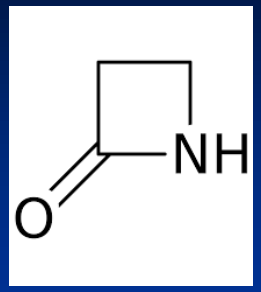
Activity	Class
Cidal	Penicillins
Cidal	Cephalosporins
Cidal	Monobactams (Aztreonam)
Cidal	Carbapenems
Cidal	Aminoglycosides
Static	Macrolides
Cidal	Quinolones
Static	Tetracyclines
Static	Sulfonamides
Cidal	Metronidazole
Cidal	Vancomycin
Cidal	Daptomycin
Cidal for *Streptococci* Static for *Staphylococci* and *Enterococci*	Linezolid
Static	Clindamycin
Cidal	Nitrofurantoin
Cidal	Synercid
Static	Chloramphenicol
Cidal	Fosfomycin

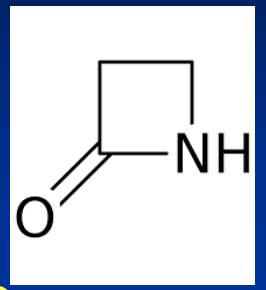
Beta Lactam Antibiotics

Beta Lactam Antibiotics

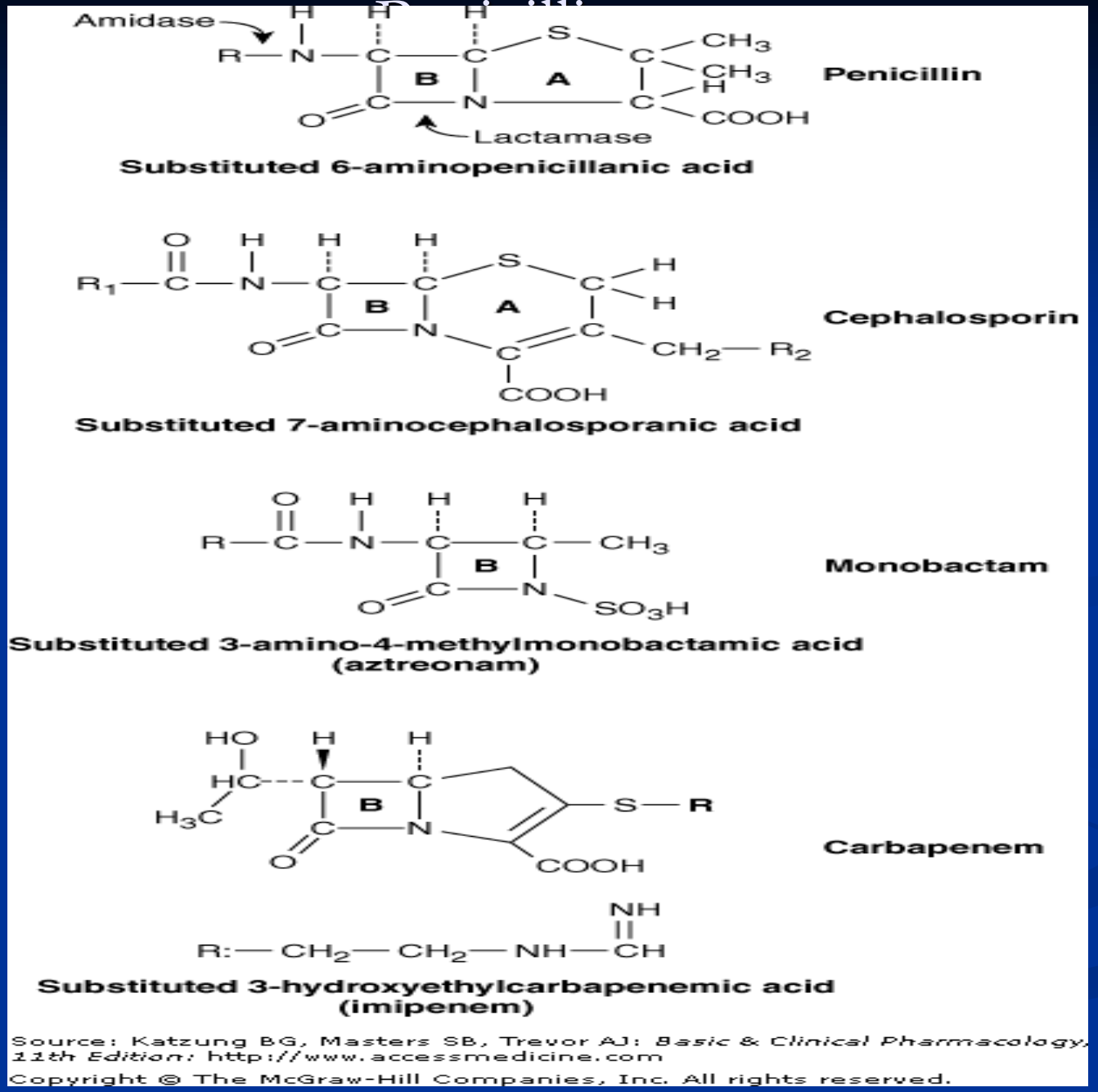


- All contain a beta lactam ring.
- Work to inhibit cell wall synthesis.
- The beta lactam ring is the active functional group where antibiotic activity resides.

Beta Lactam Antibiotics



- Resistant bacteria produce a lactamase which can break this ring.
- Penicillin G is the prototype for all antibiotics and all the beta lactam antibiotics.
- Oldest antibiotics, but still growing and new agents are still discovered and added to the group.



The Penicillins

- Are the most widely used antibiotics.
- Penicillin G was found very effective against the most common and important Gram positive bacteria like Staph, Strept, Pneumococcus, and many others.

The Penicillins

- Natural produced from the fermentation medium used to culture Penicillium such as Penicillin G which is the only natural penicillin used clinically

- Semisynthetic= Modified natural.
- Synthetic.

