Data Sheet (Cat.No.T3062)

TargetMol

WIKI4

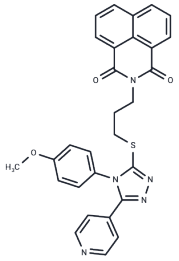
Chemical Properties

CAS No. : 838818-26-1

Formula: C29H23N5O3S

Molecular Weight: 521.59

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	WIKI4 is a potent inhibitor of Wnt/β-catenin signaling and tankyrase 2 (TNKS2).
Targets(IC50)	PARP,Wnt/beta-catenin
In vitro	WIKI4 inhibitsWnt/?-catenin signaling in all tested cell lines, including A375, DLD1 colorectal cancer, NALM6 B, U2OS osteosarcoma and hESCs. WIKI4 increases the steady-state abundance of theWnt/?-catenin inhibitory protein, AXIN1 by inhibiting tankyrase activity, and thus preventing the ubiquitylation and degradation of AXIN proteins.[1]
Kinase Assay	Recombinant human wild-type and mutant ALK kinase domain proteins (amino acids 1093–1411) are produced in-house using baculoviral expression, preactivated via autophosphorylation with MgATP, and assayed for kinase activity using a microfluidic mobility shift assay. The reactions contained 1.3 nM wild-type ALK or 0.5 nM mutant ALK (appropriate to produce 15-20% phosphorylation of peptide substrate after 1 h of reaction), 3 μM 5-FAM-KKSRGDYMTMQIG-CONH2), 5 mM MgCl2, and the Km level of ATP in 25 mM Hepes, pH 7.1. The inhibitors are shown to be ATP-competitive from kinetic and crystallographic studies. The Ki values are calculated by fitting the conversion (%) to a competitive inhibition equation. ROS1 enzyme is assayed as described above for ALK, except using 0.25 nM recombinant human ROS1 catalytic domain (amino acids 1883-2347). Kinase inhibitor selectivity is evaluated using a 206-kinase panel.

Solubility Information

Solubility	DMSO: 7.14 mg/mL (13.69 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)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9172 mL	9.5861 mL	19.1721 mL
5 mM	0.3834 mL	1.9172 mL	3.8344 mL
10 mM	0.1917 mL	0.9586 mL	1.9172 mL
50 mM	0.0383 mL	0.1917 mL	0.3834 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

James RG, et al. PLoS One. 2012, 7(12), e50457.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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