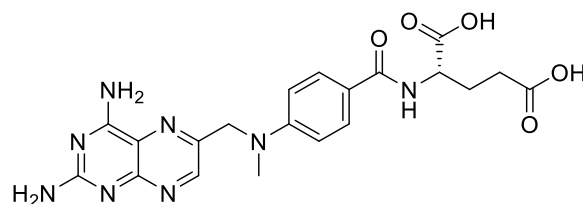


Product data sheet



MedKoo Cat#: 100610
Name: Methotrexate
CAS#: 59-05-2 (free acid)
Chemical Formula: C₂₀H₂₂N₈O₅
Exact Mass: 454.17132
Molecular Weight: 454.44

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:

Methotrexate is an antimetabolite and antifolate agent with antineoplastic and immunosuppressant activities. Methotrexate binds to and inhibits the enzyme dihydrofolate reductase, resulting in inhibition of purine nucleotide and thymidylate synthesis and, subsequently, inhibition of DNA and RNA syntheses. Methotrexate also exhibits potent immunosuppressant activity although the mechanism(s) of actions is unclear.

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	90	198.05

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.2005 mL	11.0026 mL	22.0051 mL
5 mM	0.4401 mL	2.2005 mL	4.4010 mL
10 mM	0.2201 mL	1.1003 mL	2.2005 mL
50 mM	0.0440 mL	0.2201 mL	0.4401 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. Karasik A, Váradi A, Szeri F. In vitro transport of methotrexate by Drosophila Multidrug Resistance-associated Protein. PLoS One. 2018 Oct 12;13(10):e0205657. doi: 10.1371/journal.pone.0205657. PMID: 30312334; PMCID: PMC6185855.

2. Yang J, Gao L, Yu P, Kosgey JC, Jia L, Fang Y, Xiong J, Zhang F. In vitro synergy of azole antifungals and methotrexate against Candida albicans. Life Sci. 2019 Oct 15;235:116827. doi: 10.1016/j.lfs.2019.116827. Epub 2019 Aug 31. PMID: 31479680.

In vivo study

1. Previtali V, Petrovic K, Peiró Cadahía J, Troelsen NS, Clausen MH. Auxiliary in vitro and in vivo biological evaluation of hydrogen peroxide sensitive prodrugs of methotrexate and aminopterin for the treatment of rheumatoid arthritis. Bioorg Med Chem. 2020 Jan 15;28(2):115247. doi: 10.1016/j.bmc.2019.115247. Epub 2019 Dec 6. PMID: 31843461.

2. Choi SJ, Oh JM, Chung HE, Hong SH, Kim IH, Choy JH. In vivo anticancer activity of methotrexate-loaded layered double hydroxide nanoparticles. Curr Pharm Des. 2013;19(41):7196-202. doi: 10.2174/138161281941131219123718. PMID: 23489199.

7. Bioactivity

Product data sheet



Biological target: Methotrexate is a nonspecific inhibitor of the dihydrofolate reductase(DHFR) of bacteria and cancerous cells as well as normal cells.

In vitro activity

Methotrexate (0.1-10 mM) induces apoptosis of in vitro activated T cells from human peripheral blood. Methotrexate achieves clonal deletion of activated T cells in mixed lymphocyte reactions. Methotrexate can selectively delete activated peripheral blood T cells by a CD95-independent pathway. Methotrexate is taken up by cells via the reduced folate carrier and then is converted within the cells to polyglutamates. Methotrexate leads to diminished production of leukotriene B4 by neutrophils stimulated ex vivo. Methotrexate polyglutamates inhibit the enzyme aminoimidazolecarboxamidoadenosineribonucleotide (AICAR) transformylase more potently than the other enzymes involved in purine biosynthesis. Methotrexate is also known to suppress TNF activity by suppressing TNF-induced nuclear factor- κ B activation in vitro, in part related to a reduction in the degradation and inactivation of an inhibitor of this factor, I κ B α , and probably related to the release of adenosine. Methotrexate suppresses the production of both TNF and IFN- γ by T-cell-receptor-primed T lymphocytes from both healthy human donors and RA patients. Methotrexate treatment is associated with a significant decrease of TNF- α -positive CD4+ T cells, while the number of T cells expressing the anti-inflammatory cytokine IL-10 increased.

Reference: J Clin Invest. 1998 Jul 15;102(2):322-8. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC508890/>
Arthritis Res. 2002;4(4):266-73. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC128935/>

In vivo activity

Methotrexate reduces thymus and spleen indices of mice. Methotrexate markedly decreases white blood cells, thymic and splenic lymphocytes at dose greater than or equal to 5 mg/kg. However, there is a significant difference between the treatment plus control group and the model group ($p < 0.01$). The combination of grape seed proanthocyanidins and Siberian ginseng eleutherosides obviously diminishes the effects of Methotrexate exposure on indices of thymus and spleens in mice

Reference: Pharmacol Rep. 2006 Jul-Aug;58(4):473-92. http://if-pan.krakow.pl/pjp/pdf/2006/4_473.pdf

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.