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SUBJECT NAME	Medicinal Chemistry-ll BP-501T
COURSE	B. Pharm
COURSE DURATION	4 Years
SUB TOPIC NAME	Anti hyperlipidemic Agents
CONTENT TYPE	Text
SEARCH KEY WORD	Lipoproteins, types of lipoproteins, Drugs classification their mode of actions, structure and uses.

(CONTENTCREATER/TEACHER)

1. UNIT-3 Part-I[st]

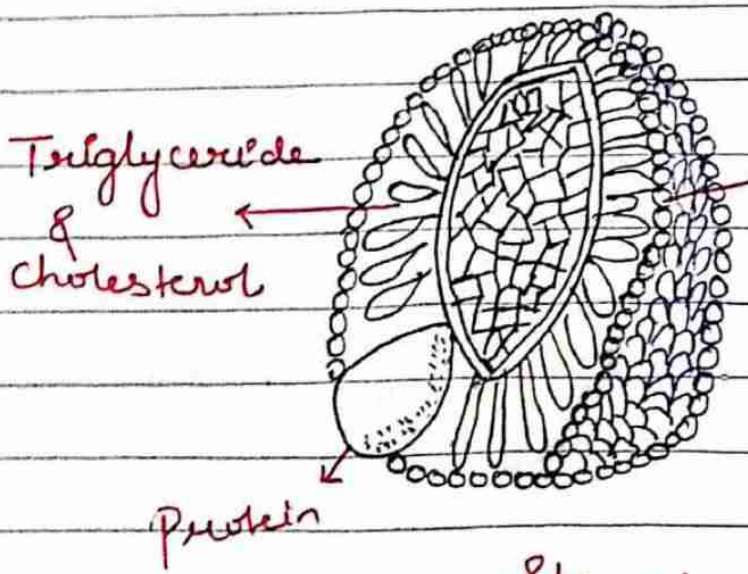
Antihyperlipidemics Agents

An increase in the plasma concentration of lipoprotein is known as hyperlipidemia.

The pharmacological agents which reduce the concentration of plasma lipids are called as Antihyperlipidemic Agents.

* Lipoprotein Structure :-

lipids are carried in plasma in the form of lipoprotein after getting associated with several apoproteins (Consist of triglycerides while outer layer has phospholipids) free cholesterol and apoprotein.



Structure of Protein (Lipoprotein)

- Types of lipoproteins :-

1. Chylomicrons.
2. Very low density lipoproteins (VLDL)
3. Intermediate density lipoproteins (IDL)
4. low Density lipoprotein (LDL)
5. High Density lipoprotein (HDL)

- Classification of Antihyperlipidemics :-

Anti-Hyperlipidemics

- Drugs that lowers VLDL and LDL level
  - Nicotinic Acid
- Fibric acid derivatives :-
  - Clofibrate
  - gemfibrozil
- Anti-oxidant
  - probucol
- Bile acid binding resin :-
  - Cholestyramine
  - Colestipole
- HMGCoA Reductase inhibitors :-
  - Mevastatin, lovastatin, simvastatin
- Miscellaneous :-
  - Metformin, neomycin

• BILE ACID - BINDING RESINS :-

➤ Cholestyramine and Colestipole :-

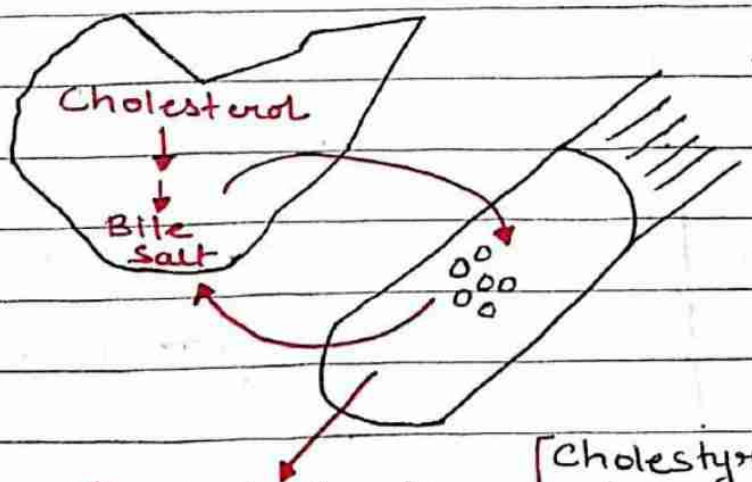
Mechanism of Action :-

- Bile acids are the metabolic endproducts of Cholesterol which are released into the intestine.

