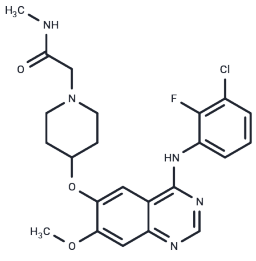
Data Sheet (Cat.No.T6092)

TargetMol

Sapitinib

Chemical Properties



CAS No. : 848942-61-0

Formula: C23H25ClFN5O3

Molecular Weight: 473.93

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	Sapitinib (AZD-8931) , a reversible, ATP competitive inhibitor of EGFR(IC50=4 nM), ErbB2 (IC50=3 nM) and ErbB3(IC50=4 nM), is more effective over Gefitinib or Lapatinib against NSCLC cell, 100-fold more specific for the ErbB family over MNK1 and Flt.
Targets(IC50)	EGFR
In vitro	Sapitinib shows different potency to NSCLC and SCCHN cell lines. Sapitinib has high sensitivity to PC-9 cells (EGFR activating mutation) with GI50 of 0.1 nM and low activity to NCI-1437 cells with GI50 above 10 μM. Sapitinib exhibits more potency against phospho-EGFR, phospho-erbB2 and phospho-erbB3 than either lapatinib or gefitinib in PE/CA-PJ41, PE/CA-PJ49, DOK and FaDu cells. [1]
In vivo	Sapitinib reveals antitumor activity in BT474c, Calu-3, LoVo, FaDu and PC-9 xenografts. Sapitinib could reduce p-Akt, Ki67 expression and p-ERK in BT474c xenografts following acute treatment. Sapitinib also causes induction of the M30 apoptosis marker. Furthermore, Sapitinib shows greater proapoptotic effect compared with gefitinib and lapatinib in LoVo xenografts. [1]
Kinase Assay	Isolated kinase assays: The intracellular kinase domains of human EGFR and erbB2 are cloned and expressed in the baculovirus/Sf21 system. The inhibitory activity of AZD8931 is determined with ATP at Km concentrations (0.4 mM for erbB2 and 2 mM for EGFR) using the ELISA method.
Cell Research	To determine the antiproliferative activity against cell lines grown in vitro, AZD8931 is tested in a panel of NSCLC and SCCHN cell lines. Cells are incubated for 96 hours with AZD8931 (0.001-10 μM). Viable cell number is determined by 4 hours of incubation with MTS Colorimetric Assay reagent and absorbance measured at 490 nm on a spectrophotometer. (Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 40 mg/mL (84.4 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 (4.22 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	2.110 mL	10.5501 mL	21.1002 mL
5 mM	0.422 mL	2.110 mL	4.220 mL
10 mM	0.211 mL	1.055 mL	2.110 mL
50 mM	0.0422 mL	0.211 mL	0.422 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

Hickinson DM, et al. Clin Cancer Res, 2010, 16(4), 1159-1169.
Machine learning-enabled virtual screening indicates the anti-tuberculosis activity of aldoxorubicin and quarfloxin with verification by molecular docking, molecular dynamics simulations, and biological evaluations