Penicillin G

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INTRODUCTION

- Penicillin G is one of the natural penicillins.
- It is an effective antimicrobial for the treatment of infections due to susceptible organisms.

D0SING INFORMATION

Adult dose:

- Doses for oral therapy are 375 mg to 1 g divided 3 to 4 times daily.
- Intravenous doses are 300,000 units to 1.2 million units/day divided every 3 to 4 h.
- continuous intravenous infusions of 10 to 20 million units have been given over 20 h/day for several weeks.

D0SING INFORMATION cont'd

Pediatric dose:

- pediatric oral doses are 25 to 50 mg/kg/day divided every 6 to 8 h.

- pediatric parenteral doses are 300,000 units to 1.2 million units/day given every 3 to 4 h, to a maximum of 50,000 units/kg/dose or 5 million units/dose and 30 million units/day.

MECHANISM OF ACTION

- penicillin G inhibits bacterial cell wall synthesis by binding to one or more of the penicillin-binding proteins (PBPs).

- Penicillin G inhibits the final transpeptidation step of peptidoglycan synthesis in bacterial cell walls, thus inhibiting cell wall biosynthesis.

PHARMACOKINETIC

Onset:

- **Intravenous**: doses produce peak serum levels within 1h.

- **Intramuscular**: doses of the benzathine form produce peak serum levels at 24 h. Procaine penicillin G, 1 to 4 hours.

- **Oral**: 0.5 to 1 hours.

PHARMACOKINETIC cont'd

Bioavailability:

- 1. Oral: less than 30%.
- 2. Oral, penicillin G capsule, suspension: 65% to 80%.
- 3. Intramuscular, penicillin G: 72%.

PHARMACOKINETIC cont'd

DISTRIBUTION SITES

- TOTAL PROTEIN BINDING: 65%
- OTHER DISTRIBUTION SITES:
  - Bile.
  - Bone.
- Cerebrospinal fluid: poor

METABOLISM

- By the liver.

DISTRIBUTION KINETICS

- VOLUME OF DISTRIBUTION (Vd): 33 Liters

PHARMACOKINETIC cont'd

METABOLISM

- By the liver.

EXCRETION

- RENAL EXCRETION: 79% to 85%
- OTHER EXCRETION: Bile: small amounts

HALF-LIFE

- In normal individual:20 to 50 minutes.
- The half-life of penicillin in the presence of renal failure is 1 to 10 hours depending on degree of renal failure.

CAUTIONS

CONTRAINDICATIONS:

- History of anaphylaxis, accelerated (e.g., hives) or serum sickness reaction to previous penicillin administration.

PRECAUTIONS:

- Use with caution in patients with a history of penicillin or cephalosporin hypersensitivity reactions, atopic predisposition (e.g., asthma), impaired renal function, or pre-existing seizure disorder.

CAUTIONS cont'd

ADVERSE REACTIONS:

- hemolytic anemia.
- Eosinophilia.
- Granulocytopenia.
- Leukopenia.
- Neutropenia
- Agranulocytosis.
- Myocardial infarction.
- convulsions

DRUG-DRUG INTERACTION

- ACETYLCYSTEINE.
- AMINOGLYCOSIDES.
- CHLORAMPHENICOL.
- CHOLESTYRAMINE.
- CIMETIDINE.
- COLESTIPOL.
- ETHINYL ESTRADIOL.
- MESTRANOL.
- METHOTREXATE.
- TETRACYCLINE.

DRUG-FOOD COMBINATIONS

- Penicillin G is rapidly destroyed by gastric acid (pH = 2).
- Enteric absorption is adversely affected by food.
- Administer oral penicillin G at least 30 minutes prior or 2 hours after a meal.

CLINICAL APPLICATIONS

- The drug is frequently used for streptococcal infections that include pneumonia, otitis media, meningitis, and septic arthritis. In addition, penicillin G is effective against Neisseria meningitidis and Clostridium tetani, and Corynebacterium diphtheriae, Treponema pallidum, and Listeria monocytogenes.