Tiaprofenic Acid
A Review of its Pharmacological Properties and Therapeutic Efficacy in Rheumatic Diseases and Pain States

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Summary

Synopsis: Tiaprofenic acid is a new non-steroidal anti-inflammatory agent advocated for use in rheumatoid arthritis, osteoarthritis, musculoskeletal disorders, soft-tissue injuries and inflammatory conditions and acute pain of varying origin.

Published data suggest that tiaprofenic acid 600mg daily in 2 or 3 divided doses is comparable in effectiveness with aspirin, diclofenac, ibuprofen, indomethacin, naproxen, piroxicam and sulindac in the treatment of rheumatoid arthritis and osteoarthritis. More controlled clinical trials are necessary to evaluate its potential in rheumatic conditions other than rheumatoid arthritis and osteoarthritis. In controlled studies in patients with acute pain following surgery or trauma, tiaprofenic acid was more effective than placebo and as effective as aspirin and indomethacin. While tiaprofenic acid produced fewer side effects than aspirin in rheumatoid arthritis treatment, and indomethacin in the treatment of osteoarthritis, results have generally shown the short term tolerability of tiaprofenic acid to be similar to that of other non-steroidal anti-inflammatory drugs.

As no one of the non-steroidal anti-inflammatory agents is the most suitable drug for all patients requiring such therapy, tiaprofenic acid should be considered along with other drugs of this type in the therapy of arthritic conditions and of acute postoperative or post-traumatic pain.

Pharmacodynamics: In experimental animals, tiaprofenic acid has been shown to possess anti-inflammatory and analgesic activity similar to that of several other drugs used in the treatment of rheumatic diseases.

Animal studies in rats have shown that tiaprofenic acid requires higher doses than other non-steroidal anti-inflammatory drugs to produce equivalent ulcerogenic effects. Studies in man indicate that tiaprofenic acid produces less faecal blood loss than aspirin and less ulceration, haemorrhages with occult bleeding, and clinically significant gastric hyperaemia and oedema than other non-steroidal anti-inflammatory drugs.

Although tiaprofenic acid causes marked inhibition of platelet aggregation induced by collagen and arachidonic acid in vitro, it does not influence ADP-induced primary platelet aggregation in vitro or in vivo.

Tiaprofenic acid is a potent inhibitor of prostaglandin biosynthesis in vitro and in vivo, due to the inhibition of cyclo-oxygenase. In vitro studies have revealed that although tiaprofenic acid is more active than diclofenac, indomethacin and ibuprofen in inhibiting PGE₂ and PGF₂α synthesis, it is less potent than either diclofenac or indomethacin in inhibiting PGI₂ (prostacyclin) release. Tiaprofenic acid has also been shown to inhibit prostaglandin synthesis in human rheumatoid synovium.

Pharmacokinetics: Peak plasma concentrations of 19 to 26 mg/L after a 200mg dose are usually attained 40 minutes to 2 hours after oral ingestion. Food decreases both the bioavailability and peak plasma concentrations by 10% and increases the time required

1 'Surgam', 'Surgamic', 'Surgamyl' (Roussel Laboratories).