Antibiotic

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Introduction

- Antibiotics are medications used to treat bacterial infections.
- They work by killing or inhibiting the growth of bacteria, which helps alleviate symptoms and prevent the spread of infection.
- Antibiotics can treat a wide range of bacterial infections, including respiratory infections, urinary tract infections, and skin infections.
- **Important Note:** Antibiotics are not effective against viral infections, such as the common cold or flu.
- Overuse and misuse of antibiotics can lead to the development of **antibiotic-resistant bacteria**, which are difficult to treat and pose a significant public health threat.
- It is essential to use antibiotics **only when necessary** and **as prescribed by a healthcare professional**.

Historical Background

- Antibiotics are chemical substances produced by microorganisms or synthesized artificially to inhibit or kill other microorganisms.
- Their history can be divided into several key milestones:

1. **Pre-Antibiotic Era:**
   - Before the discovery of antibiotics, infections were treated with herbal remedies and antiseptics.
   - In 1909, **Paul Ehrlich** developed the first synthetic antimicrobial drug, **Salvarsan**, to treat syphilis.
2. **Discovery of Penicillin (1928):**
   - **Alexander Fleming** discovered **penicillin** from *Penicillium notatum* in 1928.
   - It was later purified by **Howard Florey and Ernst Chain**, leading to large-scale production in the 1940s.
3. **Golden Age of Antibiotics (1940-1960):**
   - Discovery of **sulfonamides, streptomycin, tetracycline, and chloramphenicol**.
   - Antibiotics became widely available, significantly reducing mortality from bacterial infections.
4. **Modern Era:**
   - Resistance emerged due to overuse and misuse.

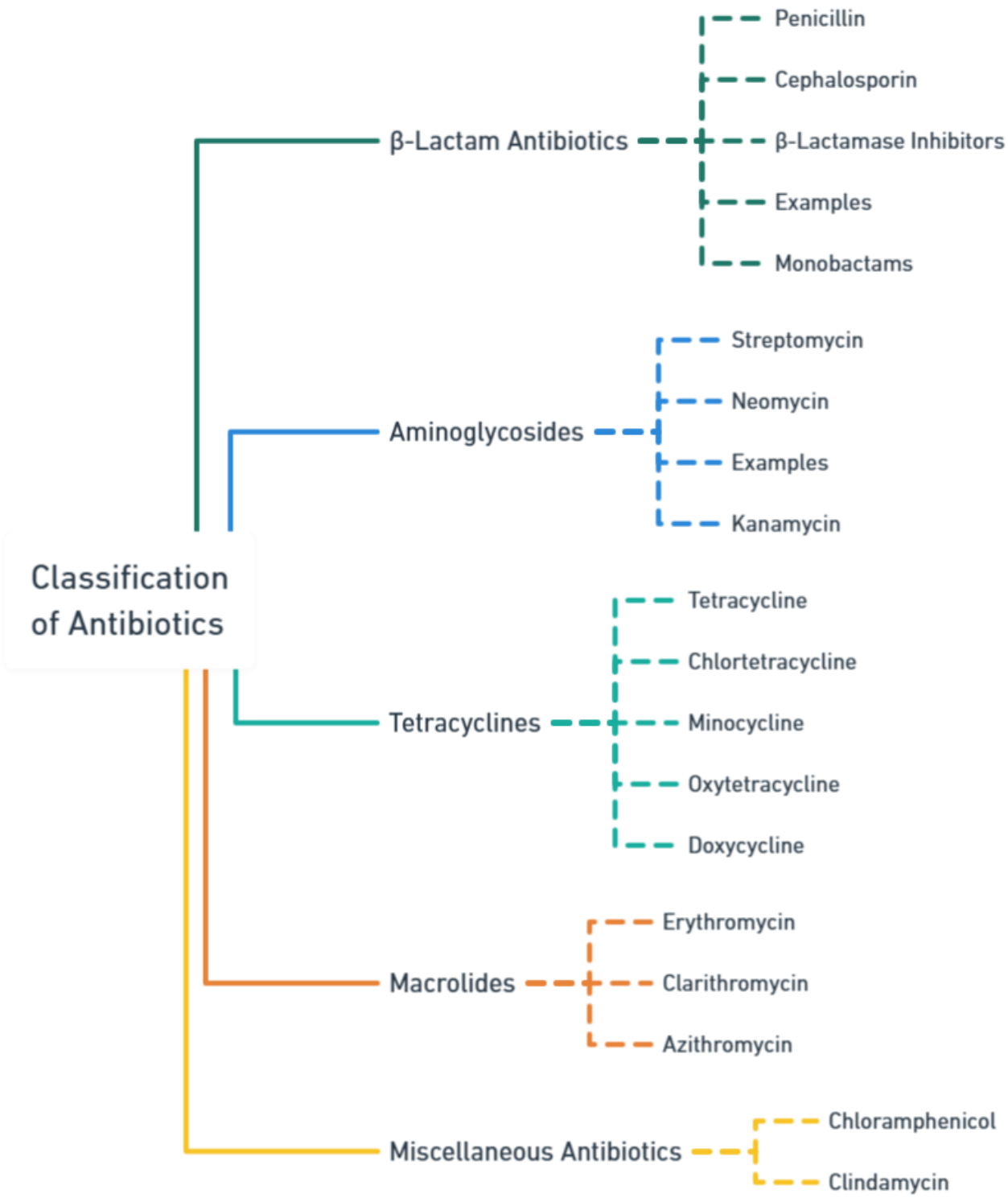
- New classes like **β-lactamase inhibitors and last-resort antibiotics** (e.g., carbapenems) were developed.
- Research focuses on **novel antibiotics and antimicrobial stewardship**.

