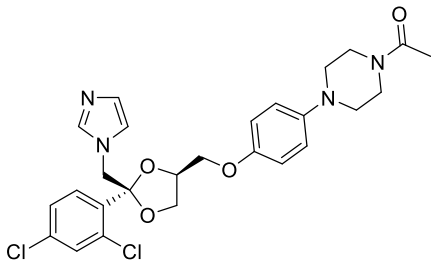


# Product data sheet



MedKoo Cat#: 318079 Name: Ketoconazole CAS: 65277-42-1 Chemical Formula: C <sub>26</sub> H <sub>28</sub> Cl <sub>2</sub> N <sub>4</sub> O <sub>4</sub> Exact Mass: 530.1488 Molecular Weight: 531.4309		
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:

Ketoconazole is a synthetic imidazole antifungal drug used primarily to treat fungal infections. It is sold commercially as a tablet for oral administration (although this use has been discontinued in a number of countries), and in a variety of formulations for topical administration, such as creams (used to treat tinea; cutaneous candidiasis, including candidal paronychia; and pityriasis versicolor) and shampoos (used primarily to treat dandruff—seborrheic dermatitis of the scalp).

## 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	5.0	9.41
DMF:PBS (pH 7.2) (1:1)	0.5	0.94
DMSO	22.29	41.94
Ethanol	13.79	25.94

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.88 mL	9.41 mL	18.82 mL
5 mM	0.38 mL	1.88 mL	3.76 mL
10 mM	0.19 mL	0.94 mL	1.88 mL
50 mM	0.04 mL	0.19 mL	0.38 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

- Eil C. Ketoconazole binds to the human androgen receptor. *Horm Metab Res.* 1992 Aug;24(8):367-70. doi: 10.1055/s-2007-1003337. PMID: 1526623.
- Ayub M, Levell MJ. Inhibition of human adrenal steroidogenic enzymes in vitro by imidazole drugs including ketoconazole. *J Steroid Biochem.* 1989 Apr;32(4):515-24. doi: 10.1016/0022-4731(89)90384-1. PMID: 2724954.

### In vivo study

- Doheny D, Manore S, Sirkisoon SR, Zhu D, Aguayo NR, Harrison A, Najjar M, Anguelov M, Cox AO, Furdul CM, Watabe K, Hollis T, Thomas A, Strowd R, Lo HW. An FDA-Approved Antifungal, Ketoconazole, and Its Novel Derivative Suppress tGLI1-Mediated Breast Cancer Brain Metastasis by Inhibiting the DNA-Binding Activity of Brain Metastasis-Promoting Transcription Factor tGLI1. *Cancers (Basel).* 2022 Aug 31;14(17):4256. doi: 10.3390/cancers14174256. PMID: 36077791; PMCID: PMC9454738.

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2. Muindi JR, Yu WD, Ma Y, Engler KL, Kong RX, Trump DL, Johnson CS. CYP24A1 inhibition enhances the antitumor activity of calcitriol. *Endocrinology*. 2010 Sep;151(9):4301-12. doi: 10.1210/en.2009-1156. Epub 2010 Jun 30. PMID: 20591973; PMCID: PMC2940491.

## 7. Bioactivity

Biological target:

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Ketoconazole (R-41400) is an imidazole anti-fungal agent, a CYP3A4 and CYP24A1 inhibitor.

### In vitro activity

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Additional binding studies performed with ketoconazole in the presence of increasing amounts of [3H]R1881 showed that the interaction of ketoconazole with AR was competitive when the data were analyzed by the Scatchard method.

Reference: *Horm Metab Res*. 1992 Aug;24(8):367-70. <https://pubmed.ncbi.nlm.nih.gov/1526623/>

### In vivo activity

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KTZ (ketoconazole) effectively inhibited time-dependent calcitriol-inducible CYP24A1 protein expression and enzyme activity in PC3 cells and C3H/HeJ mouse kidney tissues. Systemic calcitriol exposure area under the curve was higher in mice treated with a combination of calcitriol and KTZ than with calcitriol alone.

Reference: *Endocrinology*. 2010 Sep;151(9):4301-12. <https://pubmed.ncbi.nlm.nih.gov/20591973/>

*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.*