- Cholestyramine and Colestipol are the examples of bile acid binding resins which form a sort of no absorable complex with bile acids due to the presence of quaternary nitrogen in their structure. These drug promotes their elimination from the gut and inhibit their reabsorption into the circulation.

- The fecal excretion of bile acids in fact, increase 30 folds by these drugs.

Mechanism of Action of bile acid binding resin.

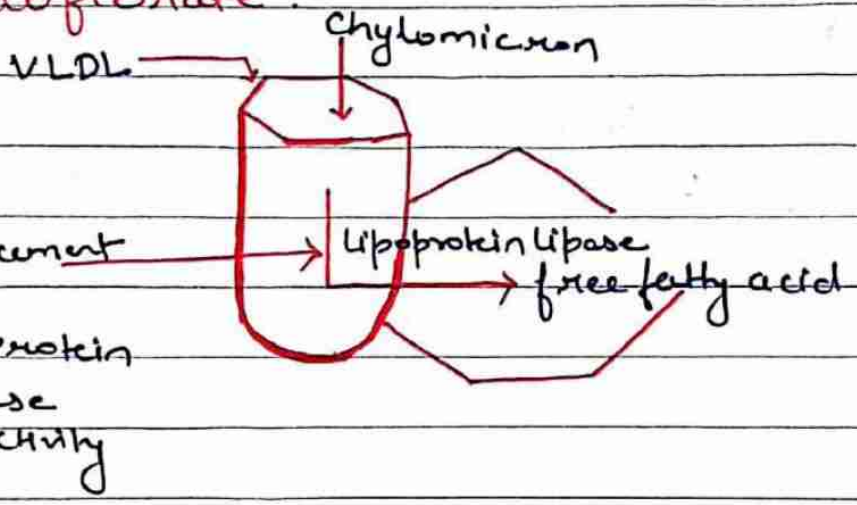


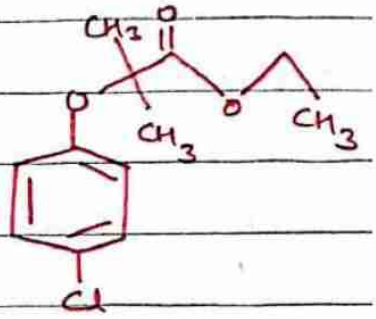
[Cholestyramine and colestipole forms insoluble complex with bile acid and salts prevent their absorption.]

• Uses :-

- These drugs are used in treatment of LDL levels in patients.
- Clinically used in treatment of Type II hyperlipoproteinemia and also hypercholesterolemia.

⇒ Clofibrate :-





Clofibrate.

■ The Mechanism of Action of clofibrate is not well defined, Various proposed mechanism include :,

→ Stimulation of lipoprotein lipase enzyme activity.
→ Increased cholesterol excretion.
→ Inhibition of hepatic Cholesterol synthesis.
→ Increased intravascular Catabolism of VLDL and IDL to LDL.
→ Inhibition of hepatic VLDL synthesis.
→ Increase in the plasma thyroxin Concentration by clofibrate-Induced displacement of thyroxin from albumin.

- Uses :-

It is used in the management of a condition in which cholesterol rich VLDL and in treatment of type III hyperlipidemia.

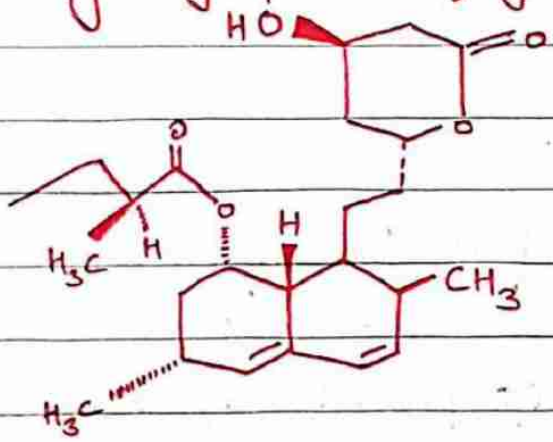
- HMGCoA reductase inhibitor :-

⇒ Lovastatin :-

- Mechanism of Action :-

It act on selectively and competitively inhibit of HMG-CoA enzyme that is responsible for synthesized chloesterol in body.

- HMG-CoA - β-hydroxy β-methylglutaryl-CoA.



- Uses :- It is used in treatment of antihyperlipoproteinemia.

-REFERENCE :-

1. Razdan Balkishen, "Medicinal chemistry" 2nd edition CBS publishers, pg no - 475.

2. Razdan Balkishen, "Medicinal chemistry" 2nd edition CBS publisher pg no - 445 - 452.