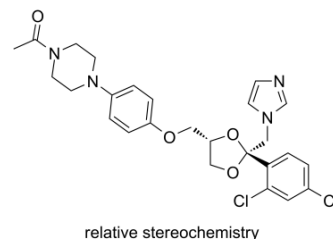


Ketoconazole

Cat. No.:	HY-B0105		
CAS No.:	65277-42-1		
Molecular Formula:	C ₂₆ H ₂₈ Cl ₂ N ₄ O ₄		
Molecular Weight:	531.43		
Target:	Fungal; Cytochrome P450; Ras		
Pathway:	Anti-infection; Metabolic Enzyme/Protease; GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 25 mg/mL (47.04 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent	Mass	1 mg	5 mg	10 mg
		Concentration				
		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	<ol style="list-style-type: none"> 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 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 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.
IC₅₀ & Target	CYP3A4, CYP24A1.
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

- Environ Int. 2019 Jun;127:694-703.
- J Chromatogr B Analyt Technol Biomed Life Sci. 2020 Sep 1;1152:122176.
- Drug Test Anal. 2020 Aug 27.
- Research Square Preprint. 2020 Dec.

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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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA