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PHA 306 assignment

**Name of drug**

Nitrofurantoin commonly sold under the brand Macrobid and Macrodantin. It is a unique antibiotic, characterized by a hydantoin ring with a nitro-substituted furanyl side chain that is metabolized within the bacteria to produce reactive compounds that are bactericidal.

**Antibacterial activity**

Nitrofurantoin has been shown to have good activity against : E.coli, Staphylococcus saprophyticus, Coagulase negative staphylococci, Enterococcus faecalis, Staphylococcus aureus, Streptococcus agalactiae, Citrobacter species, Klebsiella species, Bacillus subtilis species

It is used in the treatment of infections caused by these organisms.

Nitrofurantoin is effective at treating uncomplicated urinary tract infections. It remains a first-line agent. It does not work for viral infections. It reaches therapeutic concentrations in urine after it has passed though renal excretion. Nitrofurantoin is most bactericidal in acidic environments; the urine being a prominent example of this.

**Mechanism of action**

Nitrofurantoin works by damaging bacterial DNA. In bacterial cells, nitrofurantoin is reduced by nitrofuran reductase; the resulting metabolite is highly reactive and attack ribosomal proteins, DNA and pyruvate metabolism. It is not yet known which specific action is responsible for its bactericidal activity. Nitrofurantoin is active against both Gram-positive and Gram-negative causes of urinary tract infections – including E. coli and S. saprophticus, some of the most common causes of UTIs.

**Pharmacokinetics**

Nitrofurantoin is administered orally

**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.

**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.

**Adverse effects**

Gastrointestinal upset – nausea, diarrhea etc.

Immediate and delayed hypersensitivity reactions

Brown discoloration of urine (harmless)

Prolonged use of nitrofurantoin is likely to cause more serious effects:

Pulmonary toxicity – pneumonitis or fibrosis

Hepatotoxicity – detected in patients whose use exceeds 6 weeks

Neuropathy – a rare side effect

Other potential side effects include dizziness, drowsiness, pruritis and malaise.