Inhibition of Fluconazole In Vitro Antifungal Activity in Formulations Containing Propylene Glycol

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SUMMARY. Inhibition action of propylene glycol (PG) on the antifungal activity of fluconazole has been investigated. PG was used as cosolvent and penetration enhancer in different solutions and topical dosage forms. The interaction was analyzed by comparing with Transcutol P® (TCL), another cosolvent and penetration enhancer. Solubility of the drug was evaluated in aqueous solutions containing PG or TCL and the crystallized drug was studied by both DSC and FTIR. Solutions of the drug in the solvents were studied by FTIR and UV spectroscopy. Antifungal activity was determined for solutions with several concentrations of PG/TCL and in dosage forms with PG 10 %. Candida albicans was used as a model fungus and a procedure with standardized inoculum concentration was used. Results showed lower antifungal activity of fluconazole solutions and topical dosage forms when propylene glycol is included. Although crystallization is faster in PG solutions, solubility proved not to be the cause, but changes in FTIR spectra suggested that different hydrogen bond formation could explain the decrease in activity.

KEY WORDS: Antifungal activity, Fluconazole, Hydrogen bonding, Propylene glycol.

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