Antibiotic

Antibiotics

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.

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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.

5. 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

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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

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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)

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- 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).

Various β-Lactam Antibiotics

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1. Penicillin G (Benzylpenicillin)

Chemical Name:

- (2S,5R,6R)-3,3-Dimethyl-7-oxo-6-[(phenylacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

Uses:

- Severe infections caused by susceptible Gram-positive bacteria
- Pneumococcal pneumonia
- Streptococcal infections
- Syphilis (Treponema pallidum)

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- Meningococcal infections
- Diphtheria and Anthrax

Stability & Storage Conditions:

- Unstable in acidic pH (degrades in the stomach) → used parenterally
- Store dry powder in airtight containers below 25°C
- Reconstituted solutions should be stored at 2–8°C and used within 24 hours

Formulations:

- Injectable powder (IM/IV): 1 MIU, 5 MIU, 10 MIU
- Penicillin G potassium/sodium: IV form
- Benzathine Penicillin G: Long-acting IM form

Popular Brand Names:

- Crystapen
- Pfizerpen
- Benzylpenicillin Sodium IP (by various generic brands in India)

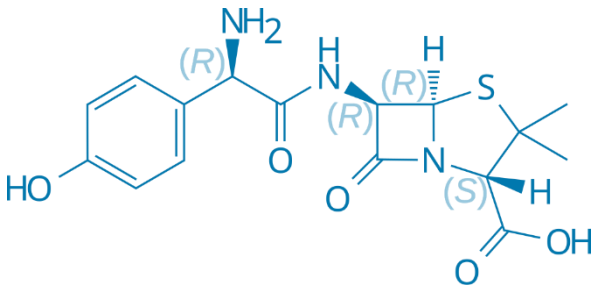
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2. Amoxicillin*

Chemical Name:

- (2S,5R,6R)-6-[(R)-(-)-2-Amino-2-(p-hydroxyphenyl)acetamido]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

Chemical Structure:



Uses:

- Respiratory tract infections (pharyngitis, tonsillitis, otitis media)
- Urinary tract infections (UTIs)
- Skin and soft tissue infections

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