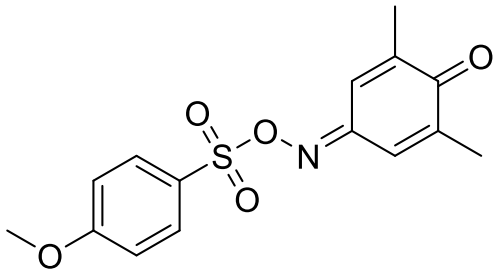


Product data sheet



MedKoo Cat#: 574767 Name: L-002 CAS: 321695-57-2 Chemical Formula: C ₁₅ H ₁₅ NO ₅ S Exact Mass: 321.0671 Molecular Weight: 321.347	
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:

L-002 is an inhibitor of p300 histone acyltransferase (KAT3B). L-002 also inhibits acetylation of histones and p53 and suppresses STAT3 activation. In vivo, L-002 potently suppressed tumor growth of TNBC cell line MDA-MB-468 xenografts.

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	30.0	93.36
DMSO	52.17	162.34
DMSO:PBS (pH 7.2) (1:2)	0.25	0.78
Ethanol	2.5	7.78

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.11 mL	15.56 mL	31.12 mL
5 mM	0.62 mL	3.11 mL	6.22 mL
10 mM	0.31 mL	1.56 mL	3.11 mL
50 mM	0.06 mL	0.31 mL	0.62 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

- Rai R, Verma SK, Kim D, Ramirez V, Lux E, Li C, Sahoo S, Wilsbacher LD, Vaughan DE, Quaggin SE, Ghosh AK. A novel acetyltransferase p300 inhibitor ameliorates hypertension-associated cardio-renal fibrosis. *Epigenetics*. 2017;12(11):1004-1013. doi: 10.1080/15592294.2017.1370173. Epub 2017 Dec 6. PMID: 28933600; PMCID: PMC5788418.
- Yang H, Pinello CE, Luo J, Li D, Wang Y, Zhao LY, Jahn SC, Saldanha SA, Chase P, Planck J, Geary KR, Ma H, Law BK, Roush WR, Hodder P, Liao D. Small-molecule inhibitors of acetyltransferase p300 identified by high-throughput screening are potent anticancer agents. *Mol Cancer Ther*. 2013 May;12(5):610-20. doi: 10.1158/1535-7163.MCT-12-0930. Epub 2013 Apr 26. Erratum in: *Mol Cancer Ther*. 2013 Aug;12(8):1688. Chase, Peter [added]. PMID: 23625935; PMCID: PMC3651759.

In vivo study

- Rai R, Sun T, Ramirez V, Lux E, Eren M, Vaughan DE, Ghosh AK. Acetyltransferase p300 inhibitor reverses hypertension-induced cardiac fibrosis. *J Cell Mol Med*. 2019 Apr;23(4):3026-3031. doi: 10.1111/jcmm.14162. Epub 2019 Feb 1. PMID: 30710427; PMCID: PMC6433695.

Product data sheet



2. Rai R, Verma SK, Kim D, Ramirez V, Lux E, Li C, Sahoo S, Wilsbacher LD, Vaughan DE, Quaggin SE, Ghosh AK. A novel acetyltransferase p300 inhibitor ameliorates hypertension-associated cardio-renal fibrosis. *Epigenetics*. 2017;12(11):1004-1013. doi: 10.1080/15592294.2017.1370173. Epub 2017 Dec 6. PMID: 28933600; PMCID: PMC5788418.

7. Bioactivity

Biological target:

L002 is a potent, cell permeable, reversible and specific acetyltransferase p300 (KAT3B) inhibitor with an IC₅₀ of 1.98 μM.

In vitro activity

Among the NCI-60 panel of cancer cell lines, leukemia and lymphoma cell lines were extremely sensitive to L002, whereas it is toxic to only a limited number of cell lines derived from solid tumors. Notably, breast cancer cell lines, especially those derived from TNBC, were highly susceptible to L002.

Reference: *Mol Cancer Ther*. 2013 May;12(5):610-20. <https://pubmed.ncbi.nlm.nih.gov/23625935/>

In vivo activity

Treatment of hypertensive mice with ATp300-specific small molecule inhibitor L002 or C646 reverses hypertension-induced left ventricular hypertrophy, cardiac fibrosis and diastolic dysfunction, without reducing elevated blood pressures. Biochemically, treatment with L002 and C646 also reverse hypertension-induced histone acetylation and myofibroblast differentiation in murine ventricles.

Reference: *J Cell Mol Med*. 2019 Apr;23(4):3026-3031. <https://pubmed.ncbi.nlm.nih.gov/30710427/>

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.