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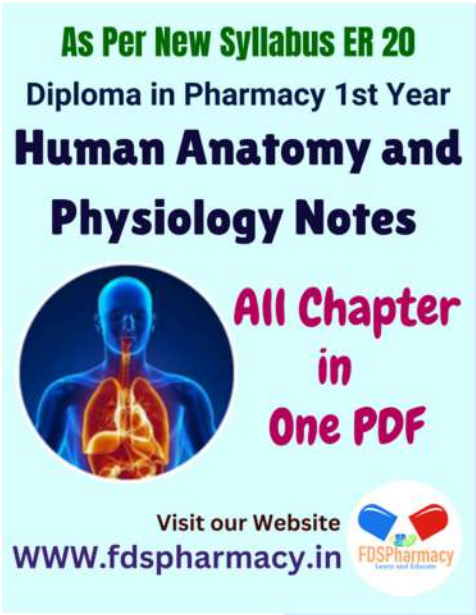
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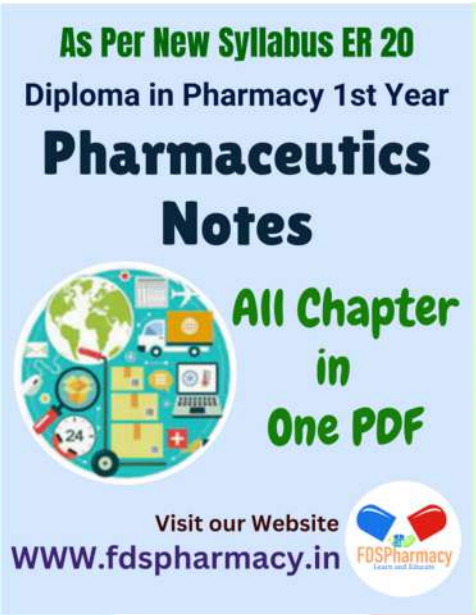
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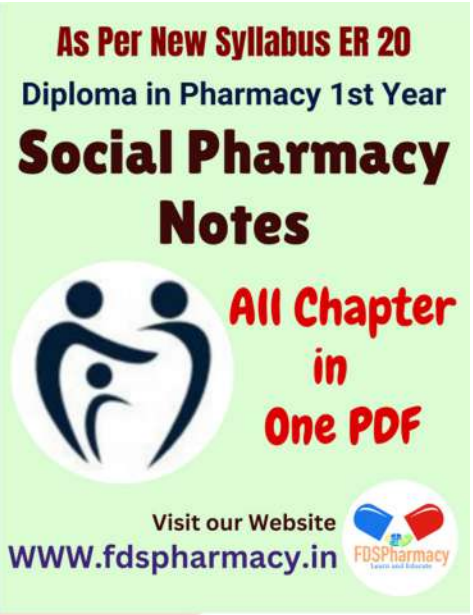
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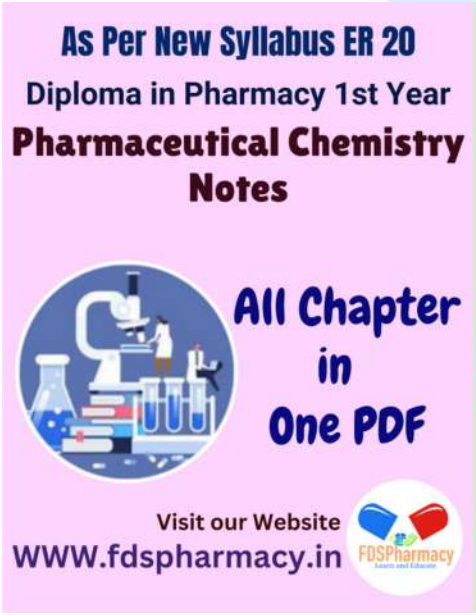
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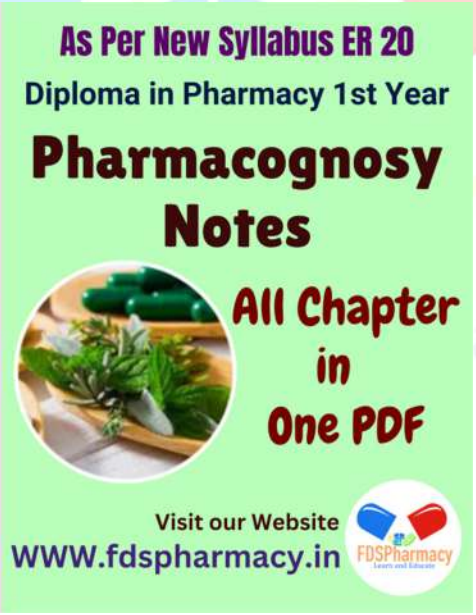
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Link in Description

Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Chapter 9 : HYPOGLYCEMIC AGENTS

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Chapter 9
HYPOGLYCEMIC AGENTS

→ Hypoglycaemic agents are used in the treatment of diabetes mellitus by lowering the blood glucose levels.
→ With the exceptions of insulin, exenatide, liraglutide and pramlintide, all the other hypoglycaemic agents are administered orally and are therefore known as oral hypoglycaemic agents or oral anti-hyperglycaemic agents.

Insulin

→ Paul Langerhans (a German medical student) in 1869 studied that the pancreas has two different groups of cells, i.e., the acimer cells that secrete digestive enzymes, and islets (cells clustered in islands) that serve a second function.
→ Banting, Macleod, Bert, and Collip isolated insulin from bovine pancreas and used it for treating diabetes mellitus.
→ Insulin is a hormone produced in pancreas and permits the body to utilise sugar (glucose) from carbohydrates in the food.
→ Insulin restricts the blood sugar levels from getting too high (hyperglycaemia) or too low (hypoglycaemia).
→ Insulin occurs as a white or almost white coloured crystalline powder.
→ It is faintly soluble in water; soluble in dilute solution of mineral acids and with degradation in solutions of alkali hydroxide; and almost insoluble in alcohol, chloroform, and ether.

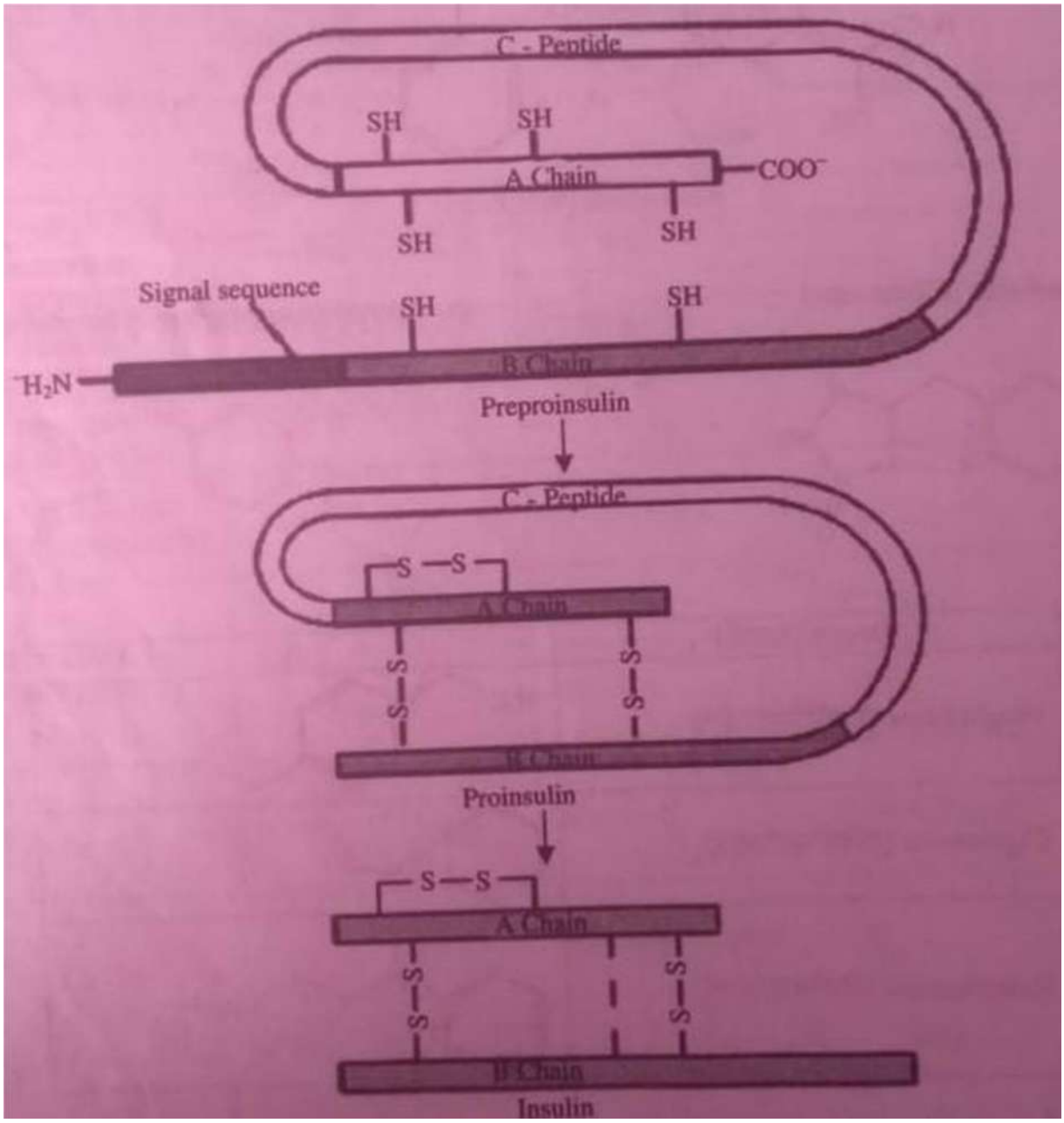
Synthesis

- Synthesis Significant quantity of insulin is synthesised in the pancreatic beta cells. The insulin mRNA is translated as a single chain precursor known as pre-pro-insulin, and removal of its signal peptide during insertion into the endoplasmic reticulum produces pro-insulin.

- Pro-insulin contains three domains, ie, an amino terminal B chain, a carboxy-terminal A chain, and a C peptide (connecting peptide in the middle). Pro-insulin, in the endoplasmic reticulum, is exposed to some specific endopeptidases that excise the C peptide and generates the mature form of insulin.

