# Terconazole

**Cat. No.:** HY-B1790

**CAS No.:** 67915-31-5

**Molecular Formula:** $C_{26}H_{31}Cl_2N_5O_3$

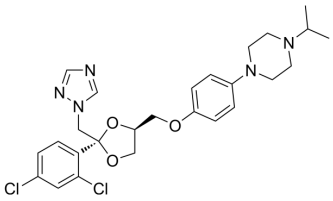
**Molecular Weight:** 532.46

**Target:** Fungal

**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 : ≥ 30 mg/mL (56.34 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Concentration \ Solvent Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.8781 mL | 9.3904 mL | 18.7808 mL |
| 5 mM | 0.3756 mL | 1.8781 mL | 3.7562 mL |
| 10 mM | 0.1878 mL | 0.9390 mL | 1.8781 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: ≥ 2.08 mg/mL (3.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Terconazole is a broad-spectrum antifungal medication for the treatment of vaginal yeast infection.

**In Vitro**

Terconazole inhibits the growth of Candida albicans ATCC 44859 in a concentration-related manner, but with modest effects noted at levels from 0.1 to 10 μM when the yeast is grown on media favoring the cell form. The inhibitory potency of terconazole on yeast cell viability varies with the strain and species of Candida tested. The susceptibility of C. albicans ATCC 44859 to terconazole is markedly enhanced when the yeast is grown on Eagle minimum essential medium, which favors mycelium formation. There is a progression of changes, from loss of mycelia formation at 0.1 μM terconazole through

| | |
|---|---|
| | complete necrosis at 100 μM[1]. Terconazole blocks the morphogenetic transformation from the yeast into the filamentous form at concentrations of 0.008 to 0.05 microgram/mL[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | A 3-day once-daily intravaginal application of terconazole 0.8% is usually sufficient to provide a functional therapeutic period of 7 days because of prolonged high biologically active antifungal levels in the vagina. No side effects are observed at any concentration of terconazole[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Animal Administration** [2] | Rats: The rats are infected intravaginally with C. albicans. They are treated topically twice daily for 3 days, starting 24 hours after infection with a volume of 0.2 mL of various concentrations of terconazole (0.125%, 0.25%, 0.4%, 0.5%, 1%, 2%), miconazole, clotrimazole, econazole, nystatin, or amphotericin B[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Tolman EL, et al. Anticandidal activities of terconazole, a broad-spectrum antimycotic. Antimicrob Agents Chemother. 1986 Jun;29(6):986-91.

[2]. Van Cutsem J, et al. The in vitro activity of terconazole against yeasts: its topical long-acting therapeutic efficacy in experimental vaginal candidiasis in rats. Am J Obstet Gynecol. 1991 Oct;165(4 Pt 2):1200-6.



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