

PROPEN INJECTION 4MU
Procaine Benzylpenicillin BP 3.0 gm
Benzylpenicillin Sodium BP 0.6 gm

Product Name

PROPEN INJECTION 4MU

Product Description

A white crystalline powder odour less, bitter taste in clear glass vials.

Composition

Each vial contains 3.0 g of Procaine Benzylpenicillin BP and 0.6 gm of Benzylpenicillin Sodium BP.

Pharmacodynamics

Fortified procaine benzylpenicillin is a depot preparation of benzylpenicillin with the same mode of action as that of benzylpenicillin. The penicillin nucleus consists of a thiazolidine ring connected to a β -lactam ring to which attached a side chain. β -lactam ring plays an important role in the antibacterial activity of β -lactam antibiotics; it can be opened by β -lactamase produced by enzyme producing organisms.

As soon as β -lactam is opened by the β -lactamase the hydrolysed penicillin is inactivated. The side-chain determines most of the pharmacological properties as well as the characteristics of antimicrobial activity of penicillins. Penicillin kills bacteria cell wall. This is composed of peptidoglycan which is a heteropolymeric structure that provides the cell wall with mechanical stability. The final stage in the synthesis of peptidoglycan involves the completion of the cross-linking between the terminal glycine residue of pentaglycine bridge and fourth residue of pentapeptide (d-alanine). The transpeptidase that performs this step is inhibited by penicillins and cephalosporins, the β -lactam ring of which acts as an analogue of acyl-d-alanyl-d-alanine. As result the bacterial cell is weakened and then ruptures. Recently it was proved that there are penicillin-binding-protein (PBPs) in the cell envelope of bacteria which are the targets for β -lactam antibiotics.

Pharmacokinetics

Penicillins are widely distributed to most of tissue and body fluids, including peritoneal fluid, blister fluid, urine (high concentrations), pleural fluid, middle ear fluid, intestinal mucosa, bone, gallbladder, lung, female reproductive tissues and bile. Distribution into the cerebrospinal fluid (CSF) is low in subjects with noninflamed meninges, as is penetration into purulent bronchial secretions.

Elimination is primarily renal (glomerular filtration and tubular secretion). Hepatic metabolism accounts for less than 30% of the elimination of most penicillins.

Indication

Fortified Procaine Benzyl Penicillin is indicated for patient with:-

- Treatment of Staphylococcus, Streptococcal, pneumococcal infections

- Treatment of subacute bacterial endocarditis
- Treatment of acute uncomplicated gonorrhoea
- Treatment of primary & secondary syphilis
- Treatment of late syphilis

Recommended Dosage

Staphylococcus, Streptococcal & Pneumococcal infections:

400,000 IU per day by deep Intramuscular injection.

Streptococcus infections should be treated for 10 days.

Subacute bacterial endocarditis:

600,000 IU or more every 6 hours for a minimum 4-6 weeks.

Acute uncomplicated gonorrhoea:

1.2MIU to 2.4MIU as a single dose.

Primary & secondary syphilis:

800,000 IU per day for 10 days.

Late syphilis:

800,000 IU per day. Continue until a total 8-10 MIU has been given.

Preparation of solution:

Reconstitute the content in 10 ml of Sterile water for injection BP immediately before use.

Balance solution should be discarded.

Incompatibility

Extemporaneous admixtures of beta-lactam antibacterials and aminoglycosides may result in substantial mutual inactivation. If these groups of antibacterials are administered concurrently, they should be administered in separate sites at least 1 hour apart. Do not mix them in the same intravenous bag, bottle, or tubing.

When aminoglycosides and penicillins are administered separately by different routes, a reduction in aminoglycosides serum concentration may occur. Usually this is clinically significant only in patients with severely impaired renal function when the excretion of both medications is delayed.

Contraindications

Fortified Procaine Benzyl Penicillin is contraindicated in patients with:

- History of penicillin hypersensitivity
- Small babies whose mothers have a history of penicillin hypersensitivity
- Penidural injection should not be used in very small infants because of its local irritant effect
- if there are a significant suppurative focus or if there is concern for adequate diffusion to site of infection.

Warnings and Precautions

There have been reports of individuals with a history of penicillin hypersensitivity who have experienced severe reactions when treated with cephalosporins before initiating therapy with Penicillin G Benzathine. Careful inquiry should be made concerning previous hypersensitivity reactions to penicillins cephalosporins and other allergens. If an allergic reaction occurs Penicillin G Benzathine should be discontinued and appropriate therapy instituted serious anaphylactic reactions require immediate emergency treatment with epinephrine oxygen, intravenous steroids and airway management including intubation should also be administered as indicated.

Treatment with antibacterial agents alters the normal flora of the colon and may permit overgrowth of clostridin. Studies indicate that a toxin produced by *Clostridium difficile* is one primary cause of “antibiotic colitis”.

Interactions with Other Medicaments

Concurrent administration of or Diuretics, potassium-sparing or Potassium-containing medications or Potassium supplements with parenteral penicillin G potassium may promote serum potassium accumulation with possible resultant hyperkalemia. Especially in patients with renal insufficiency.

Concurrent administration of penicillin G with Angiotensin-converting enzyme (ACE) inhibitors may result in hyperkalemia. Since reduction of aldosterone production induced by ACE inhibitors may lead to elevation of serum potassium

Pregnancy and Lactation

Pregnancy

Penicillins cross the placenta. Adequate and well-controlled studies in human have not been done to determine whether penicillins are teratogenic. However, penicillins are widely used in pregnant women and problems have not been documented.

Breastfeeding

Penicillins are distributed into breast milk, some in low concentrations. Although significant problems in humans have not been documented, the use of penicillins by nursing mothers may lead to sensitization, diarrhea, candidiasis and skin rash in the infant.

Side Effects

Hypersensitivity reactions including urticaria, fever, joint pains, rashes, angiodema, anaphylaxis, serum sickness-like reaction; rarely CNS toxicity including convulsions (especially with high doses or in severe renal impairment), interstitial nephritis, haemolytic anaemia, leucopenia, thrombocytopenia and coagulation disorders; also reported diarrhea (including antibiotic-associated colitis).

Intramuscular injection of procaine penicillin can cause moderated burning discomfort, local pain and deep muscle and tenderness at the site of injection, lasting for one to three days in about 10% of patients. Some patients had low grade fever (102°F) associated with local pain and tenderness. This febrile reaction disappeared within 24 to 48 hours.

Symptoms and Treatment of Overdose

Reactions, including convulsions, may occur with the attainment of high CSF levels of beta-lactams. In case of over dosage, discontinue medication, treat symptomatically and institute supportive measures as requires. Fortified Procaine Benzyl Penicillin is haemodialysable.

Presentation

One folded paper box contains 10 vials or 50 vials.

Storage Condition

Store at temperature below 30°C and protect from light.

The reconstituted solution can be used for 24 hours at room temperature (30±2°C) when reconstituted with 10ml of sterile water for injection for intramuscular injection.

The reconstituted solution can be used for 7 days at refrigerated temperature (2°C-8°C) when reconstituted with 10ml of sterile water for injection for intramuscular injection.

Shelf Life

The injection can be used within 36 months from the date of manufacture if kept as recommended.

Registration number

PROPEN INJECTION 4MU - MAL08061566AZ

Name and Address of Manufacturer

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