

Classification of cephalosporin & its antibacterial action

Generation	Drug Names	Anti-Bacterial Activity
1st	Cefadroxil, cefazolin, cephalexin, cephalothin, cephapirin, cephradine	Gram-positive cocci (pneumococci, streptococci, staphylococci), Proteus mirabilis, Escherichia coli, Klebsiella pneumoniae
2nd	Cefaclor, cefamandole, cefonicid, cefuroxime, cefprozil, loracarbef, ceforanide, cefoxitin, cefmetazole, cefotetan	Gram-positive cocci, Proteus, E.coli, Klebsiella species, Enterobacter, Serratia, some anaerobes, Haemophilus influenzae
3rd	Cefoperazone, cefotaxime, ceftazidime, ceftizoxime, ceftriaxone, cefixime, cefpodoxime proxetil, cefditoren pivoxil, ceftibuten, moxalactam	Gram-negative bacteria (Serratia, Pseudomonas, Enterobacter, H. influenzae, Neisseria)
4th	Cefepime	Gram-negative bacteria (Serratia, Pseudomonas, Enterobacter, H. influenzae, Neisseria) Gram-positive bacteria (Staphylococcus aureus, Streptococcus pneumoniae)
5th	Ceftaroline	Gram-positive bacteria (Streptococcus, S. aureus [MSSA and MRSA]) Gram-negative bacteria (E. coli, Klebsiella, H. Influenzae)

Clinical Uses

- 1st generation: Effective against gram-positive cocci, P.mirabilis, E. coli, and K. pneumoniae; usually used for surgical prophylaxis or treatment of cellulitis.
- 2nd generation: Effective against gram-positive cocci, Proteus, E. coli, Klebsiella, Serratia, some anaerobes, and H.influenzae; used to treat sinusitis, otitis, and pneumonia.

- 3rd generation: Effective against gram-negative bacteria (Serratia, Pseudomonas, Enterobacter, H. influenzae, Neisseria); used to treat serious gram-negative infections.
- 4th generation: Effective against gram-negative bacteria (Serratia, Pseudomonas, Enterobacter, H. influenzae, Neisseria), as well as against some gram-positive bacteria (S. aureus, S. pneumoniae); used to treat serious gram-negative infections (e.g., meningitis, Pseudomonas, and Enterobacter infections)
- 5th generation: Effective against gram-positive bacteria (including MRSA) as well as gram-negative bacteria (though notably not pseudomonas).

Mechanism of Action

bacterial peptidoglycan cell walls formation are mediated via PBPs



The cephalosporins bind PBPs



blocks peptidoglycan cross-linking



leads to the inhibition of bacterial cell wall synthesis.

Side Effects

- Hypersensitivity reaction (10% of patients with penicillin allergy will have a cephalosporin allergy)
- disulfiram-like reaction with ethanol (cefamandole, cefotetan, and cefoperazone)
- nephrotoxicity.

Other - The cephalosporins has β -lactam ring. While other β -lactam drugs (e.g., penicillin) are inactivated by the cleavage of the β -lactam ring by bacterial β -lactamases, the cephalosporins are relatively resistant to β -lactamases.