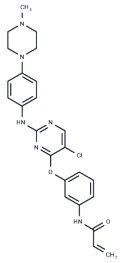
Data Sheet (Cat.No.T6733)

TargetMol

WZ-3146

Chemical Properties



CAS No. : 1214265-56-1

Formula: C24H25ClN6O2

Molecular Weight: 464.95

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	WZ3146 is a mutant-selective irreversible inhibitor of EGFR(L858R) and EGFR(E746_A750) with IC50 of 2 nM and 2 nM; does not inhibit ERBB2 phosphorylation (T798I).
Targets(IC50)	EGFR
In vitro	WZ3146 significantly suppresses the growth of EGFR mutation containing cell lines with IC50 of 3 nM in EGFR Del E746_A750 containing HCC827 cells, 15 nM in EGFR Del E746_A750 containing PC9 cells, 29 nM in EGFR L858R/T790M containing H1975 cells and 3 nM in EGFR Del E746_A750/T790M containing PC9 GR cells. [1]
Kinase Assay	In-vitro inhibitory enzyme kinetic assays: The assays are carried out in triplicate using the ATP/NADH coupled assay system in a 96-well format. The final reaction mixture contains 0.5 mg/mL Bovine Serum Albumin (BSA), 2 mM MnCl2, 1 mM phospho(enol) pyruvic acid (PEP), 1 mM TCEP, 0.1 M Hepes 7.4, 2.5 mM poly-[Glu4Tyr1] peptide, 1/50 of the final reaction mixture volume of pyruvate kinase/lactic dehydrogenase enzymes from rabbit muscle, 0.5 mM NADH, 0.5 μM EGFR kinase, 100 μM ATP and varied amount of WZ3146. WZ3146 and ATP are mixed and made separate stock from the mixture with all other ingredients and added last to the latter to start the reaction. Steady state initial velocity data are drawn from the slopes of the A340 curves.
Cell Research	Growth and inhibition of growth is assessed by MTS assay. NSCLC or Ba/F3 cells are exposed to treatment for 72 hours and the number of cells used per experiment is determined empirically. All experimental points are set up in six to twelve wells and all experiments are repeated at least three times. The data is graphically displayed using GraphPad Prism version 5.0 for Windows. The curves are fitted using a non-linear regression model with a sigmoidal dose response.(Only for Reference)

Solubility Information

Solubility	DMSO: 86 mg/mL (184.97 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.1 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*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	2.1508 mL	10.7538 mL	21.5077 mL
5 mM	0.4302 mL	2.1508 mL	4.3015 mL
10 mM	0.2151 mL	1.0754 mL	2.1508 mL
50 mM	0.043 mL	0.2151 mL	0.4302 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

Zhou W, et al. Nature, 2009, 462(7276), 1070-1074.