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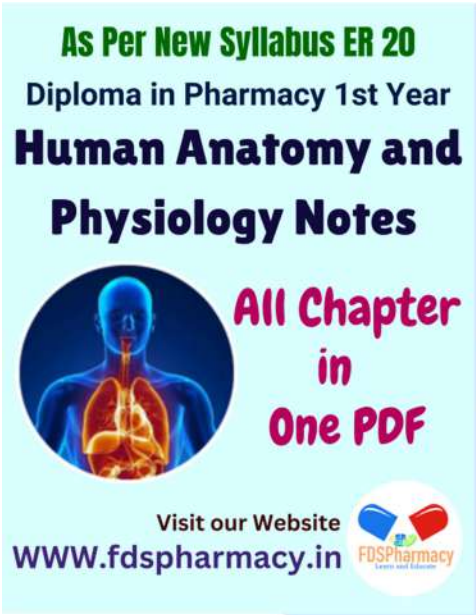
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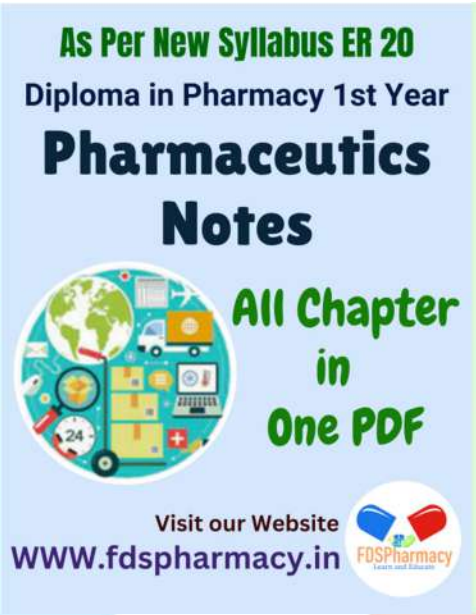
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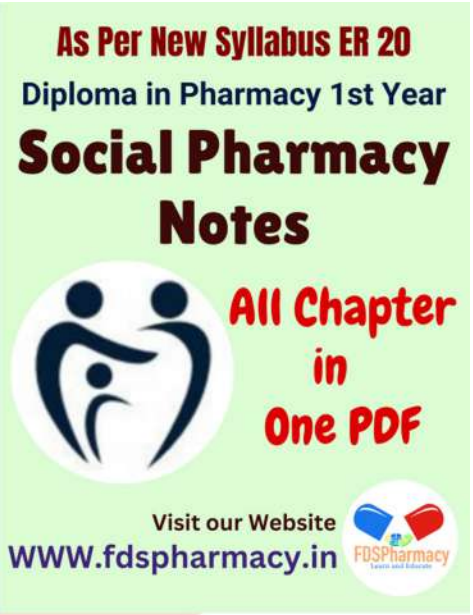
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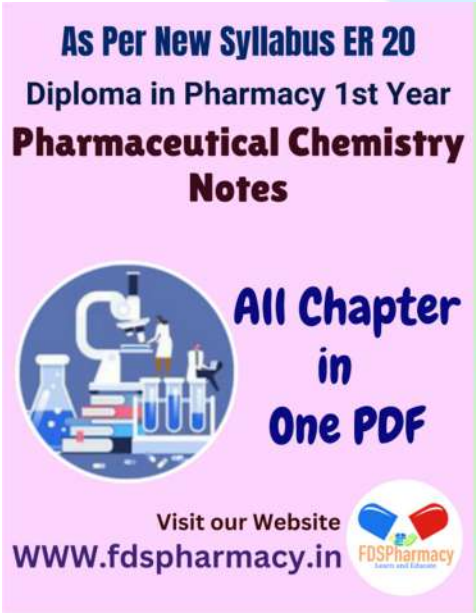
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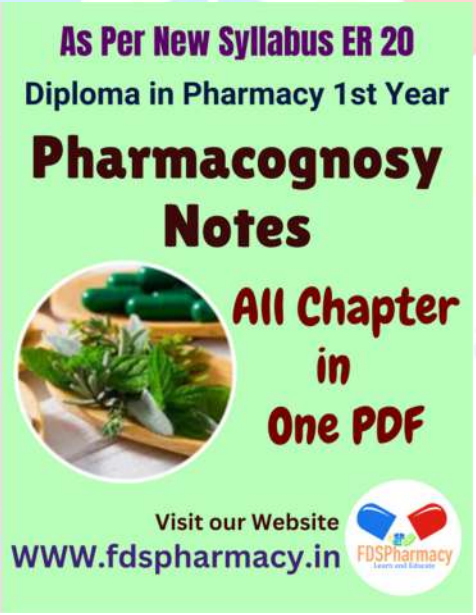
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Link in Description

Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Chapter 8 : DIURETICS

Topics	Page No
DIURETICS • **Acetazolamide** • **Furosemide *** • **Bumetanide** • **Benzthiazide** • **Xipamide** • **Chlorthalidone** • **Metolazone** • **Spironolactone**	3

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Chapter 8
DIURETICS

→ Drugs promoting urine output are known as diuretic drugs, which refer only to those agents that act directly on the kidneys.

