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Department: Anatomy

Level: 300

Course: PHA 306

1. Name of Drug

Nitrofurantoin

2. Antibacterial Activities

Nitrofurantoin is bactericidal against most common urinary tract pathogens s, including Escherichia coli, Enterococci, Klebsiella, Staphylococcus saprophyticus, and Enterobacter. Its spectrum of susceptibility also includes Shigella, Salmonella, Citrobacter, Neisseria, Bacteroides, group B streptococcus, Staphylococcus aureus, and Staphylococcus epidermidis.

3. Mechanism of Action

Nitrofurantoin’s mechanism action remains poorly understood since its discovery in the 1940’s. Nitrofurantoin uses several mechanisms to achieve an antimicrobial effect. Nitrofurantoin is taken up by bacterial intracellular nitroreductases to produce the active form of the drug via reduction of the nitro group. Intermediate metabolites that result from this reduction then bind to bacterial ribosomes and inhibit bacterial enzymes involved in the synthesis of DNA, RNA, cell wall protein synthesis, and other metabolic enzymes.

4. Pharmacokinetics

Absorption

Nitrofurantoin reaches a Cmax of 0.875-0.963mg/L with an AUC of 2.21-2.42mg\*h/L. It is 38.8-44.3% bioavailable. Taking nitrofurantoin with food increases the absorption and duration of therapeutic concentrations in the urine.

Volume of distribution

Data regarding the volume of distribution in humans is scarce but it has been reported as 0.46L/kg in dogs.

Protein binding

Nitrofurantoin could be up to 90% protein bound in plasma.

Metabolism

0.8-1.8% of a dose is metabolized to aminofurantoin, and ≤0.9% of a dose is metabolized to other metabolites.

Route of elimination

27-50% of an oral dose is excreted in the urine as unchanged nitrofurantoin. 90% of the total dose is eliminated in the urine.

Half life

The half life of nitrofurantoin is 0.72-0.78h.

Clearance

The clearance of nitrofurantoin is 16.7-19.4L/h.

5. Adverse Effects

More common side effects

The more common side effects of nitrofurantoin can include:

\* nausea

\* vomiting

\* loss of appetite

\* stomach pain

\* diarrhea

\* numbness in your hands and feet

\* pain in your hands and feet

\* weakness

\* dizziness

\* headache

\* drowsiness

Serious side effects

Serious side effects and their symptoms can include the following:

\* Lung inflammation. Symptoms can include:

\* tiredness

\* shortness of breath

\* fever

\* chills

\* cough

\* chest pain

\* Liver problems. Symptoms can include:

\* itching

\* yellowing of your skin or the whites of your eyes

\* nausea or vomiting

\* dark urine

\* loss of appetite

\* Nerve damage. Symptoms can include:

\* numbness or tingling in your hands and feet

\* muscle weakness

\* Hemolysis (red blood cell damage). Symptoms can include:

\* tiredness

\* weakness

\* pale skin