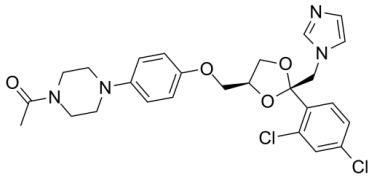
Data Sheet

Product Name:	(+)-Ketoconazole
Cat. No.:	CS-1846
CAS No.:	142128-59-4
Molecular Formula:	C26H28Cl2N4O4
Molecular Weight:	531.43
Target:	Cytochrome P450; Fungal
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Solubility:	DMSO : 33.33 mg/mL (62.72 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

(+)-Ketoconazole ((+)-R 41400) is an imidazole anti-fungal agent, a CYP3A4 inhibitor. Target: CYP3A4 (+)-Ketoconazole, an imidazole anti-fungal agent, has often produced features of androgen deficiency including decreased libido, gynecomastia, impotence, oligospermia, and decreased testosterone levels, in men being treated for chronic mycotic infections [1]. (+)-Ketoconazole also is a cytochrome P450 inhibitor [2]. (+)-Ketoconazole (KTZ), on the antischistosomal potential of these quinolines against Schistosoma mansoni infection by evaluating parasitological, histopathological, and biochemical parameters. Mice were classified into 7 groups: uninfected untreated (I), infected untreated (II), infected treated orally with PZQ (1,000 mg/kg) (III), QN (400 mg/kg) (IV), KTZ (10 mg/kg)+QN as group IV (V), HF (400 mg/kg) (VI), and KTZ (as group V)+HF (as group VI) (VII). KTZ plus QN or HF produced more inhibition (P<0.05) in hepatic CYP450 (85.7% and 83.8%) and CYT b5 (75.5% and 73.5%) activities, respectively, than in groups treated with QN or HF alone. This was accompanied with more reduction in female (89.0% and 79.3%), total worms (81.4% and 70.3%), and eggs burden (hepatic; 83.8%, 66.0% and intestinal; 68%, 64.5%), respectively, and encountering the granulomatous reaction to parasite eggs trapped in the liver [3]. Clinical indications: Candida infection; Dermatophytosis; Folliculitis FDA Approved Date: Toxicity: teratogenesis; liver injuries; adrenal gland problems

References:

[1]. Eil C. Ketoconazole binds to the human androgen receptor. Horm Metab Res. 1992 Aug;24(8):367-70.

[2]. Seif El-Din SH, et al. Effect of ketoconazole, a cytochrome P450 inhibitor, on the efficacy of quinine and halofantrine against Schistosoma mansoni in mice. Korean J Parasitol. 2013 Apr;51(2):165-75.

CAIndexNames:

Ethanone, 1-[4-[4-[[(2R,4S)-2-(2,4-dichlorophenyl)-2-(1H-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]-

SMILES:

ClC(C=C1)=CC(Cl)=C1[C@@]2(CN3C=CN=C3)OC[C@H](COC4=CC=C(N5CCN(C(C)=O)CC5)C=C4)O2

Caution: Product has not been fully validated for medical applications. For research use only.

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