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: C_{26}H_{28}Cl_{2}N_{4}O_{4}
FW: 531.4
Purity: ≥98%
UV/Vis.: \lambda_{max}^\text{nm}: 244, 297 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 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. Ketoconazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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 (IC_{50} = 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 (IC_{50} = 0.54 µM). Formulations containing ketoconazole have been used in the treatment of fungal infections.

Reference