

Anti-hyperlipidemic agents: Clofibrate, Lovastatin, Cholesteramine and Cholestipol **DEPTH OF BIOLOGY**

Course Content: DEPTH OF BIOLOGY

UNIT-I

10hours

1. Pharmacology of drugs acting on cardio vascular system

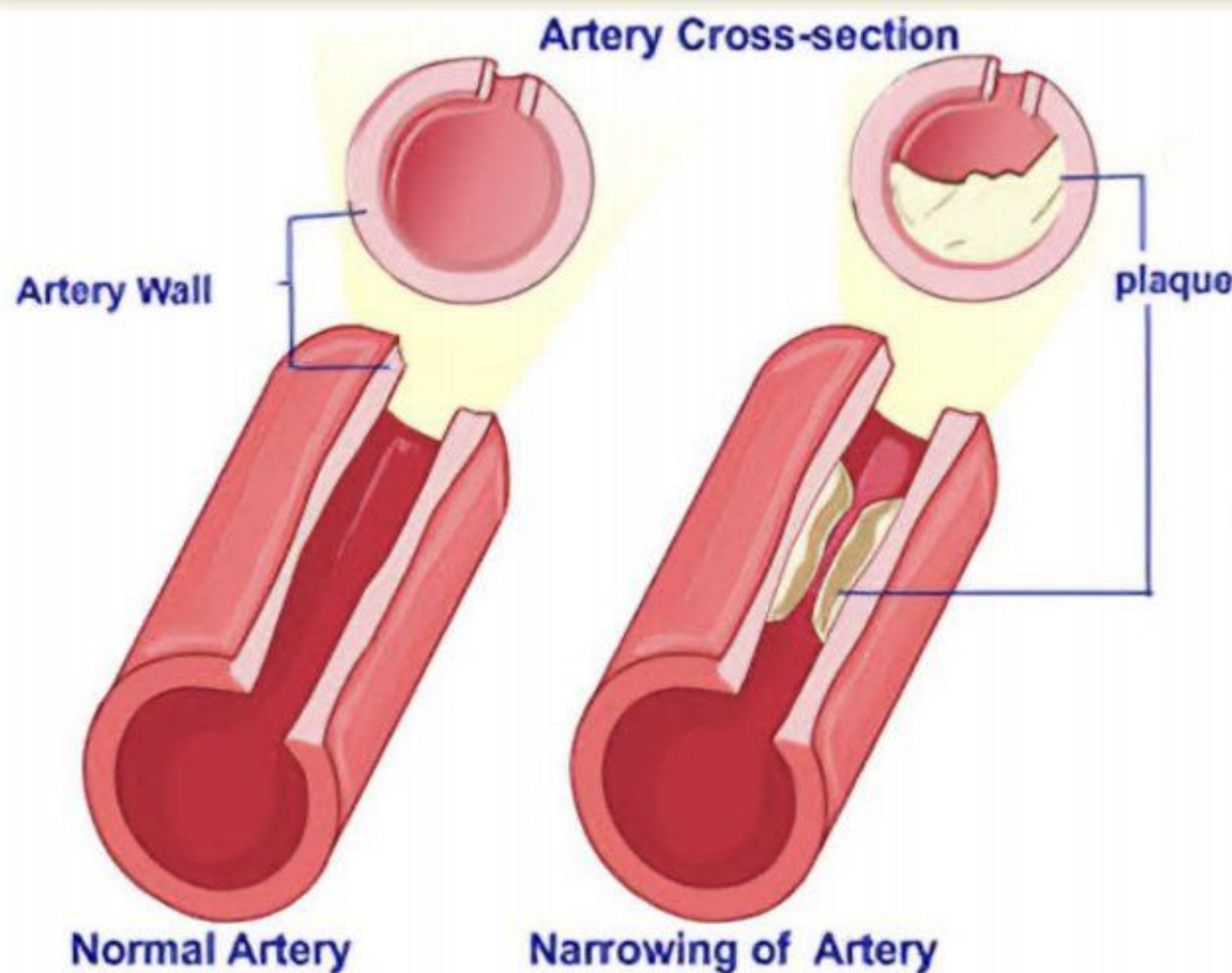
- a. Introduction to hemodynamic and electrophysiology of heart.
- b. Drugs used in congestive heart failure
- c. Anti-hypertensive drugs.
- d. Anti-anginal drugs.
- e. Anti-arrhythmic drugs.
- f. Anti-hyperlipidemic drugs. **DEPTH OF BIOLOGY**

