المملكة العربية السعودية

جامعة الملك سعود

كلية العلوم

قسم الكيمياء الحيوية

المضادات الحيوية (BCH 476)

Antibiotics

What I should prescribe and why?

Lecture 1a: Syllabus and books

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Course symbol: BCH 476	**رمز المقرر:** 476 كيح
Course Title: Antibiotics	**اسم المقرر:** المضادات الحيوية
Course hours: 2(2+0)	**عدد الساعات:** 2(2+0)
Prerequisites: BCH 442	**المتطلب:** 442 كيح

مواعيد المحاضرات: الأحد والثلاثاء من الساعة 8 إلى 9 صباحاً

توزيع الدرجات: اختبار شهري أول 25 درجة (الأحد 23/ 11 / 1431هـ الموافق 31/ 10 /2010م)
اختبار شهري ثاني25 درجة (الأحد 27 / 1 / 1432هـ الموافق 2/ 1/ 2011م)
اختبار نهائي 50 درجة

Aims and objective:

This course aims to understand the following:

1-types of antibiotics,

2-its usage,

3-isolation, purification and characterization of antibiotics;

4-classification, structural and functional properties;

5-mode of action and modes of resistance from the biochemical point of view.

Lecture No.	Topics
1	Introduction, definition of antibiotics and its sources.
2	Usage of antibiotics, in medicine, veterinary and animal feed; in agriculture and the food industry.
3-4	When & why antibiotics are produced from microorganisms. The concept of idiophase & trophophase. Primary and secondary metabolism in relation to antibiotic production. Ecological role of antibiotics in nature. Antibiotics in regulation of metabolism. Role of antibiotics in differentiation of producing microorganisms.

Lecture No.	Topics
5-6	Choice & administration of antibiotics to humans. Isolation of antibiotics. Isolation of the microorganisms. Classical tests & modern methods in primary screening techniques. Secondary screening techniques. Fractionation of antibiotics. Chromatography & electrophoresis. Characterization techniques, Hamill's scheme & Bostian computer system. Quantitative determination of antibiotics: Diffusion methods, turbidimetric methods, respirometric method & antibiotic sensitivity tests.

Lec No.	Topics
7	Classification of antibiotics on the basis of biological effect. Classification of antibiotics according to chemical structure. The code system of Berdy. Carbohydrate antibiotic structure and characteristics e.g. Streptomycin and neomycins.
8	Macrocyclic lactone antibiotics- characteristics & mode of Action. e.g **erythromycin A**, nystatin, amphtericin B etc.
9	Quinine & similar antibiotics. General structural properties, General structural properties, e.g. tetracyclines, mitomycins.

Lecture No.	Topics
10	Amino acid, peptides antibiotics. Site of action. {e.g. Penicillin, cephalosporin}, Gramicidins A, Gramicidins S and actiomycins. Nitrogen containing antibiotics. Condensed & non-condensed heterocycles. Structure and function. Oxygen-containing antibiotics. Sources, structural and functional properties of each.
11-13	Alicyclic antibiotics (e.g. cycloheximide). Aromatic antibiotic (e.g.chloramphenicol). Aliphatic antibiotics (e.g.phosphonomycin). Sources, structural and functional properties of each.

Lecture No.	Topics
14-16	Mechanism of action of antibiotics, biochemical targets or sites of action of antibiotics: Inhibitors of cell wall synthesis (e.g. penicillin, cephalosporin, cycloserine, phosphonomycin).
17-18	Antibiotics affecting membrane structure & function. (e.g.Valinomycin, gramicidinA , polymyxins, polyene antibiotics). Ionophosphorous antibiotics.

Lecture No.	Topics
19-20	Antibiotics affecting purine & pyrimidine synthesis. Inhibitors of de novo purine & pyrimidine synthesis e.g. (e.g. azaserine & DON). Inhibitors of nucleotide interconversion (e.g. hadacidin). Inhibitor of nucleotide utilization (eg. Showdomycin).
21-22	Antibiotics inhibiting ribonucleic acid metabolism: Directly (e.g. azaserine, DON, formycin, rifamicin). Indirectly (inhibitors of RNA synthesis).
23	Antibiotics that inhibit DNA metabolism by: a. cross-linking covalently with DNA (e.g. anthramycin). b. intercalation with DNA (e.g. actinomycin D & daunomycin). c. non-covalently interacting with DNA (e.g. chromomycin & distamycin A).

Lecture No.	Topics
24-25	Protein biosynthesis-inhibition by antibiotics a- Inhibitors of the initiation stage (e.g. streptomycin & tetracycline). b- Inhibitors of the elongation stage (e.g. chloramphenicol, cycloheximide & erythromycin). c- Inhibitors of the termination stage (e.g. puromycin).
26	Oxidative phosphorylation & respiratory chain inhibitors (e.g. antimycin A, oligomycin, gramicidin A, valinomycin)
27-28	Penetration of antibiotics into the cell. Factors that influence transmembrane movement of antibiotics. **Modes of resistance to antibiotics:** a.modification of the target enzyme b.reduction of the physiological importance of the target c.prevention of access of the inhibitor d.synthesis of an enzyme capable of inactivating the inhibitor.

Recommended Books

Chemistry and Biology of Antibiotics.

By Vladimir Betina (1983).

