

# **ANTI BIOTICS**

Antibiotics are medicines that help stop infections caused by bacteria. They do this by killing the bacteria or by keeping them from copying themselves or reproducing. The word antibiotic means "against life." Any drug that kills germs in your body is technically an antibiotic.

# **MECHANISM OF ACTION**

Five Basic Mechanisms of Antibiotic Action against Bacterial Cells:

- Inhibition of Cell Wall Synthesis (most common mechanism)
- Inhibition of Protein Synthesis (second largest class)
- Alteration of Cell Membranes.
- Inhibition of Nucleic Acid Synthesis.
- Antimetabolite Activity.

# **CLASSIFICATION**

- Sulphonamides- Sulfone, PAS.
- Quinolones- Nalidixic acid, ciprofloxacin.
- Beta lactum- Penicillin, cephalosporin.
- Amino glycoside- Streptomycin, Gentamycin.
- Tetracyclin- Oxytetracyclin, doxytetracyclin.
- Nitrobengene derivatives-Chloramphenicol.
- Macrolids- Azithromycin, Erthromycin.
- Polypeptide-Polymyxin B.

#### **PENICILLINS**

**Penicillin** (**PCN** or **pen**) is a group of antibiotics, derived originally from common moulds known as Penicillium moulds; which includes penicillin G (intravenous use), penicillin V (use by mouth), procaine penicillin, and benzathine penicillin (intramuscular use).

Penicillin antibiotics were among the first medications to be effective against many bacterial infections caused by staphylococci and streptococci.

They are still widely used today, though many types of bacteria have developed resistance following extensive use.

Penicillin was discovered in 1928 by Scottish scientist Alexander Fleming.

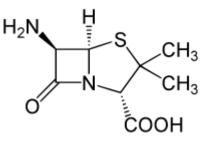
# **CLASSES OF PENICILLINS**

- Natural Penicillins
  - Penicillin G (same as Benzylpenicillin)
  - Penicillin V (same as Phenoxymethylpenicillin)
- Aminopenicillins
  - Ampicillin
  - Amoxicillin
- Penicillinase-resistant Penicillins (Antistaphylococcal Penicillins)
  - Methicillin (prototype)
  - Cloxacillin
  - Dicloxacillin
  - Nafcillin
  - Oxacillin
- Extended Spectrum Penicillins (Antipseudomonal Penicillins)
  - Carbenicillin
  - Piperacillin
- Beta-lactamase Inhibitors
  - Clavulanic acid

#### **6-AMINO PENICILLANIC ACID**

**6-APA** is an abbreviation used for the name of the chemical compound (+)-6aminopenicillanic acid. In 1958, Beecham scientists found a way to obtain 6-APA from penicillin. Other  $\beta$ -lactam antibiotics could then be synthesized by attaching various side-chains to the nucleus. The other antibiotics are used in place of penicillin G or penicillin V.

#### **STRUCTURE OF 6-APA**



# **STRUCTURE OF PENICILLIN DERIVATIVES**

Penicillin structure	R group	Drug name
$R \xrightarrow{O}_{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{H} \xrightarrow{C} \xrightarrow{CH_3} C$	-CH2-	penicillin G
	CH2-0-	penicillin V
		ampicillin
	-сн-Он-Он NH2	amoxicillin
	CH <sub>3</sub> O CH <sub>3</sub> O	methicillin

# **BENZYL PENICILLIN**

- Benzylpenicillin, also known as penicillin G, is an antibiotic used to treat a number of bacterial infections.
- Benzylpenicillin was discovered in 1929 by Alexander Fleming and came into commercial use in 1942.
- As an antibiotic, benzylpenicillin is noted to possess effectiveness mainly against Gram-positive organisms.
- Some Gram-negative organisms such as *Neisseria* gonorrhoeae and *Leptospira weilii* are also reported to be susceptible to benzylpenicillin.

# **PROPERTIES**

- Amorphous white powder.
- Sparingly sol in water; insoluble in petroleum ether; sol in methanol, ethanol, ether, ethyl acetate, benzene, chloroform, acetone

# **STABILITY & STORAGE**

- Solutions of the drugs retain substantially full potency for several days when stored @ a temp less than 15 °C,
- But are rapidly inactivated in the presence of acids, alkali hydroxides, glycerin, or oxidizing agents.

# <u>USES</u>

- This includes pneumonia, strep throat, syphilis, necrotizing enterocolitis, diphtheria, gas gangrene, leptospirosis, cellulitis, and tetanus.
- It is not a first-line agent for pneumococcal meningitis.
- Benzylpenicillin is given by injection into a vein or muscle.

# **BRAND NAME**

- Fortified procaine penicillin
- Benzyl penicillin
- Sodicillin

#### **PHENOXYMETHYLPENICILLIN**

It is also known as **penicillin V** (**PcV**) and **penicillin VK**, is an antibiotic useful for the treatment of a number of bacterial infections. Phenoxymethylpenicillin was first made in 1948.

#### PROPERTIES

- Penicillin v is a white crystalline powder.
- Odorless .
- Soluble in alcohol and acetone; insoluble in fixed oil.

#### **STABILITY & STORAGE**

- Stable in air up to 37 °C; relatively stable to acid.
- Following reconstitution, penicillin V potassium oral soln should be refrigerated @ 2-8 °C, and any unused soln should be discarded after 14 days.
- In the dry state, natural penicillins and their salts are generally stable for several yr @ room temp; however, the drugs deteriorate more rapidly @ higher temp.
- Solutions of penicillin begin to deteriorate upon standing a few days, even in the cold.

#### <u>USES</u>

- It's an antibiotic used to treat bacterial infections, including ear, chest, throat and skin infections.
- It can also be used to prevent infections if you have sickle cell disease, or if you have had chorea (a movement disorder), rheumatic fever, or your spleen removed.

#### BRAND NAME

Ledercillin VK, Pen-Vee K,

Longacillin, Cillin K,

#### AMPICILLIN

It is an antibiotic used to prevent and treat a number of bacterial infections, such as respiratory tract infections, urinary tract infections, meningitis, salmonellosis, and endocarditis. It should not be used in people who are allergic to penicillin. Bacterial meningitis; an aminoglycoside can be added to increase efficacy against Gram-negative meningitis bacteria.

# **PROPERTIES**

- White crystalline powder or as white, needle-like crystals
- Odorless or has a faint odor characteristic of the penicillins
- Dissolves in water, methanol, ethanol,
- Practically insoluble in ether, benzene, and chloroform.

# **STABILITY & STORAGE**

- Ampicillin powders are stable when stored at room temperature for six weeks.
- Stability decreases significantly in the presence of sugars.

# <u>USES</u>

- Endocarditis by enterococcal strains
- Gastrointestinal infections caused by contaminated water or food (for example, by *Salmonella*)
- Genito-urinary tract infections.
- Healthcare-associated infections .
- Otitis media (middle ear infection)
- Prophylaxis (i.e. to prevent infection) in those who previously had rheumatic heart disease or are undergoing dental procedures.
- Respiratory infections, including bronchitis, pharyngitis.
- Sinusitis, Sepsis, Whooping cough, to prevent and treat secondary infections.

# BRAND NAME

- Ampi, Omnipen,
- Penglobe, Principen.