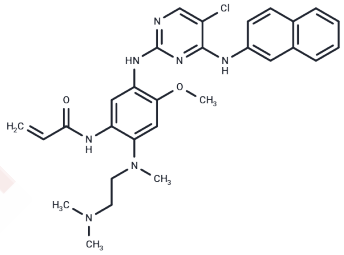
Data Sheet (Cat.No.T35897)

TargetMol

Limertinib

Chemical Properties



CAS No. : 1934259-00-3

Formula: C29H32ClN7O2

Molecular Weight: 546.06

Storage: Store at low temperature,Keep away from moisture,
Keep away from direct sunlight
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	Limertinib (ASK120067) is an orally active and highly efficient epidermal growth factor receptor (EGFR) tyrosine kinase inhibitor targeting EGFR T790M. Limertinib can be used for studying non-small cell lung cancer.
Targets(IC50)	EGFR
In vitro	In an in vitro kinase assay, Limertinib exhibited potent inhibition of EGFR L858R/T790M and EGFR T790M resistance mutants with IC50 values of 0.3 nM and 0.5 nM, respectively, as well as a sensitive mutant with deletion of EGFR exon 19 (IC50 = 0.5 nM). In comparison, Limertinib has an IC50 of 6 nM against wild-type EGFR (EGFRWT). [1] Limertinib selectively inhibited the proliferation of EGFR-mutant cell lines and exhibited significant antiproliferative activity in non-small cell lung cancer (NSCLC) cells harboring mutant EGFR, with IC50 values of 12 nM, 6 nM, and 2 nM for NCI-H1975 (T790M mutation), PC-9, and HCC827 cells (sensitive mutation), respectively.[1] In the concentration range of 0.1-100 nM, Limertinib inhibits phosphorylation of EGFR at tyrosine residue 1068 and inhibits phosphorylation of its downstream signaling proteins, AKT and ERK, in NCI-H1975 cells (EGFR L858R/T790M), even at low concentrations of 0.1-1 nM. In addition, it reduced the expression levels of p-EGFR, p-Akt, and p-ERK in EGFR WT A431 cells when Limertinib concentration reached 10-100 nM.
In vivo	By oral administration (5-20 mg/kg once daily for 21 days), Limertinib significantly slowed down tumor growth with a tumor growth inhibition (TGI) of 85.7%. When administered at a dose of 10 mg/kg, Limertinib significantly reduced tumor growth with a TGI rate of 99.3%, showing similar efficacy to Osimertinib. [1]

Solubility Information

Solubility	DMSO: 40 mg/mL (73.25 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 (3.66 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*

In vivo Formulation	*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	1.8313 mL	9.1565 mL	18.313 mL
5 mM	0.3663 mL	1.8313 mL	3.6626 mL
10 mM	0.1831 mL	0.9157 mL	1.8313 mL
50 mM	0.0366 mL	0.1831 mL	0.3663 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

Zhang T, et al. Discovery of a novel third-generation EGFR inhibitor and identification of a potential combination strategy to overcome resistance. Mol Cancer. 2020 May 13;19(1):90.