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DEPARTMENT	—	PHARMACY
SUBJECT	—	PHARMACEUTICAL CHEMISTRY II
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SUBTOPIC	—	ANTIBIOTIC
CONTENT TYPE	—	TEXT
KEY WORD	—	AMOXYCILLIN, PENICILLIN CHLORAMPHENICOL

Antibiotics

Antibiotics are chemical substances produced by various micro-organism such as fungi, actinomycetes and bacteria. They eliminate the other micro-organisms by either killing them outright (in which case they are referred to as being bactericidal or fungicidal etc.) or by inhibiting or preventing the growth of microorganisms (in which case they are referred to as being bacterio-static or fungi static etc.).

Some antibiotics such as the tetracyclines and chloramphenicol are known as broad spectrum antibiotics since they active against a wide variety of micro-organism such as Gram-negative and Gram positive bacteria and viruses etc., whereas antibiotics such as penicillin G, bacitracin are called as narrow spectrum antibiotics since they are highly specific.

Classification –

The classification is based on chemical structure.

a) β-lactam antibiotics:
Penicillins, Cephalosporins

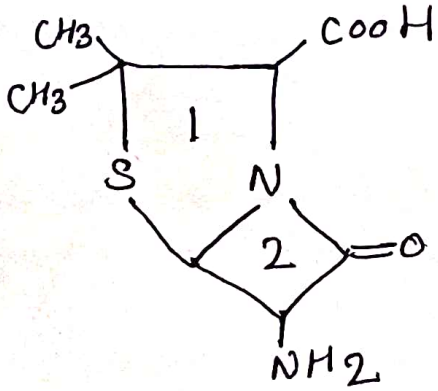
b) Tetracyclines:
Chlortetracycline, Oxytetracycline etc.

c) Nitrobenzene derivative –
Chloramphenicol

d) Polypeptide antibiotics :
Bacitracin, Polymyxin - B, Colistin, Tyrothricin
e) Aminoglycosides :
Streptomycin, Neomycin, Gentamicin etc.
f) Macrolide antibiotics :
Erythromycin, Oleandomycin etc,
g) Polyene antibiotics :
Nystatin, Hemycin, Amphotericin - B etc.
h) Miscellaneous :
Rifampicin, Lincomycin, cycloserine, Griseofulvin etc.

* Penicillins

Penicillins are a group of antibiotics produced by the growth of the moulds Penicillium notatum, Penicillium chrysogenum etc. in artificial culture media. They are two types : -
1) Natural penicillins 2) Semisynthetic penicillins.



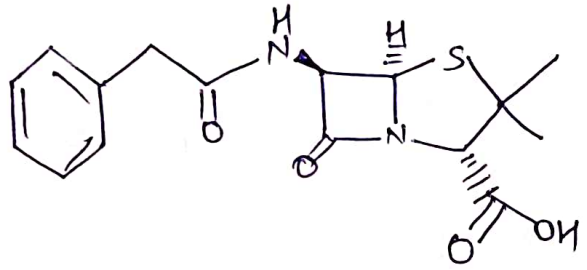
(6-aminopenicillanic acid)

The most important semisynthetic penicillins are given below -

1) Phenethicillin
2) Cloxacillin
3) Flucloxacillin
4) Ambicillin
5) Amoxycillin
6) Methicillin

* Benzyl Penicillin (Penicillin G)

Benzylpenicilin is manufactured by a submerged culture technique using P. chrysogenum in sterile medium consisting mainly of corn steep liquor, several inorganic salts and lactose.



Physical properties -

Colour - White, amorphous powder

Odour - Odourless

Solubility - Sparingly soluble in water but soluble in organic compound.

Chemical properties -

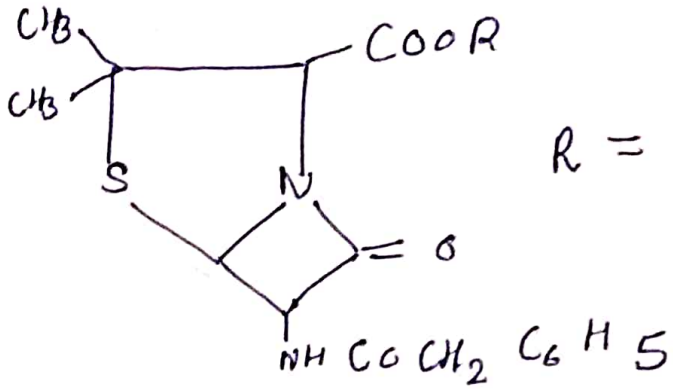
Some of the penicillins give distinct colours when they are moistened with a little water and treated with sulphuric acid (96% w/w).

Benzyl benicillin, I.P. -

The benzyl benicillin of the IP. is either the sodium or potassium salt.

Nomenclature - 6- (2- phenylacetamido) benicillanic acid

Structure -



R = Na or K

Physical properties -

Colour - fine, white crystalline powder

Odour - Odourless

Taste -

Solubility - Very soluble in water, soluble in alcohol.

Chemical properties - 1) Benzyl benicillin sodium and potassium do not give any colour either with sulphuric acid (96% w/w) or with sulphuric acid formaldehyde - reagent.

2) However a reddish - brown colour is obtained when after the addition of formaldehyde-sulphuric acid reagent, the mixture is heated for one minute. by immersion in a boiling water bath. Both sodium and Potassium salts of benzyl benicilin answer the reaction and give the same colour.

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Stability and storage - It is stable in powder form. So it must be stored in sterile well-closed dry containers in a cool, dry place.

Uses - Penicillin G is the drug of choice in infections caused by organism susceptible to it. It is used in streptococcal infections, pneumococcal infections, meningococcal infections, gonorrhea, syphillis, diptheria, tetanus, actinomycosis, rat bite fever etc.

Official -

* Benzylpenicillin IP
* Benzylpenicillin Injection, IP, BP.
* Benzylpenicillin Potassium BP.
* Benzylpenicillin Sodium B.P

Brand Names -

a) For Benzylpenicillin Sodium

1) Sodium Penicillin G
2) Penicillin G Sodium
3) Novacillin
4) Nalpen G
5) Penilarin
6) Venticillin

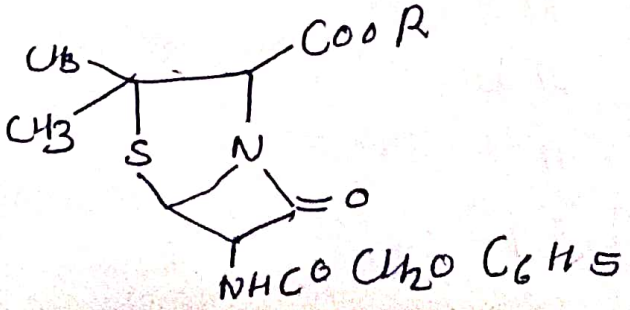
b) For Benzylpenicillin Potassium -

1) Crystalline Penicillin G
2) Penicillin
3) Penicillin G Potassium

* Phenoxy methyl penicillin
(Penicillin V)

Nomeclatur -

Structure -



Physical properties –
Phenoxymethyl benicillin is official in B.P.
Colour – White crystallin powder
Odour – Odourless
Taste –
Solubility – Very slightly soluble in water,
freely soluble in ethanol (96%)

Chemical properties –
1. They gives a reddish brown colour when treated with formaldehyde- sulphuric acid reagent.
2) This colour change to dark reddish brown when the mixture is heated at 100°C in a water bath for 1 minute.

Stability and storage –
It is quite stable. It should be stored in an airtight container.

Uses – Antibiotics. Since it is acid resistant, it can be administered orally in the form of tablet or cabsules.
It can't be used for serious infections and is used usually for sinusitis, otitis media, streptococcal pharyngitis, prophylaxis of rheumatic fever etc.

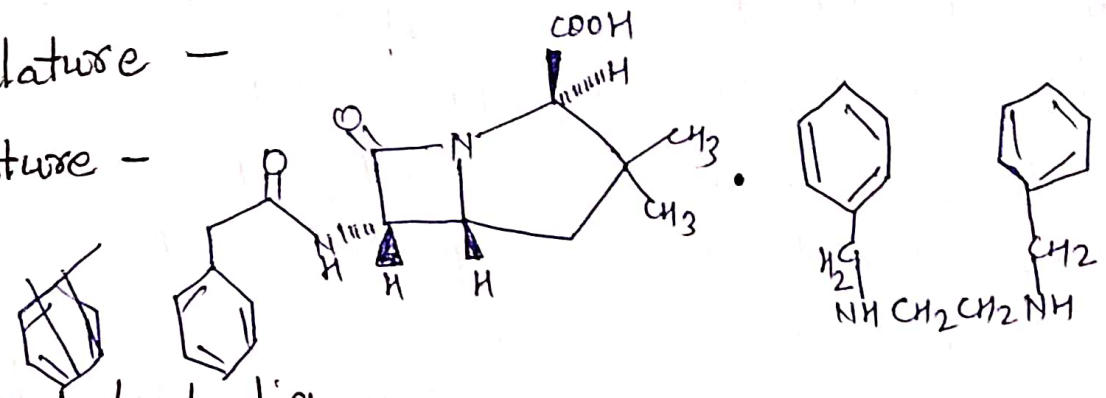
Official –
Phenoxymethyl benicillin, B.P.

