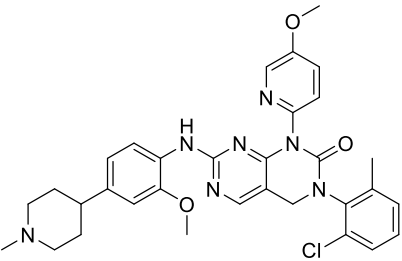


# Product data sheet



MedKoo Cat#: 562115 Name: YKL-05-099 CAS#: 1936529-65-5 Chemical Formula: C <sub>32</sub> H <sub>34</sub> C <sub>1</sub> N <sub>7</sub> O <sub>3</sub> Exact Mass: 599.2412 Molecular Weight: 600.12	
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:

YKL-05-099 is a selective inhibitor of Salt-Inducible Kinase (SIK).

## 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	103.33	172.18

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.67 mL	8.33 mL	16.66 mL
5 mM	0.33 mL	1.67 mL	3.33 mL
10 mM	0.17 mL	0.83 mL	1.67 mL
50 mM	0.03 mL	0.17 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

Tarumoto Y, Lin S, Wang J, Milazzo JP, Xu Y, Lu B, Yang Z, Wei Y, Polyanskaya S, Wunderlich M, Gray NS, Stegmaier K, Vakoc CR. Salt-inducible kinase inhibition suppresses acute myeloid leukemia progression in vivo. *Blood*. 2020 Jan 2;135(1):56-70. doi: 10.1182/blood.2019001576. PMID: 31697837; PMCID: PMC6940199.

### In vivo study

Tarumoto Y, Lin S, Wang J, Milazzo JP, Xu Y, Lu B, Yang Z, Wei Y, Polyanskaya S, Wunderlich M, Gray NS, Stegmaier K, Vakoc CR. Salt-inducible kinase inhibition suppresses acute myeloid leukemia progression in vivo. *Blood*. 2020 Jan 2;135(1):56-70. doi: 10.1182/blood.2019001576. PMID: 31697837; PMCID: PMC6940199.

## 7. Bioactivity

Biological target:

Selective inhibitor of Salt-Inducible Kinase (SIK).

# Product data sheet



## In vitro activity

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Genetic targeting of SIK3 or MEF2C selectively suppressed the growth of transformed hematopoietic cells under in vitro and in vivo conditions. Similar phenotypes were obtained when cells were exposed to YKL-05-099, which caused cell-cycle arrest and apoptosis in MEF2C-expressing AML cell lines. An epigenomic analysis revealed that YKL-05-099 rapidly suppressed MEF2C function by altering the phosphorylation state and nuclear localization of HDAC4. Using a gatekeeper allele of SIK3, we found that the antiproliferative effects of YKL-05-099 occurred through on-target inhibition of SIK3 kinase activity. Based on these findings, 2 different mouse models were treated of MLL-AF9 AML with YKL-05-099, which attenuated disease progression in vivo and extended animal survival at well-tolerated doses. These findings validate SIK3 as a therapeutic target in MEF2C-addicted AML and provide a rationale for developing druglike inhibitors of SIK3 for definitive preclinical investigation and for studies in human patients.

Reference: Tarumoto Y, Lin S, Wang J, Milazzo JP, Xu Y, Lu B, Yang Z, Wei Y, Polyanskaya S, Wunderlich M, Gray NS, Stegmaier K, Vakoc CR. Salt-inducible kinase inhibition suppresses acute myeloid leukemia progression in vivo. *Blood*. 2020 Jan 2;135(1):56-70. doi: 10.1182/blood.2019001576. PMID: 31697837; PMCID: PMC6940199.

## In vivo activity

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*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.*