Anti-inflammatory effects

By inhibiting IL-1, diacerein acts on an earlier stage in the inflammatory cascade than NSAIDs or corticosteroids, down-regulating the key mediators responsible for propagation and exacerbation of inflammation in osteoarthritis. This eventually results in lower amounts of chemical mediators, such as the prostaglandins PGE_2, PGD_2 and PGF_2, known to increase the sensitivity of nociceptors. This leads to a reduction in the pain experienced in osteoarthritis. As diacerein does not affect the arachidonic acid cascade and the synthesis of prostaglandins, it has little potential for causing gastric damage, commonly seen with NSAIDs.

Pro-anabolic effects

Diacerein also has pro-anabolic properties. It has been shown to stimulate production of cartilage growth factors such as transforming growth factors-ß (TGF-ß), even in the presence of IL-1. This stimulates chondrocyte proliferation, consequently increasing synthesis of the components of cartilage, such as collagen and proteoglycan. TGF-ß is an inhibitor of several IL-1-induced catabolic processes as well. In addition TGF-ß increases TIMP expression, thus inhibiting MMP activity. In the longer term, diacerein stimulates the synthesis of cartilage constituents, such as hyaluronan, collagen and proteoglycans, even in the presence of IL-1. This provides the extracellular matrix with the primary components for enhancing extracellular matrix synthesis, leading to cartilage repair.