# **Product data sheet**



MedKoo Cat#: 406842				
Name: Avasimibe				
CAS#: 166518-60-1				
Chemical Formula: C <sub>29</sub> H <sub>43</sub> NO <sub>4</sub> S				
Exact Mass: 501.29128				
Molecular Weight: 501.72				
Powder				
$\geq 98\%$				
Ambient temperature				
Powder: -20°C 3 years; 4°C 2 years.				
In solvent: -80°C 3 months; -20°C 2 weeks.				



#### 1. Product description:

Avasimibe is an acyl coenzyme A: cholesterol acyltransferase (ACAT) inhibitor. Avasimibe can also reduce the synthesis and secretion of Apo B 100 in HepG2 cells. Avasimibe encapsulated in human serum albumin blocks cholesterol esterification for selective cancer treatment. Avasimibe could be an efficient therapy in the treatment of glioblastoma.

#### 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	47.54	94.75		
DMSO:PBS (pH 7.2)	0.25	0.50		
(1:3)				
DMF	5.0	9.97		
Ethanol	8.71	17.36		

#### 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.99 mL	9.97 mL	19.93 mL
5 mM	0.40 mL	1.99 mL	3.99 mL
10 mM	0.20 mL	1.00 mL	1.99 mL
50 mM	0.04 mL	0.20 mL	0.40 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. Gao Y, Xu D, Li H, Xu J, Pan Y, Liao X, Qian J, Hu Y, Yu G. Avasimibe Dampens Cholangiocarcinoma Progression by Inhibiting FoxM1-AKR1C1 Signaling. Front Oncol. 2021 May 28;11:677678. doi: 10.3389/fonc.2021.677678. PMID: 34127944; PMCID: PMC8195695.

2. Bi M, Qiao X, Zhang H, Wu H, Gao Z, Zhou H, Shi M, Wang Y, Yang J, Hu J, Liang W, Liu Y, Qiao X, Zhang S, Zhao Z. Effect of inhibiting ACAT-1 expression on the growth and metastasis of Lewis lung carcinoma. Oncol Lett. 2019 Aug;18(2):1548-1556. doi: 10.3892/ol.2019.10427. Epub 2019 May 31. PMID: 31423222; PMCID: PMC6607388.

#### In vivo study

1. Luo Y, Liu L, Li X, Shi Y. Avasimibe inhibits the proliferation, migration and invasion of glioma cells by suppressing linc00339. Biomed Pharmacother. 2020 Oct;130:110508. doi: 10.1016/j.biopha.2020.110508. Epub 2020 Jul 16. PMID: 32682982.

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2. Liu JY, Fu WQ, Zheng XJ, Li W, Ren LW, Wang JH, Yang C, Du GH. Avasimibe exerts anticancer effects on human glioblastoma cells via inducing cell apoptosis and cell cycle arrest. Acta Pharmacol Sin. 2021 Jan;42(1):97-107. doi: 10.1038/s41401-020-0404-8. Epub 2020 May 25. PMID: 32451414; PMCID: PMC7921416.

# 7. Bioactivity

### Biological target:

Avasimibe is an oral inhibitor of acyl-Coenzyme A:cholesterol acyltransferase (ACAT) with IC50s of 24 and 9.2 µM for ACAT1 and ACAT2, respectively.

#### In vitro activity

LLC cells were treated with different avasimibe concentrations to inhibit ACAT-1, revealing a significant dose- and time-dependent suppression of proliferation as revealed using a CCK-8 assay (P<0.05; Fig. 1A and B). The cell viability rates in the blank group and the avasimibe (2.5, 5, 10 and 20  $\mu$ M) groups were 100.00±0.00, 63.57±4.88, 45.47±5.35, 37.66±3.72 and 30.59±1.24%, respectively (Fig. 1A). In addition, the viability of the control group and the groups at 1, 2, 3 and 4 days were 100.00±0.00, 72.21±4.50, 58.60±5.25, 46.11±3.9 and 39.02±3.04%, respectively (Fig. 1B). These results therefore demonstrated that avasimbe inhibits LLC cell proliferation compared with the controls.



Reference: Oncol Lett. 2019 Aug; 18(2): 1548–1556. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6607388/

#### In vivo activity

To explore the effect of avasimibe on glioma in vivo, a xenograft tumour assay was conducted. LN229  $(1.0 \times 107)$  cells treated with avasimibe or treated with avasimibe and transfected with linc00339 plasmid were subcutaneously injected into nude mice. The volume of the tumour was measured every week. Four weeks after injection, the mice were sacrificed, and the weight of the tumour was measured. By comparison, avasimibe could inhibit the volume and mass of tumours, and this inhibitory effect could be reversed with overexpression of linc00339 (Fig. 5A–C). Next, this study detected linc00339 expression in the three groups and found that avasimibe could suppress the expression of linc00339 in the model (Fig. 5D). Taken together, these results suggested that avasimibe inhibits glioma growth in vivo, and this regulation is related to linc00339 expression.



Reference: Biomed Pharmacother. 2020 Oct;130:110508. https://pubmed.ncbi.nlm.nih.gov/32682982/

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.