1.3 Product Information

1.3.1 Summary of Product Characteristics (SmPC)

1. NAME OF THE MEDICINAL PRODUCT:

1.1 (INVENTED) NAME OF THE MEDICINAL PRODUCT

International Non-Proprietary Name:

Pentazocine Injection BP 30 mg / ml (FORTSHARP)

1.2 STRENGTH

30 mg/ml

1.3 PHARMACEUTICAL FORM

Solution for Injection

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

2.1 QUALITATIVE DECLARATION

Pentazocine Lactate BP

Equivalent to Pentazocine 30 mg

2.2 QUANTITATIVE DECLARATION

Each ml contains:

Pentazocine Lactate BP

Equivalent to Pentazocine 30 mg

Water for Injections BP q.s.

Sodium Chloride BP 2.8 mg

3. PHARMACEUTICAL FORM

Solution for Injection

4. CLINICAL PARTICULARS

4.1 THERAPEUTIC INDICATIONS

Pentazocine Injection is a strong analgesic for the relief of moderate to severe pain.

4.2 POSOLOGY AND METHOD OF ADMINISTRATION

ROUTE: PENTAZOCINE INJECTION can be administered by Subcutaneous/Intramuscular/Intravenous route

METHOD OF ADMINISTRATION:

Adults: PENTAZOCINE may be administered subcutaneously, intramuscularly or intravenously. The usual starting dose is 30mg to 60mg according to the severity. The dose should be adjusted according to response and repeated as necessary every three to four hours. A dose should not normally exceed 1mg/kg body weight SC or IM, or 0.5mg/kg iv.

The maximum daily dose is 360mg.

Children: In the case of patients between 1 year and 12 years, the maximum single dose of parenteral PENTAZOCINE should be calculated on the basis of 1mg/kg body weight intravenously.

PENTAZOCINE is not recommended for use in children under one year.

4.3 CONTRAINDICATIONS

PENTAZOCINE INJECTION should not be administered to patients with established respiratory depression especially in the presence of cyanosis and excessive bronchial secretion and is also contraindicated in the presence of acute alcoholism, head injuries, conditions in which intracranial pressure is raised, acute bronchial asthma, in heart failure secondary to chronic lung disease, and in patients known to be hypersensitive to pentazocine or any excipient.

4.4 SPECIAL WARNINGS AND PRECAUTIONS FOR USE

Particular caution should be observed in administering Pentazocine to patients with porphyria since it may provoke an acute attack in susceptible individuals as well as in its use in patients who are receiving monoamine oxidase inhibitors or who have received them within the preceding 14 days.

Pentazocine can both depress as well as elevate blood pressure possibly through the release of endogenous catecholamines. Particular caution should be observed therefore in using it in the presence of phaeochromocytoma, in the acute phase following mycardial infarction when it may increase pulmonary and systemic arterial pressure and vascular resistance, and in other clinical situations where alteration of vascular resistance and blood pressure might be particularly undesirable.

Caution should be observed in patients with renal or hepatic impairment and in elderly patients, since pentazocine metabolism may be decreased and therefore bioavailability increased. Side effects may be accentuated.

When pentazocine is administered parenterally local effects have been reported at the site of injection.

Caution should be observed in patients who are prone to seizures. Patients taking other opioids or who are opioid-dependent should also be treated cautiously since the weak opioid antagonistic effects of pentazocine may provoke withdrawal symptoms.

Caution should also be observed in patients with hypothyroidism, adrenocortical insufficiency, prostatic hypertrophy, inflammatory or obstructive bowel disorders, cholecystitis, pancreatitis or other unidentified abdominal pain.

In chronic usage, care should be exercised to avoid any unnecessary increase in dosage since prolonged use of high doses of pentazocine may produce dependence. Patients with a history of drug abuse should be closely supervised when receiving pentazocine.

Cases of myositis after long term administration were reported

Dependence Liability: Abrupt discontinuation of pentazocine in patients receiving large parenteral doses over a prolonged period of time may result in withdrawal symptoms which can also occur in the newborn following prolonged in utero exposure to pentazocine. This abstinence syndrome of pentazocine is not typical of opiate dependence. Symptoms include mild abdominal cramps, nausea, vomiting, nervousness or restlessness, dizziness, fever, chills, rhinorrhoea and lacrimation. Managing the abstinence syndrome of pentazocine has raised few problems. Supprotive therapy with tranquillisers may sometimes be required. If problems occur, treatment with pentazocine should be reinstated followed by a slower rate of withdrawal. It should be emphasised that the majority of patients reported to have become dependent on pentazocine had previously been dependent on opiates or had misused other drugs.

If used in myocardial infarction a small intravenous dose of pentazocine is preferable as a larger (ie, 60mg) dose may cause a rise in pulmonary artery pressure.

4.5 INTERACTION WITH OTHER MEDICINAL PRODUCTS AND OTHER FORMS OF INTERACTION

Monoamine oxidase inhibitors may enhance the opioid effects of pentazocine and the agents may interact through their respective effects on catecholamine breakdown and release. Agents with sedative action including phenothiazines, tricyclic antidepressants and ethyl alcohol can enhance the central depressant effects of pentazocine, which are opposed by respiratory stimulants such as doxapram. Tobacco smoking appears to enhance the metabolic clearance rate of pentazocine reducing the clinical effectiveness of a standard dose.

Pentazocine can antagonise the effects of stronger opioid agonists such as diamorphine (heroin), and morphine and is itself antagonised by naloxone.

Because pentazocine has narcotic antagonist activity, it may provoke withdrawal symptoms if given to narcotic addicts, and it should be given with caution to patients recently being treated with large doses of narcotics.

4.6 PREGNANCY AND LACTATION

Pregnancy: There is no epidemiological evidence for the safety of pentazocine in human pregnancy (other than during labour), but it has been widely used for many years without apparent ill consequences. In rodents, harmful effects in the foetus have been observed but only at doses high enough to cause maternal toxicity. Pentazocine can rapidly cross the placental barrier and enter the foetal circulation and has the potential to cause opioid effects including central depression and abstinence syndrome in the foetus and newborn infant. It does not appear to have significant adverse effects on uterine function at parturition. Nonetheless, careful consideration should be given to the use of pentazocine during pregnancy, particularly during the first trimester, or at term. Special attention should be paid to clinical monitoring of the newborn, particularly premature infants, if pentazocine has been used during labour.

Lactation: Pentazocine is excreted in very small amounts in breast milk. Caution should therefore be observed in administering pentazocine to breast-feeding mothers, particularly of infants at risk.

4.7 EFFECTS ON ABILITY TO DRIVE AND USE MACHINES

No data available.

4.8 UNDESIRABLE EFFECTS

At normal therapeutic doses side effects are generally of a minor nature. The most frequent side effects are lightheadedness, dizziness, nausea and vomiting, sedation and sweating. The following side effects have also been reported.

Cardiovascular: transient hypertension, tachycardia, hypotension, circulatory depression.

Central and peripheral nervous system: hallucinations, disturbances of vision, headache, disorientation, mood changes, nightmares, insomnia, paraesthesia, syncope, euphoria, grand mal convulsions, raised intracranial pressure, confusion, tremor.

Dermatologic/Allergic: soft tissue induration, nodules, cutaneous depression at injection sites, ulceration (sloughing) and severe sclerosis of the skin and subcutaneous tissues (and, rarely, underlying muscle), sting on injection. Allergic reactions sometimes severe have been reported including oedema of the face or anaphylactic shock, flushed skin including facial plethora, dermatitis including pruritus, toxic epidermal necrolysis, erythema multiforme.

Gastrointestional: constipation, dry mouth, biliary tract spasm, abdominal pain.

Haematologic: depression of white blood cell count, especially granulocytes, which is usually reversible, moderate transient eosinophilia.

Ophthalmic: miosis.

Respiratory: respiratory depression.

Other: urinary retention, muscle tremor, chills, alterations in rate or strength of uterine contractions during labour.

4.9 OVERDOSE

The symptoms and clinical signs of pentazocine overdose will resemble those of morphine and other opioids. They may therefore include somnolence, respiratory depression, hypotension, hypertension, tachycardia, hallucinations, or seizures. Circulatory failure and deepening coma may occur in more severe cases, particularly in patients who have also ingested other CNS depressants such as alcohol, sedatives / hypnotics, or antihistamines. Adequate measures to maintain ventilation and general

circulatory support should be employed. Gastric lavage and gastric aspiration should be considered where appropriate.

For respiratory depression due to overdosage or unusual sensitivity to pentazocine, parenteral naloxone is a specific and effective antagonist. Initial doses of 0.4 to 2.0mg of naloxone are recommended, repeated at 2-3 minute intervals if needed, up to a total of 10mg. Anti-convulsant therapy may be necessary.

5. PHARMACOLOGICAL PROPERTIES

5.1 PHARMACODYNAMIC PROPERTIES

Pentazocine has analgesic and sedative actions resembling morphine. The opioid effects appear to be dose related.

Pentazocine given orally or rectally is approximately one third as potent as when given intramuscularly. Speed of onset of analgesia is quickest with intramuscular administration. Onset is sooner with rectal than oral administration.

Following parenteral administration analgesia usually begins 2 to 3 minutes after intravenous injection or 15 to 20 minutes after intramuscular injection and lasts about 3 hours. After oral administration analgesia usually begins after 15 to 30 minutes and lasts about 3 hours. Following rectal administration analgesia usually lasts about 5 hours.

Pentazocine is also a weak opioid antagonist, which produces incomplete reversal of the cardiovascular, respiratory and behavioral depression produced by stronger opioids.

5.2 PHARMACOKINETIC PROPERTIES

Pentazocine is well-absorbed from the gastrointestinal tract. Peak plasma values occur between 1 and 3 hours after oral administration. Plasma half-life is 2 to 3 hours. Peak plasma values occur about 2.5 hours after rectal administration. Plasma half-life is 2 to 5 hours. Pentazocine is well-absorbed following parenteral administration. Peak plasma values occur between 15 minutes and 1 hour after intramuscular administration. Plasma half-life is 2 to 5 hours.

The volume of distribution is about 200 litres. Pentazocine crosses the placenta and appears in the cerebrospinal fluid in concentrations reaching 30 to 50% of those in plasma. It is taken up to some extent by the red blood cells. Fifty to 75% is protein bound.

Pentazocine is extensively metabolised in the liver. Up to 30% is excreted as glucuronide

metabolites in the urine. Up to 13% of unchanged drug may also appear in the urine. Less than 2% of the dose is eliminated in the faeces unchanged in 48 hours.

Pentazocine is excreted in breast milk.

6. PHARMACEUTICAL PARTICULARS

6.1 INCOMPATIBILITIES

None

6.2 SHELF LIFE

36 Months

6.3 SPECIAL PRECAUTIONS FOR STORAGE

Store below 30ºC. Protect from light.

KEEP OUT OF REACH OF CHILDREN

6.4 NATURE AND CONTENTS OF CONTAINER

1 ml amber glass ampoule

6.5 SPECIAL PRECAUTIONS FOR DISPOSAL

Not Applicable

7. Name of Applicant

SHAREGIVING SUN HEALTH-CARE LTD,
OLADELE STREET,OFF AUSTINE OBASIKE,
OPP. LASPOTEC, IKORODU.
IKORODU LAGOS

8. Name of Manufacturer

SWISS PARENTERALS LTD,
808,809 & 810 Kerala Industrial Estate,
Nr. Bavla, Dist. Ahmedabad - 382 220 Gujarat,
(INDIA).