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DEPARTMENT: Anatomy

MATRIC NO: 17/MHS01/094

Name of drug :Nitrofurantoin

ANTIBACTERIAL ACTIVITY OF NITROFURANTOIN

Nitrofurantoin has broad antibacterial activity but it is limited to treatment of lower urinary tract infections.

Nitrofurantoin has activity against several Gram-negative and some Gram-positive aerobic bacteria including many isolates of Escherichia, Klebsiella, Enterobacter, Enterococcus, Staphylococcus and Salmonella.

It has little or no activity against most strains of Proteus and no activity against Pseuodmonas.

Nitrofurans have moderate activity against anaerobic bacteria and are most active in anaerobic conditions. Some aerobic bacteria that are resistant under aerobic conditions are susceptible when tested under anaerobic conditions.

Nitrofurantoin is rapidly absorbed from the gut. It is rapidly eliminated (drug appears in the urine within 30 min of administration) and therapeutic blood concentrations cannot be maintained. Half-life in humans with normal renal functionaverages 20 min. Approximately 40–50% of the drug is eliminated unchanged in the urine

MECHANISM OF ACTION

The mechanism of the antimicrobial action of nitrofurantoin is unusual among antibacterials. Nitrofurantoin is reduced by bacterial flavoproteins to reactive intermediates which inactivate or alter bacterial ribosomal proteins and other macromolecules. As a result of such inactivations, the vital biochemical processes of protein synthesis, aerobic energy metabolism, DNA synthesis, RNA synthesis, and cell wall synthesis are inhibited. Nitrofurantoin is bactericidal in urine at therapeutic doses. The broad-based nature of this mode of action may explain the lack of acquired bacterial resistance to nitrofurantoin, as the necessary multiple and simultaneous mutations of the target macromolecules would likely be lethal to the bacteria

PHARMACOKINETICS

Nitrofurantoin is a urinary tract antibacterial agent whose clinical effectiveness depends on the high urinary drug levels encountered during therapeutic drug dosage. Under these conditions, only low blood drug concentrations are usually found. On the basis of urinary nitrofurantoin excretion determined after oral and intravenous drug administration, orally administered nitrofurantoin in a suitable dosage form is well absorbed. In vitro testing does not accurately reflect nitrofurantoin bioavailability, which is affected

by formulation differences, drug particle size, and dosage form. Nitrofurantoin is readily absorbed and quickly distributed into most body fluids. It is rapidly excreted in large amounts in bile and urine. With the exception of the active drug secretion in the kidney tubule and biliary drug transport, nitrofurantoin transfer across body membranes occurs by diffusion. Nitrofurantoin has a short elimination half-life in whole blood or plasma. In conjunction with its rapid excretion by the primary routes, there is little evidence for any prolonged binding of nitrofurantoin to either plasma proteins or tissues. The first-order kinetics involved in nitrofurantoin absorption and elimination is most appropriately described by a one-compartment open model. Biliary and urinary excretion of unchanged nitrofurantoin and enzymatic degradation are the primary means of elimination.

ADVERSE EFFECTS

Some common side effects include:

nausea that can lead to vomiting

loss of appetite

stomach pain

diarrhea

numbness in your hands and feet

pain in your hands and feet

weakness

dizziness\ drowsiness

headache

While some other rare side effects include:

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