

7.6.3.3. Cephalothin

Cephalothin is a semi-synthetic, first generation cephalosporin antibiotic and also a β -lactam with bactericidal activity.

Molecular Formula: $C_{16}H_{16}N_2O_6S_2$

IUPAC Nomenclature: (6R,7R)-3-(acetyloxymethyl)-8-oxo-7-[(2-thiophen-2-ylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

Properties: Cephalothin occurs as a white crystalline powder with no odour. It is soluble in water; and insoluble in most organic solvents.

Uses: Cephalothin is used for preventing infection during surgery and for treating various infections related to blood, bones, joints, respiratory tract, skin, and urinary tract.

Stability and Storage Conditions: Cephalothin is light sensitive, thus should be stored in tightly-closed light-resistant containers at temperatures below 25°C. For parenteral preparations, the containers should be sterile and sealed to protect from microorganisms. For non-parenteral preparations, it should contain a label bearing 'not to be injected'.

Types of Pharmaceutical Formulation: Intramuscular and intravenous injections.

Brand Names: Keflin and Seffin.

7.7. GRISEOFULVIN

7.7.1. Introduction

Griseofulvin is an antifungal agent used for treating infections related to skin, nails, scalp, feet, groin, and other body parts. Mostly it is used for treating infections occurring from tinea strains of fungi.

Molecular Formula: $C_{17}H_{17}ClO_6$

IUPAC Nomenclature: (2S,5'R)-7-chloro-3',4,6-trimethoxy-5'-methylspiro[1-benzofuran-2,4'-cyclohex-2-ene]-1',3-dione

7.7.2. Properties

Griseofulvin occurs as a white, odourless, colourless, and tasteless powder having very slight solubility in water. It is soluble in N, N-Dimethylformamide and slightly soluble in chloroform, ethanol, methanol, acetone, benzene, ethyl acetate, and acetic acid.

7.7.3. Uses

Griseofulvin is used for treating ringworm infections of hair, skin, and nails, i.e., tinea corporis, tinea pedis, tinea barbae, tinea cruris, cradle cap, or other conditions caused by *Microsporum* or *Trichophyton* fungi.

7.7.4. Stability and Storage Conditions

Griseofulvin should be stored below 40°C, preferably between 15-30°C. Microsize oral suspensions should not be frozen and should be stored in light-resistant, well-closed containers.

7.7.5. Types of Pharmaceutical Formulation

Tablets.

7.7.6. Brand Names

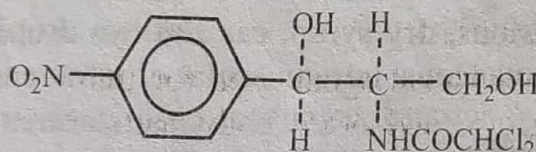
Gris OD, Walavin, and Grisoral.

7.8. CHLORAMPHENICOL

7.8.1. Introduction

Chloramphenicol is bacteriostatic in nature, and is a prototypical broad-spectrum antibiotic along with the tetracyclines. Chloramphenicol is active against many gram-positive and gram-negative organisms. It occurs as fine, white to greyish, white or yellowish white needle-like crystals or elongated plates. It is slightly soluble in water; and freely soluble in acetone, alcohol, ethyl acetate, and propylene glycol.

Chloramphenicol palmitate obtained from *Streptomyces venezuale*, is a fine, white, unctuous, crystalline powder, with a faint odour and bland, mild taste. It is insoluble in water; freely soluble in acetone and chloroform; soluble in ether; sparingly soluble in alcohol; and very slightly soluble in hexane solvent.



Chloramphenicol

Molecular Formula: C₁₁H₁₂Cl₂N₂O₅

IUPAC Nomenclature: 2,2-dichloro-N-[(1R,2R)-1,3-dihydroxy-1-(4-nitrophenyl)propan-2-yl]acetamide

7.8.2. Properties

Chloramphenicol occurs as a white coloured powder with a very bitter taste. It is highly soluble in alcohol and other polar organic solvents; and slightly soluble in water. Various alterations have been tried for making it more palatable. Chloramphenicol palmitate is an ester having a bland taste. It is not soluble in water and administered orally in suspension form.

7.8.3. Uses

Chloramphenicol has a wide antimicrobial spectrum including gram-positive and gram-negative bacteria (also salmonella), mycoplasma, and rickettsia. It acts as a bacteriostatic agent for most organisms, but for *Haemophilus*, *Neisseria*, and some strains of bacteroides it acts as a bactericidal.

Chloramphenicol is not in use any longer because of its ADR, bacterial resistance, and also the availability of better alternatives. However, it is an alternative drug for the following diseases:

- 1) **Enteric Fever:** It was the drug of choice for enteric fever originally; but is now on the reserve list as safer drugs (cotrimoxazole, ampicillin, and ciprofloxacin) have been introduced.
- 2) **Meningitis:** It shows activity against *H. influenzae* meningitis. It is also used in brain abscess. It can be used as an alternative to β -lactams in sensitive patients or in diseases caused by penicillin-resistant organisms.
- 3) **Topical Uses:** It has a wide antimicrobial spectrum. It is used in eye and ear infections as drops and ointments. It has a good penetration into ocular tissues and aqueous humour.
- 4) **Other Uses:** It is also used in severe rickettsial infections, infections by anaerobic organisms (sepsis), and pneumonia or laryngotracheitis caused by *Haemophilus*.

7.8.4. Stability and Storage Conditions

Chloramphenicol should be stored in tightly-closed containers at low temperatures and a dry place.

7.8.5. Types of Pharmaceutical Formulations

Capsule, oral suspensions, dry syrup, ear and eye drops, eye ointments, powder for solution and subcutaneous, intramuscular or intravenous injections.

7.8.6. Brand Names

Biophenicol Succinate, Cadimycetine, Chloromycetin, and Biophenicol.