Ketoconazole

Cat. No.: HY-B0105

CAS No.: 65277-42-1

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

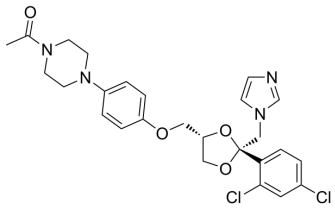
Molecular Weight: 531.43

Target: Fungal; Cytochrome P450; Ras; Bacterial

Pathway: Anti-infection; Metabolic Enzyme/Protease; GPCR/G Protein; MAPK/ERK Pathway

Storage:

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



relative stereochemistry

SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (47.04 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; Need ultrasonic
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 and CYP24A1 inhibitor.

IC_{50} & Target CYP3 | CYP4

In Vitro Ketoconazole (R-41400), 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 (R-41400) also is a cytochrome P450 inhibitor[2].
Ketoconazole (R-41400), 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].
CYP24A1 inhibitor enhances antiproliferative effects, increases systemic calcitriol exposure, and promotes the activation of caspase-independent apoptosis pathway. Ketoconazole is also a potent exosome biogenesis and/or secretion inhibitor[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2024 Feb 2:e2308027.
- Environ Int. 2019 Jun;127:694-703.
- Cell Death Dis. 2023 Jul 6;14(7):402.
- Chemosphere. 2021, 131347.
- Acta Pharmacol Sin. 2021 Feb 11.

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REFERENCES

[1]. 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.

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

[3]. Muindi JR et al. CYP24A1 inhibition enhances the antitumor activity of calcitriol. Endocrinology. 2010 Sep;151(9):4301-12.

[4]. Amrita Datta, et al. High-throughput screening identified selective inhibitors of exosome biogenesis and secretion: A drug repurposing strategy for advanced cancer. Sci Rep. 2018 May 25;8(1):8161.

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