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16/mhs06/048

PHA302

QUESTIONS:

1. Write on a named bacterial protein synthesis inhibitor, stating it’s mechanism of action, indications for use, toxicity and adverse effects.

ANSWERS:

1. TETRACYCLINES;

Tetracycline is an example of a bacterial protein synthesis inhibitor. Tetracyclines are sold under the brand name sumycin, amongst others. It is an antibiotic used to treat a number of infections. Examples of these infections are; Acne, malaria, cholera, plague, syphilis,e.t.c.

Mechanism of Action:

Tetracycline antibiotics are protein synthesis inhibitors.They inhibit the initiation of translation in variety of ways by binding to the 30S ribosomal subunit, which is made up of 16S rRNA and 21 proteins. They inhibit the binding of aminoacyl-tRNA to the mRNA translation complex. Some studies have shown that tetracyclines may bind to both 16S and 23S rRNAs.Tetracyclines also have been found to inhibit matrix metalloproteinases. This mechanism does not add to their antibiotic effects, but has led to extensive research on chemically modified tetracyclines or CMTs (like incyclinide) for the treatment of rosacea, acne, diabetes and various types of neoplasms.

Indications For Use:

Tetracyclines are generally used in the treatment of infections of the urinary tract, respiratory tract, and the intestines and are also used in the treatment of chlamydia, especially in patients allergic to β-lactams and macrolides; however, their use for these indications is less popular than it once was due to widespread development of resistance in the causative organisms.Tetracyclines are widely used in the treatment of moderately severe acne and rosacea (tetracycline, oxytetracycline, doxycycline or minocycline).Anaerobic bacteria are not as susceptible to tetracyclines as are aerobic bacteria.

Toxicity of Tetracycline:

Some toxicities of tetracyclines are shown below;

• prolong use of this medication may result to oral thrush or new yeast infection

•Nausea

•vomiting

•loss of appetite

•Dizziness

•Mouth sores

Adverse Effects:

Examples of adverse effects of tetracyclines are shown below;

1)Discolor permanent teeth (yellow-gray-brown), from prenatal period through childhood and adulthood. Children receiving long- or short-term therapy with a tetracycline or glycylcycline may develop permanent brown discoloration of the teeth.

2)Be inactivated by calcium ions, so are not to be taken with milk, yogurt, and other dairy products

3)Be inactivated by aluminium, iron, and zinc ions, not to be taken at the same time as indigestion remedies (some common antacids and over-the-counter heartburn medicines)

4)Cause skin photosensitivity, so exposure to the sun or intense light is not recommended

5)Cause drug-induced lupus, and hepatitis

6)Cause microvesicular fatty liver

7)Cause tinnitus

8)Interfere with methotrexate by displacing it from the various protein-binding sites

9)Cause breathing complications, as well as anaphylactic shock, in some individuals

10)Affect bone growth of the fetus, so should be avoided during pregnancy

11)Fanconi syndrome may result from ingesting expired tetracyclines.