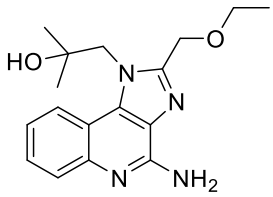
Product data sheet

MedKoo Cat#: 205867 Name: Resiquimod CAS#: 144875-48-9 Chemical Formula: $C_{17}H_{22}N_4O_2$ Exact Mass: 314.1745 Molecular Weight: 314.38	
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:

Resiquimod, also known as R-848; S-28463; VML-600, is a n imidazoquinolinamine Toll-like receptor (TLR) agonist with potential immunostimulatory activity. Resiquimod binds to and activates TLRs 7 and 8, mainly on dendritic cells (DCs), macrophages, and B-lymphocytes, which results in the activation of the TLR signaling pathway and nuclear translocation of the transcription activator NF-kB another transcription factors; subsequently, the production of cytokines, especially interferon-alpha (INF-a), increases, enhancing T-helper 1 (Th1) immune responses. In addition, topical application of resiquimod appears to activate Langerhans cells, which may result in enhanced activation of T-lymphocytes.

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	16	50.89
DMSO	12.5	39.76
Ethanol	3.3	10.50

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.18 mL	15.90 mL	31.81 mL
5 mM	0.64 mL	3.18 mL	6.36 mL
10 mM	0.32 mL	1.59 mL	3.18 mL
50 mM	0.06 mL	0.32 mL	0.64 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. Theile D, Wagner L, Haefeli WE, Weiss J. In vitro evidence suggesting that the toll-like receptor 7 and 8 agonist resiquimod (R-848) unlikely affects drug levels of co-administered compounds. Eur J Pharm Sci. 2021 Jul 1;162:105826. doi: 10.1016/j.ejps.2021.105826. Epub 2021 Apr 2. PMID: 33813039.
2. Gupta A, Deka P, Kumar S. Resiquimod inhibits Newcastle disease virus replication by modulating host cytokines: An understanding towards its possible therapeutics. Cytokine. 2020 Jan;125:154811. doi: 10.1016/j.cyto.2019.154811. Epub 2019 Aug 22. PMID: 31446178.

In vivo study

1. Zahr NM, Zhao Q, Goodcase R, Pfefferbaum A. Systemic Administration of the TLR7/8 Agonist Resiquimod (R848) to Mice Is Associated with Transient, In Vivo-Detectable Brain Swelling. Biology (Basel). 2022 Feb 10;11(2):274. doi: 10.3390/biology11020274. PMID: 35205140; PMCID: PMC8869423.

2. Qu S, Qin T, Li M, Zhang S, Ye L, Wei J, Fan H, Chen B. The effects of resiquimod in an ovalbumin-induced allergic rhinitis model. Int Immunopharmacol. 2018 Jun;59:233-242. doi: 10.1016/j.intimp.2018.04.015. Epub 2018 Apr 14. PMID: 29665497.

7. Bioactivity

Biological target:

Resiquimod induces upregulation of IL-6, IL-12, IFN-γ and iNOS expression in mouse bone marrow-derived macrophages (BMMs). It inhibits RANKL-induced osteoclast differentiation in mouse BMMs and human peripheral blood monocytes. Resiquimod promotes the differentiation of MDSCs into macrophages and dendritic cells. Proinflammatory and antiviral.

In vitro activity

Resiquimod did not alter mRNA expression levels in LS180 cells, activities of uptake or efflux transporters, or CYP3A4 activity. Given the marginal effects on NF-κB, PXR, expression levels of selected PXR target genes, and activities of important drug transporters and CYP3A4 in vitro.

Reference: Eur J Pharm Sci. 2021 Jul 1;162:105826. https://pubmed.ncbi.nlm.nih.gov/33813039/

In vivo activity

In OVA-induced allergic rhinitis mice, resiquimod alleviated nasal allergic symptoms; reduced eosinophil cell infiltration, goblet cell hyperplasia in nasal mucosa; reduced IL-13, IL-17, IL-25 and IL-33 levels in serum; upregulated the relative mRNA expression of IFN-γ and Foxp3, downregulated the relative mRNA expression of IL-17 in the spleen; decreased Th2, Th17 and TIM3 + IFN-γ + Th1 cells ratios, increased the proportion of Th1 and Treg cells in the spleen; suppressed TIM1 and TIM3,but increased IL-33 expression.

Reference: Int Immunopharmacol. 2018 Jun;59:233-242. https://pubmed.ncbi.nlm.nih.gov/29665497/