2. Antihyperlipidemic

Check Description

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Hyperlipidemia, in particular elevated LDL (hypercholesterolemia), is one of the most prevalent risk factors contributing to the evolution of atherosclerosis and consequent vascular disease. It is simply defined as elevated concentrations of lipids or fats within the blood.



VLDL ↑
LDL & Triglycerides ↑↑

High Density Lipoprotein ↓↓

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Hyperlipidemia Symptoms



Obesity

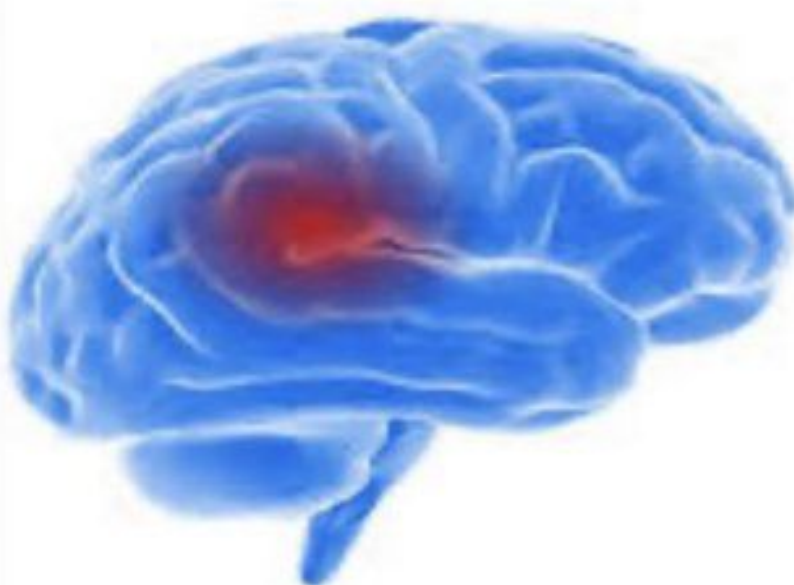


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Diabetes



Heart Attack



Stroke



Peripheral
arterial Disease

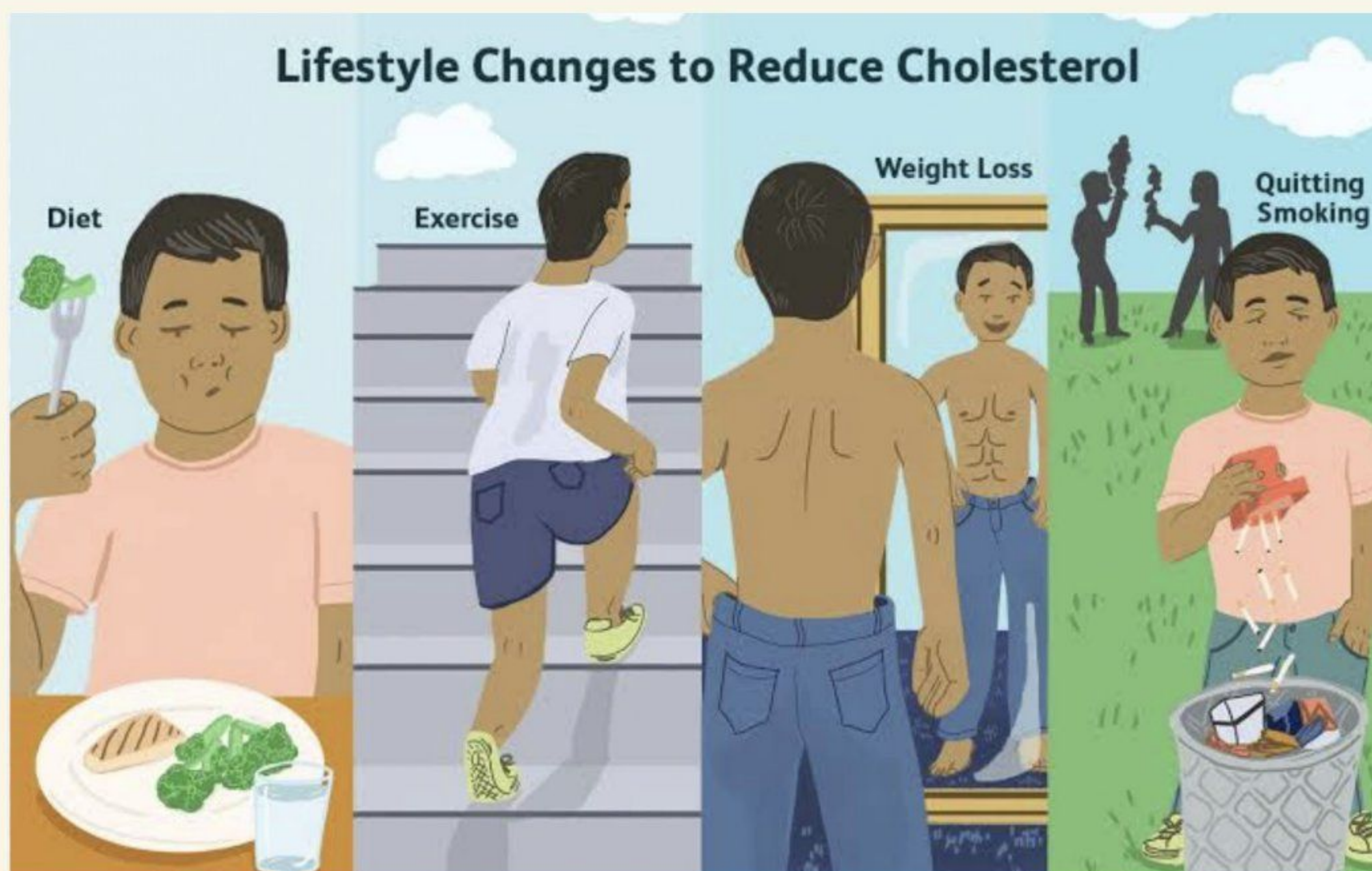


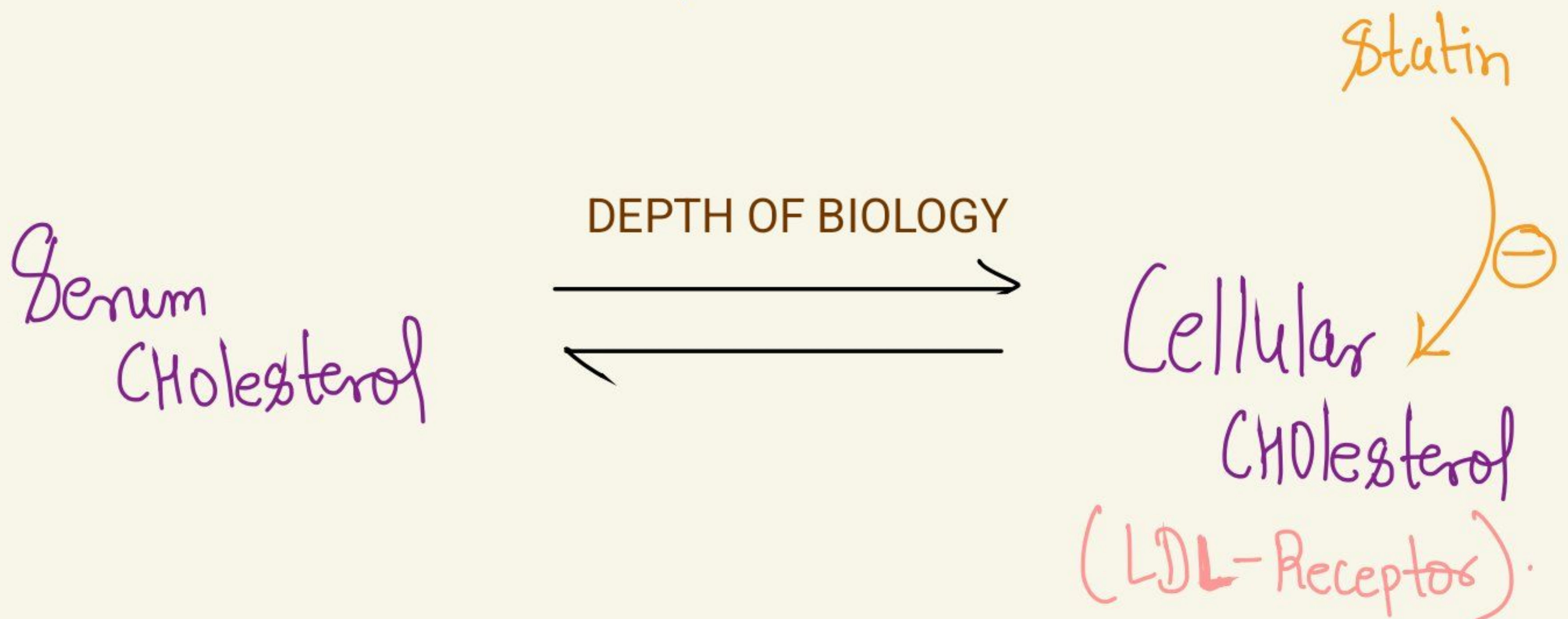
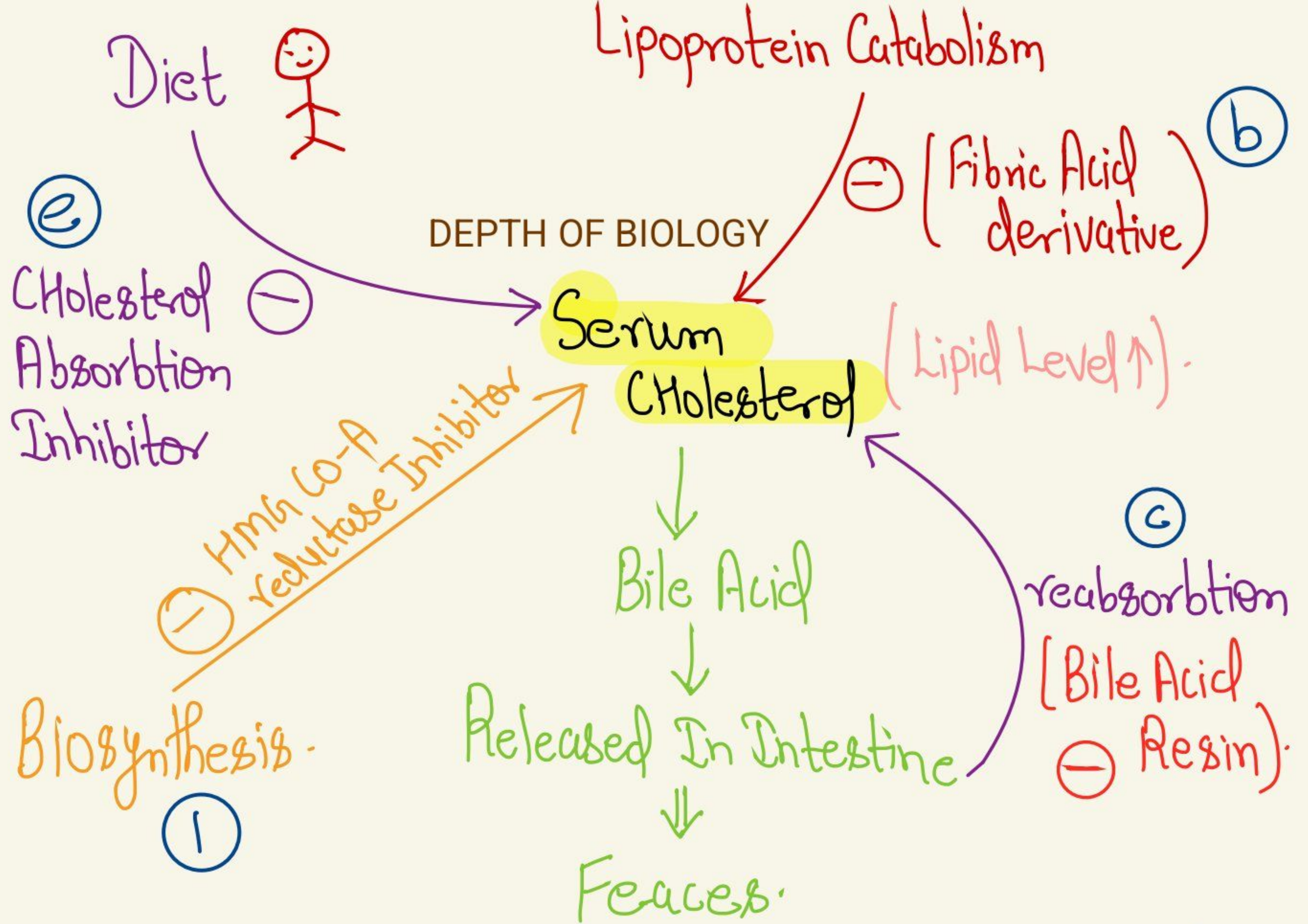
Carotid
arterial Disease

