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Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Chapter 11 : ANTI-INFECTIVE AGENTS

Chapter 11
ANTI-INFECTIVE AGENTS

ANTIFUNGAL AGENTS

→ Fungi are neither plants nor animals, and are classified as their own kingdom.
→ Fungi grow either as yeasts (single round cells) or as molds (many cells forming long, thin threads called hyphae.
→ Some fungi even go through both the forms during their life cycle.
→ Many fungi, including bread molds and mushrooms, can be seen with the naked eye.
→ Fungal infections are often caused by fungi present in the environment.
→ Most fungi are not dangerous, but some of them can be harmful Fungal spores are present in the air or in soil, thus fungal infections begin mostly in the lungs or on the skin.
→ These infections progress slowly and are not serious, unless they weaken the immune system.
→ Antifungal agents used to treat fungal infections are either applied topically on the infected site or are taken orally or injected in case the infection is serious.

Classification

The antifungal drugs are classified as follows:

1) Antibiotics

i) Polyenes : Amphotericin B (AMB), Nystatin. Hamycin, and Natamycin (Pinaricin).

ii) Heterocyclic Benzofuran : Griseofulvin.

2) Antimetabolite: Flucytosine (5-FC).

3) Azoles

Imidazoles (Topical): Clotrimazole, Econazole, and Miconazole. (Systemic): Ketoconazole.

Triazoles (Systemic): Fluconazole and Itraconazole.

4)Allylaminer : Terbinafine.

5) Other Topical Agents : Tolnaftate, Undecylenic acid, Benzoic acid, Quiniodochlor, Ciclopirox olamine, and Sodium thiosulfate.

Examples

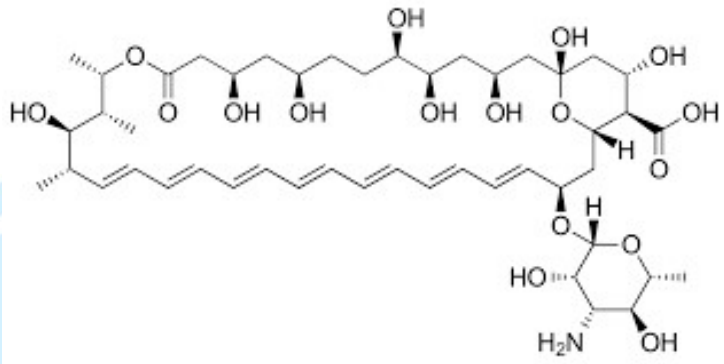
The following drugs are studied in detail:

- Amphotericin B,
- Griscofulvin.
- Miconazole,
- Ketoconazole, *
- Itraconazole,
- Fluconazole, * and
- Naftifine hydrochloride.

Amphotericin B

→ Amphotericin B injection is used to treat serious and potentially life-threatening fungal infections. Amphotericin B injection is in a class of medications called antifungals. It works by slowing the growth of fungi that cause infection.

Chemical Structure



Mechanism of Action

➢ Amphotericin B binds to ergosterol in the fungal cell membrane, which leads to the formation of pores, ion leakage and ultimately fungal cell death.

Uses

- It is used for treating many serious fungal Infections.
- It is useful in severe mycotic infections such as candidiasis, blastomycosis, aspergillosis, coccidioidomycosis, phycomycosis (algae like fungi),) sporotrichosis and
- It is used for treating mucocutaneous and cutaneous leishmaniasis and candidiasis, primary amoebic meningoencephalitis, and Cryptococcal meningitis in combination with flucytosine.
- It is also used for suppressing oral or intestinal candidiasis.

Stability and Storage Conditions

- Amphotericin B should be stored in the refrigerator and protected against exposure to light before rehabilitation.
- The rehabilitated solution should be stored in the dark at room temperature for 24 hours or at refrigerator

Type of Formulation

1. Powder for injections

Popular Brand Names

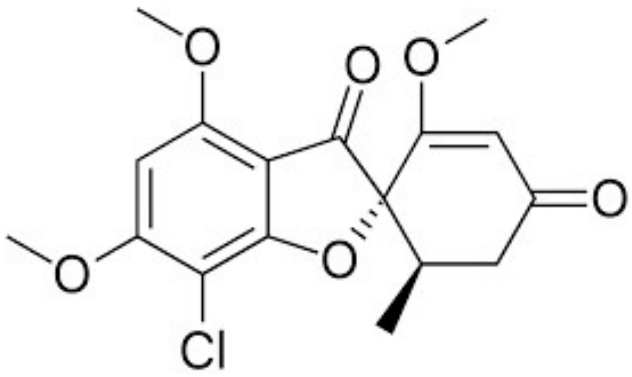
- Abelcet, Amphoted
- Ambisome, Fungizone

Griseofulvin

→ 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.

Chemical Structure



Mechanism of Action

➢ Griseofulvin is fungistatic, however the exact mechanism by which it inhibits the growth of dermatophytes is not clear. It is thought to inhibit fungal cell mitosis and nuclear acid synthesis. It also binds to and interferes with the function of spindle and cytoplasmic microtubules by binding to alpha and beta tubulin.

Uses

- Griseofulvin used for treating infections hair, skin, and ie, tinea corporis, tinea pedis, tinea barbae, tinea cruris, cradle conditions caused by Microsporum or Trichophyton fungi.

Stability and Storage Conditions

- It should stored room temperature.
- All medicines should be kept away from children and Medications should not be flushed down toilet or poured into drains unless instructed to do

Types Formulations

1) Tablet
2) Suspension

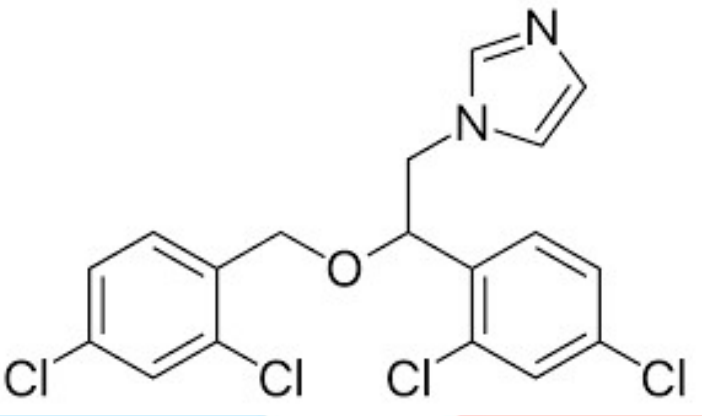
Popular Brand Names

- Grifulvin
- Griseofulicin
- Gris-PEG
- Griseofulvic

Miconazole

→ Miconazole is imidazole antifungal agent that used topically and intravenous infusion

Chemical Structure



Mechanism of Action

- Miconazole inhibits the synthesis of ergosterol, a major component of fungal cell membranes. This interferes with the barrier function of the membrane and with membrane-bound enzymes.

Uses

- Topical miconazole is used to treat tinea corporis(ringworm; fungal skin infection that causes a red scaly rash on different parts of the body), tinea cruris (jock itch; fungal infection of the skin in the groin or buttocks), and tinea pedis (athlete's foot; fungal infection of the skin on the feet and between the toes

Stability and Storage Conditions

- Miconazole tablets should stored room temperature 68°F 77°F (20°C 25°C).

Types of Formulations

1. Tincture
2. Spray
3. Tablet
4. Cream
5. Gel/jelly
6. Ointment

Popular Brand Names

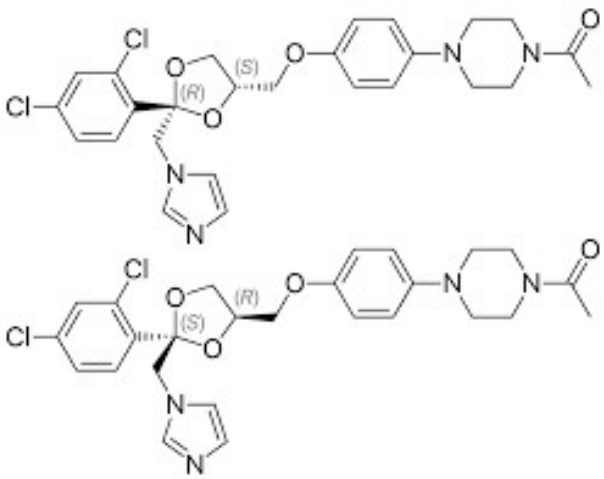
- Oravig
- Aloe Vesta Antifungal
- Baza Antifungal
- Carrington Antifunga

Ketoconazole *

→ Ketoconazole is a broad spectrum antifungal agent that is used in high doses for long periods in immune suppressed patients. It is a racemade comprising of equimolar amounts of (2R4S) und (2S4R) ketoconazole with the chiral centers on acetal ring.

Chemical Name and Structure

1-[4-[4-[2-(2,4-dichlorophenyl)-2 (imidazol-1-ylmethyl); 1,3-dioxolan-4-yl]methoxy]phenyl]piperazin-1-yl]ethanone



Mechanism of Action

➢ Ketoconazole blocks the synthesis of ergosterol, a key component of the fungal cell membrane, through the inhibition of cytochrome P-450 dependent enzyme lanosterol 14α-demethylase responsible for the conversion of lanosterol to ergosterol in the fungal cell membrane.

Uses

- Ketoconazole in used in a wide range of systemic fungal infections, like candidiasis, chronic mucocutaneous Candidiasis, oral thrush, candiduria, blastomycosis, coccidioidomycosis, histoplasmosis, chromomycosis, and paracoccidioidomycosis

Stability and Storage Conditions

- Ketoconazole topical cream should not be stored at a temperature less than 25°C

Types of Formulations

1. Shampoo Foam
2. Cream
3. Gel

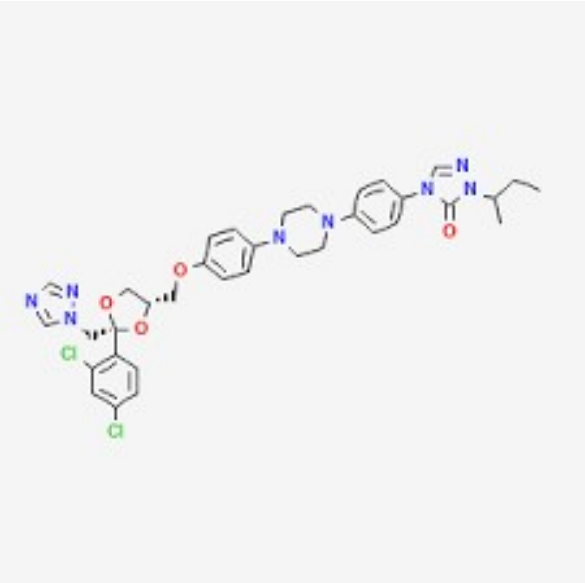
Popular Brand Names

- Extina
- Kuric
- Nizoral

Itraconazole

→ Itraconazole is used to treat a variety of fungal infections. It belongs to a class of drugs known as azole antifungals.

