# **Product** Data Sheet

## Bifonazole

 $\begin{array}{lll} \textbf{Cat. No.:} & \textbf{HY-B0301} \\ \textbf{CAS No.:} & 60628-96-8 \\ \textbf{Molecular Formula:} & \textbf{C}_{22}\textbf{H}_{18}\textbf{N}_{2} \\ \textbf{Molecular Weight:} & 310.39 \\ \end{array}$ 

Target: Fungal; Antibiotic
Pathway: Anti-infection

**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 (107.38 mM; Need ultrasonic)

H<sub>2</sub>O: < 0.1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.2218 mL	16.1088 mL	32.2175 mL
	5 mM	0.6444 mL	3.2218 mL	6.4435 mL
	10 mM	0.3222 mL	1.6109 mL	3.2218 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 (8.05 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (8.05 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (8.05 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description	Bifonazole (Bay H-4502) is an imidazole antifungal agent.	
IC <sub>50</sub> & Target	Antifungal $^{[1]}$ .	
In Vitro	Bifonazole (Bay H-4502), a new broad-spectrum antimycotic, interferes with sterol biosynthesis. In dermatophytes bifonazole additionally inhibits directly HMG-CoA-reductase. bifonazole possesses a sequential mode of action, namely	

inhibition of cytochrome P450-dependent C14-demethylation of sterols and direct inhibition of HMG-CoA-reductase. In vitro Bifonazole (Bay H-4502) shows a strongly pH-dependent efficacy. The uptake kinetics of Bifonazole (Bay H-4502) have been measured with different pathogens<sup>[1]</sup>. Bifonazole (Bay H-4502) additionally leads to a generally decreased rate of sterol biosynthesis as compared to clotrimazole, due to a direct inhibition of microsomal HMG-CoA-reductase. The additional fungicidal effects of Bifonazole (Bay H-4502) are considered to originate from a sequential action by inhibition of HMG-CoA-reductase and of cytochrome P450<sup>[2]</sup>. Bifonazole (Bay H-4502) were affected by choice of medium with Kimmig's agar generally giving the lowest MIC's. Bifonazole MICs were shown to vary with pH (maximal activity at pH 6.5) with selected yeasts when tested on Kimmig's agar<sup>[3]</sup>.

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

#### **REFERENCES**

[1]. Berg, D. and M. Plempel, Bifonazole, a biochemist's view. Dermatologica, 1984. 169 Suppl 1: p. 3-9.

[2]. Berg, D., et al., Bifonazole and clotrimazole. Their mode of action and the possible reason for the fungicidal behaviour of bifonazole. Arzneimittelforschung, 1984. 34(2): p. 139-46.

[3]. Shadomy, S., D.M. Dixon, and R. May, A comparison of bifonazole (BAY H 4502) with clotrimazole in vitro. Sabouraudia, 1982. 20(4): p. 313-23.

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

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