# Fluconazole

Cat. No.: HY-B0101 CAS No.: 86386-73-4 Molecular Formula:  $C_{13}H_{12}F_{2}N_{6}O$ Molecular Weight: 306.27

Target: Fungal; Antibiotic; Bacterial

Pathway: Anti-infection

Storage: Powder -20°C 3 years

2 years

In solvent -80°C 6 months

> -20°C 1 month

**Product** Data Sheet

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: ≥ 100 mg/mL (326.51 mM)

H<sub>2</sub>O: 2 mg/mL (6.53 mM; Need ultrasonic)

\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.2651 mL	16.3255 mL	32.6509 mL
	5 mM	0.6530 mL	3.2651 mL	6.5302 mL
	10 mM	0.3265 mL	1.6325 mL	3.2651 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 (8.16 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (8.16 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (8.16 mM); Clear solution
- 4. Add each solvent one by one: PBS Solubility: 2 mg/mL (6.53 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

## **BIOLOGICAL ACTIVITY**

Description

Fluconazole (UK-49858) is a triazole antifungal agent with excellent activities against a broad range of fungi, especially against Candida albicans. Fluconazole inhibits C. albicans and Candida kefyr with IC99s range from 0.20 µg/mL to 0.39 µg/mL [1]

### In Vitro

Fluconazole inhibits 4 species of Aspergillus fumigatus with the IC $_{50}$ s of 23.9-43.5 µg/mL. Fluconazole (0.20 µg/mL) inhibits significantly the mycelial-phase growth and germ tube elongation of C. albicans in a medium supplemented with serum<sup>[1]</sup>. Fluconazole is a triazole antifungal agent that has been available for the treatment of infections due to Candida, Cryptococcus. The MIC $_{90}$  is highest for C. krusei (MIC  $\geq$  64 µg/mL) and C. glabrata (MIC, 32 µg/mL) and is  $\leq$ 2 µg/mL for C. albicans (0.5 µg/mL), C. parapsilosis (2 µg/mL), C. tropicalis (2 µg/mL), C. lusitaniae (2 µg/mL), and C. kefyr (0.5 µg/mL)<sup>[2]</sup>. Fluconazole (0.1-50.0 µg/mL) damages the fungal cells and reduces their viability<sup>[3]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay<sup>[3]</sup>

Cell Line:	C.albicans yeast cells (strain ATCC 26310 and strain TW)		
Concentration:	0.1, 0.5, 5.0, 50.0 μg/mL		
Incubation Time:	24 hours		
Result:	The MICs against both strains were 0.5 μg/mL.		

### In Vivo

Fluconazole (0, 0.5, 1, 2.5, 5, 7.5, and 10 mg/kg; administered intraperitoneally (i.p.) as a single dose) results in a 50% reduction in fungal densities (ED50) of 4.87 mg/kg in a murine model of systemic candidiasis<sup>[4]</sup>. ?Fluconazole exhibits terminal elimination half-life of 2.4 h) following i.p. administration. The terminal half-life does not change with the dose of fluconazole administered<sup>[4]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NYLAR mice (weight, 18 to 20 g; infected intravenously with C. albicans blastoconidia) $^{[4]}$
Dosage:	5, 10, 15 and 20 mg/kg (Pharmacokinetic Analysis)
Administration:	Given i.p. as a single dose
Result:	T <sub>1/2</sub> =2.4 h

## **CUSTOMER VALIDATION**

- J Hazard Mater. 2021 Aug 15;416:125764.
- Sci Adv. 2021 May 7;7(19):eabe5171.
- Environ Int. 2019 Jun;127:694-703.
- Transl Res. 2022 Apr 20;S1931-5244(22)00073-1.
- J Agric Food Chem. 2022 Feb 21.

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### **REFERENCES**

[1]. H Yamaguchi, et al. [In vitro activity of fluconazole, a novel bistriazole antifungal agent]. Jpn J Antibiot. 1989 Jan;42(1):1-16.

[2]. M A Pfaller, et al. Interpretive breakpoints for fluconazole and Candida revisited: a blueprint for the future of antifungal susceptibility testing. Clin Microbiol Rev. 2006 Apr;19(2):435-47.

[3]. P G Sohnle, et al. Effect of fluconazole on viability of Candida albicans over extended periods of time. Antimicrob Agents Chemother. 1996 Nov;40(11):2622-5.

4]. A Louie, et al. Pharmacodyn	amics of fluconazole in a muri	ne model of systemic candidia	sis. Antimicrob Agents Chemother. 199	98 May;42(5):1105-9.
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