

Antimicrobial Agents

Antimicrobials

Antibiotics/
Antibacterials

Antivirals

Antiparasitic
agents

Antifungals

What are Antimicrobials?

An **antimicrobial** is a substance that either kills or inhibits the growth of microorganisms such as bacteria, fungi, or protozoan's.

History

The history of antimicrobials begins with the observations of Pasteur and Joubert, who discovered that one type of bacteria could prevent the growth of another.

Terminology...

INFECTION- An infection is the invasion of body tissues by disease causing microorganisms.

MICROBIOCIDAL- kills the microbes.

MICROBIOSTATIC- inhibits the growth of microbes

DISINFECTANT- used on non-living objects or outside the body.

ANTISEPTIC- substances that are applied to living tissue/skin to reduce the possibility infection.

CHEMOTHERAPY- Treatment of systemic infection with specific drug without affecting the host.

Classification-

ON THE BASIS OF-

1. CHEMICAL STRUCTURE
2. MECHANISM OF ACTION
3. TYPE OF ORGANISM
4. SPECTRUM OF ACTIVITY
5. TYPE OF ACTION
6. ANTIBIOTICS OBTAINING FROM

PROBLEMS THAT ARISE WITH THE USE OF AMAs

1. Toxicity
2. Hypersensitivity reactions
3. Drug resistance
4. Superinfection
5. Nutritional Deficiencies
6. Masking an action

CHOICE OF AN ANTIMICROBIAL AGENT-

PATIENT RELATED FACTORS

1. Age
2. Renal & hepatic function
3. Drug allergy
4. Impaired host defense
5. Pregnancy
6. Genetic factors

DRUG RELATED FACTORS

1. Spectrum of activity
2. Type of activity
3. Sensitivity of organism
4. Relative toxicity
5. Pharmacokinetic profile
6. Route of administration
7. Cost

Antimicrobial agents	Group	Mode of action
Ampicillin , Augmentin Amoxycillin	Penicillins	Inhibitor of cell wall synthesis
Ceftriaxone	Cephalosporins	Inhibitor of cell wall synthesis
Chloramphenicol	Chloramphenicol	Inhibitor of protein synthesis
Erythromycin Azithromycin	Macrolides	Inhibitor of protein synthesis
Gentamycin, streptomycin	Aminoglycosides	Inhibitor of protein synthesis
Oxytetracycline	Tetracyclines	
Nalidixicacid Ciprofloxacin	Quinolones	Inhibitors of DNA synthesis
Sulfamethazine Trimethopim	Sulfonamides	Competitive inhibitors of folic acid synthesis

Antifungal drugs

- Antifungal drugs are used for the treatment of fungal infections (mycoses) that may be superficial or deep infections.
- Fungal infections are susceptible to the immunocompromised patients due to chemotherapy or antibiotic use.
- Antifungal drugs can be broadly classified into systemic agent & topical agents.

1.Systemic Agents

a.Antibiotics: **Amphotericin B, Griseofulvin**

b.Antimetabolites: **Flucytosine (5-FC)**

c.Azoles: **Ketoconazole, Fluconazole, Itraconazole, Vericonazole**

d.Allylamine: **Terbinafine**

e.Echinocandins: **Caspofungin, Micafungin, Anidulafungin**

2.Topical Agents

a.Polyene Antibiotics: **Nystatin, Hamycin, Natamycin**

b.Imidazole: **Clotrimazole, Miconazole, Econazole**

c.Miscellaneous: **Tolnaftate, Undecylenic acid, Benzoic acid**

Drugs acting on fungi

Alter cell
membrane permeability

Block nucleic
acid synthesis

Disrupt
microtubule functions

Azoles

Polyenes

Terbinafine

Flucytosine

Griseofulvin

Table 8.1 (Continued)

Systemic and Topical Antifungal Agents in Use and in Development^a

Antifungal Agents	Route	Mechanism of Action	Comments
Polyenes			
Amphotericin B	IV, topical	Binds to ergosterol, causing direct oxidative membrane damage	Established agent; broad spectrum; toxic
Lipid formulations (amphotericin B lipid complex or colloidal dispersion, liposomal amphotericin B)	IV	Same as amphotericin B	Broad spectrum; less toxic, expensive
Nystatin	Oral suspension, topical	Same as amphotericin B	Liposomal formulation (IV) under investigation
Natamycin	Topical		Typically used as adjunctive therapy for fungal keratitis
Chitin synthesis inhibitor			
Nikkomycin Z	IV	Inhibition of fungal cell wall chitin synthesis	Investigational agent; possibly useful in combination with other antifungals
Other			
Amorolfine	Topical	Miscellaneous, varied	
Butenafine HCl	Topical		
Ciclopirox olamine	Topical		
Griseofulvin	Oral		
Haloprogin	Topical		
Tolnaftate	Topical		
Undecylenate	Topical		

Antiviral agents

- Antiviral drugs are available to treat **only a few viral diseases**.
- The reason for this is the fact that viral replication is so intimately associated with the host cell that any drug that interferes significantly with viral replication, is likely to be **toxic to the host**.
- Antiviral agents are totally different from antibacterial drugs and antiprotozoan drugs.
- Since viruses are obligate intracellular parasite therefore, antiviral agents must be capable of selectively inhibiting viral functions without damaging the host cell.
- Making such drugs is very difficult.

Virus	Antiviral Drug	Target
Herpes viruses	Vidarabine	Virus polymerase
Herpes simplex	Acyclovir	Virus polymerase
Cytomegalovirus	Gancyclovir	Virus polymerase
Retroviruses (HIV)	Zidovudine, Didanosine, Zalcitabine, Lamivudine	Reverse transcriptase
Retroviruses (HIV)	Saquinavir, Ritonavir, Indinavir, Nelfinavir	HIV protease
HCV, HSV	Ribavirin	RNA mutagen
Influenza A	Amantadine, Rimantadine	Haemagglutinin protein
Influenza B	Relenza and Tamiflu	Neuraminidase Inhibitor
Picorna viruses	Pleconaril	Blocks attachment
Hepatitis B & C	Interferons	Cell defence proteins