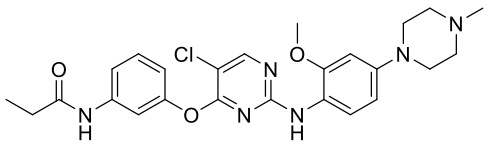
Product data sheet

MedKoo Cat#: 406831 Name: WZ4003 CAS#: 1214265-58-3 Chemical Formula: $C_{25}H_{29}ClN_6O_3$ Exact Mass: 496.199 Molecular Weight: 496.996	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

WZ4003 is a selective inhibitors of the LKB1-tumour-suppressor-activated NUAK kinases. WZ4003 inhibits both NUAK isoforms (IC50 for NUAK1 is 20 nM and for NUAK2 is 100 nM). WZ4003 displays extreme selectivity and do not significantly inhibit the activity of 139 other kinases that were tested including ten AMPK family members. In all cell lines tested, WZ4003 inhibits the phosphorylation of the only well-characterized substrate, MYPT1 (myosin phosphate-targeting subunit 1) that is phosphorylated by NUAK1 at Ser(445).

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	16.07	32.33
DMF	14.0	28.17
DMF:PBS (pH 7.2) (1:1)	0.5	1.01

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.01 mL	10.06 mL	20.12 mL
5 mM	0.40 mL	2.01 mL	4.02 mL
10 mM	0.20 mL	1.01 mL	2.01 mL
50 mM	0.04 mL	0.20 mL	0.40 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Banerjee S, Buhrlage SJ, Huang HT, Deng X, Zhou W, Wang J, Traynor R, Prescott AR, Alessi DR, Gray NS. Characterization of WZ4003 and HTH-01-015 as selective inhibitors of the LKB1-tumour-suppressor-activated NUAK kinases. Biochem J. 2014 Jan 1;457(1):215-25. doi: 10.1042/BJ20131152. PMID: 24171924; PMCID: PMC3969223.

In vivo study

N/A

7. Bioactivity

Biological target:

WZ4003 is a NUAK kinase inhibitor with IC50 of 20 nM/100 nM for NUAK1 (ARK5)/NUAK2.

Product data sheet

In vitro activity

The structure of WZ4003 is shown in Figure 1(A). It inhibits NUAK1 with an IC50 of 20 nM (Figure 1B) and NUAK2 with an IC50 of 100 nM (Figure 1B). To evaluate the specificity of WZ4003 this study studied the effect that this compound has on the activity of 140 protein kinases, including ten AMPK-related kinase family members most closely related to NUAK1 (Figure 1C and Supplementary Table S1). WZ4003 was remarkably specific and, apart from NUAK1 and NUAK2, did not significantly inhibit ten other AMPK-related kinases or other kinases tested, including LKB1 at a concentration of 1 μM (10-fold higher than the IC50 of inhibition of NUAK1).

Reference: Biochem J. 2014 Jan 1; 457(Pt 1): 215–225. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC3969223/

In vivo activity

N/A

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.