



Lecture 8

GONORRHOEA AND SYPHILIS

Objectives:



- ★ List the drugs used in the treatment of syphilis & gonorrhoea.
 - ★ Describe the mechanism of action and adverse effects of each drug.
 - ★ Describe the contraindications of drugs used
 - ★ Describe the recommended regimens used for treatment of syphilis & gonorrhoea
 - ★ Know the alternative treatments in allergic patients.
- Additional Notes
 - Important
 - Explanation –Extra-

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Syphilis

- ★ Sexually transmitted disease
- ★ caused by bacterium **Treponema pallidum**
- ★ Disease progresses in stages (Primary ,Secondary,Tertiary)
- ★ May become chronic without treatment

Stages Of Syphilis

Primary stage	Secondary Stage	Tertiary (Latent) Syphilis
<ul style="list-style-type: none">★ Painless skin ulceration (chancre) 	<ul style="list-style-type: none">★ Skin rash★ Mucous membranes lesions 	<ul style="list-style-type: none">★ 70% may have no symptoms★ ~30% of untreated patients progress to the tertiary within 1 to 20 y★ Rare because of the widespread use of Antibiotics★ Manifestations as CV syphilis (syphilitic aortitis, which may result in aneurysm formation).

Congenital Syphilis:

If a woman is pregnant and has symptomatic or asymptomatic early syphilis, disseminating organisms may pass through the placenta to infect the fetus and cause **perforation of Palate**



Drugs used in the treatment of Syphilis

1st line of treatment

Penicillin G

Procaine penicillin

Benzathine penicillin

Alternative

Tetracyclines (Doxycycline)

Macrolides (Azithromycin)

Cephalosprins:
(Ceftriaxone cefixime)

β-Lactam Antibiotics (PENICILLINS)

Preparations of penicillin's	<ul style="list-style-type: none"> ● Penicillin G (Benzylpenicillin) (IV) 	<ul style="list-style-type: none"> ● Procaine penicillin (IM) 	<ul style="list-style-type: none"> ● Benzathine penicillin (IM)
Duration of Action	Short	Long acting → Given every 24-48hrs (Delayed absorption)	Long acting → Given every 3-4 weeks (Delayed absorption) 2.4 million units is given once.
MOA	<ul style="list-style-type: none"> ★ Inhibits bacterial cell wall synthesis, through inhibition of transpeptidase enzyme required for crosslinks ★ Bactericidal ★ Narrow spectrum of activity ★ active against gram + organisms, gram- cocci, and non β-lactamase producing anaerobes. ★ β-lactamase sensitive 		

β -Lactam Antibiotics (PENICILLINS)

kinetic

- ★ Acid unstable
- ★ Penicillinase sensitive
- ★ Not metabolized
- ★ Excreted unchanged in **urine** through acid tubular secretion
- ★ **Renal failure** → prolonged duration of action

Adverse effects

- ★ Hypersensitivity
- ★ Convulsions (with high dose or in patient with Renal failure)
- ★ Supre infections (due to alteration in normal flora)

Drugs used in Allergic Patients To Penicillins:

- 1- Tetracyclines e.g. doxycycline
- 2- Macrolides e.g. Azithromycin
- 3- Cephalosprins e.g. Ceftriaxone – cefixime

TETRACYCLINES

Doxycycline

MOA	<ul style="list-style-type: none">★ Inhibit bacterial protein synthesis by reversibly binding to 30 S bacterial ribosomal subunits.★ Bacteriostatic.
Kinetics	<ul style="list-style-type: none">★ Well absorbed orally★ Long acting★ 100 mg twice daily for 14 days★ Not effective for treatment of gonorrhoea
Adverse effects	<ul style="list-style-type: none">★ GIT upset :Nausea, vomiting ,diarrhea & epigastric pain★ Brown discoloration of teeth in children★ Deformity or growth inhibition of bones in children.★ Hepatic toxicity (prolonged therapy with high dose).★ Superinfections.★ Vertigo

TETRACYCLINES

C/I

- Children (below 10 years)
- Pregnancy
- Nursing mothers

MACROLIDES

Azithromycin

MOA

Inhibits **bacterial protein synthesis** by binding to bacterial **50S ribosomal subunits**

kinetics

- ★ **Acid stable** (unlike penicillin)
- ★ Penetrates into most tissues **except CSF**
- ★ Half life 2-4 days
- ★ **Once daily** dose
- ★ Should be given 1 hour before or 2 hours **after meals**
- ★ Does not inactivate **cytochrome P450** (unlike erythromycin and clarithromycin)

Adverse effects

- ★ **GIT upset** : Nausea, vomiting, abdominal pain & diarrhea
- ★ **Allergic reactions** : urticaria, mild skin rashes.