Brand Names – 1) Penicillin V
2) Aciperi V
3) Distaquain V
4) V- cillin
5) Oracillin

Benzathine Penicillin –

Nomeclature –

Structure –



Physical properties –

Colour – White, crystalline powder

Odour – Odourless

Solubility – Very slightly soluble in water
freely soluble in formamide

Chemical properties –

1) The benzathine penicillin can be decomposed by adding NaOH solution. The benzathine part can be extracted with ether, ether removed by evaporation.

2) When it is dissolved in glacial acetic acid and potassium dichromate solution is added, a golden yellow precipitate is formed.

3) Benzathine penicillin gives a reddish brown colour when treated with formaldehyde - sulphuric acid reagent and heated at 100° C for one minute in a water bath.

Stability and storage –

It should be stored in an airtight container at a temperature less than 30° C.

Uses – It is well absorbed orally. So it is mainly used in treatment of Syphilis and streptococcal infection particularly of the upper respiratory tract.

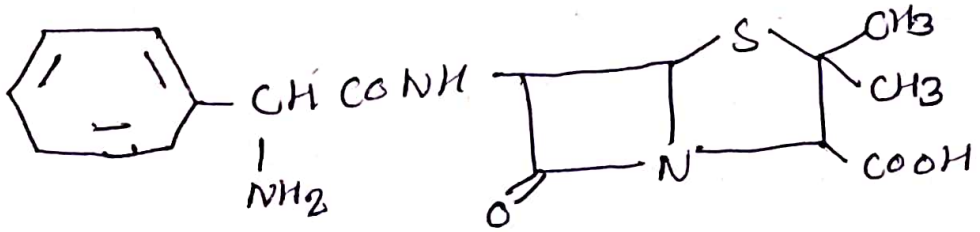
Official – Benzathine Penicillin IP, BP
Benzathine Penicillin injection, IP
Benzathine Penicillin Tablets.

Brand names – Penidure-A, Longacillin, Diapen Bicillin, Dibencillin etc.

Ampicillin

Nomeclature – 6-(d-amino-α-phenyl) acetamido-penicillanic acid.

Structure –



Physical properties –

Colour – White, microcrystalline powder

Odour – Odourless

Taste – Bitter taste

Solubility – Slightly soluble in water

Chemical properties – It gives a dark yellow colour, when treated with formaldehyde-sulphuric acid reagent and heated at 100°C for one minute in boiling water bath.

Stability and storage - May be affected by high temperature. So it should be stored in an airtight container at a temperature not exceeding 30°C.

Uses - It is active against Gram positive bacteria like benzylpenicillin and also is active against many gram negative bacilli.

Ampicillin Sodium

Ampicillin sodium is the sodium salt of ampicillin.

Physical properties -

Colour - White crystalline powder, which is hygroscopic

Odour - Odourless

Taste - Bitter

Solubility - freely soluble in water, slightly soluble in alcohol, chloroform.

Chemical properties - As given under Ampicillin

Stability - Stored in tightly closed containers, protected from moisture and cool place -

Uses - See under ampicillin

Ampicillin Trihydrate

It has three molecules of water of crystallization combined with ampicillin.

Physical properties -

Colour - White crystalline powder

Odour Odourless

Taste - Tasteless.

Solubility - Dissolve in dilute Solution of acids

stability and storage - Should be stored in an airtight container at temperature not exceeding 30° C.

Official - Ampicillin IP, BP

Ampicillin Sodium IP, BP

Ampicillin Capsules IP, BP

Ampicillin Oral suspension IP

Ampicillin Injection IP, BP

Brand Names - Ampicillin, Roscillin, Dynacil

Polycillin, Totacillin etc.

REFERENCE- VN Rajasekaran Pharmaceutical chemistry II, CBS Publishers and Distributors Pvt. Ltd.