Chemical Structure



Mechanism of Action

➢ The drug is metabolized extensively via the CYP450 system; specifically, itraconazole is a CYP3A4 substrate. It has a half-life of 34 to 42 hours. The drug is excreted in the urine (35%) feces (between 3 and 18%)

Uses

- Fluconazole is used for the treatment and prophylaxis of fungal infections when other antifungals have failed or are not tolerated due to adverse effects, including candidiasis caused by susceptible strains of Candida, tinea corporis, tinea cruris or tines [pedia, anychomycosis, and cryptococcal meningitis.

Stability and Storage Conditions

- Reconstituted fluconazole oral suspension remain stable in both the products, ie, original plastic bottles and in amber polyethylene oral syringes for at least 70 days when stored at 22-25 degrees C

Types of Formulations

1. Capsule
2. Oral suspension

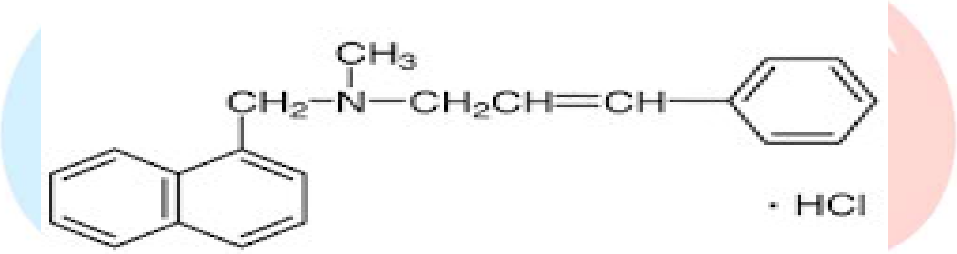
Popular Brand Names

- Diflucan
- Fluconeo
- Fluconazole Omega

Naftifine Hydrochloride

→ Naftifine is a synthetic, broad spectrum, antifungal and allylamine derivative that is used topically in tinea pedis, tinea cruris, and tinea corporis caused by Trichophyton rubrum, Trichophyton mentagrophytes, Epidermophyton floccosum.

Chemical Structure



Mechanism of Action

➢ Naftifine exerts its antifungal effect by selective inhibition of the enzyme squalene 2,3-epoxidase, a key enzyme in ergosterol biosynthesis in fungi. Typically, squalene is transformed into ergosterol in the fungus.

Uses

- Naftifine is used topically for the treatment of tinea pedis, tinea cruris, and tinea corporis caused by Trichophyton nubrum, Trichophyton mentagrophytes Trichophyton fonsurans and Epidermophyton floccosum.

Stability and Storage Conditions

- This medicine should be stored in a closed container at room temperature and kept away from heat, moisture and direct light. It should not be frozen. It should be kept out of reach of children. Outdated medicine or medicine which is no longer needed should not be kept.

Types of Formulations

1. Capsule
2. Solution

Popular Brand Names

- Onmel
- Sporanox

URINARY TRACT ANTI INFECTIVE AGENTS

→ Urinary tract infections are among most common bacterial infections of human.
→ These infections range from asymptomatic bacteriuria on one hand to acute pyelonephritis and [gram-negative septicaemia (only in men) on the other hand.
→ Females are mostly at risk of developing UTIs because of their short urethra, and certain behavioural factors which include delay in micturition, sexual activity, and the use of diaphragms and spermicides.
→ A symptomatic bacterial infection of the urinary tract is termed Urinary Tract Infection (UTT).
→ It includes a lower urinary tract infection, eg. cystitis (symptomatic infection of bladder), urethritis (infection in (urethra), prostatitis (infection in prostate gland), or an upper urinary tract infection, eg, pyelonephritis (symptomatic infection of kidney).
→ In UTIs, many drugs are used for killing or inhibiting the growth of pathogenic organisms in the urinary tract.
→ These agents are retained in the renal tubules.
→ They are effective antiseptics due to their localised actions in the urinary bladder, ureters, and kidneys.
→ Some important urinary antiseptics include mandelic acid, methenamine mandelate, nitrofurantoin, nalidixic acid, and hexylresorcinol.

Examples

The following drugs are studied in detail:

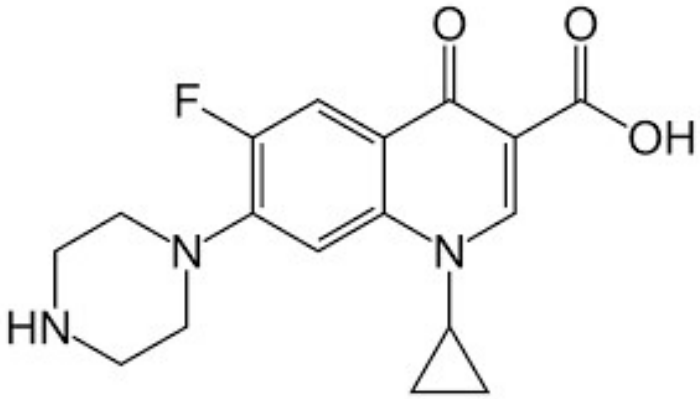
- Norfloxacin,
- Ciprofloxacin,
- Ofloxacin, *
- Moxifloxacin.

Ciprofloxacin

→ Ciprofloxacin is a synthetic chemotherapeutic antibiotic of fluoroquinolone class. It is a second generation fluoroquinolone antibacterial.

→ It is a bactericidal and interferes with the enzymes that cause DNA to rewind after being copied, thus blocks DNA and protein synthesis

Chemical Structure



Mechanism of Action

- Ciprofloxacin acts on bacterial topsomerase II (DNA gyrane) und topoisomeruse IV.
- Ciprofloxacin's targeting of the alpha subunits of DNA gyrase prevents it from supercoiling the bacterial DNA that prevents DNA replication

Uses

- Ciprofloxacin is used to treat infections of bones and joints, endocarditis, gastroenteritis, malignant cutis externa, respiratory tract infections, cellulitis, and urinary tract infections
- It has an important role in treatment guidelines issued by major medical societies for the treatment of serious infections caused by gram-negative bacteria. including Pseudomonas aeruginosa.

Stability and Storage Conditions

- Ciprofloxacin tablets should be stored at room temperature

Types of Formulations

1. Tablet
2. Suspension
3. Suoluyion

Popular Brand Names

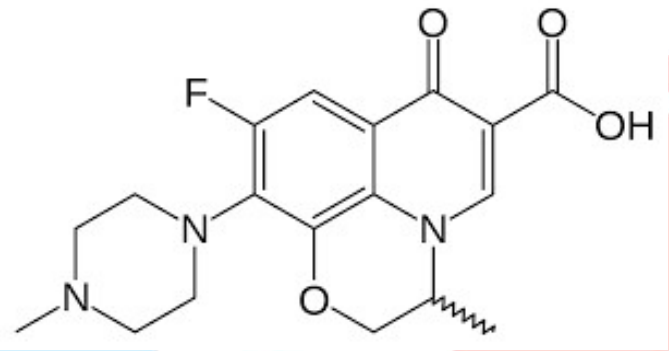
- Cipro
- Cipro XR
- ProQuin XR

Ofloxacin *

→ Ofloxacin is a synthetic chemotherapeutic antibiotic of fluoroquinolone class. It is a second generation fluoroquinolone

Chemical Name and Structure

(RS)-7-fluoro-2-methyl-6-(4-methylpiperazin-1-yl)-10-oxo-4-oxa-1-azatricyclo[7.3.1.05,13]trideca-5 (13),6,8,11-tetraene-11-carboxylic acid.



Mechanism of Action

➢ Ofloxacin acts on DNA gyrase and topoisomerase IV. enzymes, which (like human topoisomerase) prevents

Uses

- infections skin, urinary bladder, urinary tract, reproductive organs, and gland.
- It is used to treat various bacterial infections

Stability and Storage Conditions

▪ should be stored room 77°F (15°C-25°C) and kept away from and moisture

Types of Formulations

1. Tablets

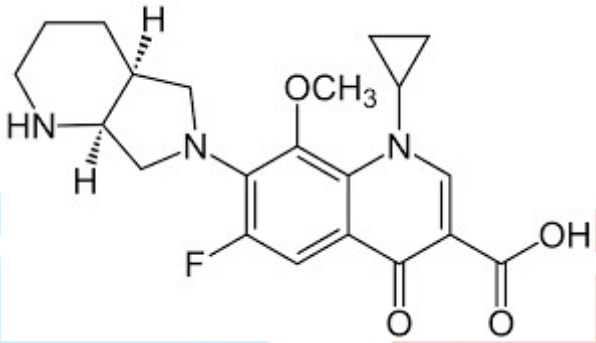
Popular Brand Names

♦ Floxin
♦ Floxin IV

Moxifloxacin

→ Moxifloxacin synthetic developed by Bayer marketed in hydrochloride form oral treatment.

Chemical Structure



Mechanism Action

➢ Moxifloxacin is a bactericidal, concentration dependent, anti-infective. It interferes with bacterial survival by binding to DNA gyrase (topoisomerase II) and topoisomerase IV, essential bacterial enzymes involved in the replication, translation, repair and recombination of deoxyribonucleic acid.

Uses

- Moxifloxacin is used to treat certain infections caused by bacteria such as pneumonia, and skin, and abdominal (stomach area) infections. Moxifloxacin is also used to prevent and treat plague (a serious infection that may be spread on purpose as part of a bioterror attack.

Stability and storage conditions

- It should be stored 2°C-25°C.

