## 1. NAME OF THE MEDICINAL PRODUCT

PENTAZOCINE INJECTION BP

**BRAND NAME:** BANAZINE

## 2. QUALITATIVE AND QUANTITATIVECOMPOSITION

Pentazocine lactate BP…….30 mg

Each ml contains:
Pentazocine Lactate BP……30 mg
Benzyl alcohol USP…….1.5% V/V
(As Preservative)
Water for injection BP……..Q.S

## 3. PHARMACEUTICAL FORM

IM/IV/Subcutaneous Injection

## 4. Clinical particulars

### 4.1 Therapeutic indications

For the relief of moderate to severe pain.

### 4.2 Posology and method of administration

**Usual Adult Dose for Anesthesia**

Initial dose: 30 mg IM/IV/subcutaneously; may repeat dose every 3 to 4 hours

Maximum single doses: 30 mg (IV); 60 mg (IM or subcutaneously)

Maximum daily dose: 360 mg

Comments

Doses should be initiated individually according to the severity of the pain, patient response, prior analgesic treatment experience, risk factors for addiction, abuse, and misuse.

When frequent injections are needed, the IM route is preferred; the subcutaneous route should only be used when necessary due to possibility of severe tissue damage at injection site.

Monitor closely for respiratory depression especially on initiation and with each dose increase.

Because of the risks of addiction, abuse, and misuse, even at recommended doses, this drug should be reserved for use in patients for whom alternative treatment options have not or are not expected to be tolerated or have not or are not expected to provide adequate analgesia.

Uses:
For the management of pain severe enough to require an opioid analgesic and for which

alternative treatments are inadequate.

**Usual Adult Dose for Pain**

Initial dose: 30 mg IM/IV/subcutaneously; may repeat dose every 3 to 4 hours

Maximum single doses: 30 mg (IV); 60 mg (IM or subcutaneously)

Maximum daily dose: 360 mg

Comments:

Doses should be initiated individually according to the severity of the pain, patient response, prior analgesic treatment experience, risk factors for addiction, abuse, and misuse.

When frequent injections are needed, the IM route is preferred; the subcutaneous route should only be used when necessary due to possibility of severe tissue damage at injection site.

Monitor closely for respiratory depression especially on initiation and with each dose increase.

Because of the risks of addiction, abuse, and misuse, even at recommended doses, this drug should be reserved for use in patients for whom alternative treatment options have not or are not expected to be tolerated or have not or are not expected to provide adequate analgesia.

Uses:
For the management of pain severe enough to require an opioid analgesic and for which alternative treatments are inadequate.

For preoperative or preanesthetic medication and as a supplement to surgical anesthesia.

**Usual Adult Dose for Labor Pain**
Initial dose: 30 mg IM or 20 mg IV
Two or three additional 20 mg IV doses may be given at 2 to 3-hour intervals as needed when contractions become regular

**Comments**:
The subcutaneous route is not recommended due to possibility of severe tissue damage at injection site.

Use: Labor pain.

**Usual Pediatric Dose for Anesthesia**
Initial dose: 0.5 mg/kg IM once

Use: Premedication for sedation.

Usual Pediatric Dose for Pain

**Age: 16 years or older:**
Initial dose: 30 mg IM/IV/subcutaneously; may repeat dose every 3 to 4 hours
Maximum single doses: 30 mg (IV); 60 mg (IM or subcutaneously)

Maximum daily dose: 360 mg

**Comments**:
Doses should be initiated individually according to the severity of the pain, patient response, prior analgesic treatment experience, risk factors for addiction, abuse, and misuse.
When frequent injections are needed, the IM route is preferred; the subcutaneous route should only be used when necessary due to possibility of severe tissue damage at injection site.
Monitor closely for respiratory depression especially on initiation and with each dose increase.
Because of the risks of addiction, abuse, and misuse, even at recommended doses, this drug should be reserved for use in patients for whom alternative treatment options have not or are not expected to be tolerated or have not or are not expected to provide adequate analgesia.

Uses:
For the management of pain severe enough to require an opioid analgesic and for which alternative treatments are inadequate.

**Dose Adjustments**
Elderly: Generally, start at the low end of the dosing range; titrate slowly and monitor closely for signs of central nervous system and respiratory depression; may be useful to monitor renal function.

