Drug Development and Industrial Pharmacy
Publisher: Taylor & Francis
Issue: Volume 28, Number 3 / 2002
Pages: 297 - 304
URL: Linking Options
DOI: 10.1081/DDC-120002845

Comparison of the Transdermal Absorption of Nimesulide from Three Commercially Available Gel Formulations

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Abstract:
Nimesulide is a non-steroidal anti-inflammatory drug (NSAID) applied topically for a variety of conditions characterized by pain and inflammation. One of the aims of this study was to compare the permeation profile of nimesulide from the commercially available transdermal gel formulations across dermatomed porcine and human skin. The in vitro transdermal absorption of nimesulide formulations across porcine skin and human skin was studied for 24 hr using a continuous flow-through diffusion cell. The three commercial gels used in this study were Nimulid®, Nise?Gel®, and Orthobid®. All gels contained 1% (w/w) nimesulide. An infinite dose of nimesulide gel (about 300 mg) was applied on the skin over 0.636 cm 2 surface area. The rank order for the drug permeation from these formulations using porcine skin was: Nimulid >Orthobid >Nise?Gel. The rank order of the permeation across human skin was: Nimulid>Nise?Gel>Orthobid. The permeation profiles followed zero-order kinetics without any significant lag time. The steady-state flux of nimesulide from Nimulid was significantly higher than that of Nise?Gel and Orthobid in both porcine and human skin ($p < .05$). However, there were no significant differences in the delivery of nimesulide (24 hr) from Nise?Gel and Orthobid across both human and porcine skins. The results suggest that the Nimulid gel may have a greater bioavailability of nimesulide compared to the other gels. In addition, permeation profiles of the various gels across porcine skin did show a positive profile behavior to human skin. However, the in vitro drug release of nimesulide gels across a synthetic membrane did not correlate with skin permeation profiles.
Keywords:
Drug release, Human skin, Nimesulide gels, Porcine skin, Transdermal absorption