O-Desmethyl gefitinib

Cat. No.: HY-100064

CAS No.: 847949-49-9

Molecular Formula: $C_{21}H_{22}ClFN_4O_3$

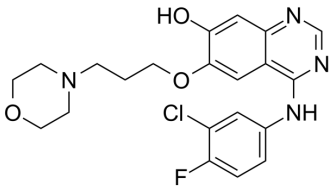
Molecular Weight: 432.88

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 (231.01 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3101 mL	11.5505 mL	23.1011 mL
5 mM	0.4620 mL	2.3101 mL	4.6202 mL
10 mM	0.2310 mL	1.1551 mL	2.3101 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.78 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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 IC_{50} 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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