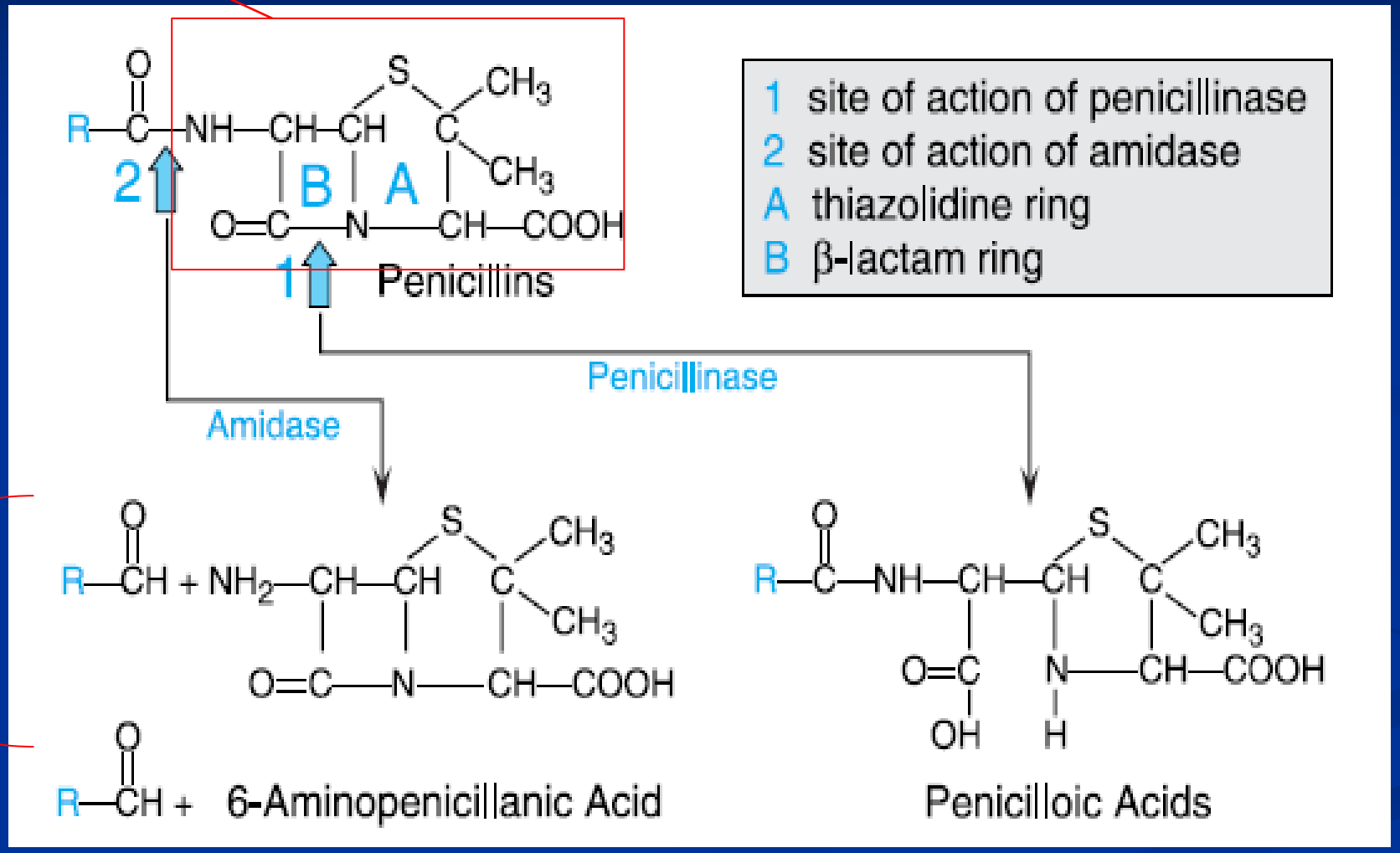
Side chains can be added that alter the susceptibility of the resulting compounds to inactivate enzymes (β-lactamases)

The Penicillins

- **Penicillinase**, produced by resistant bacteria, inactivates penicillins by breaking the beta lactam ring.
- **Clavulanic** acid inhibits this enzyme, so combined with ampicillin to give good combination" Augmentin".

