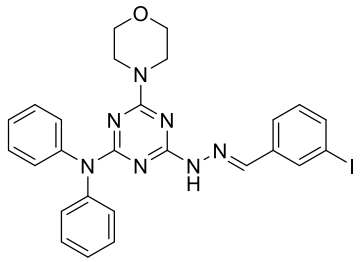
Product data sheet

MedKoo Cat#: 562795 Name: Vacuolin-1 CAS#: 351986-85-1 Chemical Formula: $C_{26}H_{24}IN_7O$ Exact Mass: 577.1087 Molecular Weight: 577.43	
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:
Vacuolin-1 is a cell-permeable inhibitor of Ca2+ dependent fusion of lysosomes to the cell membrane. It acts by inhibiting release of lysosomal content. Vacuolin-1 is also a potent and selective PIKfyve inhibitor, and inhibits autophagy by impairing lysosomal maturation via PIKfyve inhibition.

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	18.33	31.74
DMSO:PBS (pH 7.2) (1:7)	0.12	0.21
DMF	3.0	5.20

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.73 mL	8.66 mL	17.32 mL
5 mM	0.35 mL	1.73 mL	3.46 mL
10 mM	0.17 mL	0.87 mL	1.73 mL
50 mM	0.03 mL	0.17 mL	0.35 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. Sano O, Kazetani K, Funata M, Fukuda Y, Matsui J, Iwata H. Vacuolin-1 inhibits autophagy by impairing lysosomal maturation via PIKfyve inhibition. FEBS Lett. 2016 Jun;590(11):1576-85. doi: 10.1002/1873-3468.12195. Epub 2016 May 13. PMID: 27135648.
2. Lu Y, Dong S, Hao B, Li C, Zhu K, Guo W, Wang Q, Cheung KH, Wong CW, Wu WT, Markus H, Yue J. Vacuolin-1 potently and reversibly inhibits autophagosome-lysosome fusion by activating RAB5A. Autophagy. 2014;10(11):1895-905. doi: 10.4161/auto.32200. Epub 2014 Oct 30. Erratum in: Autophagy. 2018;14 (1):176-177. PMID: 25483964; PMCID: PMC4502727.

In vivo study

1. Ye Z, Wang D, Lu Y, He Y, Yu J, Wei W, Chen C, Wang R, Zhang L, Zhang L, Le MTN, Cho WC, Yang M, Zhang H, Yue J. Vacuolin-1 inhibits endosomal trafficking and metastasis via CapZβ. Oncogene. 2021 Mar;40(10):1775-1791. doi: 10.1038/s41388-021-01662-3. Epub 2021 Feb 9. PMID: 33564074; PMCID: PMC7946642.

7. Bioactivity
Biological target:

Product data sheet

Vacuolin-1 is a potent and cell-permeable lysosomal exocytosis inhibitor.

In vitro activity

One molecule, vacuolin-1, potently induced LC3B yellow puncta, not red only puncta (Fig. 1A; Fig. S1). Western blot analyses further confirmed that lipidated LC3B-II was markedly increased in cells treated with vacuolin-1. SQSTM1/p62, an autophagic substrate, was also accumulated in cells treated with vacuolin-1, suggesting that vacuolin-1 inhibits the fusion between autophagosome and lysosomes (Fig. 1B). Indeed, GFP-LC3B puncta were greatly increased in vacuolin-1 treated cells and did not colocalize with lysosome-associated membrane protein 1 (LAMP1) (Fig. 1C), which was similar to the cells treated with BAF (Fig. S2).

Reference: Autophagy. 2014 Nov; 10(11): 1895–1905. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4502727/

In vivo activity

This study showed that V1 (vacuolin-1) treatment (oral delivery of 15 mg/kg or 30 mg/kg per day) significantly decreased the number of tumor nodules in the lungs (Fig.3A, B), the number of the mammary bearing tumor (Fig. 3C), and the weight of mammary tumors in a concentration-dependent manner (Fig. 3D). Similar results have been observed following intraperitoneal (IP) delivery of V1 (20 mg/kg, daily) in this transgenic mouse model (Fig. S3A–D). Taken together, these results demonstrate that V1 potently inhibits both tumor growth and metastasis of mouse MMTV-PyMT mammary carcinoma.

Reference: Oncogene. 2021; 40(10): 1775–1791. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7946642/