

Product data sheet



MedKoo Cat#: 407372 Name: XMD16-5 CAS: 1345098-78-3 Chemical Formula: C ₂₃ H ₂₄ N ₆ O Exact Mass: 416.1961 Molecular Weight: 416.485		
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:

XMD16-5 is a tyrosine kinase nonreceptor 2 (TNK2) inhibitor. TNK2 mutations have been found in renal cancer cells and also in lung, ovarian and gastric cancers. TNK2 genomic amplification has been associated with late stage or metastatic lung and prostate cancers. Overexpression of TNK2 promoted metastasis in a mouse model of breast cancer. TNK2 signaling is disrupted in prostate, breast and gastrointestinal tumors.

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	33.0	79.23
DMSO	72.0	172.88
DMSO:PBS (pH 7.2) (1:7)	0.125	0.30
Ethanol	5.0	12.01

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.40 mL	12.01 mL	24.01 mL
5 mM	0.48 mL	2.40 mL	4.80 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

1. Maxson JE, Abel ML, Wang J, Deng X, Reckel S, Luty SB, Sun H, Gorenstein J, Hughes SB, Bottomly D, Wilmot B, McWeeney SK, Radich J, Hantschel O, Middleton RE, Gray NS, Druker BJ, Tyner JW. Identification and Characterization of Tyrosine Kinase Nonreceptor 2 Mutations in Leukemia through Integration of Kinase Inhibitor Screening and Genomic Analysis. Cancer Res. 2016 Jan 1;76(1):127-38. doi: 10.1158/0008-5472.CAN-15-0817. Epub 2015 Dec 17. PMID: 26677978; PMCID: PMC4703549.

In vivo study

TBD

7. Bioactivity

Biological target:

XMD16-5 is a potent TNK2 inhibitor with IC₅₀ values of 16 and 77 nM for the D163E and R806Q mutations, respectively.

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In vitro activity

Deep sequencing of the same patient specimens identified genetic alterations that were then integrated with the functionally important targets using the HitWalker algorithm to prioritize the mutant genes that most likely explain the observed drug sensitivity patterns. These mutations were sensitive to the multikinase inhibitor dasatinib, which antagonizes TNK2 kinase activity, as well as novel TNK2 inhibitors, XMD8-87 and XMD16-5, with greater target specificity.

Reference: Cancer Res. 2016 Jan 1;76(1):127-38. <https://pubmed.ncbi.nlm.nih.gov/26677978/>

In vivo activity

TBD

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.