

“NIMESULIDE”: A Dilemma on Use

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Abstract

Nimesulide is a preferential cyclooxygenase II inhibiting non-steroidal anti-inflammatory agent. In India, nimesulide tablets are routinely prescribed for **inflammation, pain and fever** because they have a longer duration of action. It is used in conditions like sports injuries, sinusitis, ENT disorders, dental surgery, bursitis, low backache, postoperative pain and osteoarthritis. It has a multifactorial mode of action and is characterized by a fast onset of action, but due to its toxicity this drug is banned in the country.

Introduction

It is a relative weaker inhibitor of prostaglandin synthesis with relative COX-2 selectivity as compared to the other non-steroidal anti-inflammatory drugs.

Mechanism of Action

The analgesic and anti-inflammatory effect of nimesulide is comparable to non-selective cox inhibitors.

During inflammation, pain or fever, arachidonic acid is converted to Prostaglandins via Cyclooxygenase pathway (COX I and Cox II).

COX I activity is physiological. It is present in all cell types and is involved in tissue hemostasis.

COX II activity is normally absent from the cells but is inducible by bacterial polysaccharides IL2 and TNF in activated leucocytes and other inflammatory cells. It is pathological.

Prostaglandins particularly PGE and PGI produces hyperalgesia.

Pharmacokinetics

Nimesulide is most completely absorbed orally. 99% is plasma bound. Extensively metabolized and excreted mainly in urine.

Plasma half-life (t1/2) is 2-5 hours.

Dosage

Nimesulide is available as 100 mg tablet. It is also available as 50 mg/ 5 ml syrup suspension.

Uses

Nimesulide is used in short lasting painful inflammatory conditions like trauma, sinusitis and other ENT disorders, dental surgery,

bursitis, backache, dysmenorrhea, postoperative pain, osteoarthritis and fever. Side effects of Nimesulide should not be neglected.

- Gastrointestinal : Nausea, loose Stools, Epigastralgia and heart burn.
- Dermatological : Rash and andPruritis.
- Central nervous system : Somnolence and dizziness.
- Nephrotoxicity and Hepatotoxicity.

Hepatotoxicity and Nephrotoxicity are mostly seen in the children.

Nimesulide is contraindicated during pregnancy as it can cause potential complications to the foetus as hepatotoxicity and cardiopulmonary.

Ban on The Drug

The Union Ministry of Health and Family Welfare had finally decided to suspend the pediatric use of the analgesic, Nimesulide suspension. From 10 March 2011 onwards Nimesulide formulations are not indicated for human use in children below 12 years of age.

The European Medicines Agency's Committee for Medicinal Products for Human Use (CHMP) has concluded that the benefits of systemic nimesulide-containing medicines continue to outweigh their risks in the treatment of acute pain and primary dysmenorrhoea.

In accordance with the measure adopted in 2009 regarding the treatment duration no longer than 15 days, the CHMP considered that the use of systemic nimesulide for the treatment of chronic conditions would increase the risk of liver injury.

For this reason, the CHMP recommended that systemic nimesulide should no longer be used for the treatment of osteoarthritis.

Combinations Banned on Drug

On the basis of recommendations of Expert Committee and in exercise of powers conferred by section 26A of the Drugs and Cosmetics Act, 1940, the Central Government prohibits following combinations of Nimesulide with many drugs namely paracetamol, tizanidine, diclofenac, cetirizine, dicyclomine, serratopeptidase and many others.

Conclusion

Nimesulide due to its longer duration of action is a good adjunct drug to counter hyperalgesia and aslo inflammation. It has proved its analgesic efficacy against chronic pain as well as pain occurring during injury. But due to its toxicity, specifically to the hepatic as well as renal system, combinations with other drugs is banned from usage. Children below 12 years are susceptible to toxicity of Nimesulide, hence its use in that age group should be restricted. In older age group various combinations cause significant damage to the vital organs hence it should be used judiciously. Nimesulide although having wide range of uses, its toxicity should not be neglected.

References

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