(+)-Ketoconazole

Cat. No.: HY-B0105A

CAS No.: 142128-59-4

Molecular Formula: $C_{26}H_{28}Cl_2N_4O_4$

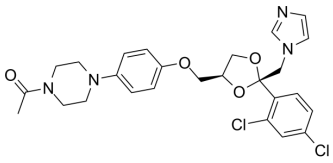
Molecular Weight: 531.43

Target: Fungal; Cytochrome P450

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (62.72 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8817 mL	9.4086 mL	18.8172 mL
	5 mM	0.3763 mL	1.8817 mL	3.7634 mL
	10 mM	0.1882 mL	0.9409 mL	1.8817 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(+)-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.

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