# **Product data sheet**



MedKoo Cat#: 540228		` ^
Name: JQ-EZ-05		N N
CAS: 1913252-04-6		N N
Chemical Formula: C <sub>30</sub> H <sub>38</sub> N <sub>8</sub> O <sub>2</sub>		
Exact Mass: 542.3118		
Molecular Weight: 542.688		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	O HN´ `O
Shipping conditions	Ambient temperature	HN HN
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.	
	In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

JQ-EZ-05, also known as JQEZ5 is a Potent and selective SAM-competitive EZH2 inhibitor (IC50 = 11 nM). JQ-EZ-05 selectively binds EZH2 over a panel of 22 methyltransferases.

## 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	17.93	33.03

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.84 mL	9.21 mL	18.43 mL
5 mM	0.37 mL	1.84 mL	3.69 mL
10 mM	0.18 mL	0.92 mL	1.84 mL
50 mM	0.04 mL	0.18 mL	0.37 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. Xie H, Peng C, Huang J, Li BE, Kim W, Smith EC, Fujiwara Y, Qi J, Cheloni G, Das PP, Nguyen M, Li S, Bradner JE, Orkin SH. Chronic Myelogenous Leukemia- Initiating Cells Require Polycomb Group Protein EZH2. Cancer Discov. 2016 Nov;6(11):1237-1247. doi: 10.1158/2159-8290.CD-15-1439. Epub 2016 Sep 14. PMID: 27630126; PMCID: PMC5096974.

2. Zhang H, Qi J, Reyes JM, Li L, Rao PK, Li F, Lin CY, Perry JA, Lawlor MA, Federation A, De Raedt T, Li YY, Liu Y, Duarte MA, Zhang Y, Herter-Sprie GS, Kikuchi E, Carretero J, Perou CM, Reibel JB, Paulk J, Bronson RT, Watanabe H, Brainson CF, Kim CF, Hammerman PS, Brown M, Cichowski K, Long H, Bradner JE, Wong KK. Oncogenic Deregulation of EZH2 as an Opportunity for Targeted Therapy in Lung Cancer. Cancer Discov. 2016 Sep;6(9):1006-21. doi: 10.1158/2159-8290.CD-16-0164. Epub 2016 Jun 16. PMID: 27312177; PMCID: PMC5010480.

# In vivo study

1. Zhang H, Qi J, Reyes JM, Li L, Rao PK, Li F, Lin CY, Perry JA, Lawlor MA, Federation A, De Raedt T, Li YY, Liu Y, Duarte MA, Zhang Y, Herter-Sprie GS, Kikuchi E, Carretero J, Perou CM, Reibel JB, Paulk J, Bronson RT, Watanabe H, Brainson CF, Kim CF, Hammerman PS, Brown M, Cichowski K, Long H, Bradner JE, Wong KK. Oncogenic Deregulation of EZH2 as an Opportunity for Targeted Therapy in Lung Cancer. Cancer Discov. 2016 Sep;6(9):1006-21. doi: 10.1158/2159-8290.CD-16-0164. Epub 2016 Jun 16. PMID: 27312177; PMCID: PMC5010480.

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# 7. Bioactivity

Biological target:

JQEZ5 is a potent and selective EZH2 lysine methyltransferase inhibitor. JQEZ5 SAM-competitive inhibition of polycomb repressive complex 2 (PRC2) with an  $IC_{50}$  of 80 nM.

#### In vitro activity

JQEZ5 and UNC1999 inhibited colony formation of primary human CD34<sup>+</sup> CML stem/progenitor cells (Fig. 2D–E and Supplementary Fig. 3E–F).

Reference: Cancer Discov. 2016 Nov;6(11):1237-1247. https://pubmed.ncbi.nlm.nih.gov/27630126/

### In vivo activity

Tumor-bearing mice were then treated with JQEZ5 for three weeks (75 mg/kg IP daily) and the tumor volume of the lungs was comparatively visualized by MRI. Animals treated with JQEZ5 exhibited rapid and pronounced tumor regression over the three week treatment course, as demonstrated by two-dimensional MRI and volumetric measurements (Figure 7C).

Reference: Cancer Discov. 2016 Sep;6(9):1006-21. https://pubmed.ncbi.nlm.nih.gov/27312177/

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.