Type Formulation

1. Solution

Popular Brand Name

- Moxeza
- Vigamus

ANTI – TUBERCULAR AGENTS

→ Taberculosis (TB) is an infective diseases most commonly affecting the lungs, and caused by Mycobacterium tuberculosis and Mycobacterium bovis.

→ Since TB is an it spreads via air in the form of small droplets Patients infected with pulmonary TB or laryngeal TB may the infection by sneezing, coughing, singing, or even while talking.

→ The infective droplets, once released into the air in there for a few hours due to their very small size.

→ Tuberculosis can be treated in a long-term, i.e.. 8 months to 3 years

→ Tuberculosis infection can be cured it proper treatment is given within time. Non tuberculosis mycobacterial infections are known as M. arium complex (MAC) as they are caused by M. alam, M. kansali, M. murinum, and M. scrofulaceum. These organisms are resistant the commonly used anti-tuberculosis drugs; thus along with the standard Jugh some newer agents like fluoroquinolones. amikacin clarithromycin, azithromycin, or rifabutin are used.

Classification

Anti-tubercular drugs are classified as follows:

1) First Line Drugs

Isoniazid (H), Rifampin (R), Exhambutol (E), and Pyrazinamide(Z), Streptomycin (S).

2) Second Line Drugs

Thiacetuzone (Tzn), Paru aminosalicylic acid (PAS), Ethionamide (Em), Cycloserine (Cys), Kanamycin (Kmc), Amikacin (Am), and Capreomycin (Cpr).

3) Newer Drugs

Ciprofloxacin, Clarithromycin, Ofloxacin, Azithromycin, and Rifabutin

Examples

The following drugs are studied detail:

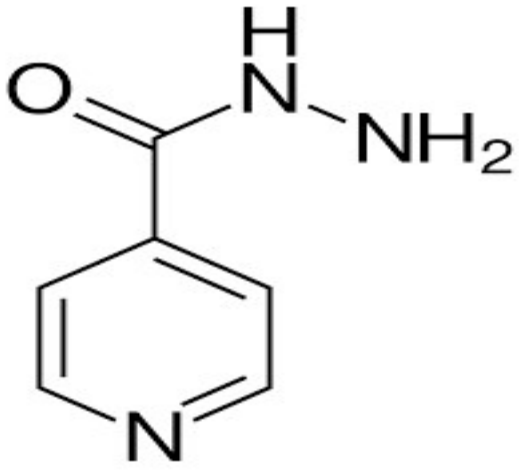
- INH (Isoninzid), *
- Ethambutol,
- Para- amino salicylic acid,
- Pyrazinamide,
- Rifampicin,
- Bedaquiline,
- Delamanid, and
- Pretomanid. *

Isoniazid *

→ Isoniazid (or isonicotinylhydrazine, INH) an organic compound used the first line drug for preventing and treating tuberculosis.

Chemical Name and Structure

Pyridine-4-carbohydrazide



Mechanism of Action

➢ The antimicrobial activity of INH is selective for mycobacteria, likely due to its ability to inhibit mycolic acid synthesis, which interferes with cell wall synthesis, thereby producing a bactericidal effect

Uses

- It is used with other drugs in the treatment of active tuberculosis (TB) infection.

Stability Storage Conditions

- It should be stored in room temperature.

Types ofFormulations

1. Tablet
2. Solution

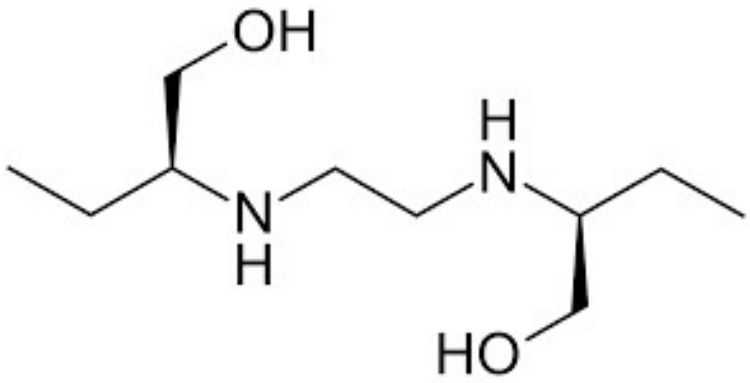
Popular Brand Names

- Nydrazid
- Pms-Isoniazid

Ethambutol

→ Ethambutol is used with other medications to treat tuberculosis (TB). Ethambutol is an antibiotic and works by stopping the growth of bacteria.

Chemical Structure



Mechanism Action

➢ The mechanism of action of ethambutol is not completely known. There is evidence that the drug exerts its bacteriostatic activity by virtue of inhibition of arabinosyl transferase, an enzyme that polymerizes arabinose into arabinan and then arabinogalactan, a mycobacterial cell wall constituent.

Uses

- It is used along with other drugs in the treatment of tuberculosis.
- It is also used treat MAC (Mycobacterium avium complex).

Stability and Storage Conditions

▪ Ethambutol should be stored at room temperature (below 25°C) in the original pack. It should be kept in PP bottle with PP child resistant closure. There should be pack sizes of 28 or 56 tablets.

Type of Formulation

1. Tablets

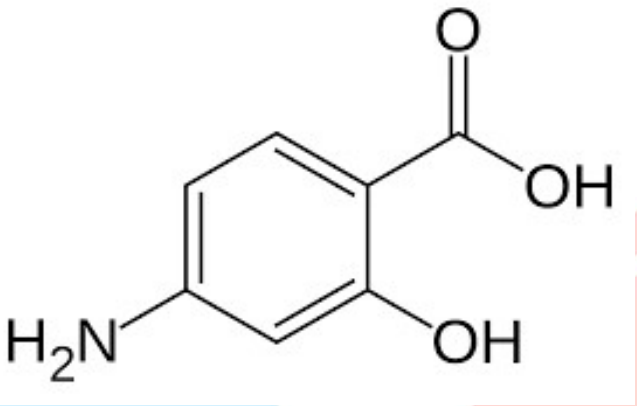
Popular Brand Names

♦ Etihi
♦ Myambiutol

Para-Amino Salicylic Acid (PAS)

→ PAS is an antibiotic which is being used since 1940s for treating inflammatory bowel diseases. It shows greater patency the treatment of ulcerative colitis and Crohn's disease

Chemical Structure



Mechanism of Action

- It inhibits the onset of bacterial resistance to streptomycin and isoniazid. The mechanism of action has been postulated to be inhibition of folic acid synthesis (but without potentiation with antifolic compounds) and/or inhibition of synthesis of the cell wall component, mycobactin, thus reducing iron uptake by M.

Uses

- After streptomycin, it was the second antibiotic that was effective in tuberculosis treatment. It was a part of the standard treatment for tuberculosis before rifampicin and pyrazinamide were introduced.

Stability and Storage Conditions

It should be stored below 59°F (15°C) in a refrigerator or freezer.

Type of Formulation

1. Tablets

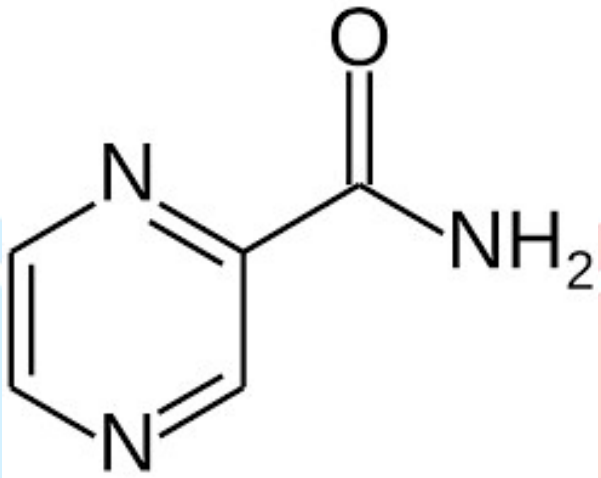
Popular Brand Names

- Granupas,
- Paser,

Pyrazinamide

→ Pyrazinamide is a synthetic pyrazinoic acid amide derivative having bactericidal properties. It is specifically active against slowly multiplying intracellular bacilli.

Chemical Structure



Mechanism of Action

➢ The parent compound enters the bacterium passively and is metabolized via pyrazinamidase (PZase) within the cytoplasm to pyrazinoic acid; pyrazinoic acid is the active form of the drug [4]. PZA and its analog, 5-chloro-PZA, may inhibit the fatty acid synthetase I enzyme of M. tuberculosis

Uses

- Pyrazinamide is used alone or along with other drugs for the treatment of the following diseases:
- It is used along with isoniazid and rifampicin for treating Mycobacterium tuberculosis.
- It is used along with rifampin for treating latent tuberculosis.
- It is a potent anti-uricosuric drug and is used for diagnosing the causes of hyperuricemia and hyperuricosuria.

Stability and Storage Conditions

▪ It should be stored in a well-closed container at controlled temperature between 15°C to 30°C (59°F to 86°F) I should be dispensed in a well-closed container with a child resistant container.

Types of Formulations

1. Tablets
2. Liquid

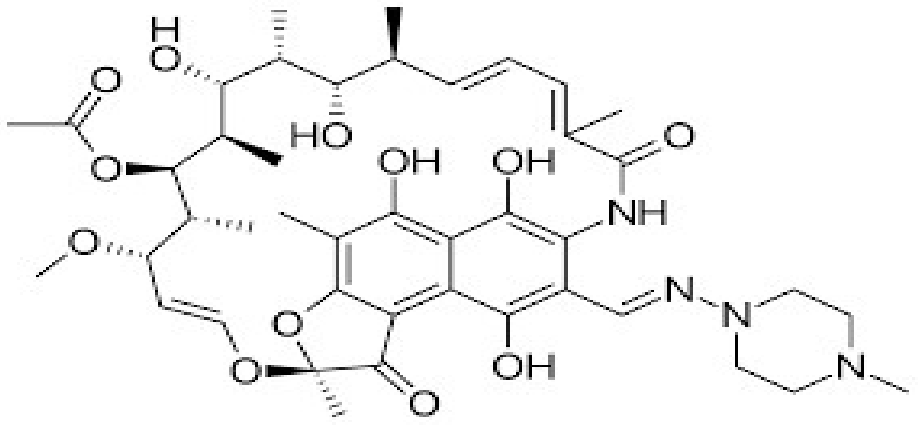
Popular Brand Name

♦ Zinamide

Rifampicin

→ Rifampicin is a semi-synthetic antibiotic derived from Streptomyces mediterranei. It has a broad antibacterial activity, and is also active against several Mycobacterium.

