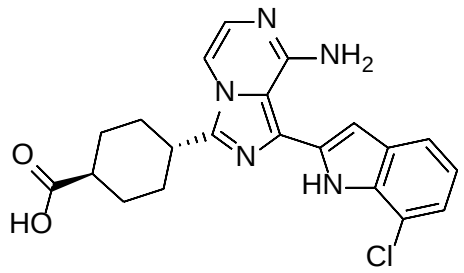
Product data sheet

MedKoo Cat#: 407427 Name: OXA-01 CAS: 936889-68-8 Chemical Formula: $C_{21}H_{20}ClN_5O_2$ Exact Mass: 409.1306 Molecular Weight: 409.874	
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:
OXA-01 is an inhibitor of both mTORC1 and mTORC2 (IC50s = 11 and 29 nM, respectively). OXA-01 targeted both mTORC1 and mTORC2 signaling in vitro and in vivo. OXA-01 reduced VEGF production in tumors in a manner associated with decreased vessel sprouting but little vascular regression.

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	1.0	2.44
DMSO	3.0	7.32
DMSO:PBS (pH 7.2) (1:3)	0.25	0.61

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.44 mL	12.20 mL	24.40 mL
5 mM	0.49 mL	2.44 mL	4.88 mL
10 mM	0.24 mL	1.22 mL	2.44 mL
50 mM	0.05 mL	0.24 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

Falcon BL, Barr S, Gokhale PC, Chou J, Fogarty J, Depeille P, Miglarese M, Epstein DM, McDonald DM. Reduced VEGF production, angiogenesis, and vascular regrowth contribute to the antitumor properties of dual mTORC1/mTORC2 inhibitors. Cancer Res. 2011 Mar 1;71(5):1573-83. doi: 10.1158/0008-5472.CAN-10-3126. PMID: 21363918; PMCID: PMC3077087.

In vivo study

Falcon BL, Barr S, Gokhale PC, Chou J, Fogarty J, Depeille P, Miglarese M, Epstein DM, McDonald DM. Reduced VEGF production, angiogenesis, and vascular regrowth contribute to the antitumor properties of dual mTORC1/mTORC2 inhibitors. Cancer Res. 2011 Mar 1;71(5):1573-83. doi: 10.1158/0008-5472.CAN-10-3126. PMID: 21363918; PMCID: PMC3077087.

7. Bioactivity
Biological target:

OXA-01 is a potent mTORC1 and mTORC2 inhibitor, with IC_{50} values of 29 nM and 7 nM.

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In vitro activity

Effects of OXA-01, OSI-027, and rapamycin on mTORC1 and mTORC2 signaling were compared *in vitro*. OXA-01 or OSI-027, but not rapamycin, dose-dependently attenuated Akt phosphorylation at the mTORC2-specific Ser473 site and the downstream substrate of Akt, PRAS40 (Supplemental Figure 1A). OXA-01 and OSI-027 inhibited 4E-BP1 phosphorylation at Ser37/46, which are typically rapamycin insensitive.

Reference: Cancer Res. 2011 Mar 1;71(5):1573-83. https://pubmed.ncbi.nlm.nih.gov/21363918/

In vivo activity

Consistent with their inhibition of mTORC1 and mTORC2, both OSI-027 (Figure 2C) and OXA-01 (Figure 2D) slowed tumor growth more than did rapamycin. As indicated by reduced tumor growth in mouse xenograft models, OXA-01 treatment decreased cellular proliferation determined by phospho-histone H3 (Figure 3C) and increased apoptosis measured by activated-caspase-3 (Figure 3D).

Reference: Cancer Res. 2011 Mar 1;71(5):1573-83. https://pubmed.ncbi.nlm.nih.gov/21363918/