

PRODUCT INFORMATION

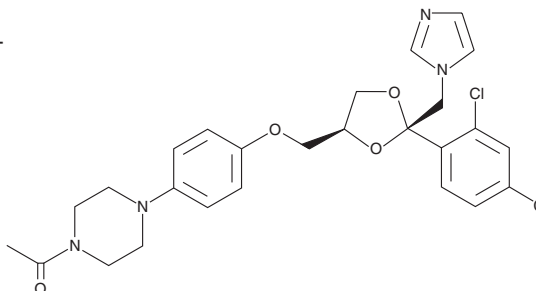


Ketoconazole

Item No. 15212

CAS Registry No.: 65277-42-1
Formal Name: 1-[4-[4-[[[2R,4S)-2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]-ethanone

Synonym: R 41400
MF: C₂₆H₂₈Cl₂N₄O₄
FW: 531.4
Purity: ≥98%
UV/Vis.: λ_{max}: 244, 297 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ketoconazole is supplied as a crystalline solid. A stock solution may be made by dissolving the ketoconazole in the solvent of choice, which should be purged with an inert gas. Ketoconazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ketoconazole in these solvents is approximately 1, 2, and 5 mg/ml, respectively.

Ketoconazole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ketoconazole should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ketoconazole has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ketoconazole is a broad-spectrum triazole antifungal agent that has activity against *C. albicans*, *C. krusei*, *C. tropicalis*, *C. glabrata*, *C. parapsilosis*, *C. neoformans*, and *A. fumigatus* strains (IC₅₀s = 0.031-8 µg/ml).¹ It inhibits the fungal cytochrome P450 (CYP) isoform CYP51, also known as lanosterol 14α-demethylase, which arrests ergosterol (Item No. 19850) biosynthesis at the fungal membrane. Ketoconazole also inhibits human CYP3A4 (IC₅₀ = 0.54 µM). Formulations containing ketoconazole have been used in the treatment of fungal infections.

Reference

1. Dilmaghanian, S., Gerber, J.G., Filler, D.G., et al. Enantioselectivity of inhibition of cytochrome P450 3A4 (CYP3A4) by ketoconazole: Testosterone and methadone as substrates. *Chirality* **16**(2), 79-85 (2004).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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