Pharmacodynamics

1. Overview

The studies in healthy volunteers demonstrated that diacerein exerts gastroprotective effects if administered concomitantly with NSAIDs. This effect is at least comparable with that of misoprostol, a synthetic analogue of prostaglandin E1 (Cournot and Duchier, 1991). The gastric tolerability of diacerein was regarded as good when compared with placebo. Moreover gastric lesions produced by naproxen showed a tendency to heal with diacerein. It is concluded that diacerein may be used in anti-osteoarthritic treatment even when gastric lesions are present (Petrillo et al., 1991).

2. The anti-inflammatory effects of diacerein

The earliest clinical studies with diacerein evaluated the anti-inflammatory properties of the drug (Kay et al., 1980; Neuman, 1980). These studies, which included 51 patients with osteoarthritis and 11 with inflammatory arthritis and evaluated different dosage schemes, may be considered as exploratory since they included small patient populations with non-homogeneous disease severity. However, they did demonstrate that diacerein treatment had a slow onset of activity which occurred after several weeks and improved with time causing marked improvements in symptoms after prolonged treatment (even in cases awaiting hip or knee joint replacement) (Kay et al., 1980).

Objective evidence for the anti-inflammatory effects of diacerein was obtained from an open, comparative, arthroscopic study carried out in 24 patients with Kellgren grade II or III knee osteoarthritis (Kellgren-Lawrence Classification of knee osteoarthritis: Grade I : Unlikely narrowing of the joint space, possible osteophytes; Grade II: Small osteophytes, possible narrowing of the joint; Grade III: Multiple, moderately sized osteophytes,