

Product data sheet



Medkoo Cat# 319595 Name: Paquinimod CAS #: 248282-01-1 Chemical Formula: C ₂₁ H ₂₂ N ₂ O ₃ Exact Mass: 350.163 Molecular Weight: 350.42	
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:

Paquinimod, also known as ABR-215757, is a S100A9 inhibitor preventing S100A9 binding to TLR-4. Paquinimod reduces pathology in experimental collagenase-induced osteoarthritis. Paquinimod treatment of collagenase-induced OA (CIOA) resulted in significantly reduced synovial thickening (57%), osteophyte size at the medial femur (66%) and cruciate ligaments (67%) and cartilage damage at the medial tibia (47%) and femur (75%; n=7, untreated n=6). Paquinimod reduces leukocyte recruitment during sterile inflammation. Paquinimod also reduced priming of proinflammatory effector CD4(+) 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	15.0	42.8

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

1. Yun J, Xiao T, Zhou L, Beuerman RW, Li J, Zhao Y, Hadayer A, Zhang X, Sun D, Kaplan HJ, Shao H. Local S100A8 Levels Correlate With Recurrence of Experimental Autoimmune Uveitis and Promote Pathogenic T Cell Activity. Invest Ophthalmol Vis Sci. 2018 Mar 1;59(3):1332-1342. doi: 10.1167/iovs.17-23127. PMID: 29625456; PMCID: PMC5846334.

In vivo study

1. Tahvili S, Törngren M, Holmberg D, Leanderson T, Ivars F. Paquinimod prevents development of diabetes in the non-obese diabetic (NOD) mouse. PLoS One. 2018 May 9;13(5):e0196598. doi: 10.1371/journal.pone.0196598. PMID: 29742113; PMCID: PMC5942776.

2. Lee JU, Park JS, Jun JA, Kim MK, Chang HS, Baek DG, Song HJ, Kim MS, Park CS. Inhibitory Effect of Paquinimod on a Murine Model of Neutrophilic Asthma Induced by Ovalbumin with Complete Freund's Adjuvant. Can Respir J. 2021 Mar 15;2021:8896108. doi: 10.1155/2021/8896108. PMID: 33791048; PMCID: PMC7984926.

7. Bioactivity

Biological target:

Paquinimod (ABR 25757) is a specific inhibitor of S100A8/S100A9.

Product data sheet



In vitro activity

The purpose of this study was to investigate the role of damage-associated molecular patterns (DAMPs) in recurrent experimental autoimmune uveitis (EAU). Paquinimod (PA) is a drug that is an S100 inhibitor and blocks the binding of S100A8/A9. S100A8, an important DAMP member is a small-sized 10-kDa molecule and preferentially exists as a heterodimer or heterotetramer with S100A9, known as calprotectin (S100A8/A9). These molecules are highly expressed on bone marrow-derived myeloid cells, specifically neutrophils and monocytes, and on endothelial cells. To examine whether the reduced proliferation of lymphocytes was a direct effect of the S100A8/9 inhibitor on T cells or an indirect effect on T cells via APCs, crossover tests were performed in which T cell proliferation was measured using all four combinations of responder T cells and APCs isolated on day 16 from the two sets. APCs were incubated in vitro with recombinant S100A8. As shown in [Figure 5](#), T cells from PA-treated tEAU rats did not respond to increasing doses of R16 in the presence of APCs from either PA-treated or control tEAU rats, whereas T cells from control tEAU rats reacted well in the presence of APCs from control tEAU rats, but not PA-treated tEAU rats, indicating that dysfunction of both T cells and APCs contributed to the T cell hyporesponsiveness in PA-treated mice. This data demonstrate a link between local expression of DAMPs and autoimmune responses, and suggest that complete S100A8/A9 blockade may be a new therapeutic target in recurrent autoimmune uveitis.

Reference: Invest Ophthalmol Vis Sci. 2018 Mar 1;59(3):1332-1342

<https://pubmed.ncbi.nlm.nih.gov/29625456/>

In vivo activity

Paquinimod was orally administered to 6-week-old C57BL/6 mice sensitized and challenged with ovalbumin (OVA)/complete Freund's adjuvant (CFA) and OVA. Lung inflammation and remodeling were evaluated using bronchoalveolar lavage (BAL) and histologic findings including goblet cell count. S100A9, caspase-1, IL-1 β , MPO, IL-17, IFN- γ , and TNF- α were measured in lung lysates using western blotting. Paquinimod restored the enhancement of airway resistance and the increases in numbers of neutrophils and macrophages of BAL fluids and those of goblet cells in OVA/CFA mice toward the levels of sham-treated mice in a dose-dependent manner (0.1, 1, 10, and 25 mg/kg/day, p.o.). These data indicate that paquinimod effectively inhibits neutrophilic inflammation and remodeling in the murine model of neutrophilic asthma, possibly via downregulation of IL-17, IFN- γ , and IL-1 β .

Reference: Can Respir J . 2021 Mar 15;2021:8896108

<https://pubmed.ncbi.nlm.nih.gov/33791048/>

-
-

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.