- ① 1st Line $\rightarrow$ HMG Co-A Reductase Inhibitor
eg $\rightarrow$ Lovastatin, Simvastatin, Pravastatin.
- ② Fibric Acid Derivative $\Rightarrow$ Clofibrate, fenofibrate
- ③ Bile Acid Resin $\Rightarrow$ Cholestyramine
- ④ Nicotinic Acid $\Rightarrow$ Niacin.
- ⑤ Cholesterol Absorption Inhibitor $\rightarrow$ Ezetimibe.

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★ Statin (↓) Cellular Cholesterol Availability.

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Mechanism of Action $\Rightarrow$ HMG Co-A reductase

Inhibitor

Eg $\rightarrow$ Statin.

Structure Analogues of
HMG Co-A.

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HMG Coenzyme

HMG-CoA
reductase

Cholesterol

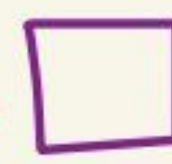
$\downarrow$
a type of fat
Not Travel via blood

(They Need to attach with
Protein (fat + Protein)
 $\downarrow$
or
Lipid
 $\rightarrow$ So, called
Lipoprotein

HMG Co-A
Reductase



HMG Co-A
Reductase
Inhibitor



Block.

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★ And this is Rate Limiting Step.

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$\downarrow$
Main step (when this step affect then
it reduce cholesterol $\downarrow\downarrow\downarrow$)

Generally $\Rightarrow$ rate Limiting Step.