Structure of penicillins and products of their enzymatic hydrolysis

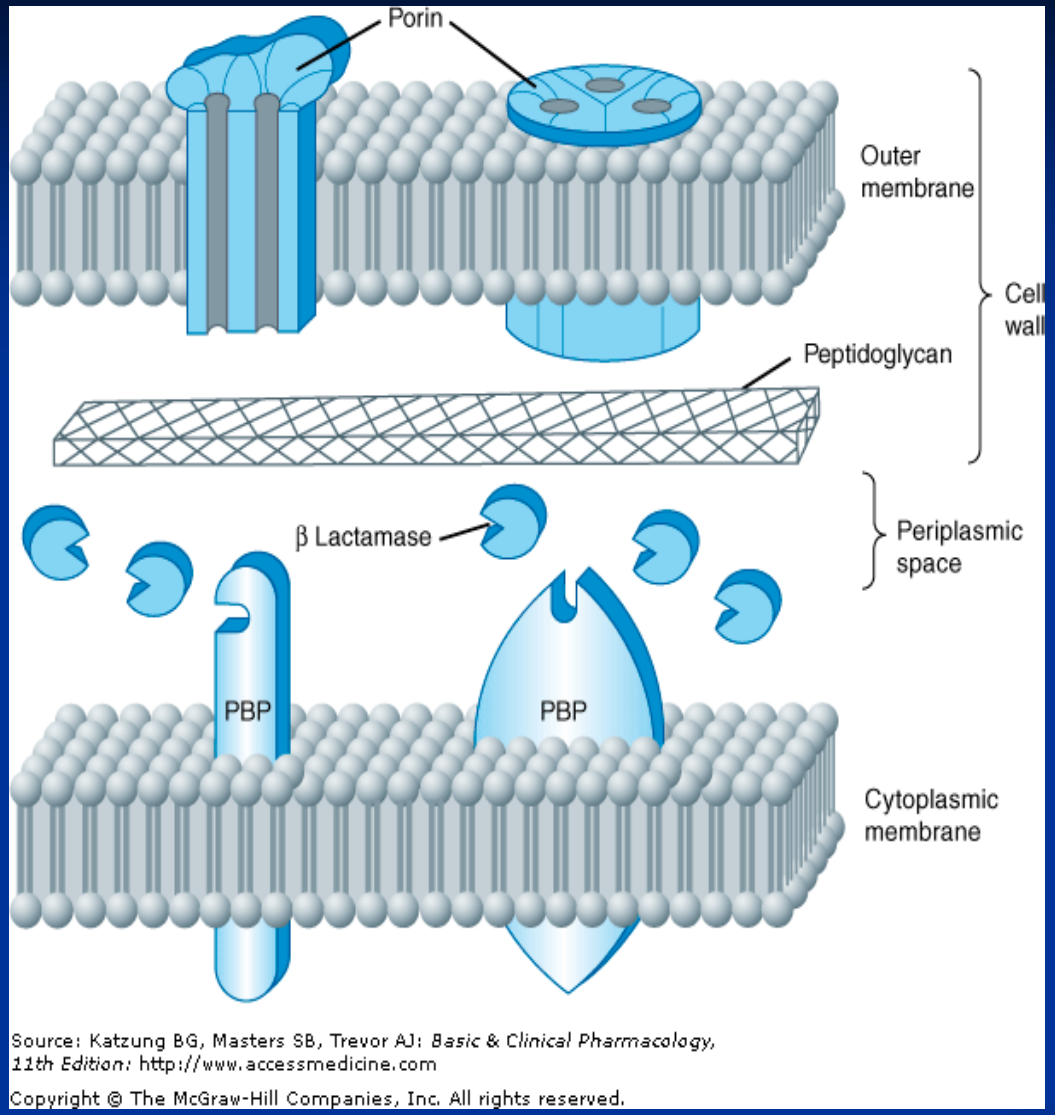
penicillin nucleus



Semisynthetic

penicillin amidase has two substrates are penicillin and H2O, whereas its two products are carboxylate and 6-aminopenicillanate.

