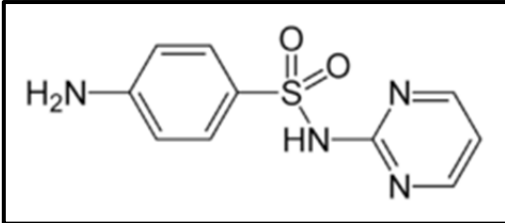
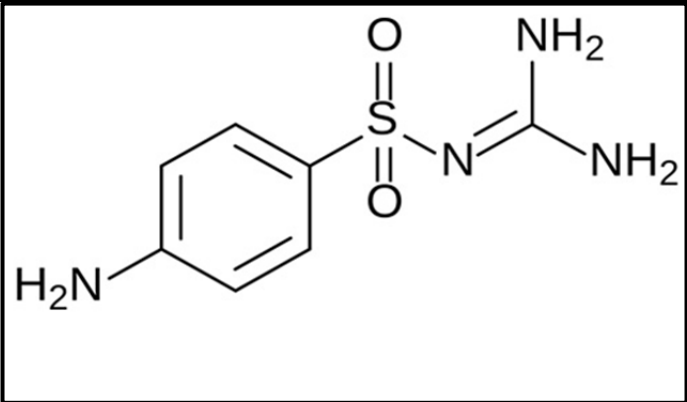


Sulfonamides

Name	Sulphadiazine
Synonym	<ul style="list-style-type: none"> • 2-sulfanilamidopyrimidine • Sulfadiazin • Sufapyrimidine • Sulfazine
IUPAC Name	4-amino- <i>N</i> -pyrimidin-2-ylbenzenesulfonamide
Chemical Structure	
Uses	<ul style="list-style-type: none"> • It is an antibiotic that is used in the treatment of urinary tract infections, ear infections, rheumatic fever, conjunctivitis and chlamydial infections. • It is used along with pyrimethamine to treat toxoplasmosis. • Silver sulfadiazine is commonly used as an antibacterial and antifungal agent topically.
Physicochemical properties	<ul style="list-style-type: none"> • Melting point : 255.5 °C • Water solubility: 77 mg/L at 25 °C • Lipophilicity (Log P): -0.09 • Dissociation constant (pKa): 6.99 • Molecular weight: 250.28 g/mol
Mechanism of Action	<ul style="list-style-type: none"> • It is a synthetic sulfonamide derivative that is bacteriostatic against a wide spectrum of gram-positive and gram-negative bacteria. • This antibiotic inhibits folic acid synthesis through competitive inhibition of p-amino benzoic acid. As a result of this bacterial multiplication is inhibited.
Stability and storage condition	<ul style="list-style-type: none"> • Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents. • Store in a cool, dry and tightly-closed container. It should be kept away from direct light.
Pharmaceutical formulations	<ul style="list-style-type: none"> • Suppository • Cream • Tablet • Suspension

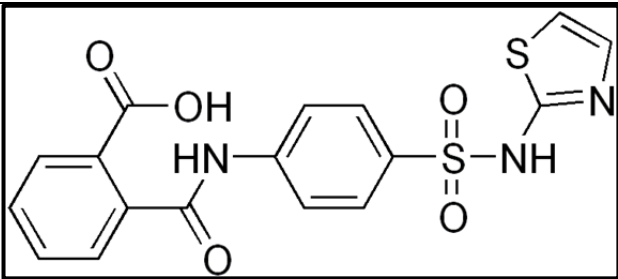
D-2.2 PHARMACEUTICAL CHEMISTRY II

Brand name	<ul style="list-style-type: none"> • Sulfadiazine tablet • Adiazine • Other popular brands containing sulfadiazine as an active ingredient include: <ul style="list-style-type: none"> ✓ Coptin oral suspension ✓ Coptin tablet ✓ Ovoquinol cream vaginale ✓ Ovoquinol cones ✓ Trisulfaminic suspension ✓ Trisulfaminic tablet
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Name	Sulphaguanidine
Synonym	<ul style="list-style-type: none"> • Sulfaguanidine • Sulfaguine • Sulfaguanidin • Sulfaguanidium
IUPAC Name	2-(4-aminophenyl)sulfonylguanidine
Chemical Structure	
Uses	<ul style="list-style-type: none"> • It is used in the treatment of bacillary dysentery, enteritis and other enteric infections. • It is also the first-choice drug for the treatment of leprosy. Other conditions for which it is used include herpetiformis, lupus, psoriasis and foot fungus disease. • It can also be used to treat bacterial infections in animals.
Physicochemical properties	<ul style="list-style-type: none"> • Melting point : 191.5 °C • Water solubility: 0.805mg/mL • Lipophilicity (Log P): -1.22 • Dissociation constant (pKa): 10.53 • Molecular weight: 214.25 g/mol

