

Product data sheet



MedKoo Cat#: 407431 Name: MDVN1003 CAS: 2058116-52-0 Chemical Formula: C ₂₂ H ₂₀ FN ₇ O Exact Mass: 417.1713 Molecular Weight: 417.4484	
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:

MDVN1003 is a potent inhibitor of BTK and PI3K delta. MDVN1003 inhibits Bruton's tyrosine kinase (BTK) and phosphatidylinositol-3-kinase delta (PI3Kδ), two proteins regulated by the B cell receptor (BCR) that drive the growth of many NHLs. MDVN1003 induces cell death in a B cell lymphoma cell line but not in an irrelevant erythroblast cell line.

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
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.40 mL	11.98 mL	23.96 mL
5 mM	0.48 mL	2.40 mL	4.79 mL
10 mM	0.24 mL	1.20 mL	2.40 mL
50 mM	0.05 mL	0.24 mL	0.48 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

Alfaro J, Pérez de Arce F, Belmar S, Fuentealba G, Avila P, Ureta G, Flores C, Acuña C, Delgado L, Gaete D, Pujala B, Barde A, Nayak AK, Upendra TVR, Patel D, Chauhan S, Sharma VK, Kanno S, Almirez RG, Hung DT, Chakravarty S, Rai R, Bernales S, Quinn KP, Pham SM, McCullagh E. Dual Inhibition of Bruton's Tyrosine Kinase and Phosphoinositide-3-Kinase p110δ as a Therapeutic Approach to Treat Non-Hodgkin's B Cell Malignancies. *J Pharmacol Exp Ther.* 2017 May;361(2):312-321. doi: 10.1124/jpet.116.238022. Epub 2017 Mar 15. PMID: 28298527.

In vivo study

Alfaro J, Pérez de Arce F, Belmar S, Fuentealba G, Avila P, Ureta G, Flores C, Acuña C, Delgado L, Gaete D, Pujala B, Barde A, Nayak AK, Upendra TVR, Patel D, Chauhan S, Sharma VK, Kanno S, Almirez RG, Hung DT, Chakravarty S, Rai R, Bernales S, Quinn KP, Pham SM, McCullagh E. Dual Inhibition of Bruton's Tyrosine Kinase and Phosphoinositide-3-Kinase p110δ as a Therapeutic Approach to Treat Non-Hodgkin's B Cell Malignancies. *J Pharmacol Exp Ther.* 2017 May;361(2):312-321. doi: 10.1124/jpet.116.238022. Epub 2017 Mar 15. PMID: 28298527.

7. Bioactivity

Biological target:

MDVN1003 is a potent inhibitor of BTK and PI3K delta.

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In vitro activity

This study discovered a single molecule, MDVN1003 (1-(5-amino-2,3-dihydro-1H-inden-2-yl)-3-(8-fluoro-3,4-dihydro-2H-benzo[b][1,4]oxazin-6-yl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine), that inhibits Bruton's tyrosine kinase and phosphatidylinositol-3-kinase δ , two proteins regulated by the B cell receptor that drive the growth of many NHLs. This dual inhibitor prevents the activation of B cells and inhibits the phosphorylation of protein kinase B and extracellular signal-regulated kinase 1/2, two downstream mediators that are important for this process.

Reference: J Pharmacol Exp Ther. 2017 May;361(2):312-321. <https://pubmed.ncbi.nlm.nih.gov/28298527/>

In vivo activity

This orally bioavailable dual inhibitor (MDVN1003) reduced tumor growth in a B cell lymphoma mouse xenograft model more effectively than either ibrutinib or idelalisib. Taken together, these results suggest that dual inhibition of these two key pathways by a single molecule could be a viable approach for treatment of NHL patients.

Reference: J Pharmacol Exp Ther. 2017 May;361(2):312-321. <https://pubmed.ncbi.nlm.nih.gov/28298527/>

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.