Chemical Structure



Mechanism of Action.

➢ Rifampicin inhibits the DNA-dependent RNA polymerase, and thus suppresses RNA synthesis and cause cell death.

Uses

- It is used to treat Mycobacterium infections, including tuberculosis and Hansen's disease.
- With multidrug therapy used as the standard treatment of Hansen's disease, it is used along with dapsone and clofazimine to avoid eliciting drug resistance.
- Along with fusidic acid, it is useful in Methicillin Resistant Staphylococcus aureus (MRSA)
- It shows some effectiveness against vaccinia virus.

Stability and Storage Conditions

▪ Rifampicin was found to be chemically stable in each suspension for 56 days at room temperature.

Types of Formulations

1. Capsules
2. Powders

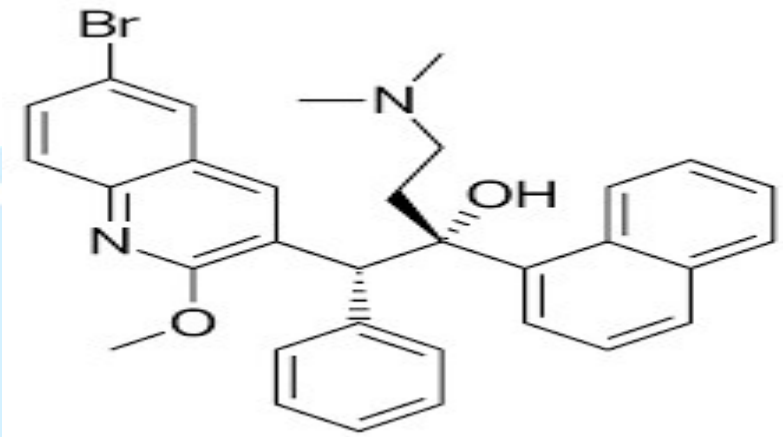
Popular Brand Names

♦ Rifadin
♦ Rimactane

Bedaquillne

→ Bedaquiline is a class of diarylquinoline antimycobacterial which is used in combination with other antibacterials for the treatment of pulmonary multidrug resistant tuberculosis (MDR-TB)

Chemical Structure



Mechanism of Action

➢ Bedaquiline (BDQ) inhibits ATP generation in Mycobacterium tuberculosis by interfering with the F-ATP synthase activity

Uses

- It is used alone or along with other drugs for the treatment of the following diseases:
- It is used for treating active multi drug-resistant tuberculosis (TB) of lungs in patient having limited treatment options.
- It acts by stopping the growth of bacteria which This antibiotic is used only for bacterial infections.
- It is not used for viral infections like common cold,flu

Stability and Storage Conditions

▪ It should be stored below 25°C. It should be stored at room temperature and kept away from light and moisture

Type of Formulation

1. Tablets

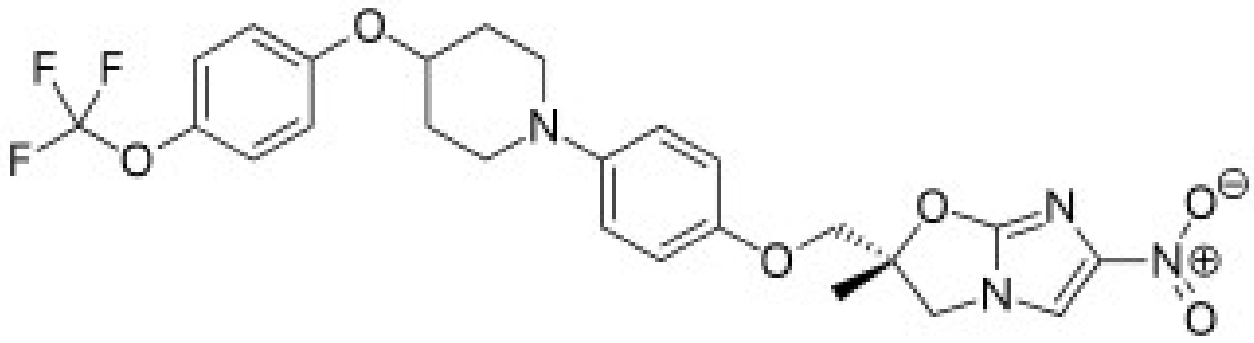
Popular Brand Name

♦ Sirturo

Delamanid

→ Delamanid is an antibiotic which is used in the treatment of resistant tuberculosis.

Chemical Structure



Mechanism of Action

➢ Delamanid is a dihydro-nitroimidazooxazole derivative. It acts by inhibiting the synthesis of mycobacterial cell wall components, methoxy mycolic acid and ketomycolic acid. Delamanid is a pro-drug which gets activated by the enzyme deazaflavin dependent nitroreductase

Uses

- It is used to treat tuberculosis.

Stability and Storage Conditions

▪ It should be stored in the original container at room temperature below 25 C. It should be kept away from excess heat, moisture and children.

Type of Formulation

1. Tablet

Popular Brand Name

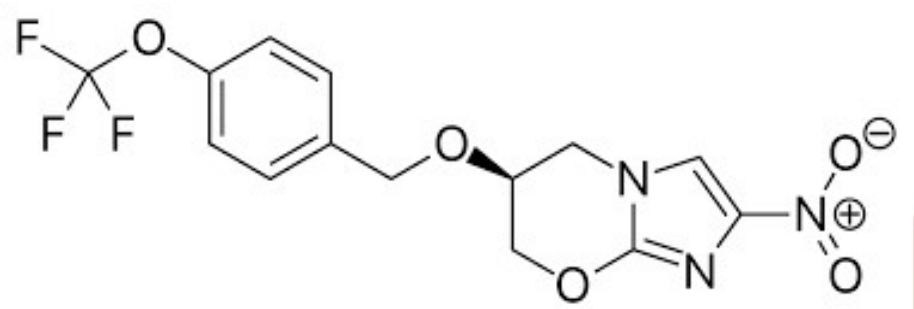
♦ Deltyba

Pretomanid *

→ Pretomanid is a part of three-drug regimen which is used widely in the treatment of drug-resistant and multidrug resistant pulmonary tuberculosis.

Chemical Name and Structure

(6S)-2-Nitro-6-{[4-(trifluoromethoxy)betury|]oxy}-6,7-dihydro-5H-imidazo[2,1-b][1,3]oxazine



Mechanism of Action

➢ Pretomanid inhibits cell wall biosynthesis via blockage of the oxidation of hydroxymycolate to ketomycolate. Under anaerobic conditions, pretomanid causes respiratory poisoning of the bacterial cell through the release of reactive nitrogen species.

Uses

- It is an antibiotic which is used in the treatment of multi-drug-resistant tuberculosis affecting the lungs.
- It is commonly used along with bedaquiline and linezolid and administered orally

Stability and Storage Conditions

▪ Pretomanid Tablets, bedaquiline, and linezolid should be stored at room temperature below 86°F (30°C).

Type of Formulation

1. Tablets

Popular Brand Name

♦ Dovprela

ANTIVIRAL AGENTS

→ Antiviral agents are used for treating viral infections.
→ Similar to antibiotics for bacteria, specific antivirals are effective against specific viruses.
→ Antiviral drugs, instead of destroying their target pathogen, inhibit their development.
→ Since antiviral drugs are harmless to the host, they can be used to treat infections.
→ They should be distinguished from viricides that are not medications but destroy virus particles outside the body.
→ The available antivirals are mostly designed to help against HIV, herpes viruses (that mainly causes cold sores and genital herpes; however, can cause various other diseases), hepatitis B and C viruses (that cause liver cancer), and influenza A and B viruses.
→ Since the viruses replicate within the host cells, it is difficult to find targets for the drug that would interfere with the virus without harming the host cells.
→ Due to this reason, designing safe and effective antiviral drugs is a difficult task.

Classification

Antiviral drugs are classified into the following classes on the basis of mechanism of action:

1) Anti-Herpes Virus: Idoxuridine Acyclovir, Pamciclovir, Ganciclovir, and Foscarmet.

2) Anti-Retrovirus

i) Nucleoside Reverse Transcriptase Inhibitors (NRTIs): Zidovudine (AZT), Didanosine, Zalcitabine, and Stavudine.

ii) Non- Nucleoside Reverse Transcriptase Inhibitors (NNRTis): Efavirens and Delavirdine.

Examples

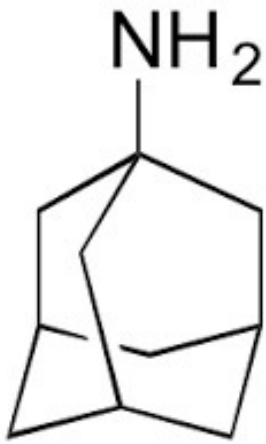
The following drugs

- Amantadine hydrochloride,
- Idoxuridine,
- Acyclovir, *
- Zidovudine,
- Ribavirin,
- Favipiravir,

Amantadine Hydrochloride

→ This medication is used to treat Parkinson's disease. It is also used to treat certain movement disorders caused by some drugs (extrapyramidal reactions).

Chemical Structure



Mechanism of Action

➢ Amantadine interferes with the release of infectious viral nucleic acid into the host cell through interaction with the transmembrane domain of the M2 protein of the virus. It also appears to prevent virus assembly during replication in some cases.

Uses

- Amantadine is an antidyskinetic medicine. It is used to treat Parkinson's disease (sometimes called "paralysis agitans" or "shaking palsy") and its symptoms, including dyskinesia (sudden uncontrolled movements). It may be given alone or in combination with other medicines (eg, levodopa) for Parkinson's disease.