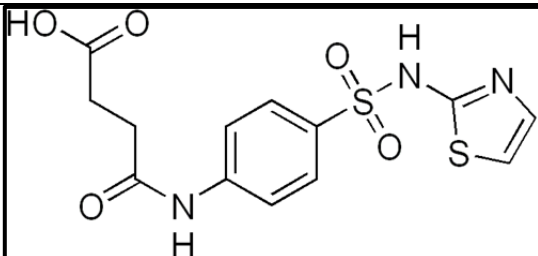
D-2.2 PHARMACEUTICAL CHEMISTRY II

Mechanism of Action	<ul style="list-style-type: none"> It is a guanidine derivative of sulfanilamide that acts by inhibiting the bacterial enzyme, dihydropteroate synthase. This in turn inhibits the synthesis of dihydrofolic acid and alters DNA synthesis. Thereby it produces a bacteriostatic effect and arrests bacterial multiplication.
Stability and storage condition	<ul style="list-style-type: none"> It is stable at normal conditions but is incompatible with strong oxidizing agents. It must be stored in well-closed containers and kept away from light. It is preferably stored in low temperatures (~20 °C).
Pharmaceutical formulations	Tablets
Brand name	<ul style="list-style-type: none"> Bioguanil Canidiarix (veterinary use) Carbon sulfaguanidina Valma Felidiarix (veterinary use)

Name	Phthalylsulphathiazole
Synonym	<ul style="list-style-type: none"> Phthalylsulfathiazole Sulfathalidine Phthalozol Sulphaphthalyl
IUPAC Name	2-[[4-(1,3-thiazol-2-ylsulfamoyl)phenyl]carbamoyl]benzoic acid
Chemical Structure	
Uses	<ul style="list-style-type: none"> It is a broad spectrum antibiotic used in the treatment of intestinal infections like bacillus dysentery. It is also used to treat colitis, gastroenteritis, dysentery and is given before bowel surgery.
Physicochemical	<ul style="list-style-type: none"> Melting point : 273 °C Water solubility: 0.0171 mg/mL

D-2.2 PHARMACEUTICAL CHEMISTRY II

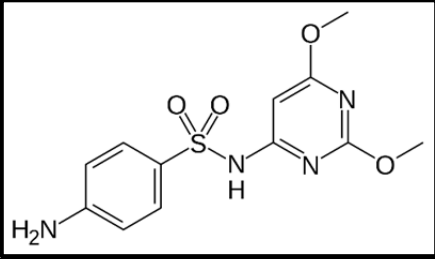
properties	<ul style="list-style-type: none"> • Lipophilicity (Log P): 2.22 • Dissociation constant (pKa): -4.4 • Molecular weight: 403.4 g/mol
Mechanism of Action	<ul style="list-style-type: none"> • It is a sulfonamide derivative that acts by inhibiting the bacterial enzyme, dihydropteroate synthase. • This in turn inhibits the synthesis of dihydrofolic acid and alters the synthesis of purine and pyrimidine. Thereby it produces a bacteriostatic effect.
Stability and storage condition	<ul style="list-style-type: none"> • Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents. • Store in a cool, dry and tightly-closed container. It should be kept away from direct light.
Pharmaceutical formulations	<ul style="list-style-type: none"> • Tablets • Capsules
Brand name	<ul style="list-style-type: none"> • Imecol • Vagomycin • Tridyn • Ensal • Enteran • Phthalazol-Darnista

Name	Succinylsulphathiazole
Synonym	<ul style="list-style-type: none"> • Sulfasuccinil • Sulfasuccithiazole • Succinylsulfathiazole
IUPAC Name	4-oxo-4-[4-(1,3-thiazol-2-ylsulfamoyl)anilino]butanoic acid
Chemical Structure	

