Description
Nefopam is a centrally acting analgesic with a rapid onset of action. The main site of action appears to be in the central nervous system both at the brain and spinal levels. In vitro experiments have shown nefopam to inhibit the re-uptake of various catecholamines (including noradrenaline, serotonin and dopamine). It is possible that the mechanism of action of nefopam is at least in part by altering the levels of these neuromodulators in the brain and at the spinal level.

Nefopam is totally distinct from the other centrally acting analgesics such as morphine, codeine, pentazocine and propoxyphene. Unlike the narcotic agents, Nefopam has been shown not to cause respiratory depression. There is no evidence from pre-clinical research of habituation occurring with Nefopam.

The absorption of Nefopam after oral administration or intramuscular injection is rapid with peak concentrations being reached in 1½ to 2 hours. The elimination from plasma occurs with a mean half life of 6 hours and 4 hours respectively. The medicine undergoes extensive metabolism by the liver and both unchanged medicine and metabolites are excreted principally in the urine, with approximately 6% in the faeces. Most of the dose is eliminated within 24 hours. A moderate to severe impairment of renal or hepatic function may reduce the elimination rate constant and cause some accumulation of Nefopam or its metabolites.

Indication and usage
Nefopam is most commonly used to treat pain after surgery, dental pain, muscular pain and pain associated with cancer.
Nefopam should not be used to treat the pain from a heart attack.

Dosage & administration
Injection
20 mg (1 ml) intramuscularly/intravenously repeated if necessary every six hours. Onset of effect after intramuscular injection is within 15 to 20 minutes and peak effect is reached one to one-and-a-half hours after administration.
Nefopam Injection should always be given with the patient lying down and after injection the patient should remain lying down for 15 to 20 minutes. The patient should then get up slowly.
Treatment started with Nefopam injection may be continued with Nefopam tablets. Nefopam 60 mg (two tablets) is approximately bioequivalent to 20 mg (one ampoule) given by injection.

Tablet
Adults: The usual starting dose is two tablets taken three times daily. This may be increased up to a maximum of three tablets taken three times a day.
Elderly: Elderly patients may require reduced dosage due to slower metabolism. It is strongly recommended that the starting dose does not exceed one tablet three times daily as the elderly appear more susceptible to, in particular, the CNS side effects of Nefopam and some cases of hallucination and confusion have been reported in this age group.
Children: Nefopam is not recommended for children under the age of 12 years. If you miss a dose, take it as soon as you remember. Then carry on taking the tablets as recommended by your doctor.

**Drug interactions**

The side effects of Nefopam may be additive to those of other agents with anticholinergic or sympathomimetic activity. Nefopam should be used with caution in patients on tricyclic anti-depressants and is contraindicated in patients on MAO inhibitors.

**Contraindication**

Nefopam is contraindicated in patients with a history of convulsive disorders and should not be given to patients taking monoamine oxidase (MAO) inhibitors. Nefopam should not be used in the treatment of myocardial infarction. This advice is based on the lack of clinical experience for this indication.

**Warnings & precautions**

Hepatic and renal insufficiency may interfere with the metabolism and excretion of Nefopam. Nefopam should be used with caution in patients with glaucoma and with or at risk of urinary retention. Caution should be exercised when Nefopam is administered concurrently with tricyclic antidepressants. The side effects of Nefopam may be additive to those of other agents with anticholinergic or sympathomimetic activity. Nefopam may cause adverse sympathomimetic effects including tachycardia and aggravation or precipitation of angina. Caution should be exercised in patients with a history of ischaemic heart disease.

**Side effect**

More common reactions Nausea, nervousness, dry mouth, lightheadedness and urinary retention may occur. Less common reactions Vomiting, blurred vision, drowsiness, sweating, insomnia, headache, confusion, hallucinations, tachycardia and aggravation of angina have been reported. Rarely a temporary harmless pink discolouration of the urine has occurred.

**Overdose**

*Symptoms and Signs*

Nefopam toxicity is manifested by neurological symptoms (convulsions, hallucinations, agitation) and cardiovascular response (tachycardia with hyperdynamic circulation).

*Treatment*

Supportive treatment is suggested including gastric lavage, forced emesis and diuresis. Oral administration of activated charcoal may help prevent absorption. Convulsions and hallucinations may be controlled (e.g. with diazepam iv or pr). Beta-adrenergic blockers may be of use in controlling the cardiovascular complications.

**Use in pregnancy & lactation**

Nefopam is not recommended for pregnant women or those likely to become pregnant unless the expected benefit to the mother outweighs any potential risk to the foetus. Nefopam is excreted in human milk. A decision should be made whether to discontinue nursing or discontinue the medication, taking into account the
potential for adverse effects for the foetus and the importance of treatment to the mother.

**Pharmaceutical precautions**  
Store at a cool & dry place, protected from light & moisture.

**Presentation**  
*Acuten®* Injection: Each ml injection contains Nefopam Hydrochloride INN 20 mg.  
*Acuten®* Tablet: Each film coated tablet contains Nefopam Hydrochloride INN 30 mg.

**Packaging**  
*Acuten®* Injection: Each pack contains 10x1 ampoules in blister pack.  
*Acuten®* Tablet: Each box contains 10x6 tablets in blister pack.

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