

Product data sheet



MedKoo Cat#: 205495 Name: Sapanisertib (MLN0128) CAS#: 1224844-38-5 Chemical Formula: C ₁₅ H ₁₅ N ₇ O Exact Mass: 309.1338 Molecular Weight: 309.333		
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:

Sapanisertib, also known as TAK-228, MLN0128 and INK128, is a TORC1/2 inhibitor, is an orally bioavailable inhibitor of raptor-mTOR (TOR complex 1 or TORC1) and rictor-mTOR (TOR complex 2 or TORC2) with potential antineoplastic activity. Sapanisertib binds to and inhibits both TORC1 and TORC2 complexes, which may result in tumor cell apoptosis and a decrease in tumor cell proliferation.

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	42.17	132.33
DMSO:PBS (pH 7.2) (1:1)	0.5	1.62
DMF	1.0	3.23

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.23 mL	16.16 mL	32.33 mL
5 mM	0.65 mL	3.23 mL	6.47 mL
10 mM	0.32 mL	1.62 mL	3.23 mL
50 mM	0.06 mL	0.32 mL	0.65 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

- Xu Z, Lv Y, Kong D, Jiang W. Sapanisertib attenuates pulmonary fibrosis by modulating Wnt5a/mTOR signalling. *Basic Clin Pharmacol Toxicol.* 2023 Sep;133(3):226-236. doi: 10.1111/bcpt.13924. Epub 2023 Jul 20. PMID: 37394756.
- Lewis CS, Elnakat Thomas H, Orr-Asman MA, Green LC, Boody RE, Matiash K, Karve A, Hisada YM, Davis HW, Qi X, Mercer CA, Lucas FV, Aronow BJ, Mackman N, Versteeg HH, Bogdanov VY. mTOR kinase inhibition reduces tissue factor expression and growth of pancreatic neuroendocrine tumors. *J Thromb Haemost.* 2019 Jan;17(1):169-182. doi: 10.1111/jth.14342. Epub 2018 Dec 25. PMID: 30472780; PMCID: PMC6345540.

In vivo study

- Li Y, Xu Y, Liu X, Yan X, Lin Y, Tan Q, Hou Y. mTOR inhibitor INK128 promotes wound healing by regulating MDSCs. *Stem Cell Res Ther.* 2021 Mar 10;12(1):170. doi: 10.1186/s13287-021-02206-y. PMID: 33691762; PMCID: PMC7944919.
- Heng D, Sheng X, Tian C, Li J, Liu L, Gou M, Liu L. Mtor inhibition by INK128 extends functions of the ovary reconstituted from germline stem cells in aging and premature aging mice. *Aging Cell.* 2021 Feb;20(2):e13304. doi: 10.1111/accel.13304. Epub 2021 Jan 14. PMID: 33448083; PMCID: PMC7884035.

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7. Bioactivity

Biological target:

Sapanisertib is an ATP-dependent mTOR1/2 inhibitor with an IC50 of 1 nM for mTOR kinase.

In vitro activity

Sapanisertib alleviates pulmonary fibrosis by targeting Wnt5a/mTOR/HIF-1 α /p70S6K. In TGF- β 1-treated A549 cells, sapanisertib suppresses epithelial-mesenchymal transition, increasing E-cadherin and reducing vimentin expression. In L929 cells exposed to TGF- β 1, sapanisertib inhibits cell proliferation, decreases collagens I and III, smooth muscle actin, and key proteins like hypoxia-inducing factor, mTOR, p70S6K, and Wnt5a.

Reference: Basic Clin Pharmacol Toxicol. 2023 Sep;133(3):226-236. <https://pubmed.ncbi.nlm.nih.gov/37394756/>

In vivo activity

Sapanisertib has potential to be developed as a clinical strategy to promote wound healing of diabetic patients. Sapanisertib could promote wound healing through reducing the myeloid-derived suppressor cells (MDSCs). MDSC function was disordered in diabetic mice and high-glucose environments, while sapanisertib could help retrieve their function.

Reference: Stem Cell Res Ther. 2021; 12: 170. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7944919/>

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.