13.3C: Beta-Lactam Antibiotics: Penicillins and Cephalosporins

The β-lactam ring is part of the core structure of several antibiotic families.

Learning Objectives

- Recognize the classes of beta-lactams and their mechanisms of action

Key Points

- The principal antibiotic families of which the β-lactam ring is part of the core structure are the penicillins, cephalosporins, carbapenems, and monobactams, which are also called β-lactam antibiotics.
- A β-lactam (beta-lactam) ring is a four-membered lactam (cyclic amide). -Lactams are classified according to their core ring structures.
- The cephalosporins are a class of β-lactam antibiotics originally derived from the fungus Acremonium, which was previously known as “Cephalosporium”.

Key Terms

- **cephalosporin**: The cephalosporins are a class of β-lactam antibiotics originally derived from the fungus Acremonium, which was previously known as “Cephalosporium”.
- **antibiotic**: Any substance that can destroy or inhibit the growth of bacteria and similar microorganisms.
- **β-lactam**: A β-lactam (beta-lactam) ring is a four-membered lactam. A lactam is a cyclic amide. It is named as such, because the nitrogen atom is attached to the β-carbon relative to the carbonyl. The simplest β-lactam possible is 2-azetidinone.
• **β-lactam**: Any of a class of cyclic amides, that are the nitrogen analogs of lactones, formed by heating amino acids; the tautomeric enol forms are known as lactams.

A β-lactam (beta-lactam) ring, is a four-membered lactam. It is named as such, because the nitrogen atom is attached to the β-carbon relative to the carbonyl. The simplest β-lactam possible is 2-azetidinone.

![β-Lactam](image)

**Figure: β-Lactam**: β-Lactam ring is a four-membered lactam.

The β-lactam ring is part of the core structure of several antibiotic families, the principal ones being the penicillins, cephalosporins, carbapenems, and monobactams, which are, therefore, also called β-lactam antibiotics. Nearly all of these antibiotics work by inhibiting bacterial cell wall biosynthesis. This has a lethal effect on bacteria. Bacteria do, however, contain within their populations, in smaller quantities, bacteria that are resistant against β-lactam antibiotics. They do this by expressing the β-lactamase gene. When bacterial populations have these resistant subgroups, treatment with β-lactam can result in the resistant strain becoming more prevalent and so, more virulent.

β-Lactams are classified according to their core ring structures:

- β-Lactams fused to saturated five-membered rings;
- β-Lactams containing thiazolidine rings are named *penams*;
- β-Lactams containing pyrrolidine rings are named *carbapenams*;
- β-Lactams fused to oxazolidine rings are named *oxapenams* or *clavams*;
- β-Lactams fused to unsaturated five-membered rings;
- β-Lactams containing 2,3-dihydrothiazole rings are named *penems*;
- β-Lactams containing 2,3-dihydro-1H-pyrrole rings are named *carbapenems*;
- β-Lactams fused to unsaturated, six-membered rings;
- β-Lactams containing 3,6-dihydro-2H-1,3-thiazine rings are named *cephems*;
- β-Lactams containing 1,2,3,4-tetrahydropyridine rings are named *carbacephems*;
- β-Lactams containing 3,6-dihydro-2H-1,3-oxazine rings are named *oxacephems*; and
- β-Lactams not fused to any other ring are named *monobactams*.

Penicillin (sometimes abbreviated PCN or pen) is a group of antibiotics derived from *Penicillium fungi*. They include penicillin G, procaine penicillin, benzathine penicillin, and penicillin V. Penicillin antibiotics are historically significant because they are the first drugs that were effective against many previously serious diseases, such as syphilis, and infections caused by staphylococci and streptococci. Penicillins are still widely used today, though many types of
bacteria are now resistant. All penicillins are β-lactam antibiotics and are used in the treatment of bacterial infections caused by susceptible, usually Gram-positive, organisms.

The cephalosporins (sg. /ˌsɛfəlsporin/) are a class of β-lactam antibiotics originally derived from the fungus Acremonium, which was previously known as “Cephalosporium”. Together with cephamycins, they constitute a subgroup of β-lactam antibiotics called cephems. Cephalosporins are indicated for the prophylaxis and treatment of infections caused by bacteria susceptible to this particular form of antibiotic. First-generation cephalosporins are active predominantly against Gram-positive bacteria, and successive generations have increased activity against Gram-negative bacteria (albeit often with reduced activity against Gram-positive organisms).