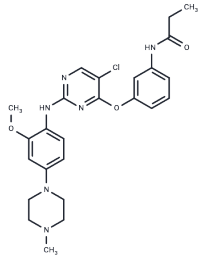
Data Sheet (Cat.No.T6291)

TargetMol

WZ4003

Chemical Properties



CAS No. : 1214265-58-3

Formula: C25H29ClN6O3

Molecular Weight: 496.99

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	WZ4003, a highly selective NUAK kinase inhibitor, is with IC50 of 20 nM and 100 nM for NUAK1 and NUAK2, respectively. It is no significant inhibition on 139 other kinases.
Targets(IC50)	AMPK
In vitro	In HEK-293 cells expressing wild-type NUAK1, WZ4003 (3-10 μM) markedly suppresses NUAK1-mediated MYPT1 phosphorylation. Moreover, WZ4003 (10 μM) inhibits MYPT1 Ser445 phosphorylation as well as cell migration, invasion and proliferation to a similar extent as knock out in MEFs or knock down in U2OS cells of NUAK1. [1] WZ4003 also exhibits a high, specific affinity to the L858R/T790M mutant EGFR, while a significantly reduced cellular IC50 against T790M containing Ba/F3 cells. [2]
Kinase Assay	IC50 determination: Active GST-NUAK1, GST-NUAK1[A195T] and GST-NUAK2 enzymes are purified using glutathione-Sepharose from HEK-293 cell lysates 36-48 h following the transient transfection of pEBG2T mammalian constructs expressing N-terminal GSTtagged NUAK1, NUAK1[A195T] or NUAK2. For peptide kinase assays, 96-well plates are used, and each reaction is performed in triplicate. Each reaction is set up in a total volume of 50 μL containing 100 ng of NUAK1 (wild-type or A195T mutant) or NUAK2 in 50 mM Tris/HCl (pH 7.5), 0.1 mM EGTA, 10 mM magnesium acetate, 200 μM Sakamototide, 0.1 mM [γ -32P]ATP (450-500 c.p.m./pmol) and the indicated concentrations of inhibitors dissolved in DMSO. After incubation for 30 min at 30°C, reactions are terminated by adding 25 mM (final) EDTA to chelate the magnesium. Then, 40 μL of the reaction mix is spotted on to P81 paper and immersed in 50 mM orthophosphoric acid. Samples are washed three times in 50 mM orthophosphoric acid followed by a single acetone rinse and air drying. The incorporation of [γ -32P]ATP into Sakamototide is quantified by Cerenkov counting. The values are expressed as a percentage of the DMSO control. IC50 curves are developed and IC50 values are calculated using GraphPad Prism software.
Cell Research	Cell proliferation assays are carried out colorimetrically in 96-well plates using the CellTiter 96 AQueous Non-Radioactive Cell Proliferation Assay kit following the manufacturer's protocol. Initially, 2000 cells per well are seeded for U2OS cells and 3000 cells per well are seeded for MEFs. The proliferation assays are carried out over 5 days in the presence or absence of 10 μM WZ4003.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 8 mg/mL (16.1 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0121 mL	10.0606 mL	20.1211 mL
5 mM	0.4024 mL	2.0121 mL	4.0242 mL
10 mM	0.2012 mL	1.0061 mL	2.0121 mL
50 mM	0.0402 mL	0.2012 mL	0.4024 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.

Reference

Banerjee S, et al. Biochem J. 2014, 457(1), 215-225.
Zhou W, et al. Nature. 2009, 462(7276), 1070-1074.

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