Present Day:

- Antibiotic resistance is a major concern, leading to research into new antimicrobial agents.

Classification of Antibiotics

Here is the classification with short explanations and examples:



1. β-Lactam Antibiotics:

- Inhibit bacterial cell wall synthesis by targeting penicillin-binding proteins (PBPs).
- **Examples:** Penicillin, Cephalosporin, β-Lactamase Inhibitors, Monobactams

2. Aminoglycosides:

- Inhibit bacterial protein synthesis by binding to the 30S ribosomal subunit, primarily effective against Gram-negative bacteria.
- **Examples:** Streptomycin, Neomycin, Kanamycin

3. Tetracyclines:

- Inhibit protein synthesis by binding to the 30S ribosomal subunit, broad-spectrum activity.
- **Examples:** Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline

4. Macrolides:

- Inhibit protein synthesis by binding to the 50S ribosomal subunit, effective against respiratory and soft tissue infections.
- **Examples:** Erythromycin, Clarithromycin, Azithromycin

5. Miscellaneous Antibiotics:

- Various mechanisms of action, including inhibition of protein synthesis or bacterial metabolism.
- **Examples:** Chloramphenicol, Clindamycin

Antibiotic Class	Examples	Mechanism of Action
β-Lactam antibiotics	Penicillin, Cephalosporins, β-Lactamase inhibitors, Monobactams	Inhibit bacterial cell wall synthesis
Aminoglycosides	Streptomycin, Neomycin, Kanamycin	Interfere with protein synthesis by binding to the 30S ribosomal subunit
Tetracyclines	Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline	Inhibit protein synthesis by binding to the 30S ribosomal subunit
Macrolides	Erythromycin, Clarithromycin, Azithromycin	Inhibit protein synthesis by binding to the 50S ribosomal subunit

Miscellaneous	Chloramphenicol, Clindamycin	Chloramphenicol: Inhibits bacterial protein synthesis by binding to the 50S subunit of the bacterial ribosome. Clindamycin: A lincosamide antibiotic that inhibits protein synthesis by binding to the 50S subunit of the bacterial ribosome

β-Lactam Antibiotics

Historical Background

- Discovered in 1928 by **Alexander Fleming** (Penicillin).
- First widely used antibiotic class.
- β-Lactam antibiotics revolutionized bacterial infection treatment.

Nomenclature

- Named after the **β-lactam ring**, a four-membered cyclic amide.
- Subclasses:
    A. **Penicillins** (e.g., Penicillin G, Amoxicillin)
    B. **Cephalosporins** (e.g., Ceftriaxone, Cefuroxime)
    C. **β-Lactamase inhibitors**
    D. **Monobactams** (e.g., Aztreonam)

Stereochemistry

- Penicillins have a fused bicyclic system (thiazolidine + β-lactam), affecting their stability and reactivity.
- Cephalosporins have a dihydrothiazine ring, increasing resistance to β-lactamases.
- Carbapenems have a unique stereochemistry with a trans configuration at C-5 and C-6, enhancing activity.

Structure-Activity Relationship (SAR)

- Essential β-lactam ring: Responsible for antibacterial activity by inhibiting bacterial transpeptidases (PBPs).
- The **side chain (R group)** affects:
    - Spectrum of activity.
    - Resistance to β-lactamases.

- Pharmacokinetics (e.g., oral vs. IV).

- **Modifications** in the **thiazolidine/dihydrothiazine ring** impact stability and activity.

Chemical Degradation Classification

- **Hydrolysis by β-lactamases**: Leads to inactive penicilloic acid.
- **Acid hydrolysis**: Common in penicillins (unstable in acidic pH).
- **Oxidative degradation**: Occurs in some cephalosporins.
- **Ring opening**: Leads to inactivation.

Important Products

- **Penicillin G** (natural).
- **Amoxicillin, Ampicillin** (semi-synthetic, broad-spectrum).
- **Cephalexin, Ceftriaxone** (cephalosporins).
- **Imipenem, Meropenem** (carbapenems).
- **Aztreonam** (monobactam).

Brand Names Of Important Marketed Products And Their Side Effects

Agents used to treat bacterial infections.

Class	Drug	Brand Name(s)	Use
Penicillins	Amoxicillin + Clavulanate	**Augmentin, Clavam**	Respiratory, skin infections
Cephalosporins	Cefixime	**Suprax, Zifi**	RTIs, UTIs
Macrolides	Azithromycin	**Azithral, Zithromax**	RTIs, STDs
Fluoroquinolones	Ciprofloxacin	**Ciplox, Cipro**	UTIs, GIT infections
Tetracyclines	Doxycycline	**Doxicip, Doxy-1**	Acne, malaria, RTIs
Aminoglycosides	Gentamicin	**Gentalek, Garamycin**	Gram-negative infections
Glycopeptides	Vancomycin	**Vancocin, Vancoled**	MRSA, C. difficile
Carbapenems	Meropenem	**Meronem, Merogram**	Broad spectrum, ICU infections

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