Elderly, cachectic, or debilitated: Consider nonopioid analgesic agents; if used, follow guidance for elderly patients.

Method of administration
IM/IV/subcutaneously

## 4.3 Contraindications

- Hypersensitivity to the active substance or to any of the excipients listed in section 6.1
- Pentazocine should not be administered to patients with established respiratory depression, especially in the presence of cyanosis and excessive bronchial secretion
- Acute alcoholism
- Acute bronchial asthma
- Heart failure, secondary to chronic lung disease
- Porphyria
- Raised intracranial pressure, head injuries or pathological brain conditions where clouding of the sensorium is undesirable.

## 4.4 Special warnings and precautions for use

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 myocardial 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 exercised when administering high doses of pentazocine to patients who have suffered a recent myocardial infarction due to increases in heart rate and blood pressure.

Pentazocine should be given with caution to patients with severely impaired renal or hepatic function and in. elderly patients, who may additionally be especially sensitive to the effects of opioids, as both conditions may lead to an increase in bioavailability of pentazocine and call for a reduction in dosage.

Administer with caution to patients previously on large doses of narcotics.

Caution should also be observed in patients who are prone to seizures and in the presence of other opioids or opioid-dependence 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 and in patients with inflammatory or obstructive bowel disorders, cholecystitis, pancreatitis or other unidentified abdominal pain

When pentazocine is prescribed for chronic use, the physician should take precautions to avoid any unnecessary increase in dose by the patient since prolonged use of high doses of pentazocine may produce a dependence.

Patients with a history of drug abuse should be closely supervised when receiving pentazocine.

Risk from concomitant use of sedative medicines such as benzodiazepines or related drugs:

Concomitant use of Pentazocine and sedative medicines such as benzodiazepines or related drugs may result in sedation, respiratory depression, coma and death. Because of these risks, concomitant prescribing with these sedative medicines should be reserved for patients for whom alternative treatment options are not possible. If a decision is made to prescribe Pentazocine concomitantly with sedative medicines, the lowest effective dose should be used, and the duration of treatment should be as short as possible.

The patients should be followed closely for signs and symptoms of respiratory depression and sedation. In this respect, it is strongly recommended to inform patients and their caregivers to be aware of these symptoms.

Some opioids can cause CNS excitation or depression. Pentazocine, like most other strong analgesics, should not be used in patients who are receiving monoamine oxidase inhibitors or who have received them within the past 14 days. Opioids can be taken after two weeks of MAOI's discontinuation

After long term treatment (> 3 months) with analgesics with use every second day or more frequently, headache may develop or aggravate. Headache caused by overuse of analgesics (MOH-medication-overuse headache) should not be treated by increasing the dose. In such cases the use of analgesics should be discontinued in consultation with a doctor.

## 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. Pentazocine should not be used in patients who are receiving monoamine oxidase

inhibitors or who have received them within the past 14 days.

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 of pentazocine.

Pentazocine can antagonise the effects of stronger opioid agonists such as diamorphine (heroin) and morphine and may provoke withdrawal symptoms if given to narcotic addicts. It is itself antagonised by naloxone.

Sedative medicines such as benzodiazepines or related drugs:

The concomitant use of opioids with sedative medicines such as benzodiazepines or related drugs increases the risk of sedation, respiratory depression, coma and death because of additive CNS depressant effect. The dose and duration of concomitant use should be limited

## 4.6 Pregnancy and Lactation

Pregnancy

There is no epidemiological evidence for the safety of pentazocine in human pregnancy but it has been widely used for many years without apparent ill consequences. Doses which produce maternal toxicity in rats have caused harmful effects in the foetus. 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.

Breast-feeding

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.

It is recommended that infants of nursing mothers who are receiving high doses of pentazocine be appropriately monitored.

## 4.7 Effects on ability to drive and use machines

Pentazocine may produce sedation, dizziness and occasionally euphoria, patients should be warned against the performance of potentially hazardous tasks such as driving a car or operating machinery. Alcohol may potentiate the sedative effect.

