

PENICILLIN G



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Introduction:

- Penicillin G is one of the natural penicillins.
- it discover at 1929 and did not use until 1941.
- Penicillin may be used once sensitivities are established. Satisfactory for pneumococci, streptococci, and susceptible staphylococci. Use higher than standard doses in Group B Strep (GBS) infections. Use Benzathine Pen G or Procaine Pen G for gonococci or asymptomatic congenital syphilis.



Mechanism of action :

- Inhibits enzymes responsible for cell wall synthesis of susceptible organisms.
- This creates an osmotically unstable cell wall that swells and bursts from osmotic pressure.
- It is a bactericidal drug in normal doses.



Dosing :

- 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.



Dosing “con’t”

- patients with severe renal dysfunction require intravenous dose adjustments; 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.



Pharmacokinetics:

- Intravenous doses produce peak serum levels within 1 h
- Intramuscular doses of the benzathine form produce peak serum levels at 24 h.
- less than 30% of an oral dose is absorbed from the gastrointestinal tract



Pharmacokinetics “con’t”

- protein binding is **65%**
- elimination half-life is **20 to 50 min** and the half-life is reduced by **50%** following hemodialysis
- approximately **30%** is hepatically metabolized to penicilloic acid
- **79% to 85%** is excreted in the
- urine as unchanged drug.



Drug interactions:

- Penicillins can decrease the effectiveness of oral contraceptives.
- Tetracyclines, erythromycins, lincomycins
- all decrease the antimicrobial effectiveness of penicillin.
- Aspirin, probenecid, and butazolidin may potentiate penicillin's effects.
- Penicillin may potentiate coumadin and tandearyl effects.



Contraindications:

- Patients with known allergies to penicillin, which is approximately 3% of the population.
- In patients with renal impairment, dosages should be decreased since excretion of drug is by the renal system.



Contraindications”con’t”

- A different formulation should be used in these patients such as penicillin procaine that allows a slow release into the serum from the intramuscular site.
- Precaution with pregnancy category **B**, lactation, and hypersensitivity to cephalosporins.



Adverse effects :

- include hemolytic anemia.
- eosinophilia.
- granulocytopenia.
- leukopenia.
- neutropenia.
- agranulocytosis.
- myocardial infarction.
- cardiac arrest.
- myocarditis.



Adverse effect “con’t”

- Convulsions.
- Neuropathy.
- Hypokalemia.
- Hybernatriemia.
- abdominal pain.
- pseudomembranous colitis.
- nephrotoxicity, hepatotoxicity.
- rash, and hypersensitivity with anaphylaxis.



Clinical Applications:

- Penicillin G is an effective antimicrobial for the treatment of infections due to susceptible organisms.
- The drug is frequently used for streptococcal infections that include pneumonia, otitis media, meningitis, and septic arthritis.



Clinical Applications”con’t”

- In addition, penicillin G is effective against *Neisseria meningitidis* and *Clostridium tetani*, and *Corynebacterium diphtheriae*, *Treponema pallidum*, and *Listeria monocytogenes*.



Toxicity

- Allergy (rash, urticaria, anaphylaxis, fever), change in bowel flora, Candida superinfection, diarrhea, hemolytic anemia, hematuria, interstitial nephritis. Cutaneous allergic rash is rare in neonates.
- Seizures may occur with IV bolus injection, particularly with the higher doses used in meningitis; therefore, the drug should be administered IV over 15-30 minutes.

Think you

