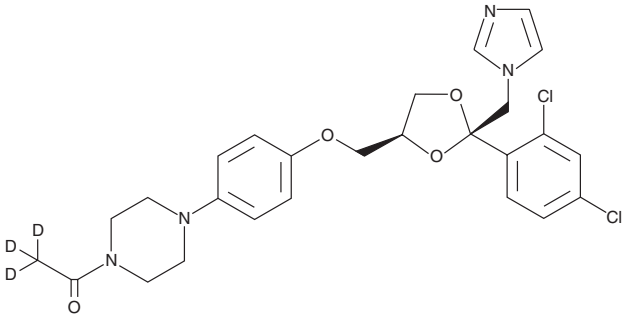
PRODUCT INFORMATION

Ketoconazole-d_3

Item No. 10010656

CAS Registry No.:	1217766-70-5
Formal Name:	1-(4-(4-(((2R,4S)-2-((1H-imidazol-1-yl)methyl)-2-(2,4-dichlorophenyl)-1,3-dioxolan-4-yl)methoxy)phenyl)piperazin-1-yl)ethan-1-one-2,2,2-d_3
MF:	$C_{26}H_{25}Cl_2D_3N_4O_4$
FW:	534.5
Chemical Purity:	≥98% (Ketoconazole)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_3); ≤1% d_0
Supplied as:	A 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-d_3 is intended for use as an internal standard for the quantification of ketoconazole (Item No. 15212) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Ketoconazole-d_3 is supplied as a solid. A stock solution may be made by dissolving the ketoconazole-d_3 in the solvent of choice, which should be purged with an inert gas. Ketoconazole-d_3 is slightly soluble in chloroform and methanol.

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}s = 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

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).

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