

# Medicinal chemistry BP 601

## beta-Lactam Antibiotic

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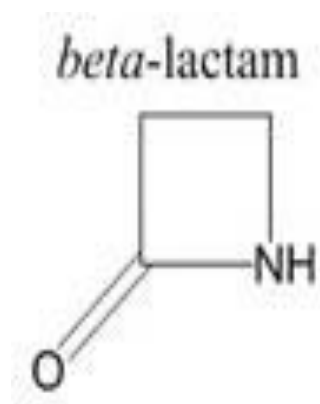
SOS PHARMACY

- ▶ The name “Lactam” is given to cyclic amides and is analogous to the name “Lactone” which is given to cyclic esters .
- ▶  $\beta$ -lactam are the most widely used group of antibiotics available
- ▶ The first synthetic  $\beta$ -Lactam was prepared by HERMANN STAUDINGER in 1907 by reaction of the schiff base of aniline and benzaldehyde with diphenylketone in a cycloaddition.
- ▶ Upto 1970, most  $\beta$ -Lactam research was concerned with the penicillin and cephalosporin groups, but since then a wide variety of structures have been described.

Their structure contains a beta-lactam (a four membered cyclic amide) ring structure

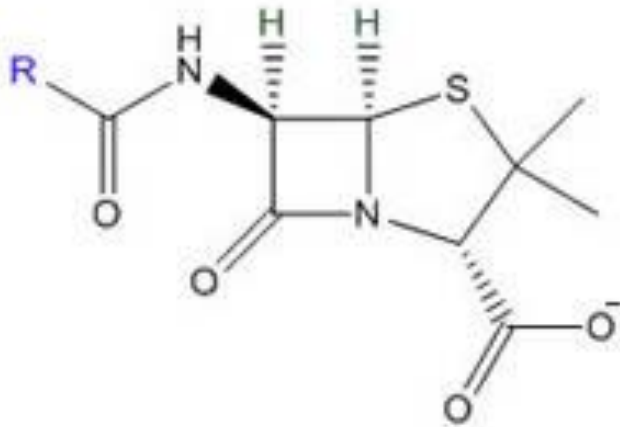
- ▶ The major subdivisions are:
- ▶ **Penicillins** whose official names usually include or end in “cillin”
- ▶ **Cephalosporins** which are recognized by the inclusion of “cef” or “ceph” in their official names.
- ▶ **Carbapenems** (e.g. meropenem, imipenem)
- ▶ **Monobactams** (e.g. aztreonam)
- ▶ **beta-lactamase inhibitors** (e.g. clavulanic acid, sulbactam)

- ▶ Penicillin derivatives, Cephalosporins, Monobactams and Carbapenems all belong to this popular class of drugs. A four-membered lactam ring, known as a  $\beta$ -lactam ring, is a common structural feature of this class
- ▶ Most of these medicines work by interfering with bacterial cell wall synthesis; the cell wall being an optimum drug target because it is something that bacterial cells possess, but not human cells.



## ► Penicillin and its Derivatives

General structure of penicillins



The key structural features of penicillins can be summarised as follows:

- Fused  $\beta$ -lactam and thiazolidine ring forming a **bicyclic system** (Penam)
- **Free carboxylic acid**
- **Acylamino side chain**
- *Cis* stereochemistry for the hydrogen

**β-lactam Antibiotics**

**Penicillins**

- PenicillinG
- PenicillinV
- Methicillin
- Nafcillin
- Oxacillin
- Cloxacillin
- Dicloxacillin
- Amoxicillin
- Carbenicillin
- Ticarcillin
- Piperacillin
- Mezlocillin
- Cefoxitin
- Aziocillin

**Cephalosporins**

**1<sup>st</sup> Generation**

- Ampicillin
- Cefadroxil
- Cephalexin
- Cephalothin
- Cephapirin
- Cephradine

**2<sup>nd</sup> Generation**

- Cefazolin
- Cefamandole
- Cefonicid
- Cefmetazole
- Cefotetan
- Cefuroxime

**3<sup>rd</sup> Generation**

- Cefaclor
- Cefoperazone
- Ceftizoxime
- Ceftazidime
- Ceftriaxone
- Cefixime
- Moxalactam

**4<sup>th</sup>&5<sup>th</sup> Generation**

- Cefepime
- Cefozopran
- Cefpirome
- Cefquinome
- Ceftobiprole
- Ceftaroline
- Fosamil

**Carbapenems**

- Biapenem
- Ertapenem
- Doripenem
- Imipenem
- Panipenem

**Monobactams**

- Aztreonam
- Tigemonam
- Carumonam
- Nocardicin A

## Mechanism of action

