

Product data sheet



MedKoo Cat#: 527717 Name: SPS-4251 CAS#: 870653-45-5 Chemical Formula: C ₂₁ H ₁₈ O ₅ Exact Mass: 350.1154 Molecular Weight: 350.37	
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:

SPS-4251, also known as PAP-1, is a KCNA3 (Potassium voltage-gated channel, shaker-related subfamily, member 3) channel blocker potentially for the treatment of plaque psoriasis. Kv1.3 channels regulate the activation/proliferation of effector memory T cells and thus play a critical role in the pathogenesis of autoimmune diseases. SPS-4251 reduced the secretion of TNF α by adipose tissue but had no effect on the secretion of IL-6. SPS-4251 can also potentially inhibit the exocytosis of cytoplasmic granules from CD4(+)CD28(null) T cells.

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	9	25.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.85 mL	14.27 mL	28.54 mL
5 mM	0.57 mL	2.85 mL	5.71 mL
10 mM	0.29 mL	1.43 mL	2.85 mL
50 mM	0.06 mL	0.29 mL	0.57 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

- Li M, Zhang Y, Zeb A, Wu Y, Cheng L. Bergamottin and PAP-1 Induced ACE2 Degradation to Alleviate Infection of SARS-CoV-2. *Int J Mol Sci.* 2022 Oct 19;23(20):12565. doi: 10.3390/ijms232012565. PMID: 36293419; PMCID: PMC9604380.
- Schmitz A, Sankaranarayanan A, Azam P, Schmidt-Lassen K, Homerick D, Hänsel W, Wulff H. Design of PAP-1, a selective small molecule Kv1.3 blocker, for the suppression of effector memory T cells in autoimmune diseases. *Mol Pharmacol.* 2005 Nov;68(5):1254-70. doi: 10.1124/mol.105.015669. Epub 2005 Aug 11. PMID: 16099841.

In vivo study

- Mei Y, Fang C, Ding S, Liu X, Hu J, Xu J, Mei Q. PAP-1 ameliorates DSS-induced colitis with involvement of NLRP3 inflammasome pathway. *Int Immunopharmacol.* 2019 Oct;75:105776. doi: 10.1016/j.intimp.2019.105776. Epub 2019 Jul 24. PMID: 31351364.
- Ngala RA, Zaibi MS, Langlands K, Stocker CJ, Arch JR, Cawthorne MA. Stimulation of glucose uptake in murine soleus muscle and adipocytes by 5-(4-phenoxybutoxy)psoralen (PAP-1) may be mediated by Kv1.5 rather than Kv1.3. *PeerJ.* 2014 Oct 7;2:e614. doi: 10.7717/peerj.614. PMID: 25320682; PMCID: PMC4193404.

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7. Bioactivity

Biological target:

SPS-4251 is an inhibitor of Kv1.3 voltage-gated potassium channels (EC50 = 2 nM at -80 mV in cells overexpressing the human channel). It is selective for Kv1.3 over a panel of 22 ion channels, including potassium, sodium, calcium, and chloride channels (EC50s = 45-15,000 nM for all).

In vitro activity

SPS-4251 is a promising tool for immunosuppression, offering potential for oral immunomodulators. SPS-4251 had 2- to 50-fold selectivity for the lymphocyte K⁺ channel Kv1.3 over Kv1.5. SPS-4251 effectively blocks Kv1.3 without cytotoxic effects and inhibits TEM cell proliferation.

Reference: Mol Pharmacol. 2005 Nov;68(5):1254-70. <https://pubmed.ncbi.nlm.nih.gov/16099841/>

In vivo activity

In a mouse model of DSS-induced colitis, SPS-4251 reduced DSS-induced colonic pathological damage, DAI score, MPO activity and levels of IL-1, IL-6, TNF- α , IL-18. Compared with the DSS model group, the expression of Kv1.3, iNOS, NLRP3, ASC, caspase-1p20, pro-IL-1 β and IL-1 β in colon were decreased in the DSS-induced colitis mice with SPS-4251 injection. SPS-4251 also reduced the expression of Kv1.3, iNOS, NLRP3, caspase-1p20 and IL-1 β on macrophages in colitis mice.

Reference: Int Immunopharmacol. 2019 Oct;75:105776. <https://pubmed.ncbi.nlm.nih.gov/31351364/>

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.