1.3 Product Information

1.3.1 Summary of Product Characteristics (SmPC)

1. Name of the medicinal product

Fortified procaine benzylpenicillin for injection.

2. Qualitative and quantitative composition

Each vial contains procaine benzylpenicillin 3.0Mega, benzylpenicillin sodium 1.0Mega.

3. Pharmaceutical form

Powder for injection

4. Clinical particulars

4.1 Therapeutic indications

It has the same indications as penicillin. As its peak blood concentration is relatively low, it is only indicated in mild infections caused by penicillin - sensitive bacteria, such as tonsillitis, scarlet fever, crysipelas, furuncles and carbuncles. It is also effective for syphilis, Vincent's angina and gonorrhea.

4.2 Posology and method of administration

It is given by I.M. injection only. A suitable amount of water for injection is added into the vial before use. 400,000i. u. - 800, 000i. u. each time, once or twice a day.

4.3 Contraindications

A previous hypersensitivity reaction to any benzylpenicillin or procaine is a contraindication. .

4.4 Special warnings and precautions for use

1. Before administration skin tests of procaine and penicillin should be made. It should not be administrated to patients hypersensitive to penicillin and procaine.

2. The suspension prepared by adding a suitable amount of water for injection into the vial should be stored below 10°C and used up within 24 hours.

4.5 Interaction with other medicinal products and other forms of interaction

Concurrent use of Procaine benzylpenicillin Sodium with bacteriostatic antibacterials like chloramphenicol, erythromycins, sulfonamides or tetracyclines may antagonize its bactericidal effect; hence the use of PromacianeR with these drugs should be avoided.

The blood levels of benzylpenicillin may be prolonged by concurrent administration of probenecid which blocks its renal tubular secretion. Other drugs such as aspirin, phenylbutazone, sulfonamides, indomethacin, thiazide diuretics, furosemide and ethacrynic acid may compete with benzylpenicillin for renal tubular secretion and thus prolong its serum half-life.

4.6 Fertility, pregnancy and lactation

Human experience with the penicillins during pregnancy has not shown any positive evidence of adverse effects on the foetus. There are, however, no adequate and well controlled studies in pregnant women showing conclusively that harmful effects of these agents on the foetus can be excluded.

The medicine is excreted in breast milk in concentrations lower than plasma levels. As safety to newborn infants has not been established, it is not recommended for breast feeding mothers unless the benefits outweigh any potential risk.

4.7 Effects on ability to drive and use machines

None.

4.8 Undesirable effects

Hypersensitivity includes skin reaction, edema in the throat, seldom anaphylactic shock. Allergy to procaine also exists. Embolic toxic reactions due to accidental intravascular administration.

4.9 Overdose

Excessive blood levels of benzylpenicillin sodium BP can be corrected by hemodialysis.

5. Pharmacological properties

5.1 Pharmacodynamic properties

Procaine Benzylpenicillin is a beta-lactam antibiotic and has a bactericidal action against Gram-positive bacteria, Gram-negative cocci, some other Gram-negative bacteria, spirochaetes, and actinomycetes. It exerts its killing action on growing and dividing bacteria by inhibiting bacterial cell-wall synthesis, although the mechanisms involved are still not precisely understood. Bacterial cell walls are held rigid and protected against osmotic rupture by peptidoglycan. Procaine Benzylpenicillin inhibits the final cross-linking stage of peptidoglycan production by binding to and inactivating transpeptidases, penicillin-binding proteins on the inner surface of the bacterial cell membrane.

However, it is now realized that other earlier stages in cell-wall synthesis can also be inhibited. Other mechanisms involved include bacterial lysis by the inactivation of endogenous inhibitors of bacterial autolysins.

Its action is inhibited by penicillinase and other beta-lactamases that are produced during the growth of certain micro-organisms.

5.2 Pharmacokinetic properties

When Procaine benzylpenicillin is given by intramuscular injection, it forms a depot from which it is slowly released and hydrolyzed to benzylpenicillin resulting in prolonged effect. The advantage of such a preparation is that it can exert both the prompt action due to the high initial blood levels produced by the soluble potassium (or sodium) salt and prolonged action of insoluble procaine penicillin salt which is absorbed and excreted slowly. Peak plasma concentrations are produced in 1 to 4 hours, and effective concentrations of benzylpenicillin are usually maintained for 12 to 24 hours.

However, plasma concentrations are lower than those following an equivalent dose of benzylpenicilin potassium or sodium. Distribution into the Cerebrospinal Fluid is reported to be poor.

6. Pharmaceutical particulars

6.1 List of excipients

Not applicable

6.2 Shelf life

3 years.

6.3 Special precautions for storage

Store in a cool dry place below 30°C. Protect from light.

6.4 Nature and contents of container

18 Mould vial fitted with a butyl rubber stopper and aluminium cap.