

# Anti-leprotic drugs

- The drugs which are used in the treatment of leprosy are termed antileprotic drugs.
- Leprosy is an infectious disease caused by a bacillus, Mycobacterium leprae.  
M. Leprae multiplies very slowly the incubation period for the disease is about 5 years.
- Leprosy is not highly infectious. It is transmitted via droplets, from the nose and mouth during close and frequent contact with those infected who are not on treatment of multi drug therapy.
- Leprosy mainly affects the skin and peripheral nerves.

## Signs of leprosy:-

A leprosy patient is someone who has a skin patch or patches with a definite loss of sensation and who has not completed a full course of treatment with Multidrug therapy.

## Leprosy patches:-

- Can be pale or reddish or copper-colored
- Can be flat or raised
- Donot itch, usually don't hurt, lack sensations to heat, touch or pain
- Can appear anywhere.

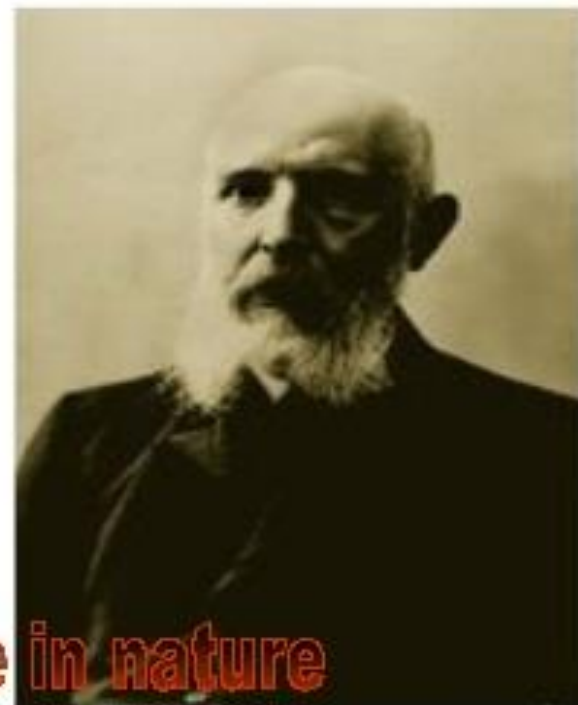
# Discovered by Gerhard Armauer Hansen in 1873

The bacteria that causes Leprosy, (mycobacterium Leprae) was discovered by Gerhard Hansen in 1873  
Leprosy was known since ancient times, but no one knew what it was or what caused it.

## Transmission



Nasal/oral Droplets  
Dermal Inoculations



## Animals that may harbor the M Leprae in nature

Armadillo



Some types of  
monkeys



Rabbits



Mices



of Leprosy  
/hansen.jpg

- **Diagnosis of leprosy:-**

Leprosy can be easily diagnosed on clinical signs alone. The main diagnostic sign is loss of feeling in the affected skin and is unique to the disease. The diagnosis can be made by gently touching the affected areas with a pointed object like a pen.

Comparison can then be made with the sensation in normal skin.

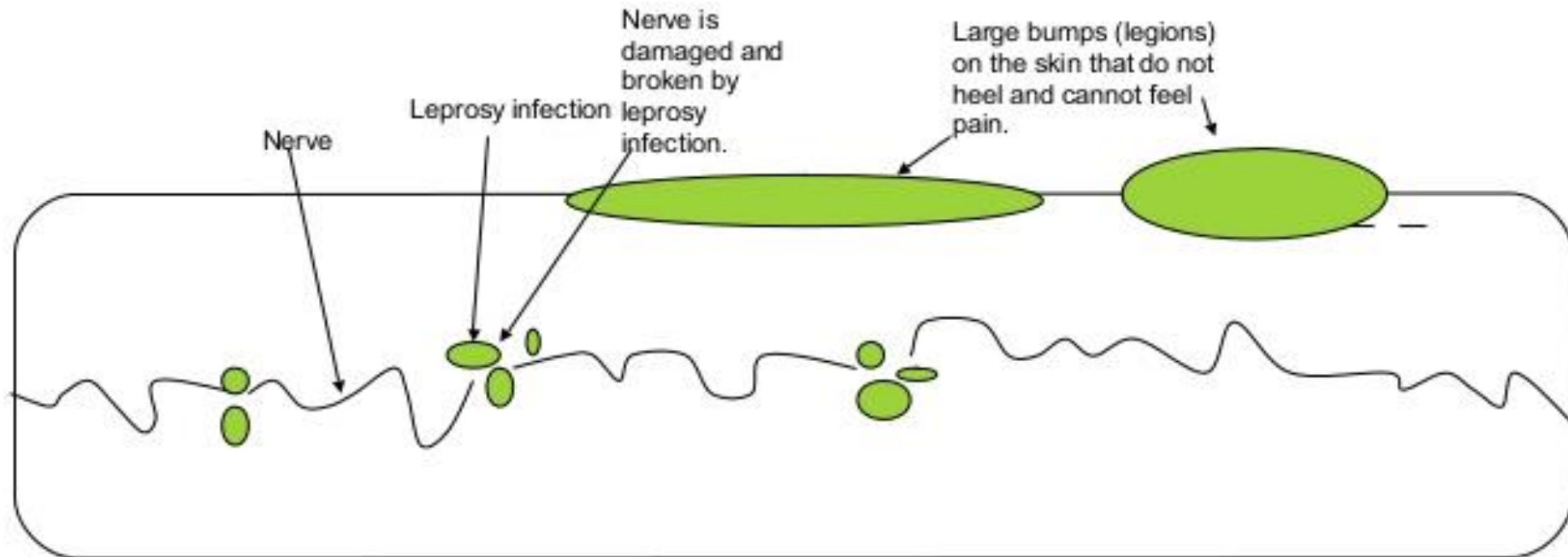
In earlier times, **chaulmoogra oil** was found to be effective in the treatment of leprosy.

Next this herbal remedy was replaced by **sulphones**.

The **prototype drug of these sulphones is dapsone** which is still using as an antileprotic drug.

Other drugs which find their use in the treatment of leprosy are Clofazimine, certain tuberculars (rifampicin, ethionamide) and antibiotics.

# How the Human Body is Affected by leprosy



## classification:

- 1. **Sulfones:** **Dapsone**, solapsone, Acedapsone.
- 2. **Phenazines:** Clofazimine.
- 3. **Thiosemi carbazones:** Amithiazone.
- 4. **Antitubercular drugs:** Rifampicin, Ethionamide.
- **Antibiotics:** Ofloxacin, Clarithromycin, Minocycline.
- **Natural oils:** Chaulmoogra oil, Hydnocarpus oil.

Drug for the treatment of leprosy:

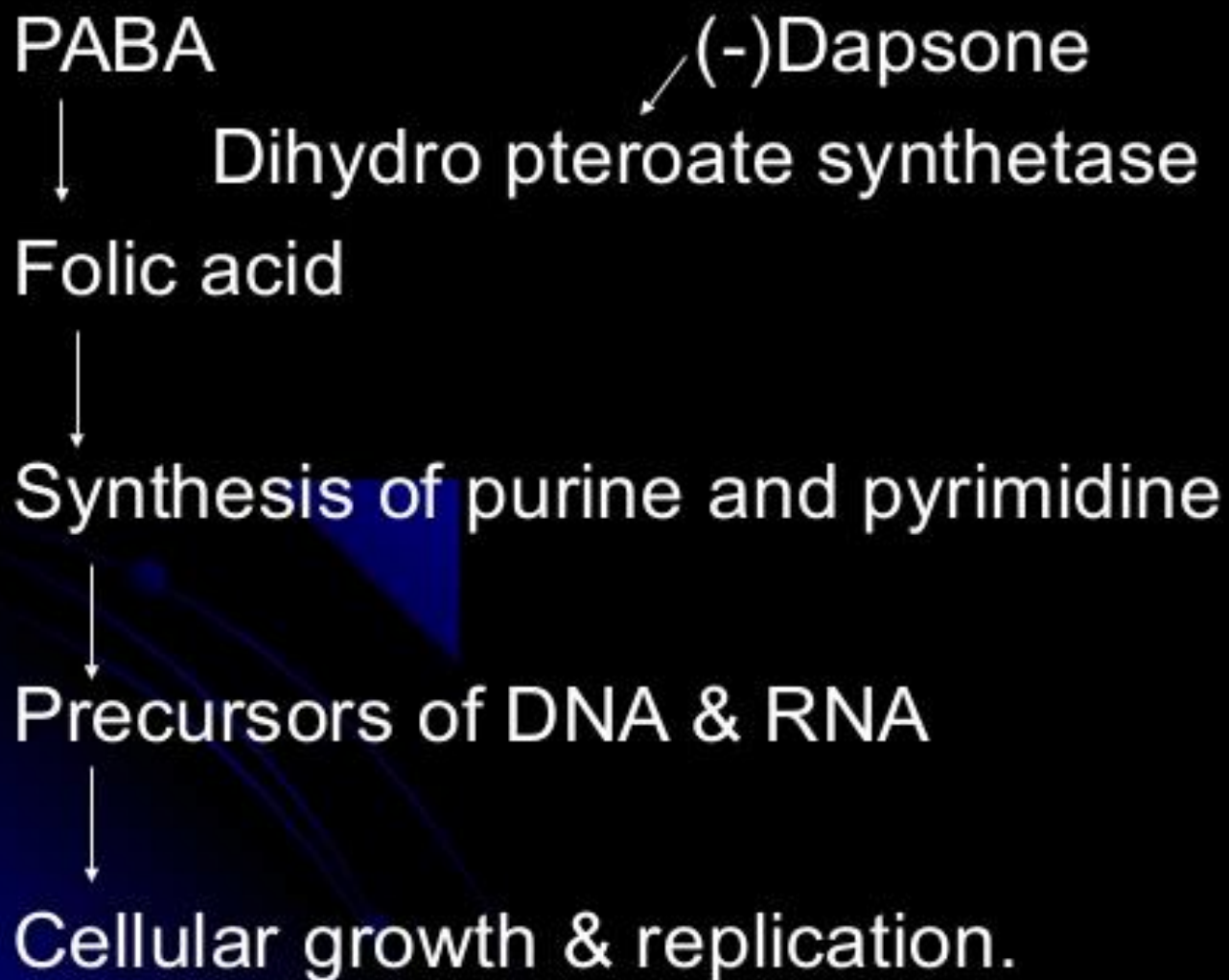
Sulfones: Most widely used sulphone is Dapsone.

