Product data sheet



MedKoo Cat#: 561311		
Name: NVS-PAK1-1		~
CAS: 1783816-74-9		HN ⊷ ⟨]. H
Chemical Formula: C ₂₃ H ₂₅ ClF ₃ N ₅ O		$N = \langle N \rangle N$
Exact Mass: 479.17		F_ /< / / CI
Molecular Weight: 479.9322		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%]
Shipping conditions	Ambient temperature	<u> </u>
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.	
	In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

NVS-PAK1-1 is a specific allosteric PAK1 inhibitor.

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
DMF	50.0	104.18
DMF:PBS (pH 7.2)	0.5	1.04
(1:1)		
DMSO	79.75	166.16
Ethanol	58.0	120.85

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.08 mL	10.42 mL	20.84 mL
5 mM	0.42 mL	2.08 mL	4.17 mL
10 mM	0.21 mL	1.04 mL	2.08 mL
50 mM	0.04 mL	0.21 mL	0.42 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

Hawley E, Gehlhausen J, Karchugina S, Chow HY, Araiza-Olivera D, Radu M, Smith A, Burks C, Jiang L, Li X, Bessler W, Masters A, Edwards D, Burgin C, Jones D, Yates C, Clapp DW, Chernoff J, Park SJ. PAK1 inhibition reduces tumor size and extends the lifespan of mice in a genetically engineered mouse model of Neurofibromatosis Type 2 (NF2). Hum Mol Genet. 2021 Aug 12;30(17):1607-1617. doi: 10.1093/hmg/ddab106. PMID: 34075397; PMCID: PMC8369838.

In vivo study

Hawley E, Gehlhausen J, Karchugina S, Chow HY, Araiza-Olivera D, Radu M, Smith A, Burks C, Jiang L, Li X, Bessler W, Masters A, Edwards D, Burgin C, Jones D, Yates C, Clapp DW, Chernoff J, Park SJ. PAK1 inhibition reduces tumor size and extends the lifespan of mice in a genetically engineered mouse model of Neurofibromatosis Type 2 (NF2). Hum Mol Genet. 2021 Aug 12;30(17):1607-1617. doi: 10.1093/hmg/ddab106. PMID: 34075397; PMCID: PMC8369838.

7. Bioactivity

Biological target:

NVS-PAK1-1 is a potent and selective allosteric PAK1 inhibitor with an IC₅₀ of 5 nM.

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In vitro activity

NVS-PAK1-1 is almost $100\times$ more selective for PAK1 than PAK2 and demonstrated excellent PAK1 inhibition in vitro. NVS-PAK1-1 potently inhibited PAK1 autophosphorylation in MS02 cells (Fig. 4A) and reduced the proliferation of MS02 and HEI-193 cells with an IC50 of 4.7 and 6.2 μ M, respectively (Fig. 4B and C).

Reference: Hum Mol Genet. 2021 Aug 12;30(17):1607-1617. https://pubmed.ncbi.nlm.nih.gov/34075397/

In vivo activity

After 3 months of treatment, mice which received NVS-PAK1-1 did not exhibit a significant reduction in sensorineural hearing loss (Fig. 4G) but did have a modest, but statistically significant, reduction in average DRG volume compared with mice treated with 1-ABT alone or the vehicle control (Fig. 4H).

Reference: Hum Mol Genet. 2021 Aug 12;30(17):1607-1617. https://pubmed.ncbi.nlm.nih.gov/34075397/

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.