HMG-CoA $\xrightarrow{\downarrow \text{HMGCoA Reductase}}$ Mevalonic Acid

$\ominus$

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send signal to

Cholesterol $\downarrow \downarrow$

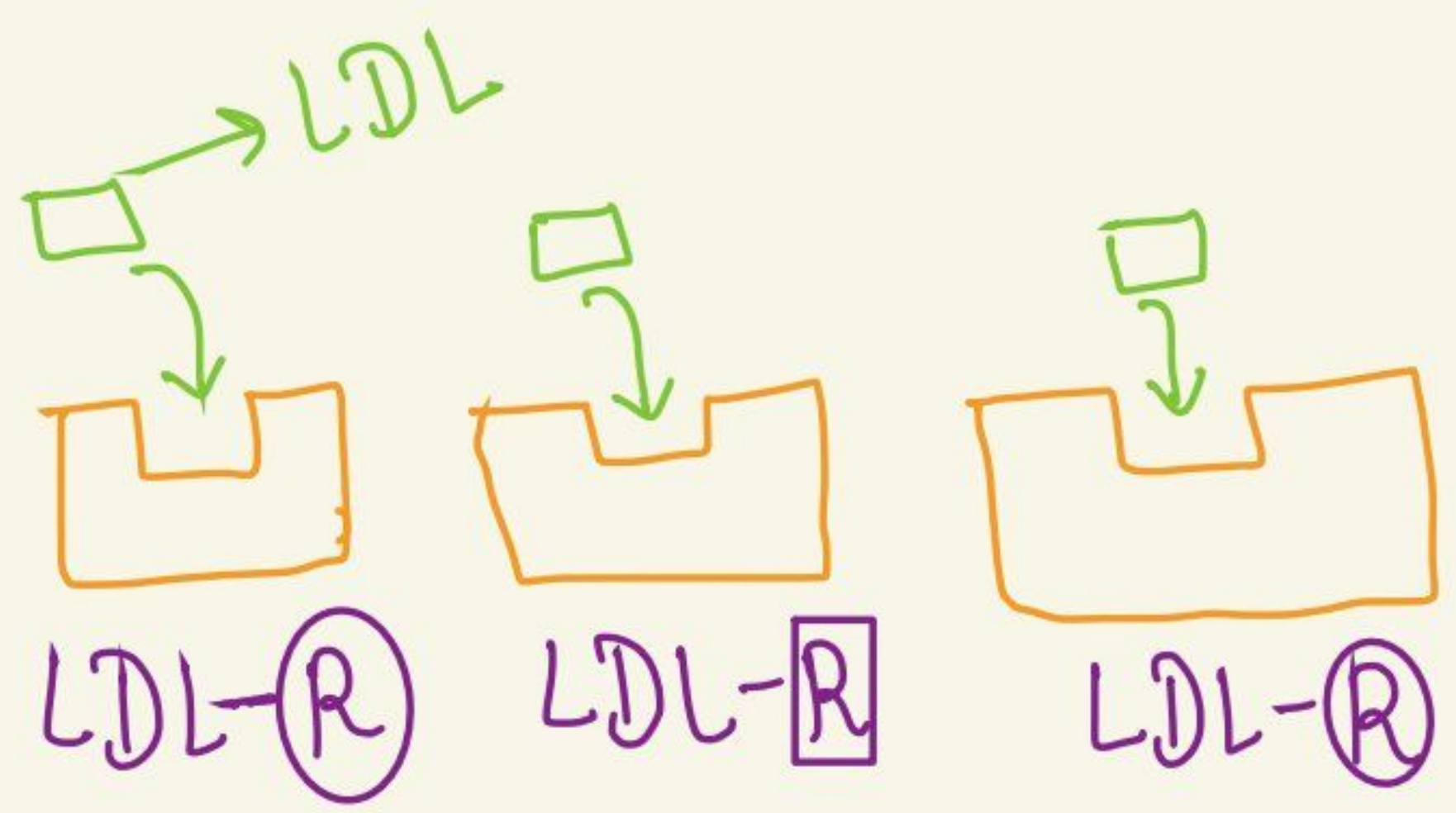
Use the LDL (R) Synthesis.

DNA

m-RNA

Ribosome

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Trigger LDL Receptor formation

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$\Downarrow$

LDL-R Complex

$\hookrightarrow$ LDL uptake & Clear from blood.

HMG Co-A reductase Inhibitor Inhibits the Conversion of HMG Co-A into Mevalonic Acid.

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This leads to ↓ in the Cholesterol Level



If level of Cholesterol decreases then it sends signal to DNA.

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Transmit the signal from DNA to m-RNA & from m-RNA to Ribosome.



And it triggers the formation of LDL-Receptor.



Now, Circulating LDL binds on LDL-Receptor.



