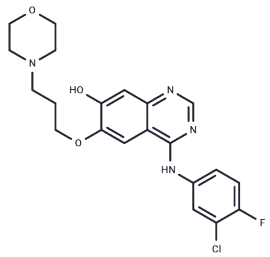
Data Sheet (Cat.No.T16369)

TargetMol

O-Desmethyl gefitinib

Chemical Properties



CAS No. : 847949-49-9

Formula: C21H22ClFN4O3

Molecular Weight: 432.88

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	O-Desmethyl gefitinib inhibits EGFR (IC50: 36 nM in subcellular assays). In human plasma, O-Desmethyl gefitinib is an active metabolite of Gefitinib. The formation of O-desmethyl gefitinib is dependent on the CYP2D6 activity.
Targets(IC50)	EGFR

Solubility Information

Solubility	DMSO: 27.5 mg/mL (63.53 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.62 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3101 mL	11.5505 mL	23.1011 mL
5 mM	0.462 mL	2.3101 mL	4.6202 mL
10 mM	0.231 mL	1.1551 mL	2.3101 mL
50 mM	0.0462 mL	0.231 mL	0.462 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
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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