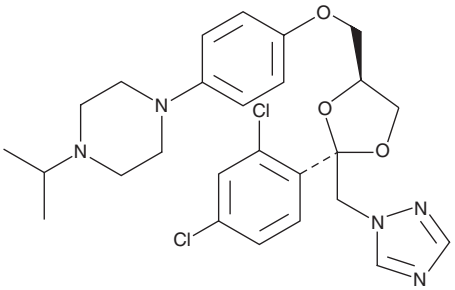
PRODUCT INFORMATION

Terconazole

Item No. 23959

CAS Registry No.: 67915-31-5
Formal Name: 1-[4-[[(2R,4S)-2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-4-(1-methylethyl)-*rel*-piperazine
Synonyms: NSC 331942, R-42470, (±)-Terconazole
MF: $C_{26}H_{31}Cl_2N_5O_3$
FW: 532.5
Purity: ≥98%
UV/Vis.: λ_{max}: 246 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Terconazole is supplied as a crystalline solid. A stock solution may be made by dissolving the terconazole in the solvent of choice. Terconazole is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of terconazole in these solvents is approximately 1, 2, and 5 mg/ml, respectively.

Terconazole is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, terconazole should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Terconazole has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Terconazole is an orally bioavailable broad-spectrum triazole antifungal agent that completely inhibits growth of *T. rubrum*, *M. audouini*, *M. canis*, and *T. verrucosum*, as well as some *C. albicans* and *A. fumigatus* strains and other fungi when used at a concentration of 100 µg/ml.[1] It also has bacteriostatic activity against *E. coli*, *P. aeruginosa*, *S. aureus*, and *S. pyogenes* when used at a concentration of 100 µg/ml. Terconazole eliminates vaginal *C. albicans* candidiasis infection in 97% of rats when administered as a 1% topical ointment and in 50% of rats when orally administered at a dose of 10 mg/kg. It inhibits cytochrome P450 (CYP) isoforms involved in ergosterol biosynthesis, interfering with fungal cell membranes.[2] It decreases synthesis of 14α-desmethyl sterols and increases synthesis of methylated sterols in *C. albicans* (IC_{50} = 3 nM).[3] Formulations containing terconazole have been used in the treatment of candidiasis of the vulva and vagina.

References

1. Van Cutsem, J., Van Gerven, F., Zaman, R., *et al.* Terconazole - a new broad-spectrum antifungal. *Chemotherapy* **29(5)**, 322-331 (1983).
2. Vanden Bossche, H. and Marichal, P. Mode of action of anti-Candida drugs: Focus on terconazole and other ergosterol biosynthesis inhibitors. *Am. J. Obstet. Gynecol.* **165(4 Pt 2)**, 1193-1199 (1991).
3. Isaacson, D.M., Tolman, E.L., Tobia, A.J., *et al.* Selective inhibition of 14α-desmethyl sterol synthesis in *Candida albicans* by terconazole, a new triazole antimycotic. *J. Antimicrob. Chemother.* **21(3)**, 333-343 (1988).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM