1. Name of the medicinal Product

1.1 Name of the medicinal Product

Pentazocine Injection BP 30 mg/ml

1.2 Strength

Each ml contains:

Pentazocine Lactate BP

Eq. to Pentazocine 30 mg

Water For Injections BP Q.S.

2. Qualitative and Quantitative Composition

2.1 Qualitative declaration

Pentazocine BP

2.2 Quantitative declaration

Sr. No.	Ingredients	Specifications	Standard Quantity/ (mg/vial)	Reason for Inclusion
01	Pentazocine Lactate Eq. to Pentazocine (A)	BP	30.00	Opioid analgesic
02	Sodium Chloride (Inj. Grade)	BP	2.840	Tonicity agent
03	Lactic Acid (Inj.)	BP	0.013 ml	Acidifying agent
04	Sodium Acetate (Inj.) (AR Grade)	BP	1.000	Preservative
05	Disodium Edetate	BP	0.050	Chelating agent
06	Water For Injections	BP	Q.S. up to 1 ml	Solvent

Note:

(A)=Quantity of active ingredient is to be calculated on the basis of 100% potency and on anhydrous basis.

3. Pharmaceutical Form

Solution for Injection.

A clear colourless solution filled in ampoule.

4. Clinical Particulars

4.1 Therapeutic Indications

For the relief of moderate to severe pain, pre-operative or post-operative medication, as a supplement to surgical anaesthesia.

4.2 Posology and Method of Administration

If frequent daily injections are needed over long periods, the intramuscular route is preferable to the subcutaneous. To reduce the risk of local tissue damage, injection sites should be systematically varied.

Adults and children 12 to 16 years:

45 to 60 mg IM or SC or 30 mg IV every three to four hours if required. Dosages in excess of 60 mg IM or SC or 30 mg IV are not recommended. Not more than 360 mg per day should be given.

Children 1 – 12 years:

Clinical experience with parenteral pentazocine for paediatric use has been limited mainly to single dose administration for anaesthetic premedication or supplementation and postoperative analgesia for less than one week.

Single doses should not exceed 1 mg/kg body weight subcutaneously or intramuscularly or 0.5 mg/kg body weight intravenously. Where repeat doses are needed these should be given at intervals of 6 hours or longer.

Mild (non-narcotic) analgesia may be used concurrently with Pentazocine Injection.

Elderly: As impaired renal or hepatic function is often associated with aging and thus bioavailability increased, elderly patients may require smaller and/or less frequent doses of Pentazocine.

4.3 Contraindications

Children under three years of age: Since clinical experience in children is limited, administration to children is not recommended.

Pentazocine is contra-indicated in respiratory depression, especially in the presence of cyanosis and excessive bronchial secretions. It is also contra-indicated in acute alcoholism, after biliary operations, in heart failure due to chronic lung disease.

Pentazocine should be given with caution to patients prone to seizures. In cases of liver disease or cirrhosis there is an enhanced availability and the dose should be decreased. May precipitate withdrawal symptoms in patients who have recently used narcotic analgesics.

Pentazocine should be used with care in patients with increased intracranial pressure and/or head injuries, or in patients with porphyria.

4.4 Special Warnings and Special Precautions for Use

Pentazocine may cause physical and psychological dependence. Patients with a history of dependence should be closely supervised. Withdrawal symptoms may occur, even in newborns after prolonged administration during pregnancy.

Because of the possibility of incompatibility it should not be mixed with diazepam, aminophyllin, chlordiazepoxide or soluble barbiturates.

Pentazocine should be used with caution in shock, in reduced doses in elderly and debilitated patients, in hypothyroidism, adrenocortical insufficiency, impaired liver function and prostatic hypertrophy.

4.5 Interaction with other medicinal products and other forms of interaction

Pentazocine Injection may potentiate the effects of other CNS depressants including phenothiazines, tricyclic antidepressants and alcohol.

Concomitant use of monoamine oxidase inhibitors (MAOIs) with pentazocine may cause CNS excitation and hypertension through their respective effects on catecholamines. Caution, should therefore, be observed in administering Pentazocine Injection to patients who are currently receiving MAOIs or who have received them in the preceding 14 days.

Tobacco smoking tends to enhance the metabolic clearance rate of pentazocine reducing the clinical effectiveness of a standard dose of Pentazocine.

As pentazocine is a weak narcotic antagonist, it may antagonise the effects of stronger opioid agonists such as morphine and heroin. It is itself antagonised by naloxone.

4.6 Fertility, Pregnancy and Lactation

Not Applicable.

4.7 Effects on ability to Drive and use Machines

No studies on the effects on the ability to drive and use machines have been performed.

4.8 Undesirable Effects:

The most common side-effects are sedation followed by sweating, dizziness and lightheadedness.

Nausea, vomiting, dry mouth, constipation, headache, flushing of the skin, disorientation, raised intracranial pressure, transient hypertension, mood changes, nightmares, anxiety, weird thoughts, hallucinations, paraesthesia, pruritus, biliary tract spasm and urinary retention have also been reported at doses above 60 mg.

Marked respiratory depression with increased blood pressure and tachycardia may occur.

Other side effects are changed uterine contractions, insomnia, vision disturbances, transient eosinophilia, chills and allergic reactions. Injection site should be varied as multiple doses may cause extensive fibrosis of subcutaneous and muscular tissue. Large intravenous doses may cause grand mal convulsions.

4.9 Overdose

Respiratory depression is antagonized by naloxone 400 mg given I.V, I.M. or S C. and repeated at intervals of 2 to 3 minutes if necessary. Assisted respiration may be necessary.

Other treatment should be symptomatic and supportive.

5. Pharmacological Properties

5.1 Pharmacodynamics Properties

Opioid Analgesics

The major effect of Pentazocine is exerted on the CNS and smooth muscle. The CNS effects correspond to those of the opioids, namely analgesia, sedation and respiratory depression. The agonistic effects of Pentazocine are presumably exerted at the k and d receptors. Pentazocine also demonstrates a weak opioid antagonistic activity. Pentazocine unlike other morphine· like opioids, causes an increase in blood pressure and heart rate, in high doses. In patients with coronary artery disease (intravenously administered) Pentazocine elevates the mean aortic pressure, left ventricular enddiastolic and mean pulmonary artery pressures, resulting in an increase in cardiac work. This is probably because of the rise in catecholamine concentrations in

the plasma. Low doses of Pentazocine have the same effects on the gastro-intestinal tract as t0068ose of the opioids, with less elevation of biliary pressure than equianalgesic doses of morphine.

5.2 Pharmacokinetic Properties

Pentazocine is well absorbed from the gastrointestinal tract, subcutaneous and intramuscular sites. Peak values after intramuscular administration are reached after 15 to 60 minutes and the plasma half-life is 2 to 3 hours. Extensive metabolism in the liver and the individual variation in metabolism could account for variability of analgesic response.

5.3 Preclinical Safety Data

Not applicable.

6. Pharmaceutical Particulars

6.1 List of Excipients

Sodium Chloride (Inj. Grade) BP/USP
Lactic Acid (Inj.) BP
Sodium Acetate (Inj.) (AR Grade) IH
Disodium Edetate BP (Inj.)
Water For Injections BP

6.2 Incompatibilities

None.

6.3 Shelf Life

36 months

6.4 Special Precautions for Storage

Store below 30°C. Protect from light.

6.5 **Nature and Contents of Container**

A clear colourless solution filled in 1 ml ampoule. 1 ml glass ampoule with white auto cut ring, such 10 ampoules are packed in blister pack using "LPL" logo printed paper foil with packing insert.

6.6 Special precaution for disposal and other handling

Any unused product or waste material should be disposed of in accordance with local requirements.

7. Registrant (Marketing Authorization Holder and Manufacturing Site Addresses)

7.1 Name and Address of Marketing Authorization Holder

ZOLON HEALTHCARE LTD.

37 OSOLO WAY, AJAO ESTATE,

ISOLO, LAGOS,

NIGERIA.

E-mail: info@zolonhealthcare.com

7.2 Name and Address of manufacturing site(s)

LINCOLN PARENTERAL LTD.

11, Trimul Estate, Khatraj, Tal. Kalol,

District: Gandhinagar Gujarat, India.

Telephone no.: +91-79-41078096

Fax: +91-79-41078062

Email: hiren@lincolnpharma.com

Website: www.lincolnpharma.com

7.3 Marketing Authorization Number

To be included after obtaining first registration.

7.4 Date of First <Registration> / Renewal of The <Registration>

It will be applicable after registration of this product.

8. Date of Revision of the Text

January, 2021

9. Dosimetry (If Applicable)

Not Applicable

10. Instructions for preparation of radiopharmaceuticals (if Applicable)

Not Applicable