Penicillin Actions

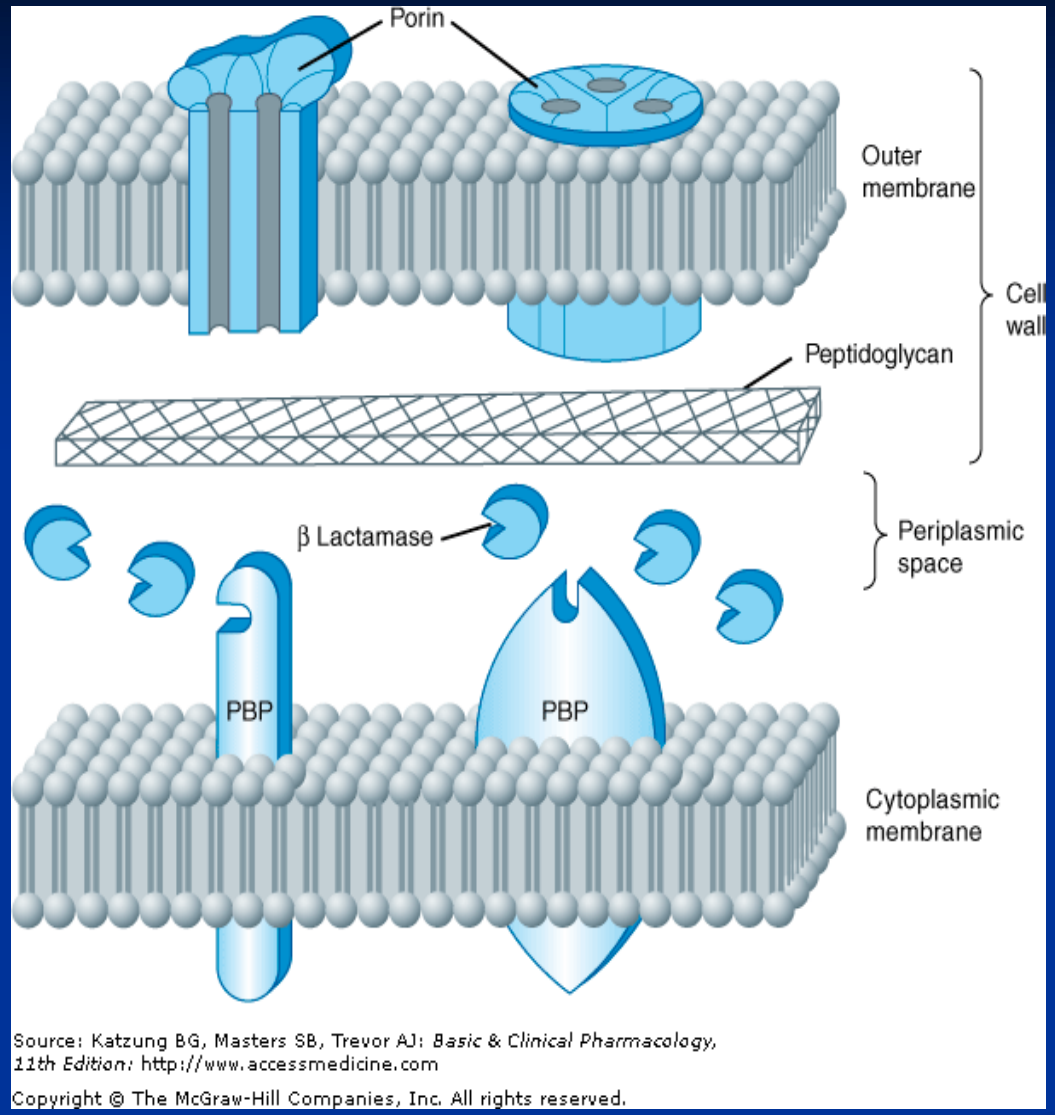


Source: Katzung BG, Masters SB, Trevor AJ: *Basic & Clinical Pharmacology, 11th Edition*: http://www.accessmedicine.com

- The cell walls of bacteria are essential for their normal growth and development

