# Product data sheet

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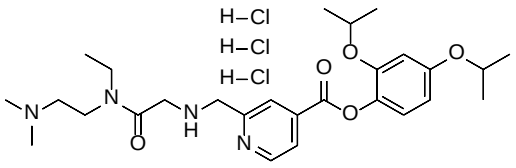
|---|---|
| MedKoo Cat#: 408145<br>Name: JQKD82 HCl<br>CAS#: 2410512-38-6 (free base)<br>Chemical Formula: $C_{27}H_{43}Cl_3N_4O_5$<br>Exact Mass: 500.2999<br>Molecular Weight: 610.01 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
JQKD82, also known as PCK82, is a cell-permeable and selective KDM5 inhibitor (MM.1S cells, IC50 = 0.42 uM). JQKD82 increases histone H3K4me3 but paradoxically inhibits downstream MYC-driven transcriptional output in vitro and in vivo. JQKD82 is a useful tool compound to block KDM5A function as a potential therapeutic strategy for MM. QKD82 is a more stable ester of KDM5-C49 that is able to deliver the active molecule KDM5-C49 to cells more efficiently

**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 | 1.64 mL | 8.20 mL | 16.39 mL |
| 5 mM | 0.33 mL | 1.64 mL | 3.28 mL |
| 10 mM | 0.16 mL | 0.82 mL | 1.64 mL |
| 50 mM | 0.03 mL | 0.16 mL | 0.33 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. Ohguchi H, Park PMC, Wang T, Gryder BE, Ogiya D, Kurata K, Zhang X, Li D, Pei C, Masuda T, Johansson C, Wimalasena VK, Kim Y, Hino S, Usuki S, Kawano Y, Samur MK, Tai YT, Munshi NC, Matsuoka M, Ohtsuki S, Nakao M, Minami T, Lauberth S, Khan J, Oppermann U, Durbin AD, Anderson KC, Hideshima T, Qi J. Lysine Demethylase 5A is Required for MYC Driven Transcription in Multiple Myeloma. Blood Cancer Discov. 2021 Jul;2(4):370-387. doi: 10.1158/2643-3230.BCD-20-0108. Epub 2021 Apr 10. PMID: 34258103; PMCID: PMC8265280.

In vivo study

1. Ohguchi H, Park PMC, Wang T, Gryder BE, Ogiya D, Kurata K, Zhang X, Li D, Pei C, Masuda T, Johansson C, Wimalasena VK, Kim Y, Hino S, Usuki S, Kawano Y, Samur MK, Tai YT, Munshi NC, Matsuoka M, Ohtsuki S, Nakao M, Minami T, Lauberth S, Khan J, Oppermann U, Durbin AD, Anderson KC, Hideshima T, Qi J. Lysine Demethylase 5A is Required for MYC Driven Transcription in Multiple Myeloma. Blood Cancer Discov. 2021 Jul;2(4):370-387. doi: 10.1158/2643-3230.BCD-20-0108. Epub 2021 Apr 10. PMID: 34258103; PMCID: PMC8265280.

**7. Bioactivity**
Biological target:

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JQKD82, also known as PCK82, is a cell-permeable and selective KDM5 inhibitor (MM.1S cells, IC50 = 0.42 uM).

## In vitro activity

Because KDM5 expression is required for multiple myeloma cell growth, this study sought to examine the effects of KDM5 inhibition with JQKD82 on multiple myeloma cells. JQKD82 inhibited the growth of MM.1S cells in a dose- and time-dependent manner (Supplementary Fig. S3A). JQKD82 was 7-fold more potent than KDM5-C70 and more than 20-fold more potent than KDM5-C49 at eliciting growth suppression in MM.1S cells (JQKD82 $IC_{50}$ = 0.42 μmol/L, KDM5-C49 $IC_{50}$ > 10 μmol/L, KDM5-C70 $IC_{50}$ = 3.1 μmol/L; Fig. 3A). Furthermore, JQKD82 treatment resulted in suppressed growth in a panel of multiple myeloma cell lines (Fig. 3B).

Reference: Blood Cancer Discov. 2021 Jul;2(4):370-387. https://pubmed.ncbi.nlm.nih.gov/34258103/

## In vivo activity

Once these cells had systemically engrafted, as confirmed by sequential bioluminescence imaging (BLI), this study randomized mice to receive JQKD82 or vehicle (n = 9 for each group) via intraperitoneal injection (Fig. 3G). Treatment with JQKD82 significantly reduced tumor burden, as detected by sequential BLI (Fig. 3H and I), and improved overall survival when compared with the vehicle-treated control group (Fig. 3J).

Reference: Blood Cancer Discov. 2021 Jul;2(4):370-387. https://pubmed.ncbi.nlm.nih.gov/34258103/