Butoconazole nitrate vaginal sponge: Drug release and antifungal efficacy

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Abstract

Terbinafine Hcl (TB) is a poorly water soluble antifungal drug. Topical nanoemulsion based gel containing
TB was prepared with a view to improve its solubility and antifungal activity. In preparation of the
nanoemulsion (NE), excipients were selected based on the solubility study. Peceol was optimized as the
oil phase. Tween 80 and propanol were optimized as the surfactant and co-solvent respectively, and were
mixed (Smix) in different weight ratios (1:1, 1:2, 1:3, 1:4, 4:1, 3:1 and 2:1, respectively). Pseudoternary
phase diagrams were developed and Pecol and Smix were mixed in different weight ratios ranging from
1:9 to 9:1. Based on the NE region of each diagram, the formulae were selected. The formulated nanoemulsions
were characterized and evaluated for in vitro drug release and thermodynamic stability. The
optimum nanoemulsion formulae containing 10 or 15% w/w oil, 45% w/w Smix (1:2/1:3) and 45-40% w/
w aqueous phase) were incorporated into Carbopol 940 gel bases forming three different TB nanoemulsion
based emulgel formulae (F1-F3) which were examined for ex vivo drug permeation and in vivo
antifungal activity compared to the marketed product; Lamisil® emulgel. The results showed that TB skin
permeation from all the prepared nanoemulsion based gel formulae was significantly ($p < 0.05$)
improved in relation to the commercial emulgel. F3 exhibited a superior in vivo antifungal activity over
the marketed emulgel for the treatment of Candida infection.

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