Cephalosporines

MOA

- ★ Inhibit bacterial **cell wall synthesis**
- ★ Bactericidal

3rd Generation

Ceftriaxone

Cefixime

kinetics

- ★ Given parenterally (i.v.)
- ★ Long Half-life
- ★ Eliminated via **biliary excretion**

- ★ Given orally
- ★ More effective against gram negative bacteria.
- ★ Excreted Mostly **unchanged** in the urine

Adverse effects

- ★ Hypersensitivity reactions
- ★ Thrombophlebitis
- ★ Superinfections
- ★ Diarrhea

Gonorrhoea

Caused by *Neisseria gonorrhoea*, pus producing bacteria **Gram –ve cocci**

Drugs used in the treatment of Gonorrhoea:

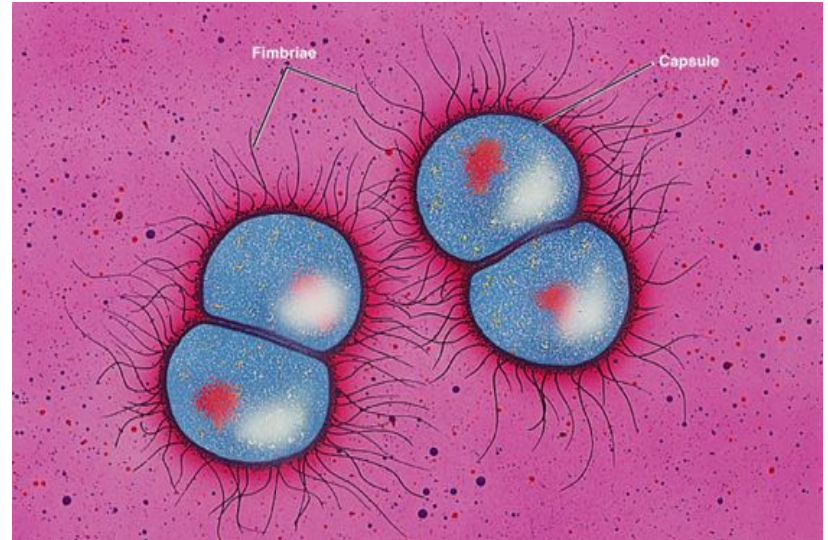
1. **3rd generation Cephalosporins**

Ceftriaxone, Cefixim

2. **Fluoroquinolones**

Ciprofloxacin

3. **Spectinomycin**



3rd generation cephalosporins

drugs	Ceftriaxone	Cefixime
dose	I.M 500 mg	Oral (PO) 400 mg
<p style="text-align: center;">★ 1st line treatment ★ Uncomplicated gonorrheal infection</p>		
Drug combination	Typically given with a single dose of azithromycin (1gm, po) or doxycycline (100 mg BD, P.O.)	

FLUOROQUINOLONES

drugs	Ciprofloxacin	Ofloxacin
Dose	500 mg	400 mg
MOA	All are bactericidal Inhibit DNA synthesis by inhibiting DNA gyrase enzyme (required for DNA supercoiling).	
Side effects	<ul style="list-style-type: none">● GIT: Nausea , vomiting & diarrhea CNS: Headache & dizziness● May damage growing cartilage and cause arthropathy.● Phototoxicity, avoid excessive sunlight	
Contraindication	Pregnancy. Nursing mothers. Children under 18 years	

What is the alternative treatment in patients that cannot tolerate or be treated with cephalosporins or quinolones ?

Spectinomycin

Dose

2g, **I.M.**, once

MOA

Inhibits **protein synthesis** by binding to **30S** ribosomal subunits

Side effects

Pain at site of injection. Fever. **Nephrotoxicity** (not common)

Complicated gonorrheal infections

Spread through blood stream: **EYE**. Joints. Heart valves. Brain.

Newborn eye infections, may lead to **blindness**



Treatment of complicated infections

CONJUNCTIVITIS



ART!

Silver nitrate	Erythromycin
Put into conjunctival sac once immediately after birth (no later than 1 h after birth)	
It has germicidal effects due to precipitation of bacterial proteins by liberated silver ions.	0.5% ointment for <u>treatment & prevention</u> of corneal & conjunctival infections.

Q1 Why Penicillin G is giving i.v ?

- a- because of it's long duration of acting
- b- it's acid unstable
- c- to avoid hypersensitivity

Q2 The 1ST drug of choice for treating Syphilis is:

- A. Penicillin
- B. Macrolides
- C. Tetracycline

Q3 -Tetracyclines are contraindicated to ?

- a- Children
- b- Pregnancy
- c-Nursing mothers
- d-all of the above

Q4 First line treatment in Gonorrhoeal infection?

- A- Ciprofloxacin
- B- Silver Nitrate
- C- Ceftriaxone

Q5 A patient diagnosed with gonorrhoeal infection was prescribed a medication, then he came back with Arthropathy... which of the following could have caused this side effect?

- A- Spectinomycin
- B- Ofloxacin
- C- Ceftriaxone

- 1- B
- 2- A
- 3- D
- 4- D
- 5-B

Good luck!

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