This medicine can impair cognitive function and can affect a patient's ability to drive safely. This class of medicine is in the list of drugs included in regulations under 5a of the Road Traffic Act 1988. When prescribing this medicine, patients should be told:

- The medicine is likely to affect your ability to drive
- Do not drive until you know how the medicine affects you
- It is an offence to drive while under the influence of this medicine
- However, you would not be committing an offence (called 'statutory defence') if:
- The medicine has been prescribed to treat a medical or dental problem and
- You have taken it according to the instructions given by the prescriber and in the information provided with the medicine and
- It was not affecting your ability to drive safely

**4.8 Undesirable effects**

In chronic usage, care should be exercised to avoid any unnecessary increase in dosage since prolonged use of high dosage of pentazocine may produce dependence.

At therapeutic doses, side-effects are generally of a minor nature. Sedation and drowsiness, the most common side effect, is less than that associated with morphine. The most frequent side effects are light-headedness, dizziness, sedation, nausea, vomiting and sweating. The following side effects have also been reported:

Cardiovascular disorders: transient hypertension, tachycardia, bradycardia, hypotension, circulatory depression, palpitations.

Nervous system disorders: hallucinations may occur occasionally, dysphoria, headache, disorientation mood changes, nightmares, insomnia, paraesthesia, syncope, euphoria, grand mal convulsions, raised intracranial pressure, confusion, muscle tremor, thought disturbances.

Immune system disorders: oedema of the face, flushing of the skin including facial plethora, skin rashes, urticaria, dermatitis including pruritus, chills and allergic reactions.

Gastrointestinal disorders: dry mouth, constipation, ureteric or biliary tract spasm, abdominal pain.

Blood and lymphatic system disorders: moderate transient eosinophilia,, depression of white blood cell count, especially granulocytes, which is usually reversible.

Eye disorders: miosis, disturbances of vision.

Respiratory, thoracic and mediastinal disorders: respiratory depression.

Skin and subcutaneous system disorders: toxic epidermal necrolysis.

Renal and urinary disorders: urinary retention, ureteric tract spasm.

Pregnancy, puerperium and perinatal conditions: alterations in rate or strength uterine contractions during labour.

Reproductive system and breast disorders: decreased libido or potency.

General disorders and administration site conditions: hypothermia

**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 as may convulsions, 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 and consideration given to gastric lavage and gastric aspiration.
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 0.2 mg of naloxone are recommended, repeated at 2-3 minute intervals if needed, up to a total of 10 mg. Anti-convulsant therapy may be necessary

## 5. PHARMACOLOGICAL PROPERTIES

### 5.1 Pharmacodynamics properties

Pharmacotherapeutic group: Analgesics, ATC code: NO2AD01

Pentazocine hydrochloride is an analgesic with actions and uses similar to those of morphine. Pentazocine has both agonist and antagonist action at opioid receptors. Pentazocine interrupts nociceptive input in the spinal cord. These analgesic effects are probably due to agonist actions at κ-receptors. Pentazocine is a weak antagonist at μ opioid receptors with about one fiftieth the potency of nalorphine.

Prolonged use of high doses of pentazocine may produce dependence. It is subject to abuse

### 5.2 Pharmacokinetic properties

Absorption

Pentazocine is absorbed from the gastro-intestinal tract.

Distribution

Following administration by mouth, peak plasma concentrations are reached in 1 to 3 hours. After intramuscular injection, peak plasma concentrations are reached in 15 minutes to 1 hour.

Pentazocine diffuses across the placenta.

Biotransformation

Pentazocine is metabolised in the liver.

Elimination

Only a small proportion of the dose administered appears unchanged in the urine

### 5.3 Preclinical safety data

There are no preclinical safety data of relevance to the prescriber which are additional to that already included in other sections of the SmPC.

## 6. PHARMACEUTICAL PARTICULARS

**6.1 List of excipients**

Benzyl alcohol
Water for injection

**6.2 Incompatibilities**

Not applicable

**6.3 Shelf life**

36 months from the date of manufacturind

**6.4 Special precautions for storage**

Store below 30º C. Protect from light

**6.5 Nature and contents of container<and special equipment for use, administration or implantation>**

2X5 AMPOULES X 1 ML

**6.6 Special precautions for disposal <and other handling>**

NA

**7. <APPLICANT/MANUFACTURER>**

STALLION LABORATORIES PVT. LTD.
817, 8TH FLOOR, DEVPATH, OFF C. G. ROAD,
B/H LAL BUNGLOW, NR. SUPERMALL,
AHMEDABAD –380 006,
GUJARAT, INDIA.