Stability and Storage Condition

▪ It should be stored below 25°C. It should be stored at room temperature and kept away from light and moisture

Type of Formulation

1. Tablet
2. Capsule

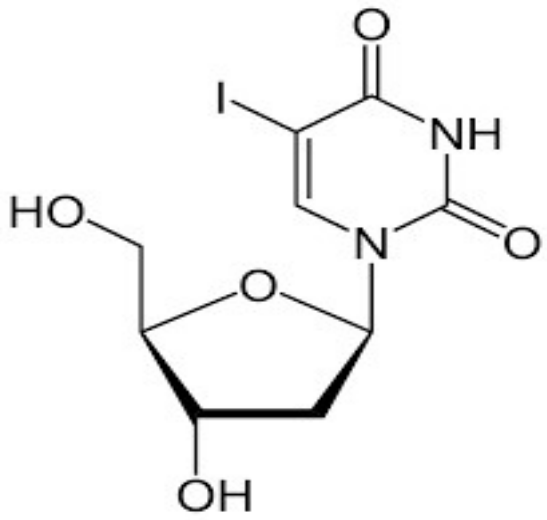
Popular Brand Names

♦ Parkitidin
♦ Comantrel

Idoxuridine

→ Idoxuridine is a pyrimidine analog antiviral used for the treatment of viral eye infections, including herpes simplex keratitis.

Chemical Structure



Mechanism of Action

➢ Idoxuridine acts as an antiviral agent by inhibiting viral replication by substituting itself for thymidine in viral DNA. This in turn inhibits thymidylate phosphorylase and viral DNA polymerases from properly functioning.

Uses

- Idoxuridine is used in keratoconjunctivitis and keratitis caused by herpes simplex virus.

Stability and Storage Conditions

▪ It should be kept in a well closed container and should be protected from light.

Type of Formulation

1. Solution

Popular Brand Names

♦ Dendrid
♦ Herplex

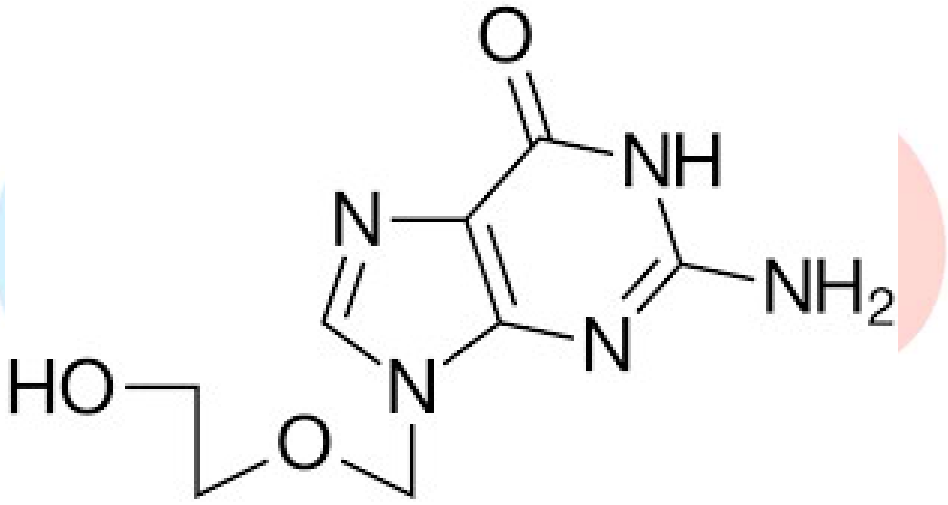
Acyclovir *

→ Acyclovir is a nucleotide analog antiviral that is used for treating infections like herpes simplex, herpes zoster, herpes labialis, and acute herpetic keratitis.

→ It is the first line drug to be used in the treatment of infections caused by these viruses.

Chemical Name and Structure

2-Amino-1,9-dihydro-9-((2-hydroxyethoxy)methyl)-3H-purin-6-one



Mechanism of Action

➢ Acyclovir triphosphate competitively inhibits viral DNA polymerase by acting as an analog to deoxyguanosine triphosphate (dGTP). Incorporation of acyclovir triphosphate into DNA results in chain termination since the absence of a 3' hydroxyl group prevents the attachment of additional nucleosides.

Uses

- Acyclovir cream with hydrocortisone is used in recurrent herpes labialis, and shortening lesion healing time in 6 years and older patients.
- Acyclovir ophthalmic ointment is used in acute herpetic keratitis.
- Acyclovir oral tablets, capsules, and suspensions are used in herpes zoster, genital herpes, and chickenpox.
- Acyclovir buccal tablet is used in recurrent herpes labialis.

Stability and Storage Conditions

▪ Acyclovir suspension should be stored at 59°F to 77°F (15°C to 25°C) and kept away from light.

Types of Formulations

1. Capsules
2. Tablets
3. Suspensions

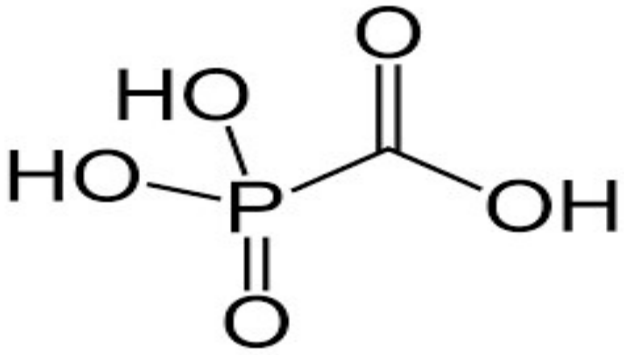
Popular Brand Name

♦ Zovirax

Foscarnet

→ Foscarnet is an antiviral drug which is used in the treatment of CMV,HIV and HSV infection.

Chemical Structure



Mechanism of Action

➢ Foscarnet is an analog of inorganic pyrophosphate that functions as a noncompetitive inhibitor of herpesvirus DNA polymerase. Foscarnet blocks the pyrophosphate binding site, preventing cleavage of pyrophosphate from deoxynucleotide triphosphates.

Uses

- It is an antiviral drug that inhibits the growth of viruses in body.
- It is used for the treatment of cytomegalovirus (CMV) retinitis in patient having AIDS.
- It is also used in the treatment of herpes simplex virus (HSV) in patient with a weak immune system.

Stability and Storage Conditions

- should be kept in glass bottles
- It should be stared below 30°C and should not be refrigerated.

Type of Formulation

1. Solution

Popular Brand Name

♦ Foscavir

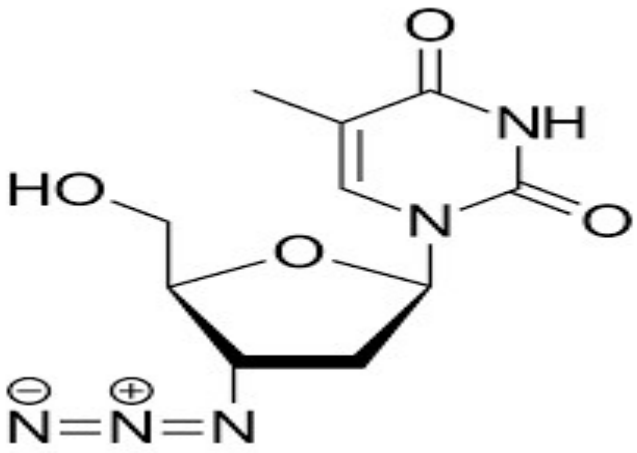
Zidovudine

→ Zidovudine is a dideoxynucleoside compound whose 3-hydroxy group on the sugar moiety has been replaced with an azido group.

→ This replacement prevents the formation of phosphodiester linkages required for the completion of nucleic acid chains.

→ Zidovudine is a potent inhibitor of HIV replication that acts as a chain-terminator of viral DNA during reverse transcription.

Chemical Structure



Mechanism of Action

➢ Zidovudine is phosphorylated to active metabolites that compete for incorporation into viral DNA. They inhibit the HIV reverse transcriptase enzyme competitively and act as a chain terminator of DNA synthesis.

Uses

- It is used with other HIV medications to control HIV infection.
- It decreases the amount of HIV in body to improve the functioning of immune system.
- It can also be used in pregnant women to prevent the virus from spreading to the foetus.

Stability and Storage Conditions

▪ Zidovudine tablets should be stored at room temperature between 68°F to 77 F (20°C to 25°C). Zidovudine capsules and oral solution should be stored between 59°F and 77°F (15°C to 25°C).

Type of Formulation

1. Capsules

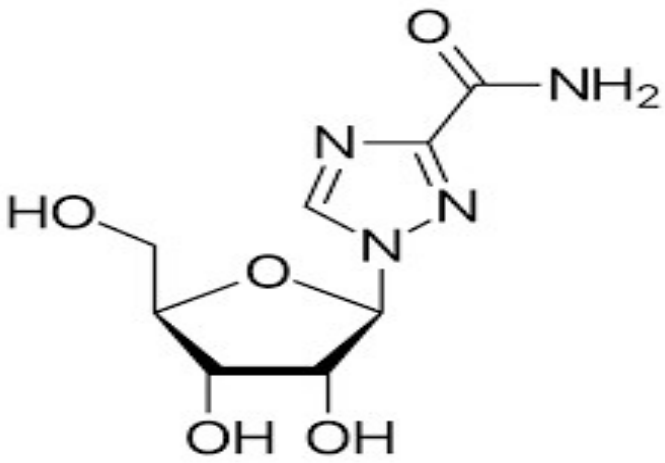
Popular Brand Name

♦ Retrovir

Ribavirin

→ Ribavirin is a class of guanosine nucleoside which is used in the treatment of some forms of Hepatitis C

Chemical Structure



Mechanism of Action

➢ Since ribavirin is a nucleoside analogue of guanosine, the most straightforward possible mechanism of action would be that ribavirin acts as an inhibitor of the viral polymerase.

Uses

- It is also known as tribavirin.
- It is antiviral drug which is used treatment RSV infection, hepatitis and some viral hemorrhagic fevers.

Stability and Storage Conditions

- Ribavirin tablets capsules should be stored at room temperature between 68° 77°F
- Ribavirin oral Solution should be stored at room temperature between 68F and 77°F (20°C to 25°C).