→ These drugs primarily increase the excretion of water and ions like sodium (Na+), chloride (CI-), or bicarbonates HCO_3-) from the body.

→ Glomerular filtration, tubular reabsorption, and tubular secretion in kidneys determine the excretion of substances.

→ It is also employed in the treatment of various disorders like diabetes insipidus, nephrotic syndrome, hypertension, nutritional oedema, oedema of pregnancy, and liver cirrhosis.

→ They also decrease the intracellular and cerebrospinal fluid pressure.

Examples

Examples of diuretics are given below:

- Acetazolamide
- Furosemide
- Bumetanide
- Benzthiazide
- Xipamide
- Chlorthalidone
- Metolazone
- Spironolactone

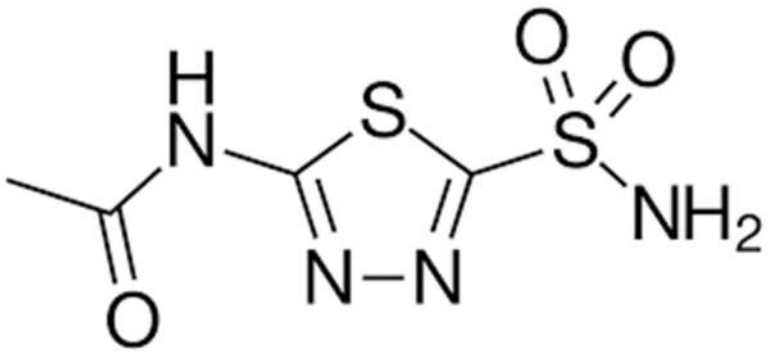
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Acetazolamide

→ Acetazolamide is the prototype carbonic anhydrase inhibitor.

→ This type of diuretics inhibit carbonic anhydrase enzyme in the membrane and cytoplasm of epithelial cell.

Chemical Structure



Mechanism of Action

➢ Acetazolamide is a carbonic anhydrase inhibitor. That means this drug works to cause an accumulation of carbonic acid by preventing its breakdown. The result is lower blood pH (i.e., more acidic), given the increased carbonic acid, which has a reversible reaction into bicarbonate and a hydrogen ion.

Uses

- Acetazolamide is self-limiting in nature.
- It produces adverse effects like acidosis and hypokalaemia.
- Thus, it is not used as a diuretic anymore; instead, it is currently being employed in the treatment of
    - ♦ Glaucoma
    - ♦ Alkalinising Urine
    - ♦ Epilepsy
    - ♦ Acute Mountain Sickness

Stability and Storage Conditions

- It can be stored up to 48 months.
- It should not be stored above 25°C.
- It should be stored in the original pack in order to protect from light and moisture.

Types of Formulations

1. Capsules
2. Tablets

Popular Brand Names

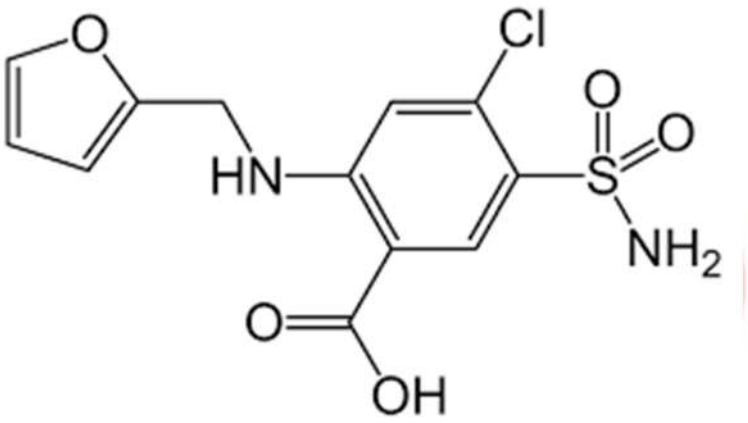
♦ Diamox

♦ Diamox Sequels

Furosemide *

→ Furosemide is a benzoic-sulfonamide-furan with fast onset and short duration of action. It is used for treating oedema and chronic renal insufficiency.