- Insulin and free C peptide are packaged in the Golgi into secretory granules accumulating collect in the cytoplasm.

- Insulin is secreted from the pancreatic B-cells by exocytosis when these cells are stimulated. After its release, the insulin diffuses into islet capillary blood. C peptide is also secreted into bloodstream; however it is not biologically active.



Uses

Insulin has the following uses:

- ✓ It is used for controlling diabetes mellitus (uncontrollable by diet alone) or for treating insulin dependent diabetes mellitus,
- ✓ It is used for regulating carbohydrate metabolism.
- ✓ It is used for treating hyperkalemia.
- ✓ It is used for treating severe ketoacidosis or diabetic coma.

Examples

Examples of hypoglycaemic agents are as follows :

- Metformin *
- Glybenclamide *
- Glimepiride
- Pioglitazone
- Repaglinide
- Gliflozin
- Gliptins

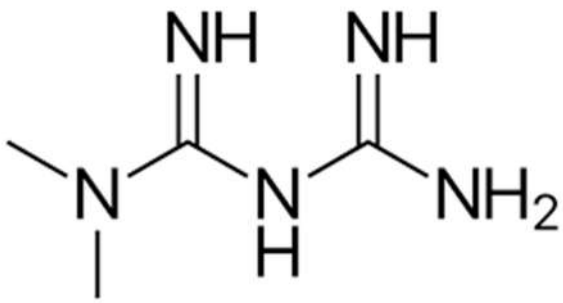
Metformin *

→ Metformin is a biguanide antihypertensive agent.

→ It improves glycaemic control by decreasing hepatic glucose production and glucose absorption, and also by increasing insulin-mediated glucose uptake.

Chemical Name and Structure

N,N-Dimethylimidodicarbonimidic diamide



Mechanism of Action

➢ Metformin reduces the blood glucose levels by decreasing hepatic glucose production (gluconeogenesis), decreasing the intestinal absorption of glucose, and increasing insulin sensitivity by increasing the glucose uptake and utilisation by the peripheral tissues.

Uses

- It is used as an adjunct to diet and exercise in NIDDM patients older than 18 years.
- It can also be used for managing metabolic and reproductive abnormalities related to polycystic ovary syndrome.
- It can also be used with a sulphonylurea or insulin to improve glycaemic control in adults.

Stability and Storage Conditions

- This medication should be kept in the tightly closed container and out of reach of children.
- It should be stored at room temperature and kept away from light, excess heat and moisture.

- It should not be stored in the bathroom.

