL	22.6793 mL
5 mM	0.4536 mL	2.2679 mL	4.5359 mL
10 mM	0.2268 mL	1.1340 mL	2.2679 mL

Please refer to the solubility information to select the appropriate solvent.

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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