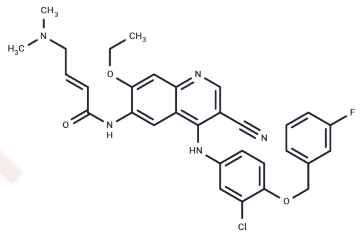
Data Sheet (Cat.No.T11569)

TargetMol

HKI-357

Chemical Properties



CAS No. : 848133-17-5

Formula: C31H29ClFN5O3

Molecular Weight: 574.05

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	HKI-357 is an irreversible dual inhibitor of EGFR and ERBB2 (IC50s: 34 nM and 33 nM), effectively suppressing EGFR autophosphorylation (at Y1068), as well as AKT and MAPK phosphorylation.
Targets(IC50)	EGFR
In vitro	HKI-357 also is effective in suppressing EGFR autophosphorylation (measured at residue Y1068), and AKT and MAPK phosphorylation in parental NCI-H1650 cells harboring the delE746-A750 EGFR mutation.HKI-357 (0.01-10 μM) is effective in suppressing ligand-induced EGFR autophosphorylation and its downstream signaling, as determined by AKT and MAPK phosphorylation in NCI-H1975 cells.

Solubility Information

Solubility	DMSO: 30 mg/mL (52.26 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 (3.48 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	1.742 mL	8.710 mL	17.4201 mL
5 mM	0.3484 mL	1.742 mL	3.484 mL
10 mM	0.1742 mL	0.871 mL	1.742 mL
50 mM	0.0348 mL	0.1742 mL	0.3484 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

Kwak EL, et al. Irreversible inhibitors of the EGF receptor may circumvent acquired resistance to gefitinib. Proc Natl Acad Sci U S A. 2005 May 24;102(21):7665-70.