Types of Formulations

1) Suspension
2) Tablet
3) Solution

Popular Brand Names

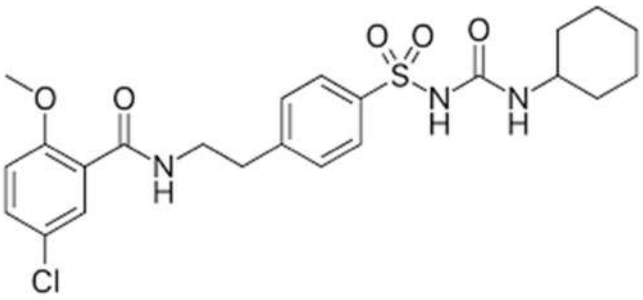
- Fortamet
- Glucophage
- Glucophage
- Glumetza
- Riomet

Glibenclamide *

→ Glybenclamide is an oral antihyperglycaemic drug of sulfonylurea class.
→ Glybenclamide is a white to silver crystalline compound with molecular formula C23H28ClN3O5S and molecular weight 494.00.

Chemical Name and Structure

1-[[p-[2-[5-chloro-o-anisamido) ethyl] phenyl] sulfonyl]-3-cyclo-hexylurea



Mechanism of Action

- Glibenclamide is an oral hypoglycaemic agent of the sulfonylurea group.
- It acts by lowering the blood glucose level acutely by stimulating the release of insulin from the pancreas.
- This is an effect dependent upon functioning B-cells in the pancreatic islets.

- Extrapancreatic effects may play a part in the mechanism of action of oral sulfonylurea hypoglycaemic drugs,

Uses

- It is used to treat patients with impairment of hepatic function.
- It acts as a hypoglycaemia and hematologic agent

Stability and Storage Conditions

- It can be stored at a temperature of more than 40 C or at 40° C for at least 90 days.

Type of Formulation

1. Tablets

Popular Brand Names

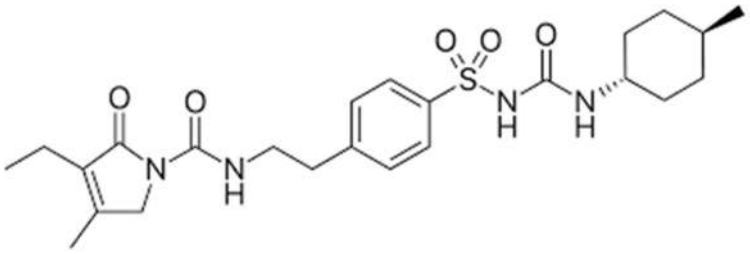
- Diabeta
- Flycron
- Glyburide

Glimepiride

→ Glimepiride is the first III generation sulphonylurea.

→ It is a highly potent sulphonylurea having long duration of action.

Chemical Structure



Mechanism of Action

- Glimepiride decreases blood glucose levels by stimulating insulin release from the functioning pancreatic B-cells, and by increasing the sensitivity of peripheral tissues to insulin
- Glimepiride binds to ATP-sensitive potassium channels present on the pancreatic cell surface, thus depolarises the membrane and reduces potassium conductance.

Uses

- It is used with insulin for treating the non-insulin dependent (type 2) diabetes mellitus

Stability and Storage Conditions

- It should be stored at room temperature.

Type of Formulation

1. Tablets

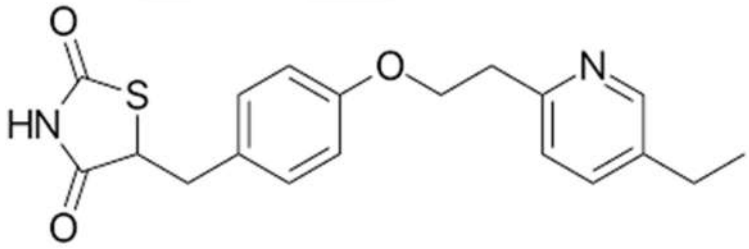
Popular Brand Name

- Amaryl

Pioglitazone

→ Pioglitazone is used as an adjunct to diet, exercise, and other anti-diabetic drugs to control type diabetes mellitus.

Chemical Structure



Mechanism of Action

- Pioglitazone improves glycaemic control in people with Type 2 diabetes by improving insulin sensitivity through its action at PPAR gamma 1 and PPAR gamma 2, and affects lipid metabolism through action at PPAR alpha.

Uses

- It is used as an adjunct to diet and exercise for improving glycaemic control in individuals having type 2 diabetes mellitus.

Stability and Storage Conditions

- It should be stored at a temperature of 25°C (77°F) and Container should be tightly closed and protected from light, moisture and humidity.

