

Antibiotics Summary

by

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بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ

(وَأَنْ لَيْسَ لِلإِنْسَانِ إِلَّا مَا سَعَى * وَأَنْ سَعِيهِ سَوْفَ يُرَى)

Before anything, you should know that this summary was written as notes, so you can't understand it before studying the slide.

SUMMARY OF ANTIMICROBIAL DRUGS

Introduction

- ✓ Chemotherapy consists of antibiotics, antiviral and anticancer.
 - ✓ Superinfection: an infection following a previous infection, especially when caused by microorganisms that have become resistant to the antibiotics used earlier.
 - ✓ Misuse and abuse (overuse) of antibiotics lead to superinfection.
 - ✓ Misuse of antibiotics, examples:
 1. Stopping the medicine when you feel better - not finishing the prescription.
 2. Saving antibiotics for a future illness.
 3. Sharing or using someone else's medicine.
 4. Taking antibiotics when they aren't needed.
 - ✓ Misuse of antibiotics becomes a great problem. Why?
 - (1) Antibiotics become less effective and may not work the next time you use them.
 - (2) Improper use of antibiotics leads to more antibiotic resistant bacteria.
 - (3) Antibiotic resistant bacteria can be spread throughout the community and from person to person.
 - ✓ The therapies –in general- consist of (prophylaxis, empirical, definitive and post-treatment).
 - ✓ Keep in your mind that you can't give definitive therapy before the laboratory results.
- **The antimicrobial drugs classified according to their mechanism of action into 3 groups:**
1. Inhibition of cell wall synthesis:
 - a) Penicillin G & V.
 - b) B-lactamase resistant penicillins (cloxacilin, oxacillin & methicillin).
 - c) Aminopenicillin (ampicillin & amoxicillin).
 - d) Piperacillin & ticarcillin.
 - e) Carbapenem.

- f) Aztreonam.
 - g) Cephalosporins.
 - h) Vancomycin (by block peptidoglycan synthesis).
2. Block protein synthesis:
- a) Aminoglycosides.
 - b) Tetracyclines.
 - c) Macrolids.
 - d) Clindamycin.
 - e) Chloramphenicol {Read only}.
3. Block DNA metabolism:
- a) Fluroquinolones (by block DNA Gyrase).
 - b) Sulphonamids & Trimethoprim (by inhibition folic acid synthesis).
 - c) Rifampin (by block RNA polymerase). {Read only}
 - d) Metronidazole (By DNA damage). {Read only}

The inhibition of cell wall synthesis

- ❖ Penicillin G & V:
 - Penicillin G (IV & IM).
 - Penicillin V (oral).
 - Mostly used for gram+ (cocci & rods), gram- (rods), anaerobes and spirochetes.
 - Treatment streptococcal infection and odontogenic infection (the first choice).
 - Benzathine penicillin (penicillin G) used for prophylaxis from reinfection.
- ❖ B-lactamase resistant penicillin:
 - Methicillin, cloxacilin and oxacillin.
 - Narrow spectrum.
 - Mostly used for B-lactam resistant staphylococcus (except MRSA).
- ❖ Aminopenicillin:
 - Ampicillin & amoxicillin.
 - broad spectrum (includes H.influenza & enterococci).
 - Mostly used for Otitis media, sinusitis and upper respiratory infections.
 - Prophylaxis of endocarditis.
 - Amoxicillin is used for acute Otitis in children (amoxicillin has greater Oral bioavailability, we give it in a high dose 80-90 mg/kg/day).
 - susceptible for B-lactamase.

- clavulenic acid used as B-lactamase inhibitor.
- Amoxicillin + Clavulenic acid = Augmentin.
- Augmentin mostly used for treatment endocarditis & community acquired pneumonia.

❖ Piperacillin, carbenicillin & ticarcillin:

- Poorly absorbed from the gut.
- Broad spectrum (includes Pseudomonas).
- Mostly used with (aminoglycosides or Fluroquinolones) for pseudomonal infection outside UTI.

❖ Carbapenem:

- Impenem & meropenem.
- Impenem is inactivated by dehydropeptidase in renal tubules.
- Impenem is administered with cilastatin (inhibitor of dehydropeptidase).
- Broad spectrum (includes pseudomonas & anaerobes), can't cover MRSA.
- Treatment mixed aerobic & anaerobic infection, pseudomonas (resistant to other drugs) and Enterobacter infection.

❖ Aztreonam:

- monobactam resistant to B-lactamase.
- Narrow spectrum (gram- only).
- Mostly used for penicillin-allergic patients.

❖ Cephalosporins (first, second, third and fourth generations):

- Bactericidal
- Resistance; by B-lactamase, mutations of the permeability or mutations of the target proteins.
- Organisms not covered by cephalosporines are LAME (**L**isteria, **A**typicals, **M**RSA and **E**nterococci). {Read only}.
- Now, fifth generation covers MRSA. {Read only}.

-First generation (cefazolin and cephalexin):

- Mostly used for skin & soft tissue infection (example: Cellulitis).
- Cefazolin used also before surgery for prophylaxis.

-Second generation (cefoxitin, cefuroxime, cefotetan and cefaclor):

- Greater activity against gram- (H.influenza).
- Cefoxitin or cefotetan used before colorectal surgery for prophylaxis and they are effective against Bacteroides fragilis.
- Cefuroxime is used to treatment upper respiratory tract infection.