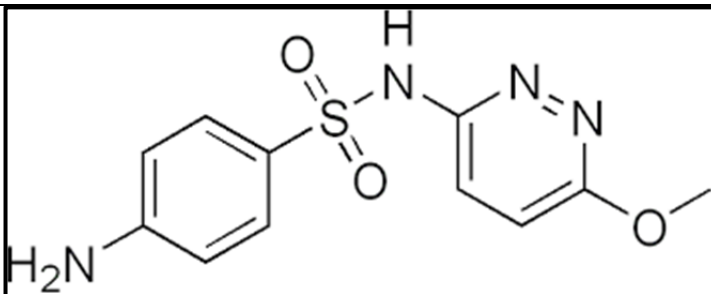
D-2.2 PHARMACEUTICAL CHEMISTRY II

Uses	<ul style="list-style-type: none">It is used in the preoperative preparation of the large bowel and also used as an antibacterial for intestinal infections.
Physicochemical properties	<ul style="list-style-type: none">Melting point : 193.5 °CWater solubility: 0.185mg/mLLipophilicity (Log P): 0.99Dissociation constant (pKa): 3.36Molecular weight: 355.4 g/mol
Mechanism of Action	<ul style="list-style-type: none">It is a sulfonamide derivative that acts by inhibiting the bacterial enzyme, dihydropteroate synthase.This in turn inhibits the synthesis of dihydrofolic acid and alters the synthesis of purine and pyrimidine.Through this way, it disrupts the DNA synthesis of the bacteria to produce a bacteriostatic effect.
Stability and storage condition	<ul style="list-style-type: none">Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents.Store in a cool, dry and tightly-closed container. It should be kept away from direct light and stored at room temperature.
Pharmaceutical formulations	<ul style="list-style-type: none">TabletsPowder
Brand name	<ul style="list-style-type: none">GuanicarCremosuxidineKaoxidineSulfasuccidineThiacylSulfadigesin

Name	Sulphadimethoxine
Synonym	<ul style="list-style-type: none">Sulfadimethoxine sodiumSodium sulfadimethoxine

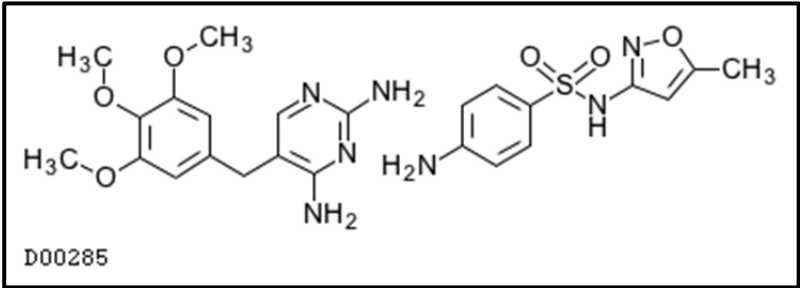
IUPAC Name	4-amino- <i>N</i> -(2,6-dimethoxypyrimidin-4-yl)benzenesulfonamide
Chemical Structure	
Uses	<ul style="list-style-type: none"> • It is used as an antibiotic to treat urinary tract, respiratory, soft tissue and enteric infections. • It is widely used as a veterinary medicine but is also used in humans in some countries like Russia. • Bovine respiratory disease, bacterial pneumonia, necrotic pododermatitis and calf diphtheria are some of the diseases that are treated by sulfadimethoxine.
Physicochemical properties	<ul style="list-style-type: none"> • Melting point : 203.5 °C • Water solubility: 0.278 mg/mL • Lipophilicity (Log P): 1.63 • Dissociation constant (pKa): 6.91 • Molecular weight: 310.33 g/mol
Mechanism of Action	<ul style="list-style-type: none"> • It is a sulfonamide antibiotic that acts by inhibiting the binding of p-amino benzoic acid to dihydropteroate synthase. • In this way, it prevents the synthesis of folic acid and interferes with the bacterial DNA synthesis.
Stability and storage condition	<ul style="list-style-type: none"> • Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents. • Store in a cool, dry and tightly-closed container. It should be kept away from direct light and stored at room temperature.
Pharmaceutical formulations	<ul style="list-style-type: none"> • Oral solution • Soluble powder • Tablet • Injection • Bolus • Sustained release formulation

Brand name	<ul style="list-style-type: none"> • Di-methox • Albon • Agribon • Diasulfyl • Bactrovet
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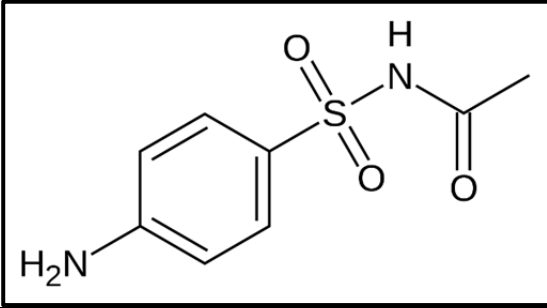
Name	Sulphamethoxypyridazine
Synonym	<ul style="list-style-type: none"> • Sulfapyridazine • Sulfalex • Longin • Midicel
IUPAC Name	4-amino- <i>N</i> -(6-methoxypyridazin-3-yl)benzenesulfonamide
Chemical Structure	
Uses	<ul style="list-style-type: none"> • It is a sulfonamide antibacterial that is used in the treatment of severe acute thrush and vaginal irritation. • It is also used as a substitute for dapsone in the treatment of dermatitis herpetiformis. • It is also used as a veterinary medicine for the treatment of sulfa-susceptible gram-positive and gram-negative bacterial infections.
Physicochemical properties	<ul style="list-style-type: none"> • Melting point : 182.5 °C • Water solubility: 0.325 mg/mL • Lipophilicity (Log P): 0.32 • Dissociation constant (pKa): 6.84 • Molecular weight: 280.31 g/mol
Mechanism of Action	<ul style="list-style-type: none"> • It is a sulfonamide antibiotic that acts by inhibiting the binding of p-amino benzoic acid to dihydropteroate synthase. • In this way, it prevents the synthesis of folic acid and interferes with the bacterial DNA synthesis.

