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Diclofenac systemic bioavailability of a topical 1% diclofenac + 3% menthol combination gel vs. an oral diclofenac tablet in healthy volunteers: a randomized, open-label, crossover study

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Abstract

Objective: Evaluate systemic exposure with repeated topical application of a fixed-combination topical gel product containing 1% diclofenac sodium and 3% menthol in either of 2 formulation packages relative to oral administration.

Methods: In this phase 1, single-center, 4-way crossover study, healthy volunteers aged 18 - 50 years underwent consecutive 3-day treatment regimens in a randomly assigned sequence with each of 4 treatment groups: 4 g of topical 1% diclofenac + 3% menthol gel administered via an aluminum tube or roll-on device applied 4 times daily; 4 g of topical 1% diclofenac sodium gel (Voltaren Gel) applied 4 times daily; and oral diclofenac sodium tablets 50 mg 3 times daily. Treatment regimens were separated by 2-day washout periods.

Results: A total of 18 subjects enrolled and completed the study. Relative to oral administration, area under the concentration time curve from 48 to 72 hours (AUC_{48-72}) with topical administration of 1% diclofenac + 3% menthol gel from a tube or roll-on device was 16.1% (90% CI: 12.2 - 21.1%) and 14.4% (90% CI: 11.0 - 19.0%), respectively. The diclofenac/menthol combination delivered significantly higher exposures of diclofenac compared with Voltaren Gel. A higher number of adverse events (AEs) occurred with the topical diclofenac/menthol combination (61%) vs. Voltaren Gel (22%) or oral diclofenac (6%); most were local skin reactions. No difference in systemic AEs was observed among the groups.

Conclusion: As expected, systemic exposure was significantly lower with the topical diclofenac/menthol treatment regimens compared with oral diclofenac. Local skin AEs were increased with the topical combination product, but the risk of systemic AEs was low. .

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