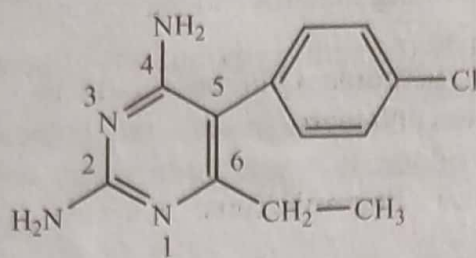


9.1.3.5. Pyrimethamine

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

Chemical Structure



Pyrimethamine

Molecular Formula: $C_{12}H_{13}ClN_4$

IUPAC Nomenclature: 5-(4-chlorophenyl)-6-ethylpyrimidine-2,4-diamine

Chemistry: Pyrimethamine has a pyrimidine as heterocycle and two amino groups at C2 and C4. The *p*-chlorophenyl group is found at C5 position and the C6 position has ethyl group.

Properties: Pyrimethamine occurs as a white coloured crystalline powder with no odour and taste. It is soluble in warm mineral acids; slightly soluble in ethanol and dilute hydrochloric acid; sparingly soluble in propylene glycol and dimethylacetamide; and insoluble in water.

Uses: Pyrimethamine is used for treating toxoplasmosis and acute malaria. It is used for preventing malaria in areas non-resistant to pyrimethamine. It is used for treating malaria and prophylaxis as it is a primary tissue schizonticide and slow blood schizonticide. When used with sulphadiazine, it treats toxoplasmosis (infection due to *Toxoplasma gondii* which causes eye and brain damage). Its antimalarial activity enhances when given along with sulphadoxine and dapsone.

Stability and Storage Conditions: Pyrimethamine should be stored at room temperature between 20-25°C. It is light sensitive, thus should be stored away from heat, moisture, and light.

Types of Pharmaceutical Formulations: Tablet, suspension, and injections.

Brand Names: Formal, Laridox forte, Malocide, and Pyralfin.

9.1.3.6. Quinine

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

Molecular Formula: $C_{20}H_{24}O_2N_2$

IUPAC Nomenclature: (R)-[(2S,4S,5R)-5-ethenyl-1-azabicyclo[2.2.2]octan-2-yl]-(6-methoxyquinolin-4-yl)methanol

Properties: Quinine occurs as a white coloured, bulky, amorphous, or crystalline powder which becomes brown coloured when exposed to air. It is odourless and bitter in taste. It is soluble in ether, carbon disulphide, glycerol, acids, chloroform, and alkalis.

Uses: Quinine is used for treating malaria and leg cramps.

Stability and Storage Conditions: Quinine should be stored below 40°C in tightly-closed light-resistant containers.

Types of Pharmaceutical Formulations: Capsule, tablet, injection, and suspension.

Brand Names: A-Quin, Kwinil, and Rez-Q.

9.1.3.7. Trimethoprim

Trimethoprim is synthetically derived from trimethoxybenzyl-pyrimidine. It has antibacterial and antiprotozoal properties.

Molecular Formula: $C_{14}H_{18}N_4O_3$

IUPAC Nomenclature: 5-[(3,4,5-trimethoxyphenyl)methyl]pyrimidine-2,4-diamine

Properties: Trimethoprim occurs as a white to cream coloured, crystalline powder with a bitter taste and no odour. It is very slightly soluble in water; and slightly soluble in alcohol.

Uses: Trimethoprim is used for treating urinary tract infections, mild acute prostatitis, and uncomplicated pyelonephritis (with sulfamethoxazole). It may be used as an alternative for treating asymptomatic bacteriuria during pregnancy (but not in the last 6 weeks of pregnancy). It may also be used as pericoital (with sulfamethoxazole) or continuous prophylaxis in females having recurrent cystitis.

Stability and Storage Conditions: Trimethoprim should be stored at room temperature in light and moisture-resistant containers.

Types of Pharmaceutical Formulations: Tablet and suspension.

Brand Names: Alcorim F, Ciplin, Kombina, and Penetrin.