- Third generation (cefotaxime, ceftriaxone, Ceftazidime and cefoperazone):
- Effective against pseudomonas aeruginosa and enterbacteriaceae.
- Third generation used with aminoglycosides for treatment serious infection especially in immunocompromised patients.
- Ceftriaxone used for initial treatment meningitis (in combination with Vancomycin) and it is the therapy of choice for treatment gonorrhoea & Lyme disease.
- Ceftazidime & cefoperazone mostly used for nosocomial infection (pseudomonas aeruginosa).
- Cefotaxime effective against H.influenza.

- Fourth generation (cefepime):
- Mostly used against enterobacter, mixed infection and pseudomonas aeruginosa.
- It is effective against meningitis but we don't use it.

❖ Vancomycin:

- Bactericidal.
- Narrow spectrum (gram+ only).
- Mostly used for MRSA, resistant enterococci and resistant clostridium difficile.
- Vancomycin is used for penicillin-allergic patient with severe staphylococcal infection or endocarditis.
- Mostly used with gentamicin for endocarditis.
- Administered IV (orally only for GI infection).
- Administered slowly to avoid red man syndrome.
- side effects include fever, rash, hypersensitivity, ototoxicity and phlebitis.

The inhibition of protein synthesis

❖ Tetracyclines (Doxycycline & Minocycline):

- Bind to 30 subunit and prevent attachment of aminoacyl-tRNA.
- It is very effective against Chlamydia and Mycoplasma.
- The side effects are GI disturbances, dental (teeth) hypoplasia and bone deformities.
- Contraindicated in children, pregnant women and nursing mothers.
- It is used for treatment acne, H.pylori (in combination with metranidazole) and brucellosis (in combination with aminoglycosides).

-Doxycycline mostly used for Chlamydial infection (or azithromycin).

- ❖ Macrolids (azithromycin, erythromycin and clarithromycin):
 - Azithromycin mostly used for Chlamydial infection (urethritis) and respiratory infection (covers all causes of community acquired pneumonia).
 - Azithromycin administered orally (one gram daily for 3 days) and can be given to pregnant women.
 - Erythromycin mostly used for syphilis, diphtheria & mycoplasmal pneumonia.
 - Erythromycin mainly causes inhibition of CYP3A4.
 - clarithromycin mostly used for duodenal ulcer (caused by H.pylori). (In combination with metranidazole or amoxicillin).
 - keep in your mind that Macrolids are used for Atypical pneumonias (caused by Mycoplasma, Chlamydia and Legionella) especially Azithromycin.

- ❖ Aminoglycosides (Gentamicin, Neomycin, Amikacin, Tobramycin & Streptomycin):
 - Only bactericidal; bind to 30S, inhibit initial complex & cause misreading of the genetic code.
 - Administered (IV or IM), can't cover any anaerobic infection.
 - Mostly used for treatment sepsis, plague, brucellosis, before bowel surgery and endocarditis.
 - Gentamicin for pyelonphritis, sepsis and pseudomonas.
 - Neomycin for bowel surgery & hepatic encephalopathy.
 - Tobramycin effective against pseudomonas.
 - Side effects; severe nephrotoxicity (especially with gentamicin).

- ❖ Clindamycin:
 - Bacteriostatic, bind to 50S.
 - Effective against anaerobes (especially Bacteroides fragilis) and gram+.
 - Mostly used for treatment aspiration pneumonia and penetrating wounds in the abdomen and the gut (in combination with cephalosporin or aminoglycosides).
 - Side effects; pseudomembranous colitis.

The inhibition of DNA synthesis

- ❖ Fluroquinolones (Ciprofloxacin) :
 - Bactericidal; causes inhibition of DNA gyrase(topoisomerase II).
 - Effective against pseudomonas aeruginosa.
 - Mostly used for UTI infection, respiratory infection, (infection of soft tissue, bone and joints), cervicitis and prostatitis.
 - side effects; causes damage of growing cartilage (arthropathy & orthropathy).
 - Contraindicated in children.
- ❖ Sulfonamides & Trimethoprim:
 - Sulfonamides (Sulfamethoxazole & sulfadiazine): Bacteriostatic; inhibit dihydropteroate synthase (enzyme necessary of bacterial DNA synthesis) (mimic PAPA).
 - Trimethoprim: Bacteriostatic; inhibit dihydrofolate reductase (enzyme necessary of bacterial DNA synthesis).
 - TMP-SMX (Trimethoprim-Sulfamethoxazole) mostly used for treatment UTI infection & prostatitis.
 - TMP-SMX used for prophylaxis in recurrent UTI infection in women.
- ❖ Miscellaneous:
 - Teicoplanin effective against MRSA and enterococcus.
 - Linezolid effective against VRE.
 - Daptomycin effective against VRE.

ملحوظات هامه

-د.مالك طلب انو ما حدا يراجعو أو يسألو
عن هذا التلخيص رجاء....
-للي بدو يجيب A+ بالفارما فهذا الملخص
غير كافي....وفهمكم كفايه.

فاصل إعلاني.....

(:

خادم إفريقيا....من هو؟

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قال المصطفى صلى الله عليه وسلم: (من قال لأخيه جزاك الله خيرا فقد أبلغ في الثناء)