D-2.2 PHARMACEUTICAL CHEMISTRY II

Stability and storage condition	<ul style="list-style-type: none"> Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents. Store in a cool, dry and tightly-closed container. It should be kept away from direct light and stored at room temperature.
Pharmaceutical formulations	<ul style="list-style-type: none"> Tablets Oral suspension
Brand name	<ul style="list-style-type: none"> Amphoprim Uropac Metasulfa Urosima Tandozin

Name	Co-trimoxazole
Synonym	<ul style="list-style-type: none"> Trimethoprim/Sulfamethoxazole Septra Septin
IUPAC Name	4-amino-N-(5-methyl-1,2-oxazol-3-yl)benzenesulfonamide;5-[(3,4,5-trimethoxyphenyl)methyl]pyrimidine-2,4-diamine
Chemical Structure	
Uses	<ul style="list-style-type: none"> It is used for the treatment of bacterial infections of the respiratory tract, gastrointestinal tract and urinary tract. Skin and wound infections, tuberculosis, pneumonia, meningococcal disease, toxoplasmosis and sepsis are also treated using co-trimoxazole. It also reduces the risk of recurrence of retinochoroiditis.

Physicochemical properties	<ul style="list-style-type: none"> • Melting point : 167 °C • Water solubility: 0.459 mg/mL • Lipophilicity (Log P): 0.89 • Dissociation constant (pKa): -2.7 • Molecular weight: 543.6 g/mol
Mechanism of Action	<ul style="list-style-type: none"> • It is a combination of sulfamethoxazole and trimethoprim. This combination exhibits a synergistic effect. • Sulfamethoxazole, a sulfonamide inhibits the synthesis of dihydropteroic acid by competitive inhibition of p-amino benzoic acid. This in turn prevents the formation of dihydrofolic acid. • Trimethoprim, inhibits the dihydrofolate reductase enzyme and prevents the formation of tetrahydrofolic acid. • Both these steps are essential in the synthesis of purines and pyrimidines and hence by disrupting them, co-trimoxazole inhibits bacterial replication and produces a bactericidal effect.
Stability and storage condition	<ul style="list-style-type: none"> • Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents. • Store in a cool, dry and tightly-closed container. It should be kept away from direct light and stored at room temperature. • Solutions and parenteral forms of co-trimoxazole must be kept in refrigerator (~20 °C).
Pharmaceutical formulations	<ul style="list-style-type: none"> • Tablet • Intravenous injection • Solution • Suspension • Powder
Brand name	<ul style="list-style-type: none"> • Trimosulfa • Bactrim • Sulprim • Oripriam • Eusaprim

Name	Sulfacetamide
Synonym	<ul style="list-style-type: none"> • Acetosulfamine • Acetocid • Sulfacet • Sulfacyl • Albamine
IUPAC Name	<i>N</i> -(4-aminophenyl)sulfonylacetamide
Chemical Structure	
Uses	<ul style="list-style-type: none"> • It is a broad spectrum antibiotic used in the treatment of acne rosacea, conjunctivitis and seborrheic dermatitis. • It also shows anti-inflammatory properties and is used as a therapeutic in the treatment of papulopustular rosacea and perioral dermatitis.
Physicochemical properties	<ul style="list-style-type: none"> • Melting point : 183 °C • Water solubility: 4.21 mg/mL • Lipophilicity (Log P): -0.96 • Dissociation constant (pKa): 4.3 • Molecular weight: 214.24 g/mol
Mechanism of Action	<ul style="list-style-type: none"> • It is a sulfanilamide derivative that acts by inhibiting the binding of p-amino benzoic acid to dihydropteroate synthase. • In this way, it prevents the synthesis of folic acid and interferes with the bacterial DNA synthesis. • This results in the bacteriostatic action that is enhanced when used in combination with sulfur.
Stability and storage condition	<ul style="list-style-type: none"> • Stable under normal conditions but undergoes thermal decomposition when exposed to direct heat. It is incompatible with strong oxidizing agents. • Store in a cool, dry and tightly-closed container. It should be kept away from direct light and stored at room temperature.

Pharmaceutical formulations	<ul style="list-style-type: none">• Solution/drops• Ointment• Liquid• Lotion• Aerosol (foam)• Emulsion• Suspension/drops• Gel• Shampoo• Vaginal cream
Brand name	<ul style="list-style-type: none">• Isopto Cetamide• Klaron• Cetamide• Blephamide• Basulph ophthalmic liquid• Cetazin