- Peptidoglycan provides rigid mechanical stability

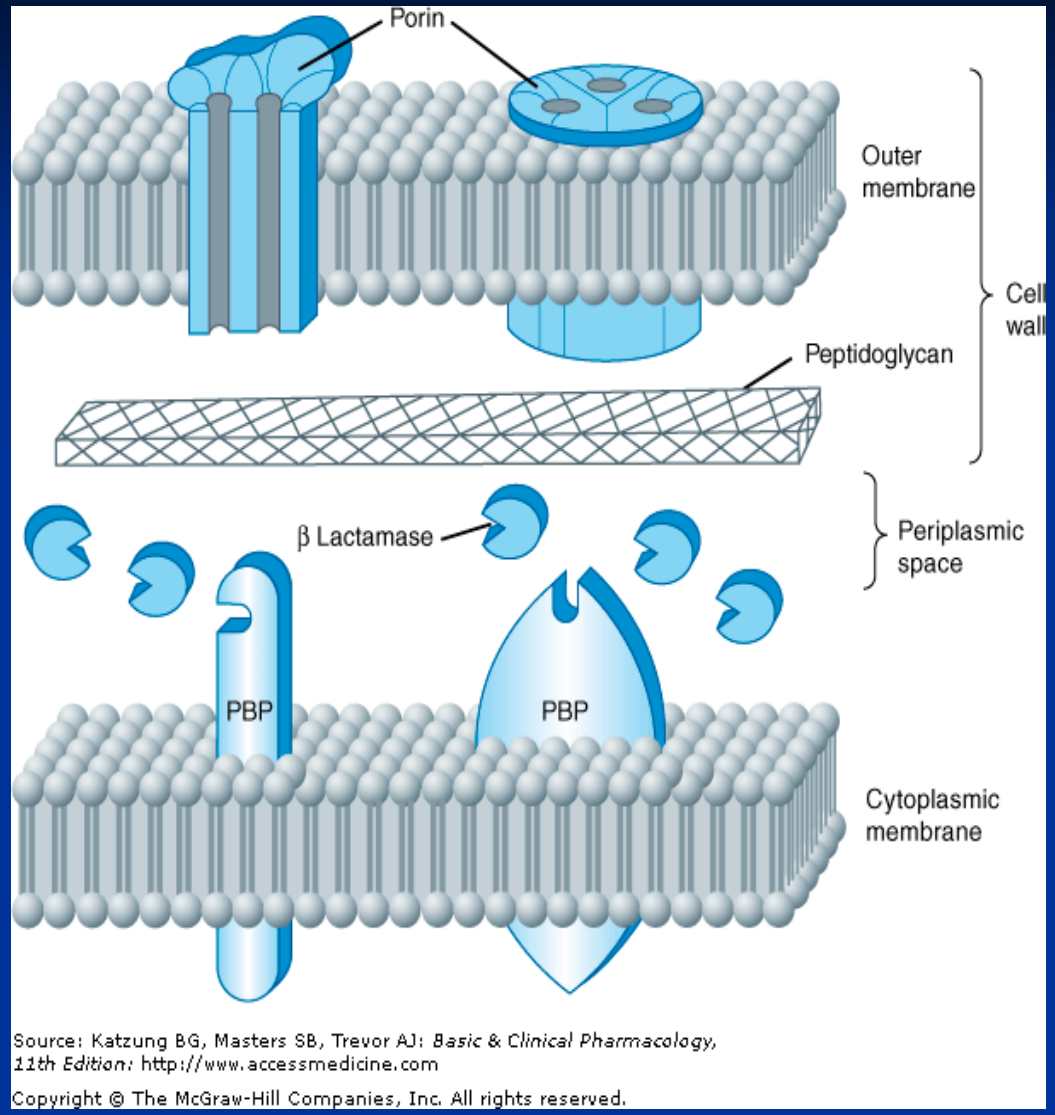
Penicillin Actions



Source: Katzung BG, Masters SB, Trevor AJ: *Basic & Clinical Pharmacology, 11th Edition*: http://www.accessmedicine.com

- In gram-positive microorganisms, the cell wall is 50 to 100 molecules thick,
- but it is only 1 or 2 molecules thick in gram-negative bacteria