Types of Formulations

1. Solution
2. Tablet
3. Capsule

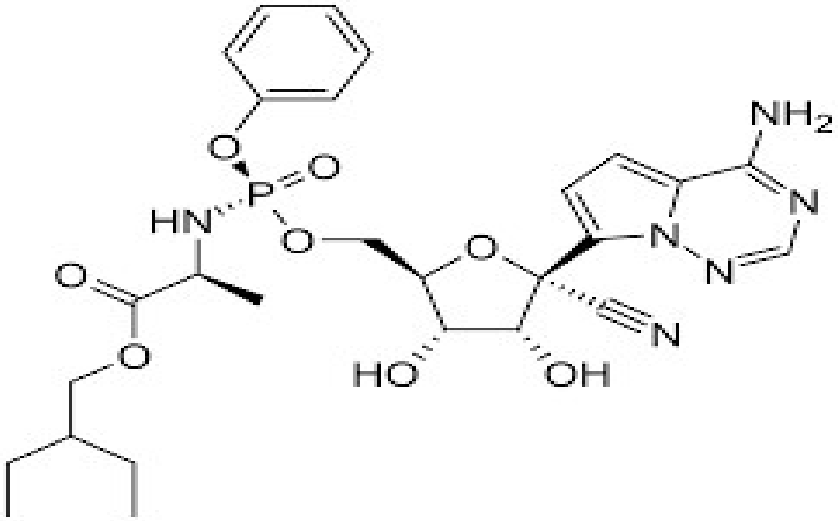
Popular Brand Names

- Rebetol
- Ibuvyr
- Virazole

Remdesivir

→ Remdesivir is nucleoside analog which is used in the treatment of RNA virus infection including COVID 19

Chemical Structure



Mechanism of Action

➢ The active form of remdesivir acts as a nucleoside analog and inhibits the RNA-dependent RNA polymerase (RdRp) of coronaviruses including SARS-CoV-2. Remdesivir is incorporated by the RdRp into the growing RNA product and allows for addition of three more nucleotides before RNA synthesis stalls.

Uses

- It is used for the treatment of coronavirus disease 2019 (COVID-19). It approved use in adults and children of at least 12 years age having at least 88 pounds (40 kilograms) of weight.

Stability and Storage Conditions

- Stored at Room Temperature

Types of Formulation

1. Power for injection
2. Solution

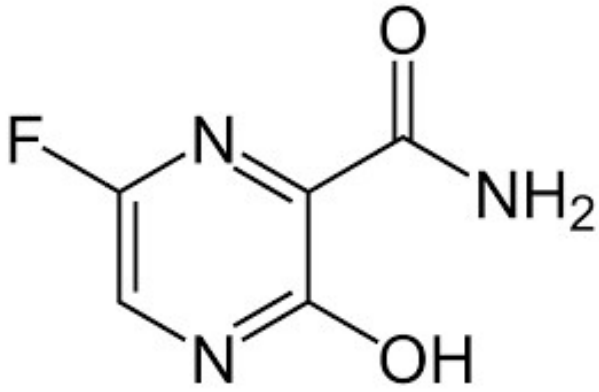
Popular Brand Names

♦ Veklury

Favipiravir

→ Favigiravir is an antiviral drug which is used in managing influenza and has a potential to target other viral infections

Chemical Structure



Mechanism of Action

➢ This mechanism of action of favipiravir is quite unique, since marketed influenza drugs inhibit either entry or release of the virus.

Uses

- It is used to treat influenza.
- It is only used for novel influenza (strains that cause more severe disease rather than seasonal influenza

Stability and Storage Conditions

▪ It should be store at -20°C

Type of Formulation

1. Tablet

Popular Brand Names

♦ Avigan
♦ Avifavir
♦ Areplivir
♦ FabiFlu
♦ Favipira

ANTIMALARIALS

→ Malaria is an infectious disease affecting humans and other animals.
→ It is a mosquito-borne infectious disease caused by parasitic protozoans (group of single-celled microorganisms) of Planodium type.
→ The symptoms of this disease include fever, vomiting, fatigue, and headache.
→ The symptoms usually begin 10-15 days after being bitten by mosquitoes.
→ In severe cases, the skin becomes yellow, the patient experiences seizures, goes to coma, or finally dies
→ Malaria is transmitted by an infected female Anopheles mosquito,
→ which introduces the parasites from its saliva into the person's blood.
→ The parasites reach the liver to mature and reproduce there.
→ Five species of Plasmodiun can infect humans, P. falciparum causes most of the deaths; while P. vivax, Poyale, and P. malariae cause milder forms of malaria: P. knowlesi rarely cause a disease in humans.
→ The risks can be reduced by the prevention of mosquito bites by using mosquito nets, repellents, spraying insecticides, and draining standing water

Classification Antimalarial drugs are classified as follows:

1) Based on the Affected Plasmodial Stage:

I. **Primary Tissue Schizonticides:** They destroy the primary tissue schizonts in the liver. immediately after the infection. e.g..Primaquine.
II. **Blood Schizonticides**: They suppress the symptoms by destroying the schizonts and merozoites in the erythrocytes, elem Chloroquine, Amodiaquine, Mefloquine, and Quinine.
III. **Gametocides:** They prevent the spread of infection by destroying the gametocytes in the blood, e.g., Primaquine for P. falciparum, and Chloroquine for P. vivax, P. malariae, and P. Kovale.
IV. **Sporonticides:** They eraulicate malaria by preventing sporogony in the mosquito, e.g. Chloroguanide and Pyrimethamine.
V. **Secondary Tissue Schizonticides:** They cure chronic relapsing fevers due to infection by P vivat, P. malariae, and P. ovale. They do so by destroying the secondary exoerythrocytic tissue schizonts developing in the liver (eg, Primaquine).

2) Based on their Chemical Structure:

i. **Quinoline Derivatives:** Cinchona alkaloids, 4 Amino quinolines, 8-Amino quinolines, and Mefloquine.
ii. **9-Amino Acridines:** Quinacrine and Acriquine
iii. **2,4-Diaminopyrimidines:** Pyrimethamine.
iv. **Biguanides:** Proguanil and Chlorproguanil.
v. **Pyrimidine Analogue:** Pyrimethamine and Trimethoprim.
vi. **Suphone and Sulphonamides:** Sulfadoxine and Dapsonc.
vii. **New Antimalarial Drug:** Artemisinin.

Examples

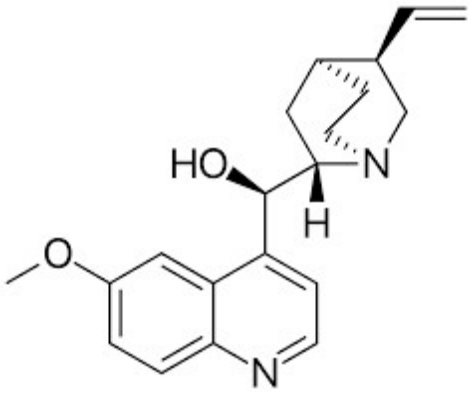
The following drugs are studied in detail:

- Quinine sulphate,
- Chloroquine phosphate, *
- Primaquine phosphate,
- Mefloquine, *
- Cycloguanil,
- Artemisinin
- Pyrimethamine,

Quinine Sulphate

→ Quinine is an alkaloid derived from Cinchona bark. It is an antimalarial agent and an active ingredient in extracts of cinchona used before 1633.

Chemical Structure



Mechanism of Action

➢ Quinine inhibits nucleic acid synthesis, protein synthesis, and glycolysis in Plasmodium falciparum and can bind with hemazoin in parasitized erythrocytes. However, the precise mechanism of the antimalarial activity of quinine sulfate is not completely understood.

Uses

- Quinine is used for treating malaria.
- It is a mild antipyretic and analgesic and has been used in common cold preparations.
- It was used as a bitter and flavouring agent.
- It is still used in the treatment of babesiosis.

Stability and Storage Conditions

▪ It should be stored at 20°C to 25°C (68° 16 77°F).

Type of Formulation

1. Capsules

Popular Brand Names

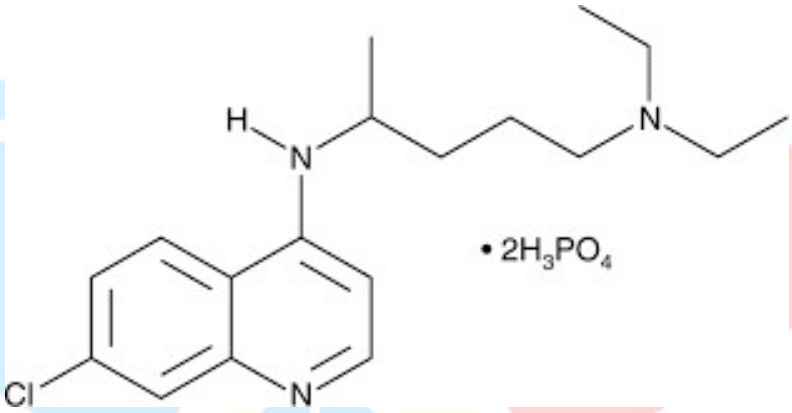
♦ Qualaquin
♦ OM-260
♦ Quinamm

Chloroquine Phosphate *

→ Chloroquine is the precedent antimalarial drug. It is used for treating all types of malaria, excluding the one caused by chloroquine-resistant Plasmodium falciparum.

Chemical Name and Structure

(RS)-N-(7-chloroquinolin-4-yl)-N,N-diethyl-pentane-1,4-diamine



Mechanism of Action

➢ The drug chloroquine is bactericidal for Bacillus megaterium; it inhibits DNA and RNA biosynthesis and produces rapid degradation of ribosomes and dissimilation of ribosomal RNA. Inhibition of protein synthesis is also observed, evidently as a secondary effect.

Uses

- Chloroquine is used for acute malarial attacks caused by P. vivax, P.malariae, P. ovale, and susceptible strains of P. falciparum.
- It is also used for suppressive treatment of malaria.

Stability and Storage Conditions

- It should be stored at room temperature between 15-25°C.
- It should be protected from light.
- Product in powder form is stable for 6 months at room temperature when it is properly stored.

Type of Formulation

1. Tablets

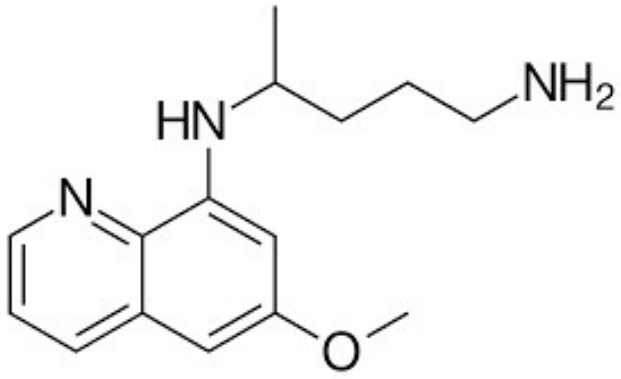
Popular Brand Names

- Aralen Phosphate
- Aralen Hydrochloride

Primaquine Phosphate

→ Primaquine is an aminoquinoline which is indicated orally for radically curing and preventing relapse of vivax, and ovale malaria after treatment with blood schizontocide.

