

Product data sheet



MedKoo Cat#: 315227 Name: Iguratimod CAS: 123663-49-0 Chemical Formula: C ₁₇ H ₁₄ N ₂ O ₆ S Exact Mass: 374.0573 Molecular Weight: 374.367	
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:

Iguratimod is one of a series of 4H-1-benzopyran-4-ones which has potent anti-inflammatory, analgesic and antipyretic activity. The drug is a selective inhibitor of cyclo-oxygenase-2 (COX-2), and inhibits the production of interleukin-1 (IL-1), IL-6, IL-8 and tumour necrosis factor. Iguratimod is currently under clinical trials (<http://www.simcere.com/english/r&d/Pipeline.asp>).

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	14.0	37.40
DMSO	33.11	88.44
PBS (pH 7.2)	0.25	0.67

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.67 mL	13.36 mL	26.71 mL
5 mM	0.53 mL	2.67 mL	5.34 mL
10 mM	0.27 mL	1.34 mL	2.67 mL
50 mM	0.05 mL	0.27 mL	0.53 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

- Bai Z, Lu Z, Liu R, Tang Y, Ye X, Jin M, Wang G, Li X. Iguratimod Restrains Circulating Follicular Helper T Cell Function by Inhibiting Glucose Metabolism via Hif1 α -HK2 Axis in Rheumatoid Arthritis. *Front Immunol.* 2022 Jun 1;13:757616. doi: 10.3389/fimmu.2022.757616. PMID: 35720293; PMCID: PMC9199372.
- Zhang M, Lei YS, Meng XW, Liu HY, Li LG, Zhang J, Zhang JX, Tao WH, Peng K, Lin J, Ji FH. Iguratimod Alleviates Myocardial Ischemia/Reperfusion Injury Through Inhibiting Inflammatory Response Induced by Cardiac Fibroblast Pyroptosis via COX2/NLRP3 Signaling Pathway. *Front Cell Dev Biol.* 2021 Oct 25;9:746317. doi: 10.3389/fcell.2021.746317. PMID: 34760889; PMCID: PMC8573346.

In vivo study

- Liu W, Han X, Li Q, Sun L, Wang J. Iguratimod ameliorates bleomycin-induced pulmonary fibrosis by inhibiting the EMT process and NLRP3 inflammasome activation. *Biomed Pharmacother.* 2022 Sep;153:113460. doi: 10.1016/j.biopha.2022.113460. Epub 2022 Jul 25. PMID: 36076570.

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2. Hang Z, Wei J, Zheng M, Gui Z, Chen H, Sun L, Fei S, Han Z, Tao J, Wang Z, Tan R, Gu M. Igratimod Attenuates Macrophage Polarization and Antibody-Mediated Rejection After Renal Transplant by Regulating KLF4. *Front Pharmacol.* 2022 May 9;13:865363. doi: 10.3389/fphar.2022.865363. PMID: 35614941; PMCID: PMC9125033.

7. Bioactivity

Biological target:

Igratimod is an antirheumatic agent, acts as an inhibitor of COX-2, with an IC₅₀ of 20 μM (7.7 μg/mL), but shows no effect on COX-1. Igratimod also inhibits macrophage migration inhibitory factor (MIF) with an IC₅₀ of 6.81 μM.

In vitro activity

This study found that activation markers, including CD25 and CD69, decreased significantly on day 3 in the presence of IGU (iguratimod) (Figures 2C , D). Collectively, these results indicate that IGU inhibits RA-CD4⁺ T cells proliferation and activation.

Reference: *Front Immunol.* 2022 Jun 1;13:757616. <https://pubmed.ncbi.nlm.nih.gov/35720293/>

In vivo activity

The results showed that the hydroxyproline content (Fig. 2K) and fibrosis score (Fig. 2L) were decreased after IGU (iguratimod) administration. In short, the above results demonstrate that IGU can alleviate BLM (bleomycin)-induced pulmonary inflammation and fibrosis in mice.

Reference: *Biomed Pharmacother.* 2022 Sep;153:113460. <https://pubmed.ncbi.nlm.nih.gov/36076570/>

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.