Chemical Structure



Mechanism of Action

➢ Furosemide, an anthranilic acid derivative, is a rapid acting, highly efficacious diuretic Rankin (2002). Its mechanism of action is inhibition of the sodium-potassium-2 chloride (Na+-K+-2 Cl–) co-transporter (symporter) located in the thick ascending limb of the loop of Henle in the renal tubule Jackson (1996).

Uses

- It is used for the treatment of oedema related to congestive heart failure, liver cirrhosis, and renal disease.
- It is also used either alone or with other antihypertensive agents for the management of hypertension.

Stability and Storage Conditions

- It should be kept at room temperature from 59°F (15°C) and 86°F (30°C).
- This drug should be kept away from light.
- This medication should not be stored in moist or damp areas like bathrooms.

Types of Formulations

1. Tablet
2. Solution

Popular Brand Names

- Lasix,
- Diaqua-2,
- Lo-Aqua

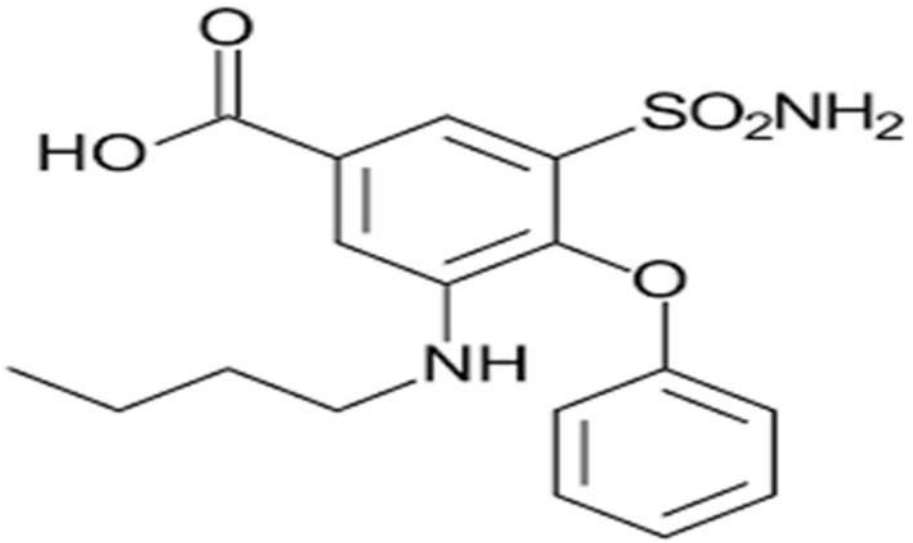
Bumetanide

→ Bumetanide is a loop diuretic of sulfamyl category.

→ It is used for treating heart failure.

→ It is used by the people who are not responding to high doses of furosemide or other diuretics.

Chemical Structure



Mechanism of Action

➢ The mechanism of action and effects of bumetanide are similar to those of furosemide. The drug increases urinary excretion of water, sodium, and chloride by inhibiting reabsorption of sodium and chloride through interference with the chloride-binding cotransport system in the ascending loop of Henle.

Uses

- It is used for treating oedema related to congestive heart failure, hepatic and renal disease including nephrotic syndrome.

Stability and Storage Conditions

- It should be stored at room temperature between 68°F and 77°F (20°C and 25°C), and should be kept away from light.

Type of Formulation

1. Tablets

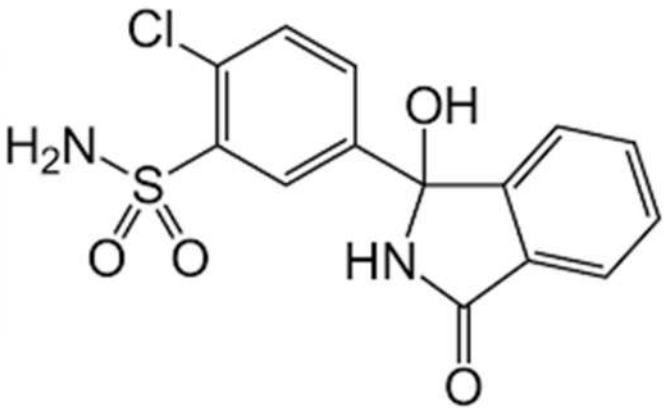
Popular Brand Names

- Bumex,
- Burinex

Chlorthalidone

→ Chlorthalidoneis a diuretic which is used in the treatment of hypertension or odema caused by heart failure, renal failure, hepatic cirrhosis, estrogen therapy, and other conditions.

