**Matric no: 17/MHS06/054.**

**Course code: PHA 302**

**BACTERIA PROTEIN SYNTHESIS INHIBITOR.**

**Erythromycin:**

Erythromycin is an antibiotic used for the treatment of a number of bacterial infections. This include respiratory tract infections, skin infections, chlamydia infections, pelvic inflammatory disease, and syphilis. It may also be used during pregnancy to prevent group B streptococcal infection in the newborn, as well as to improve delayed stomach emptying. It can be given intravenously and by mouth. An eye ointment is routinely recommended after delivery to prevent eye infections in the newborn.

Bioavailability depends on the ester type between 30%-65%, protein binding is 90%, metabolism is in the liver (under 5% excreted unchanged), elimination half-life is 1.5 hours and excretion is by bile.

**Side effects.**

* Abdominal cramps.
* Vomiting.
* Diarrhea.

Serious side effects may include:

* Liver problems.
* Clostridium difficle colitis.
* Allergic reactions.

It is generally safe in those who are allergic to penicillin. It appears to be safe to use during pregnancy. While generally regarded as safe during breastfeeding, its use by the mother during the first two weeks of life may increase the risk of pyloric stenosis in the baby. The risk also applies if taken directly by the baby during this age. It is in the **macrolide** family of antibiotics and works by decreasing bacteria protein production.

Erythromycin was first isolated in 1952 from the bacteria *Saccharopolyspora erythraea*.

**Medical uses.**

Erythromycin can be used to treat bacteria responsible for causing infections of the skin and upper respiratory tract including *Streptococcus, Staphylococcus, Haemophilus* and *Corynebacterium* genera. It may also be useful in treating gastro paresis due to this promotility effect. It has been showed to improve the feeding intolerances in those who are critically ill. Intravenous erythromycin may also be used in endoscopy to help clear stomach contents.

**Available forms.**

Erythromycin is available in enteric coated tablets, slow release capsule, oral suspensions, ophthalmic solutions, ointments, gels, enteric coated capsules, non-enteric coated capsules, and injections.

**Mechanism of action.**

Erythromycin displays bacteriostatic activity or inhibits growth of bacteria, especially at higher concentrations. By binding to the 50s subunit of the bacterial rRNA complex, protein synthesis and subsequent structure and function processes critical for life or replication are inhibited. Erythromycin interferes with amino acyl translocation, preventing the transfer of tRNA bound at the A site of the rRNA complex to the P site of the rRNA complex. Without this translocation, the A site remains occupied, thus the addition of an incoming tRNA and its attached amino acid to the nascent polypeptide chain is inhibited. This interferes with the production of functionally useful proteins, which is the basis of antimicrobial action.

Erythromycin increases gut motility by binding to motillin, thus it is a motillin receptor agonist in addition to its antimicrobial properties.

**Pharmacokinetics.**

Erythromycin is easily inactivated by gastric acid, therefore all orally administered formulations are given as either enteric coated or more stable salts or esters, such as erythromycin ethyl succinate. It is rapidly absorbed, and diffuses into most tissues and phagocytes. Due to high concentration in phagocytes, erythromycin is actively transported to the site of infection, where during phagocytosis, large concentrations of erythromycin are released.

**Metabolism.**

Most of the erythromycin is metabolized by demethylation in the liver by the hepatic enzyme CYP3A4. Its main elimination route is the bile with little renal excretion, 2%-15% unchanged drug. Erythromycin elimination half-life ranges between 5 and 6 hours in patients with end stage renal disease. Erythromycin level peaks 0.5-2.5 hours after dosing but can be delayed if digested with food.

Erythromycin crosses the placenta and enters breast milk.