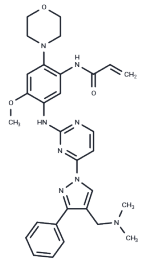
Data Sheet (Cat.No.T4485)

TargetMol

Lazertinib

Chemical Properties



CAS No. : 1903008-80-9

Formula: C30H34N8O3

Molecular Weight: 554.64

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	Lazertinib (GNS-1480) is an effective, highly mutant-selective and irreversible EGFR-TKI with IC50 values of 1.7 nM, 2 nM, 5 nM, 20.6 nM and 76 nM for Del19/T790M, L858R/T790M, Del19, L85R and Wild type EGFR respectively.
Targets(IC50)	EGFR
In vitro	In NSCLC cell lines and primary cancer cells from patients harbouring EGFR mutations, YH25448 more potently inhibits cancer cell growth and significantly increases tumour cell apoptosis compared to osimertinib[1]. In the cell proliferation assays, GI50 values of Lazertinib are 6 nM, 5 nM, and 711 nM for H1975 cells (L858R/T790M), PC9 cells (del19) and H2073 cells (wt), respectively.
In vivo	In an in vivo mouse model implanted with H1975 cells, once-daily Lazertinib treatment results in dramatic dose-dependent tumour regression in both subcutaneous and intracranial lesions with no abnormal signs such as skin keratosis. The plasma half-life of Lazertinib is 5.9-6.8 hr, while a tumour to plasma AUC0-last ratio is 3.0-5.1 in tumour-bearing mice. Lazertinib shows excellent penetration of the blood-brain barrier, achieving CSF concentrations exceeding the IC50 value for pEGFR inhibition. Lazertinib shows superior efficacy for tumour regression in an EGFR mutant brain metastasis model[1].
Cell Research	Concentrations: 5, 10, 100 nM. Ba/F3 cells overexpressing the indicated EGFR mutant are treated with YH25448 or osimertinib for 6 hours at the indicated concentrations. pEGFR levels are detected by Western blot analysis.

Solubility Information

Solubility	DMSO: 6 mg/mL (10.82 mM),Sonication is recommended. Ethanol: Insoluble, H2O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.803 mL	9.0149 mL	18.0297 mL
5 mM	0.3606 mL	1.803 mL	3.6059 mL
10 mM	0.1803 mL	0.9015 mL	1.803 mL
50 mM	0.0361 mL	0.1803 mL	0.3606 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

Byoung Chul Cho, et al. YH25448, a 3rd Generation EGFR-TKI, in Patients with EGFR-TKI-resistant NSCLC: Phase I/II Study Results.