Type of Formulation

1. Tablets

Popular Brand Name

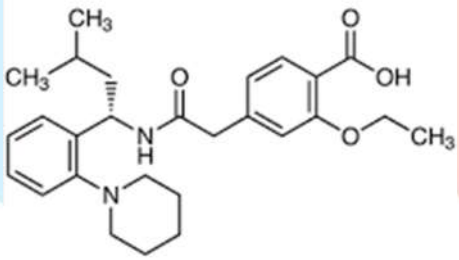
- Actos

Repaglinide

→ Repaglinide is used for treating NIDDM.

→ It is an oral anti hyperglycaemic drug of meglitinide class having short acting insulin secretagogues that bind to pancreatic B-cells for stimulating insulin release.

Chemical Structure



Mechanism of Action

➢ Repaglinide is an insulin secretagogue, meaning it binds to receptors on pancreatic beta cells and stimulates insulin release. Repaglinide binds to an ATP-dependent potassium channel on beta cells, known as SUR1, bringing about its closure.

Uses

- It is used as an adjunct to diet and exercise for improving glycaemic regulation in individuals having type 2 diabetes mellitus

Stability and Storage Conditions

- It should be stored at room temperature and kept away from light and moisture.
- It should not be stored in the bathroom.
- All medicines should be kept away from the reach of children and pets.
- Medications should not be flushed down in the toilet or poured into a drain unless instructed to do so.

Types of Formulation

1. Tablets
2. Capsules

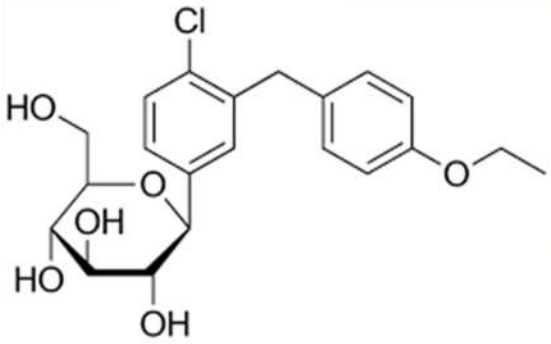
Popular Brand Names

- Enyglid
- Gluconomi
- Prandin

Gliflozins

→ Gliflozin drugs, ie, newly developed class of oral hypoglycaemic agent is the sodium-glucose co transporter which is used in the treatment of type- 2 diabetes mellitus.

Chemical Structure



Mechanism of Action

- SGLT-2 protein gets blocked by this class of drugs from the site of the proximal convoluted tubule (PCT) in the kidney which result in preventing reabsorption of glucose molecule and allow excretion of glucose in urine. The blood glucose level in the body gets lowered by this mechanism.

Uses

- It is used to treat
- 1) Type 2 diabetes. 2) Type 1 diabetes.

Stability and Storage Condition

- It should be stored at room temperature and should kept away from light and moisture.

Type of Formulation

1. Tablets

Popular Brand Names

- Canaglifiorin

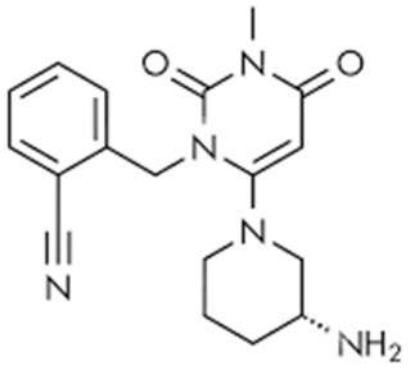
- Dapaglifiotin
- Empagliflozin

Gliptins

→ Gliptins, is a DPP-4 inhibitors that is a recently introduced class of oral drugs intended for the treatment of type 2 diabetes.

→ It block the metabolism by the DPP-4 enzyme of incretin hormones, including GLP-1 and glucose dependent insulinotropic polypeptide (GIP), which are secreted by the intestine in response to food.

Chemical Structure



Mechanism of

➢ DPP-4 inhib …which destroys the hormone inc…y when it is needed and reduce the amount of glucose being produced by the liver when it is not needed.

Uses

- Gliptins, i.e., DPP-4 inhibitors are a group of medications which is used in the treatment of type 2 diabetes.

Stability and Storage Condition

- Medicines may get damaged in direct contact with heat, air and light.
- The medicine should be kept in a safe place and out of children's reach.
- Mainly the drug should be kept at room temperature.

Type of Formulation

1. Tablets

Popular Brand Names

- Januvia (Sitagliptin)
- Galvus (Vildagliptin)
- Onglyza (Saxagliptin)
- Tradjenta