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Systemic bioavailability of topical diclofenac sodium gel 1% versus oral diclofenac sodium in healthy volunteers

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Abstract

Systemic bioavailability and pharmacodynamics of topical diclofenac sodium gel 1% were compared with those of oral diclofenac sodium 50-mg tablets. In a randomized, 3-way crossover study, healthy volunteers (n = 40) received three 7-day diclofenac regimens: (A) 16 g gel applied as 4 g to 1 knee 4 times daily (4 g on surface area 400 cm(2)), (B) 48 g gel applied as 4 g per knee 4 times daily to 2 knees plus 2 g gel per hand applied 4 times daily to 2 hands (12 g on 1200 cm(2)), and (C) 150 mg oral diclofenac applied as 50-mg tablets 3 times daily. Thirty-nine participants completed all 3 regimens. Systemic exposure was greater with oral diclofenac (AUC(0-24), 3890 +/- 1710 ng x h/mL) than with topical treatments A (AUC(0-24), 233 +/- 128 ng x h/mL) and B (AUC(0-24), 807 +/- 478 ng x h/mL). Oral diclofenac inhibited platelet aggregation, cyclooxygenase-1 (COX-1), and COX-2. Topical diclofenac did not inhibit platelet aggregation and inhibited COX-1 and COX-2 less than oral diclofenac. Treatment-related adverse events were mild and limited to application site reactions with diclofenac sodium gel 1% (n = 4) and gastrointestinal reactions with oral diclofenac (n = 3). Systemic exposure with diclofenac sodium gel 1% was 5- to 17-fold lower than with oral diclofenac. Systemic effects with topical diclofenac were less pronounced.

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