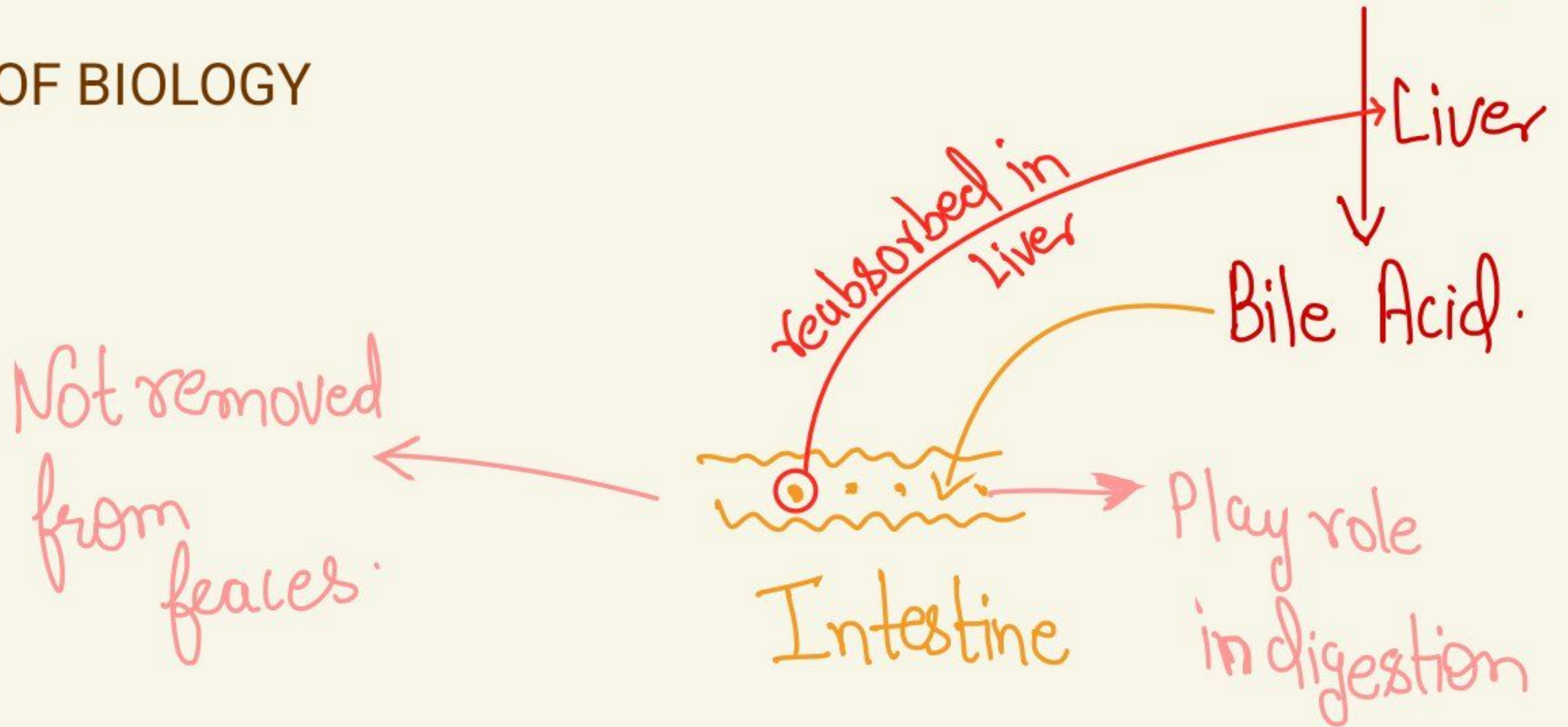
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It leads to ↓ in the level of Circulating L.D.L.

② Bile Acid Resin → Cholestyramine

HMG Co-A → Mevalonic Acid → Cholesterol

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MOA ⇒ Bile Acid Resin

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- Not Soluble in H₂O
- Not affect by GIT Enzyme
- Cationic Charge.

⇒ Generally HMG Co-A Convert into Mevalonic Acid with the Help of Enzyme Called HMG-CoA Reductase. This Mevalonic acid further Convert Into Cholesterol

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Now this Cholesterol Convert into Bile Acid [In Liver]

↓
This Bile Acid Moves into Intestine & Helps in digestion of food

And this Bile Again reabsorb in Liver.

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So, we prescribed Cholestyramine
[Bile Acid Resin]

This Bile Acid resin is Cationic & Bile Acid is Anionic

And, it forms water Insoluble Complex - (Not reabsorbed)
in Liver.

Remove via faeces.

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Now, Liver Again take Cholesterol from the blood to
form Bile Acid

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Hence Cholesterol Level $\downarrow$ in Blood.