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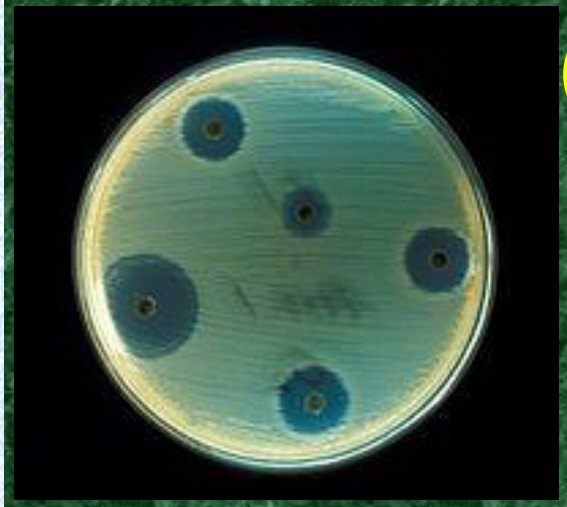

المضادات الحيوية (BCH 476)

Antibiotics

Lecture 1: Introduction & Definition

Prepared by Dr. Farid S. Ataya

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Introduction

- The term **"antibiotic"** was coined by Selman Waksman in 1942
- from the Ancient Greek: ἀντί – *anti*, "against" and Ancient Greek: βίος – *bios*, "life"
- The term *antibiotics* was coined to describe any substance produced by *a micro-organism* and has the capacity to inhibit the growth or even to destroy other micro-organisms in high dilution.
- They are more toxic to an invading organism than they are to an animal or human host.

More wide definition

- Now antibiotics may be produced from wide range of organisms (not only microorganisms) and it can inhibit the growth or the function of other organism, cell or tissue.
- For example antibiotics are now used to limit the growth of cancerous tissue and to kill many parasites and worms, not only microorganisms.

History of antibiotic discovery

- The first known use of antibiotics was by the ancient Chinese over 2,500 years ago .
- Ancient Egyptians, ancient Greeks and medieval Arabs already used molds and plants to treat infections, owing to the production of antibiotic substances by these organisms.

History of antibiotic discovery

- In 1877: Antibiosis was first described by **Louis Pasteur and Robert Koch** when they find that air borne *bacillus* could inhibit the growth of *bacillus anthracis.*
- In 1875: The antibiotic properties of *Penicillium sp.* were first described in England by **John Tyndall**. However, his work went by without much notice from the scientific community until Alexander Fleming's discovery of Penicillin.
- •In 1909: The German scientist **Paul Ehrlich** developed a synthetic compound called salvarsan, which was effective against spirochaetal infections, bacteria that caused syphilis.

History of antibiotic discovery

- In 1928: Antibiotics were further developed in Britain following the discovery of Penicillin by **Alexander Fleming**.

- In 1939, The American bacteriologist **Rene Dubos** isolated gramicidin, one of the first commercially manufactured antibiotics in use during World War II to prove highly effective in treating wounds and ulcers. Trothricin isolated from some soil bacteria .It was too toxic but used for treatment of external infection.

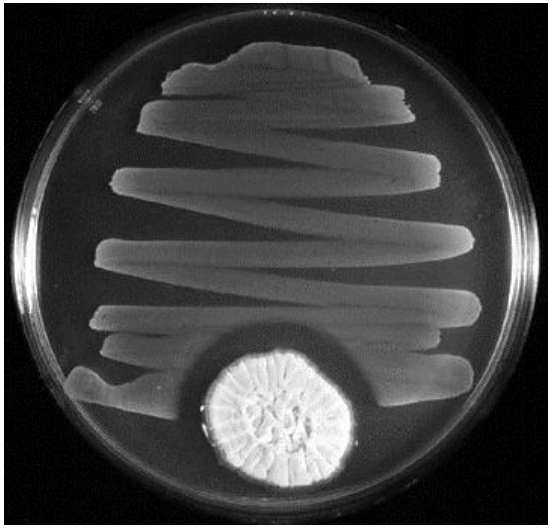
- In 1945: **Ernst Chain, Howard Florey and Alexander Fleming** shared the 1945 Nobel Prize in Medicine because of their work and discoveries on antibiotics .

History of antibiotic discovery

- In 1944: American biologist **Selman Waksman** discovered another group of soil bacteria called actinomycetes. It was efficient in the treatment of tuberculosis.
- S. Waksman defined antibiotics as substances which are produced by microorganisms and which exhibit either as inhibitory or destructive effect on other microorganisms.
- Antibiotics came into general use since 1950s.
- Now, antibiotics are the most efficient weapons in the armory of the physician in his fight against infectious diseases..

Definition of antibiotics

- S. Waksman defined antibiotics as: substances which are produced by microorganisms and which exhibit either as inhibitory or destructive effect on other microorganisms.



Sources of antibiotics:

1. **Bacteria** (gram positive, gram negative, gliding bacteria)
2. **Actinomycetes,** a large variety of antibiotics are produced from actinomycetes.
3. **Fungi,** like *Penicillium, Aspergillus spp.*
4. **Cyanobacteria** (blue green algae), produces a number of toxins of antibiotic characters, not certain
5- **Some higher organisms,** most of them are not useful therapeutic agents.