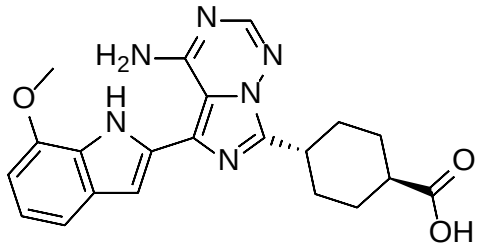
Product data sheet

MedKoo Cat#: 202094 Name: OSI-027 CAS: 936890-98-1 (free acid) Chemical Formula: $C_{21}H_{22}N_6O_3$ Exact Mass: 406.1753 Molecular Weight: 406.446	
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:

OSI-027 is an orally bioavailable mammalian target of rapamycin (mTOR) kinase inhibitor with potential antineoplastic activity. mTOR kinase inhibitor OSI-027 binds to and inhibits both the raptor-mTOR (TOR complex 1 or TORC1) and the rictor-mTOR (TOR complex 2 or TORC2) complexes of mTOR, which may result in tumor cell apoptosis and a decrease in tumor cell proliferation. mTOR is a serine/threonine kinase that is upregulated in some tumors and plays an important role downstream in the PI3K/Akt/mTOR signaling pathway. Check for active clinical trials or closed clinical trials using this agent. (NCI Thesaurus).

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	10.0	24.60
DMSO	51.0	125.48
DMSO:PBS (pH 7.2) (1:1)	0.5	1.23
Ethanol	0.1	0.25

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.46 mL	12.30 mL	24.60 mL
5 mM	0.49 mL	2.46 mL	4.92 mL
10 mM	0.25 mL	1.23 mL	2.46 mL
50 mM	0.05 mL	0.25 mL	0.49 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. Lou J, Lv JX, Zhang YP, Liu ZJ. OSI-027 inhibits the tumorigenesis of colon cancer through mediation of c-Myc/FOXO3a/PUMA axis. Cell Biol Int. 2022 Aug;46(8):1204-1214. doi: 10.1002/cbin.11792. Epub 2022 Jun 8. PMID: 35293663.
2. Altman JK, Sassano A, Kaur S, Glaser H, Kroczynska B, Redig AJ, Russo S, Barr S, Platanias LC. Dual mTORC2/mTORC1 targeting results in potent suppressive effects on acute myeloid leukemia (AML) progenitors. Clin Cancer Res. 2011 Jul 1;17(13):4378-88. doi: 10.1158/1078-0432.CCR-10-2285. Epub 2011 Mar 17. PMID: 21415215; PMCID: PMC3131493.

In vivo study

1. Zhi X, Xue F, Chen W, Liang C, Liu H, Ma T, Xia X, Hu L, Bai X, Liang T. OSI-027 modulates acute graft-versus-host disease after liver transplantation in a rat model. Liver Transpl. 2017 Sep;23(9):1186-1198. doi: 10.1002/lt.24797. PMID: 28590550.

Product data sheet

2. Bhagwat SV, Gokhale PC, Crew AP, Cooke A, Yao Y, Mantis C, Kahler J, Workman J, Bittner M, Dudkin L, Epstein DM, Gibson NW, Wild R, Arnold LD, Houghton PJ, Pachter JA. Preclinical characterization of OSI-027, a potent and selective inhibitor of mTORC1 and mTORC2: distinct from rapamycin. Mol Cancer Ther. 2011 Aug;10(8):1394-406. doi: 10.1158/1535-7163.MCT-10-1099. Epub 2011 Jun 14. PMID: 21673091.

7. Bioactivity

Biological target:

OSI-027 (ASP7486) is a potent, selective, orally active and ATP-competitive mTOR kinase activity inhibitor with an IC_{50} of 4 nM.

In vitro activity

OSI-027 blocks mTORC1 and mTORC2 activities and suppresses mRNA translation of cyclin D1 and other genes that mediate proliferative responses in AML cells. Moreover, OSI-027 acts as a potent suppressor of primitive leukemic precursors from AML patients and is much more effective than rapamycin in eliciting antileukemic effects in vitro.

Reference: Clin Cancer Res. 2011 Jul 1;17(13):4378-88. https://pubmed.ncbi.nlm.nih.gov/21415215/

In vivo activity

Rats treated with OSI-027 survived longer (>100 days) than those in the RAPA (70 ± 8 days) or control (24 ± 3 days) groups. Hematoxylin-eosin staining of skin tissue demonstrated less severe lymphocyte infiltration in the OSI-027 group than that in the RAPA or control groups. Furthermore, injection of OSI-027-induced donor-derived $CD4^+$ $CD25^+$ T cells into the peripheral blood of LT-aGVHD model rats prevented LT-aGVHD. Thus, OSI-027 is implicated as a novel method for the treatment of LT-aGVHD.

Reference: Liver Transpl. 2017 Sep;23(9):1186-1198. https://pubmed.ncbi.nlm.nih.gov/28590550/

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.