Chemical Structure



Mechanism of Action

➢ The mechanism of action of primaquine is not well understood. It is assumed to generate reactive oxygen species, interfere with the electron transport in the parasite, or bind to and alter the properties of protozoal DNA.

Uses

- Primaquine is used for treating malaria caused by P. ovale and P viwar

Stability and Storage Conditions

▪ Primaquine phosphate tablets should be stored in well closed and light-resistant containers at a temperature less than 40 °C, ideally between 15-30°C.

Type of Formulation

1. Tablets

Popular Brand Name

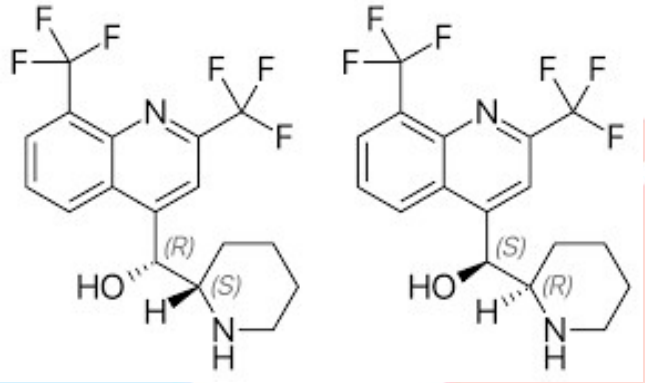
♦ Primaquine

Mefloquine *

→ Mefloquine is a phospholipid-interacting antimalarial that is very effective against Plasmodium falciparum und has very few side effects.

Chemical Name and Structure

[(R*, S*)-2, 8-Bis(trifluoromethyl) quinolin-4-yl]-(2-piperidyl)methanol



Mechanism of Action

➢ The mechanism of action of mefloquine is not completely understood. Some studies suggest that mefloquine specifically targets the 80S ribosome of the Plasmodium falciparum, inhibiting protein synthesis and causing subsequent schizonticidal effects.

Uses

- Mefloquine is used in the treatment of mild to moderate acute malaria caused by mefloquine susceptible strains of Plasmodium falciparum or by Plasmodium vivax.

Stability and Storage Conditions

- It should be kept away from children and direct sunlight.
- It should be kept in a cool and dry place.

Type of Formulation

1. Tablets

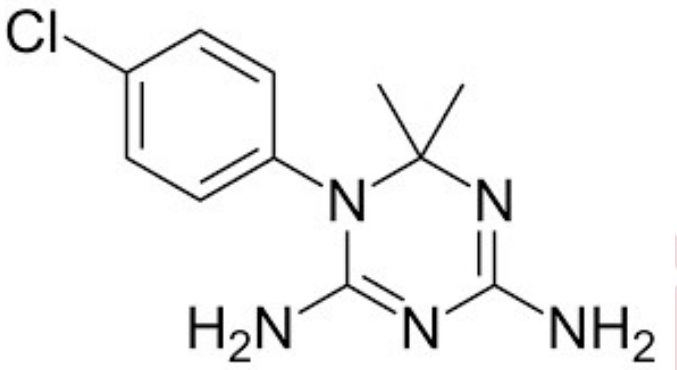
Popular Brand Name

♦ Lariam

Cycloguanil

→ Cycloguanil is the active metabolite of proguanil.

Chemical Structure



Mechanism of Action

- mainly through cycloguanil, its active metabolite, which inhibits folate production in both pre-erythrocytic and erythrocytic parasites. It is often used for malarial prophylaxis alone or in combination with chloroquine. It can also be used in the prophylaxis and treatment of P.

Uses

- Cycloguanil is a dihydrofolate reductase inhibitor and is used for suppression of malaria. However, it failed to achieve a wide acceptance.

Stability and Storage Condition

- It should be stored at-20°C

Types of Formulations

1. Suspension
2. Tablet
3. Capsule

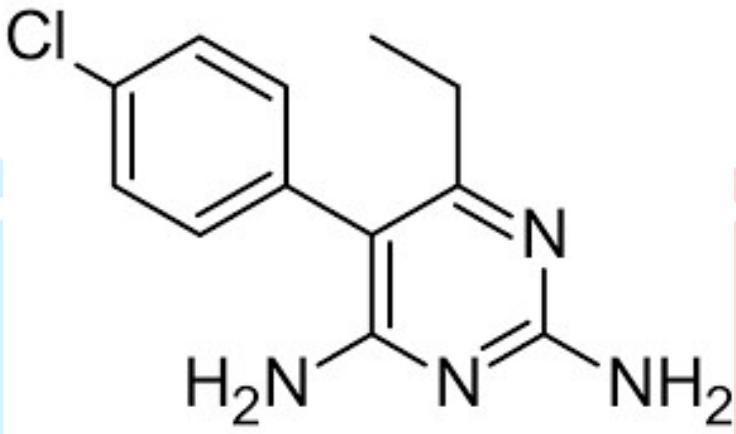
Popular Brand Names

- Alendronate tablet,
- Fosarmax
- Zovirat
- Diphenoxylate hydrochloride

Pyrimethamine

→ Pyrimethamine is a synthetic derivative of ethyl pyrimidine. It has potent antimalarial properties and also inhibits Dihydrofolate Reductase (DHFR)

Chemical Structure



Mechanism of Action

➢ Pyrimethamine selectively inhibits the plasmodial form of dihydrofolate reductase, reducing the production of folic acid required for nucleic acid synthesis in the malarial parasite

Uses

- Pyrimethamine is used for treating toxoplasmosis and acute malaria.
- It is used for preventing malaria in areas Don-resistant to pyrimethamine.
- It is used for treating malaria and prophylaxis as it is a primary tissue schizonticide and slow blood schizonticide.

Storage and Stability Conditions

▪ The stability of pyrimethamine is a liquid dosage formulation stored for up to the months was studies

Type of Formulation

1. Tablets

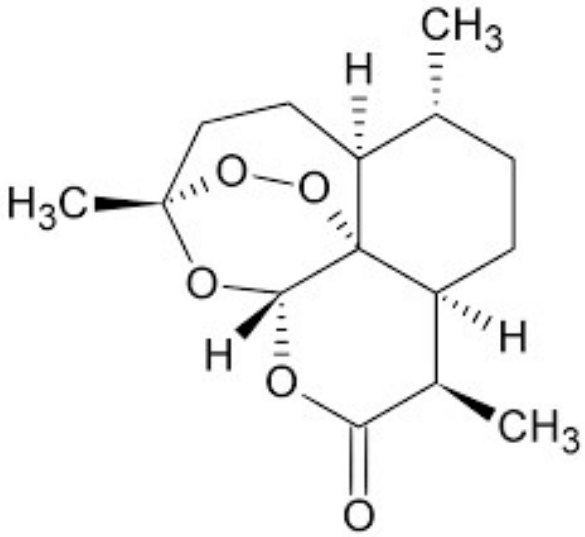
Popular Brand Name

♦ Daraprim

Artemisinin

→ Artemisinin is a drug intended for the treatment of malaria

Chemical Structure



Mechanism of Action

➢ Artemisinin is believed to act via a two-step mechanism. Artemisinin is first activated by intraparasitic heme-iron which catalyzes the cleavage of this endoperoxide. A resulting free radical intermediate may then kill the parasite by alkylating and poisoning one or more essential malarial protein(s).

Uses

- Its derivatives are at basis of current treatment against malaria because of their high potency, rapid clinical and parasitological response, efficiency against various pactite stages and low toxicity

Stability and Storage Conditions

▪ It should be kept in a well-closed container, and protected from light. It should be stored in cool place.

Types of Formulations

1. Injection
2. Tablets
3. Suppository

Popular Brand Names

♦ Dimisines
♦ Amiqin
♦ Artequik
♦ Alaxin

SULFONAMIDES

→ Several Groups of drugs are derived from sulphonamides (or sulpha drugs). These are synthetic antimicrobial agents containing sulphonamide group

Classification

Based on their Pharmacological Action:

- **Used in systemic infections,** eg Sulphadiazine.
- **Used in eye infections,** eg, Sulphacetamide.
- **Used in intestinal infections,** eg, Sulphapyridine.
- **Used in urinary tract infections,** eg, Sulphamethoxazole.

Examples

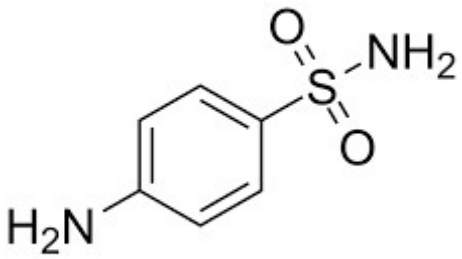
The following drugs are studied in detail:

- Sulfanilamide, *
- Sulfadiazine
- Sulfamethoxazole,
- Sulfacetamide,
- Mafenide acetate,
- Dapsone, *
- Cotrimoxazole,

Sulfanilamide *

→ Sulfanilamide is a class of sulfonamide anti-infective drug which is used in the treatment of vulvovaginal candidiasis caused by Candida albicans.

Chemical Structure



Mechanism of Action

➢ As a sulfonamide antibiotic, sulfanilamide functions by competitively inhibiting (that is, by acting as a substrate analogue) enzymatic reactions involving para-aminobenzoic acid (PABA). Specifically, it competitively inhibits the enzyme dihydropteroate synthase.

Uses

- It is used to treat vaginal infections.