Chemical Structure



Mechanism of Action

➢ Chlorthalidone inhibits sodium reabsorption at the level of the distal convoluted tubule and thus chloride via inhibition of the Na/Cl symporter. By removing sodium reabsorption at this location, the distal convoluted tubule of the nephron retains a higher sodium content.

Uses

- Chlorthalidone is used alone or together with other medicines to treat high blood pressure (hypertension).

Stability and Storage Conditions

- It should It should be dispensed in a tight, light-resistant container as defined in USP using a child-resistant closure.
- This medication should be kept away from reach of children.

Type of Formulation

1. Tablets

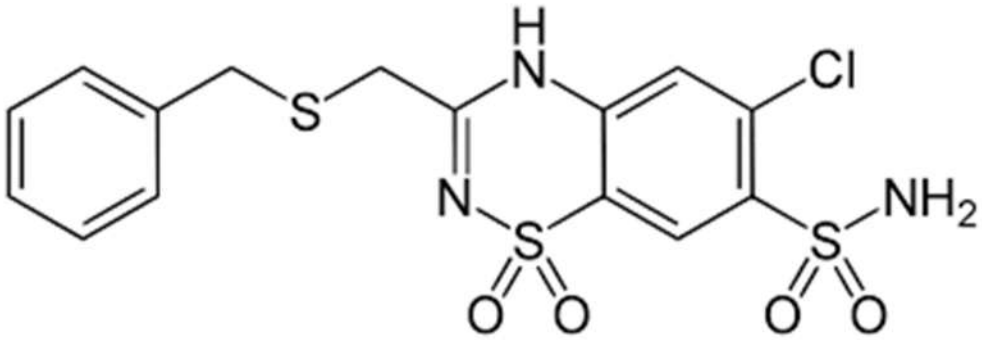
Popular Brand Names

- Hygroton
- Thalitone
- Chlorthalid

Benzthiazide

→ Benzthiazide is a class of thiazide diuretics which has an intermediate acting agent. Benzthiazide is also known as benzothiazide.

Chemical Structure



Mechanism of Action

➢ Benzthiazide is used to treat hypertension and edema. Like other thiazides, benzthiazide promotes water loss from the body (diuretics). They inhibit Na+/Cl- reabsorption from the distal convoluted tubules in the kidneys. Thiazides also cause loss of potassium and an increase in serum uric acid.

Uses

- It is used in the treatment of High blood presure (hypertension).
- The build-up of fluid in your body ocdema.

Stability and Storage Conditions

▪ It should be stored at room temperature in a well closed container and should be protected from light.

Type of Formulation

1. Tablets

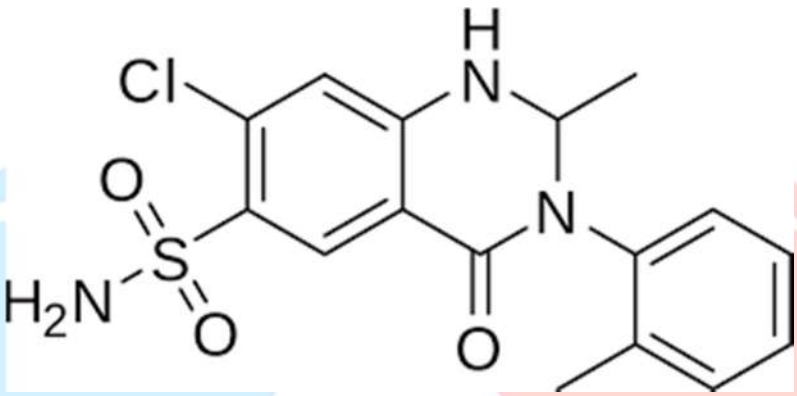
Popular Brand Names

♦ Exna

Metolazone

→ Metolazone is a thiazide like diuretic which is used in the treatment of hypertension.

Chemical Structure



Mechanism of Action

- Metolazone works by inhibiting sodium transport across the epithelium of the renal tubules (mostly in the distal tubules), decreasing sodium reabsorption, and increasing sodium, chloride, and water excretion.

Uses

- Prevent body from absortbing too much salt that can cause fluid retention.
- Treat fluid retention (edema) in peuplewth congestiveheart failure, or a kicney disorder such nephrotic syndrome.