Sulphones were first used in the treatment of leprosy  
in 1941.



4,4'-Diaminodiphenylsulfone (Dapsone)

## MECHANISM OF ACTION:



**Pharmacokinetic :-** Sulfones, such as dapsones and sulfoxone (Diasone), are well absorbed orally and are widely distributed throughout body fluids and tissues.

Peak concentrations of dapsones are reached within 1 to 3 hours of oral administration and have a half-life of 21 to 44 hours; about 50% of administered dapsones is bound to serum proteins.

The sulfones tend to remain in the skin, muscle, kidney, and liver up to 3 weeks after therapy is stopped. The concentration in inflamed skin is 10 to 15 times higher than that found in normal skin.

The sulfones are acetylated in the liver, and 70 to 80% of drug is excreted in the urine as metabolites.

Dapsone, combined with other antileprosy agents like rifampicin and clofazimine, is used in the treatment of *M. leprae* infections .

The sulfones are retained in the circulation for a long time (12–35 days) because of hepatobiliary drug recirculation.



# ADVERSE DRUG REACTIONS

- ❖ Haemolysis of red cells
- ❖ Methaemoglobinaemia,
- ❖ Anorexia, nausea and vomiting,
- ❖ Fever, allergic dermatitis and neuropathy.
- ❖ Lepra reactions  
(an exacerbation of lepromatous lesions) can occur

## **Contraindicated:**

- ❖ severe anaemia (Hb < 7g/dl)
- ❖ G6PD deficiency

- Mode of action
- Ofloxacin is a broad-spectrum antibiotic that is active against both Gram-positive and Gram-negative bacteria. It functions by inhibiting DNA gyrase, a type II topoisomerase, and topoisomerase IV, which is an enzyme necessary to separate (mostly in prokaryotes, in bacteria in particular) replicated DNA, thereby inhibiting bacterial cell division.

# FLUOROQUINOLONES

## (Ciprofloxacin, ofloxacin, norfloxacin)

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- Mechanism of action:
  - Block bacterial DNA synthesis by inhibiting bacterial topoisomerase II (DNA gyrase) and topoisomerase IV.
  - Antibacterial activity :
  - Highly active against gram-negative aerobic bacteria.
  - Active against gram-positive bacteria.
  - Mycoplasma, chlamydia, legionella, mycobacteria.
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# Ofloxacin

- Indications:

- UTI
- Lower RTI
- Gonorrhoea
- Cervicitis

- Contraindications:

- Hepatic and renal impairment
- History of psychiatric illness

- Side effects:

- Hypersensitivity reactions (sometimes involving blood cells

Rifampin

binds to

Beta-subunit of bacterial DNA  
dependent RNA polymerase

Resulting in

Blockage of RNA synthesis in  
mycobacteria and chlymdiae

**Action of Rifampin**



































