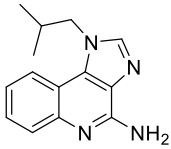


Product data sheet



MedKoo Cat#: 100472 Name: Imiquimod CAS#: 99011-02-6 Chemical Formula: C ₁₄ H ₁₆ N ₄ Exact Mass: 240.1375 Molecular Weight: 240.3		
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:

Imiquimod is a prescription medication that acts as an immune response modifier. Imiquimod signals to the innate arm of the immune system through the toll-like receptor 7 (TLR7), commonly involved in pathogen recognition. Cells activated by imiquimod via TLR-7 secrete cytokines (primarily interferon- α (INF- α), interleukin-6 (IL-6), and tumor necrosis factor- α (TNF- α)). Imiquimod, when applied to skin, can lead to the activation of Langerhans cells, which subsequently migrate to local lymph nodes to activate the adaptive immune system. Other cell types activated by imiquimod include natural killer cells, macrophages and B-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
DMSO	1.8	7.49
DMF	1.0	4.16
Water	2.64	10.99

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	4.16 mL	20.81 mL	41.61 mL
5 mM	0.83 mL	4.16 mL	8.32 mL
10 mM	0.42 mL	2.08 mL	4.16 mL
50 mM	0.08 mL	0.42 mL	0.83 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

- Zaki L, Ghaffarifar F, Sharifi Z, Horton J, Sadraei J. Effect of Imiquimod on Tachyzoites of *Toxoplasma gondii* and Infected Macrophages in vitro and in BALB/c Mice. *Front Cell Infect Microbiol.* 2020 Jul 31;10:387. doi: 10.3389/fcimb.2020.00387. PMID: 32850486; PMCID: PMC7412631.
- Jung JY, Kim HS, Roh MR, Roh HJ, Lee SY, Chung KY. The effect of imiquimod on matrix metalloproteinases and tissue inhibitors of metalloproteinases in malignant melanoma cell invasion. *Ann Dermatol.* 2014 Jun;26(3):363-73. doi: 10.5021/ad.2014.26.3.363. Epub 2014 Jun 12. PMID: 24966637; PMCID: PMC4069648.

In vivo study

- Mariotte A, De Cauwer A, Po C, Abou-Faycal C, Pichot A, Paul N, Aouadi I, Carapito R, Frisch B, Macquin C, Chatelus E, Sibilia J, Armspach JP, Bahram S, Georgel P. A mouse model of MSU-induced acute inflammation in vivo suggests imiquimod-dependent targeting of IL-1 β as relevant therapy for gout patients. *Theranostics.* 2020 Jan 12;10(5):2158-2171. doi: 10.7150/thno.40650. PMID: 32104502; PMCID: PMC7019178.

Product data sheet



2. Almomen A, Jarboe EA, Dodson MK, Peterson CM, Owen SC, Janát-Amsbury MM. Imiquimod Induces Apoptosis in Human Endometrial Cancer Cells In vitro and Prevents Tumor Progression In vivo. *Pharm Res.* 2016 Sep;33(9):2209-17. doi: 10.1007/s11095-016-1957-6. Epub 2016 May 31. PMID: 27245465; PMCID: PMC4967407.

7. Bioactivity

Biological target:

Imiquimod (R 837), an immune response modifier, is a selective toll like receptor 7 (TLR7) agonist.

In vitro activity

Expression of MMP-2 decreased following incubation with increasing concentrations of imiquimod in both cell lines (Fig. 3A, B). However, the expression of MMP-9 was different in the SK-MEL-2 and SK-MLE-24 cell lines. Inactive and active forms of MMP-9 were observed in SK-MEL-2 cell line (Fig. 3C), while only its inactive form was observed in SK-MEL-24 cells (Fig. 3D). SK-MEL-2 cells showed a concentration-dependent increase in MMP-9 expression following imiquimod incubation (Fig. 3C). However, expression of MMP-9 decreased with increasing imiquimod concentrations in SK-MEL-24 cell lines (Fig. 3D).

Reference: *Ann Dermatol.* 2014 Jun; 26(3): 363–373. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4069648/>

In vivo activity

Tissue ELISA revealed that imiquimod specifically reduced IL-1 β and IL-6 secretion in the treated mouse paws (Panels F-G), whereas neutrophil infiltration (visualized by MPO quantification, Panel H) and TNF- α production (panel I) remained unaffected. RTqPCR and western blot analysis further documented the negative effect of imiquimod on the transcription of the IL-1 β and IL-6 genes (Panels J-K) and IL-1 β pro-form expression (Panel L).

Reference: *Theranostics.* 2020; 10(5): 2158–2171. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7019178/>

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.