Stability and Storage Conditions

- It should be stored at room temperature (77°F or 25°C) away from light and moisture.

Type of Formulation

1. Tablets

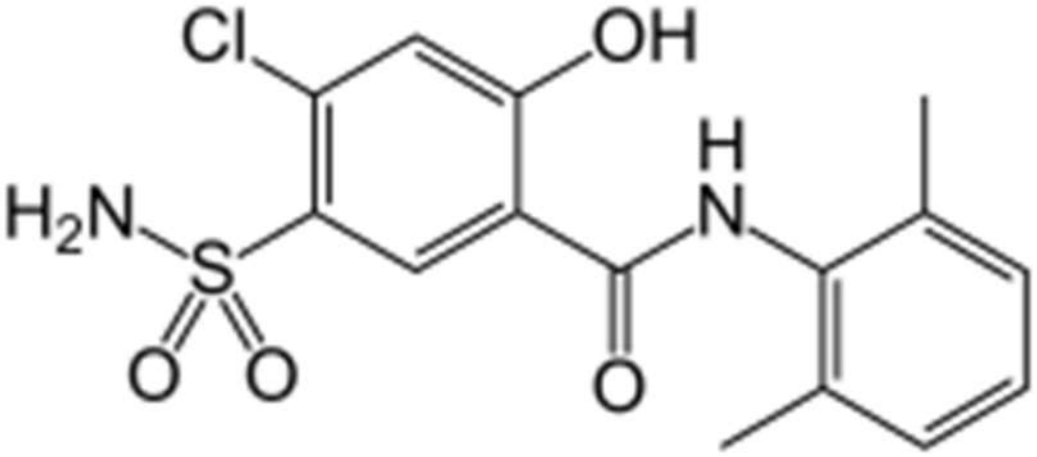
Popular Brand Names

- Zaroxolyn
- MykrOx

Xipamide

→ Xipamide is a diuretic which is used for the treatment of oedema.

Chemical Structure



Mechanism of Action

➢ Xipamide leads to an increase of K+ and Mg2+ excretion, but to a decrease of Ca2+ excretion in urine, a charactaristical feature of the thiazide-like diuretics.

Uses

- Xipamide is used for:
- Treating hypertension (high blood pressure)
- Treating oedema

Stability and Storage Conditions

▪ It should be stored in cool and dry place and should be kept away from direct heat and light.

Type of Formulation

1. Tablets

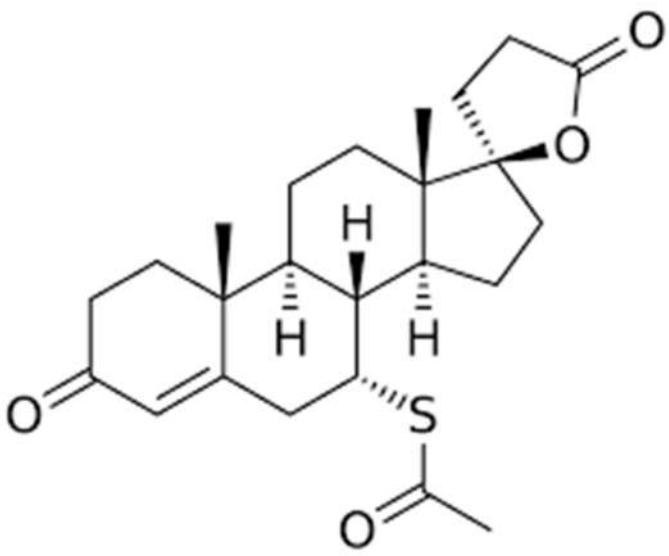
Popular Brand Names

♦ Aquaphoril
♦ Aquaphor

Spironolactone

→ Spironolactone is a potassium sparing diuretic which acts by antagonising aldosterone in the distal renal tubules.

Chemical Structure



Mechanism of Action

➢ Aldactone (spironolactone) is a specific pharmacologic antagonist of aldosterone, acting primarily through competitive binding of receptors at the aldosterone-dependent sodium-potassium exchange site in the distal convoluted renal tubule.

Uses

- It is used for treating refractory oedema in patients having failure, nephrotic syndrome, or hepatic cirrhosis.
- It is also used for treating hypokalaemia, Conn's syndrome, and low-renin hypertension.

Stability and Storage Conditions

- Spironolactone should be kept at room temperature between 68°F and 77°F (20°C and 25°C).
- It should be kept away from light and high temperature.

Types of Formulations

1. Tablet
2. Capsule

Popular Brand Names

- Aldactazide
- Aldactone
- Carospir