Penicillin Actions



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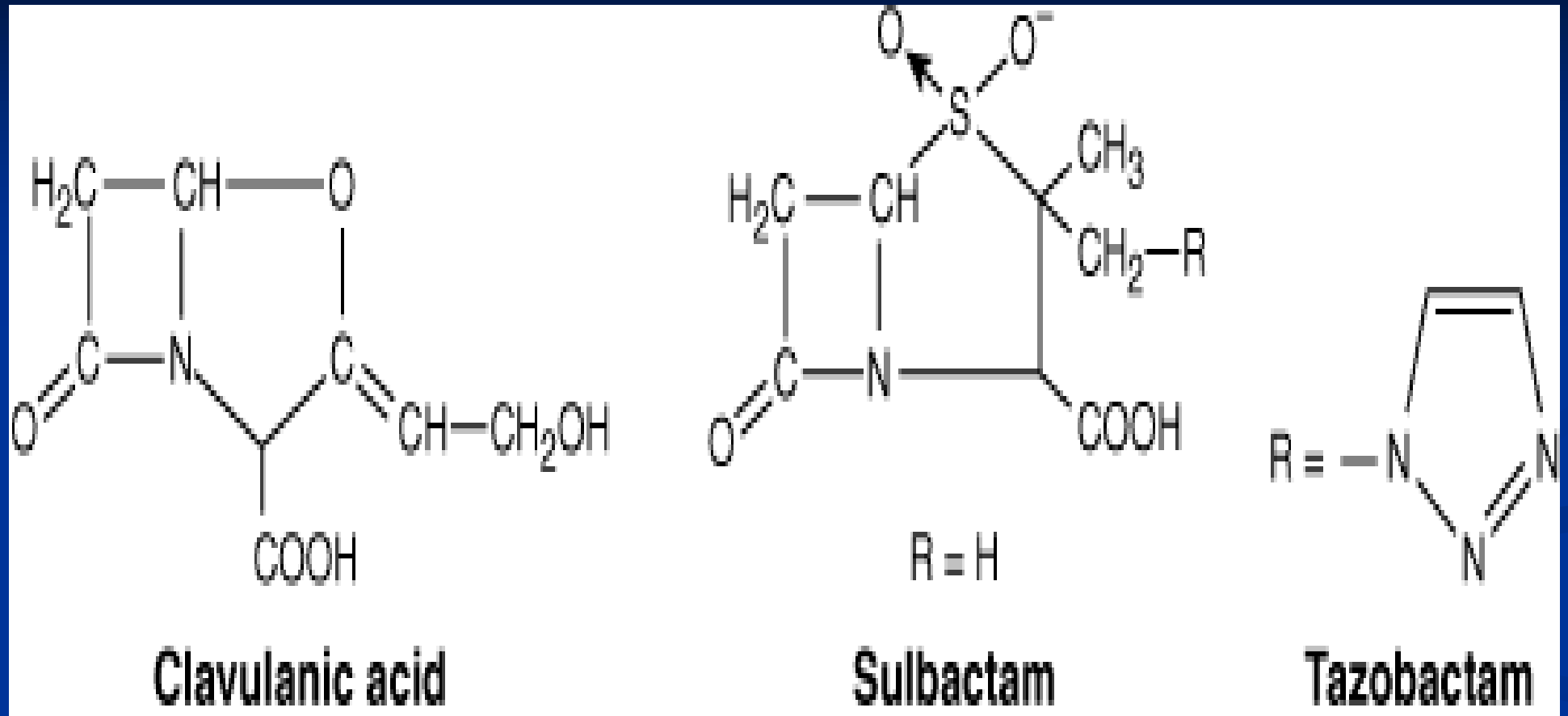
last step in peptidoglycan synthesis that is inhibited by the β-lactam antibiotics

Penicillin-binding proteins (PBPs) are a group of proteins that are characterized by their affinity for and binding of penicillin. They are a normal constituent of many bacteria

β -Lactamase inhibitors.



Source: Katzung BG, Masters SB, Trevor AJ: *Basic & Clinical Pharmacology*, 11th Edition: http://www.accessmedicine.com

The Penicillins

- **Benzyl penicillin (Penicillin G):**
  - **Deep IM injection.**
  - **Highly active against sensitive strains of gram-positive cocci**
  - hydrolyzed by penicillinase
  - ineffective *S. aureus*

The Penicillins

- **Procain benzylpenicillin:**
  - Painless, prolonged action injection.
- **Phenoxymethyl penicillin:**
  - Oral, not destroyed by gastric juice.
- **Cloxacillin, Dicloxacillin, and Fluclocillin :**
  - Penicillinase resistant, for Staphylococcus.