Stability and Storage Conditions

- It should be stored in a closed container at room temperature.
- It should be kept away from heat, moisture,direct light and children's reach

Types of fromulation

1. Cream

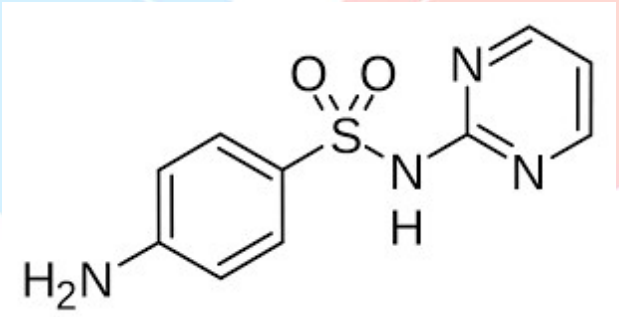
Popular Brand Names

- AVC Vaginal

Sulfadiazine

→ Sulfadiazine is a short-acting bacteriostatic and a synthetic pyrimidinyl sulfonamide derivative.

Chemical Structure



Mechanism of Action

➢ Sulfadiazine is a competitive inhibitor of the bacterial enzyme dihydropteroate synthetase. This enzyme is needed for the proper processing of para-aminobenzoic acid (PABA) which is essential for folic acid synthesis. The inhibited reaction is necessary in these organisms for the synthesis of folic acid.

Uses

- Sulphadiazine can be used for the treatment of upper respiratory tract infections, otitis media, Meningococcal meningitis, boils carbuncle, puerperal fever, urinary tract infections, acute dysentery, etc.

Stability and Storage Conditions

- Sulfadiazine tablets should be stored at room temperature. and should be protected from light. container should be tightly closed.

Type of Formulation

1. Tablets

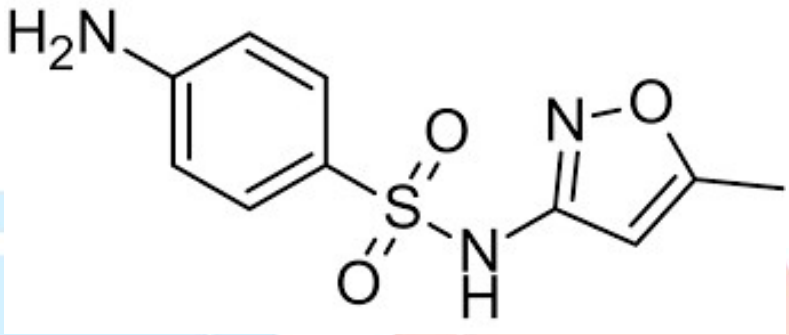
Popular Brand Names

- Lantrisul
- Neotrizine
- Sulfadiazine
- Sulfaloid
- Sulfonamides Duplex

Sulfamethoxazole

→ Sulfamethoxazole is a bacteriostatic antibacterial agent that interferes with folic acid synthesis in susceptible bacteria. Its broad spectrum of activity has been limited by the development of resistance.

Chemical Structure



Mechanism of Action

➢ Sulfamethoxazole is hepatically metabolized by the CYP450 system; it is a CYP2C9 inhibitor. Its half-life is 6 to 12 hours, increasing to between 20 and 50 hours in renal failure.

Uses

- Sulfamethoxazole used the treatment of bacterial infections

Stability and Storage Conditions

- Sulfamethoxazole/trimethoprim tablets should be stored at room temperature

Types of Formulations

1. Suspension

Popular Brand Names

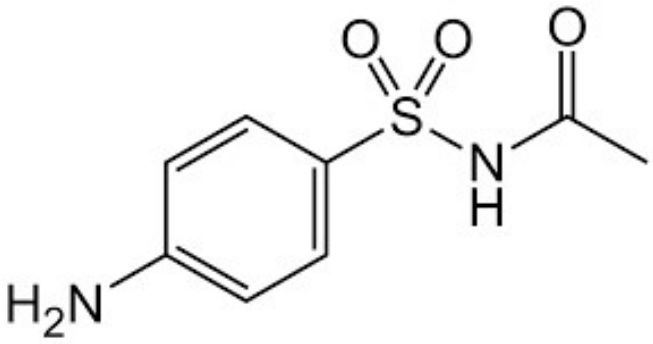
- Bactrim,
- Septra,
- Bactrim DS,
- Septra,

Sulfacetamide

→ Sulfacetamide is a sulphonamide antibacterial agent that is topically used for treating skin infection and orally used for treating urinary tract infection.

Chemical Name and Structure

N-[(4-aminophenyl)sulfonyl]acetamide



Mechanism of Action

➢ Sulfacetamide is a sulfonamide antibiotic. Sulfonamides are synthetic bacteriostatic antibiotics, that are active against gram-positive and gram-negative bacteria. It blocks the synthesis of dihydrofolic acid by inhibiting the enzyme dihydropteroate synthase.

Uses

- Sulfacetamide is used for treating bacterial vaginitis, keratitis, acute conjunctivitis, blepharitis.

Stability and Storage Condition

- It stored in a room temperature

Types of Formulations

1. Solution
2. Suspension
3. Emulsion

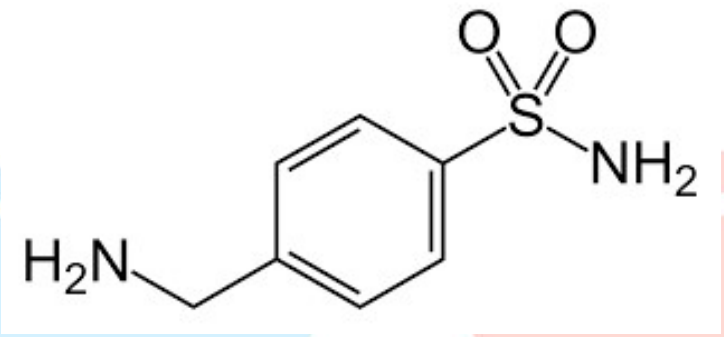
Popular Brand Names

- Avar
- Bleph-10
- Bp Cleansing Wash
- Isopto Cetantide
- Blephamide
- Cetumide, EML-S

Mafenide Acetate

→ Maleride is a sulfonamide-type antimicrobial agent that is used to treat severe burn. It reduces bacterial population in the burn tissue and promotes healing of deep burns.

Chemical Structure



Mechanism of Action

➢ Mafenide displays bacteriostatic activity against a number of gram-negative and gram-positive bacteria including Pseudomonas aeruginosa and certain anaerobic strains. The antibacterial action is not affected by the presence of pus, tissue exudate, or serum nor by the acidity of the application site.

Uses

- Mafenide is used as an adjunctive topical antimicrobial agent to control bacterial infection when used under moist dressings over meshed autografts on excised barn wounds.

Stability and Storage Conditions

▪ Solution may be stored in unopened containers

Type of Formulation

1. Solution

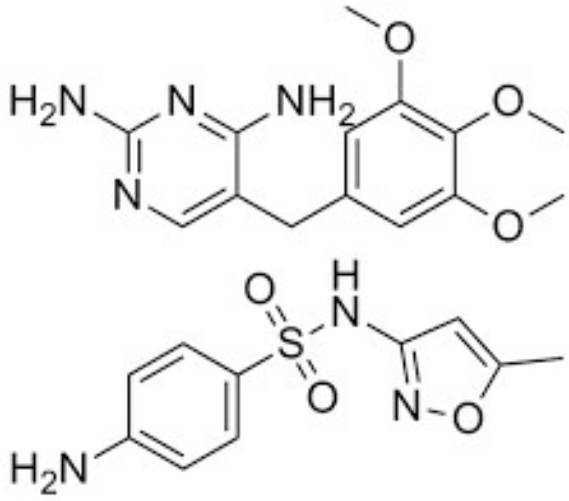
Popular Brand Name

♦ Sulfamylon

Cotrimoxazole

→ Cotrimoxazole is a synthetic antibacterial, and combination of sulfamethoxazole and trimethoprim.

Chemical Structure



Mechanism of Action

➢ Co-trimoxazole is a combination of trimethoprim and sulfamethoxazole and is in a class of medications called sulfonamides. It works by stopping the growth of bacteria. Antibiotics will not kill viruses that can cause colds, flu, or other viral infections.

Uses

- Cotrimoxazole is effective against Escherichia coli,Klebsiella, Enterobacter, Proteus mirabilis, Haemophilus bfluencot Streptococcus precamonise, Sanjaylococca aureus, Acinetobacter, Salmonella, Shigella, and P. carinii.

Stability and Storage Conditions

▪ It should be stored at controlled room temperature between 15-25°C and should be protected from light.

Types of Formulations

1. Tablets
2. Suspension
3. Syrup

Popular Brand Names

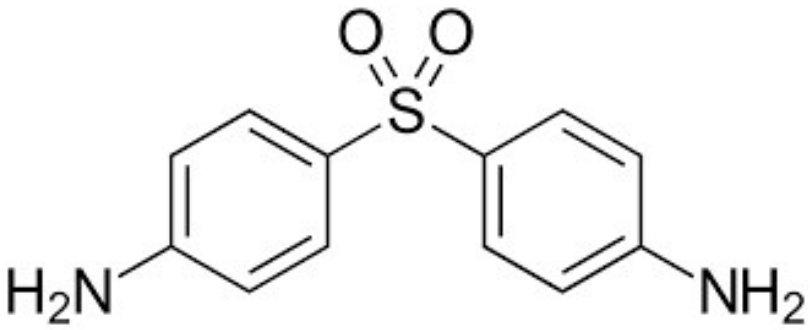
♦ Cotrimox
♦ Orprim
♦ Trimox
♦ Wypal

Dapsone *

→ Dapsone is a nearly water-insoluble agent that is very weakly basic (pK, 1.0). In lack of solubility is somewhat responsible for the occurrence of gastrointestinal irritation. Even if dapsone is poorly soluble, it gets efficiently absorbed from the GIT. Although dapsonic bound to plasma protein (-70%), it is distributed throughout the body,

Chemical Name and Structure

4-[(4-aminobenzene)sulfonyl]aniline |



Mechanism of Action

➢ As an antimicrobial agent, dapsone is bacteriostatic in action. It inhibits the synthesis of dihydrofolic acid through by competing with para-aminobenzoic acid for the active site of dihydropteroate synthetase thus resembling the action of sulphonamides.

Uses

- Dapsone is used to control dermatologic symptoms of dermatitis herpetiformis
- It is used alone or with other anti-leprosy drugs for leprosy

Stability and Storage Conditions

▪ The medicine should be kept in a safe place and out of children's reach. Mainly the drug should be kept at room temperature between 68°F and 77°F (20°C and 29°C).

Type of Formulation

1. Gel

Popular Brand Name

♦ Aczone

THANK YOU