

Anti – Hyperlipidemic Agents

Hyperlipidemia

- Hyperlipidemia refers to elevated levels of lipids (fats) in the blood, including cholesterol and triglycerides.
- It is a significant risk factor for the development of cardiovascular diseases.

Types of Lipids Involved:

1. **Low-Density Lipoprotein (LDL) Cholesterol:** Often termed "bad" cholesterol; high levels can lead to plaque buildup in arteries.
2. **High-Density Lipoprotein (HDL) Cholesterol:** Known as "good" cholesterol; helps remove LDL cholesterol from the bloodstream.
3. **Triglycerides:** Elevated levels can contribute to atherosclerosis and pancreatitis.

Causes:

- **Genetic Factors:** Familial hypercholesterolemia.
- **Diet:** High intake of saturated fats, trans fats, and cholesterol.
- **Lifestyle:** Sedentary behavior, obesity, and excessive alcohol consumption.
- **Medical Conditions:** Diabetes, hypothyroidism, and kidney disease.

Symptoms:

- Often asymptomatic; typically detected through blood tests.
- In severe cases, can lead to symptoms of cardiovascular diseases like chest pain or stroke.

Complications:

- **Atherosclerosis:** Hardening and narrowing of arteries.
- **Cardiovascular Diseases:** Increased risk of heart attacks, strokes, and peripheral artery disease.

Management:

- **Lifestyle Modifications:** Healthy diet, regular exercise, weight management, and smoking cessation.
- **Medications:** Statins, fibrates, bile acid sequestrants, and other lipid-lowering agents.

Anti-Hyperlipidemic Agents

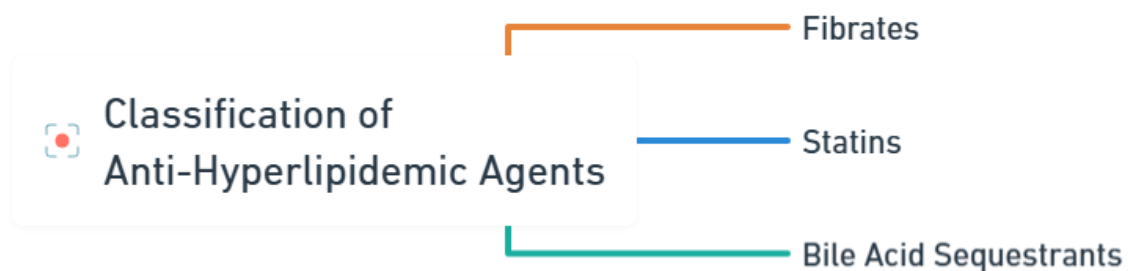
- **Anti-hyperlipidemic agents** are medications designed to manage abnormal lipid levels in the blood, thereby reducing the risk of atherosclerosis, coronary artery disease, and related cardiovascular events.

Pathophysiology of Hyperlipidemia

- Hyperlipidemia involves elevated levels of lipids (cholesterol and triglycerides) in the blood, which can lead to the formation of fatty deposits (plaques) in arteries.
- This process narrows and hardens arteries (atherosclerosis), increasing the risk of heart attacks, strokes, and peripheral vascular disease.

Classification of Anti-Hyperlipidemic Agents

- Anti-hyperlipidemic drugs are classified based on their primary mechanism of action:



1. Fibrates

Mechanism of Action:

- Fibrates activate peroxisome proliferator-activated receptors (PPAR- α), leading to increased oxidation of fatty acids in the liver and muscle.
- This results in decreased triglyceride levels and, to a lesser extent, increased high-density lipoprotein (HDL) cholesterol.

Common Drugs:

- **Clofibrate**

Uses:

- Primarily used to reduce triglyceride levels.
- Can also modestly increase HDL cholesterol.

Side Effects:

- Gastrointestinal disturbances (e.g., abdominal pain, nausea).
- Increased risk of gallstones.
- Myopathy, especially when combined with statins.

2. Statins

Mechanism of Action:

- Statins inhibit HMG-CoA reductase, the key enzyme in cholesterol synthesis in the liver.
- This leads to decreased low-density lipoprotein (LDL) cholesterol levels and upregulation of LDL receptors, enhancing clearance of LDL from the bloodstream.

Common Drugs:

- **Lovastatin**

Uses:

- Lowering LDL cholesterol.
- Reducing the risk of cardiovascular events (e.g., myocardial infarction, stroke).
- Stabilizing atherosclerotic plaques.

Side Effects:

- Myalgia and myopathy.
- Elevated liver enzymes.
- Increased risk of diabetes mellitus.
- Gastrointestinal issues (e.g., constipation, diarrhea).

3. Bile Acid Sequestrants

Mechanism of Action:

- These agents bind bile acids in the intestine, preventing their reabsorption.
- The liver responds by converting more cholesterol into bile acids, thereby lowering circulating cholesterol levels.

Common Drugs:

- **Cholestyramine**
- **Colestipol**

Uses:

- Lowering LDL cholesterol.
- Reducing triglyceride levels.
- Increasing HDL cholesterol (to a minor extent).

Side Effects:

- Gastrointestinal disturbances (e.g., constipation, bloating).
- Interference with the absorption of fat-soluble vitamins (A, D, E, K).
- May bind other medications, reducing their efficacy.

Class	Mechanism of Action	Common Drugs	Uses	Side Effects
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Fibrates	Activates PPAR- α , increasing fatty acid oxidation, decreases triglycerides, modestly raises HDL	Clofibrate	Lowers triglycerides, slightly increases HDL	GI disturbances, increased gallstones, risk of myopathy with statins
Statins	Inhibits HMG-CoA reductase, decreases LDL cholesterol, increases LDL receptor activity	Lovastatin	Lowers LDL, reduces cardiovascular risks, stabilizes plaques	Myalgia, elevated liver enzymes, increased diabetes risk, GI issues
Bile Acid Sequestrants	Binds bile acids in intestine, prevents reabsorption, liver converts cholesterol to bile acids	Cholestyramine, Colestipol	Lowers LDL, reduces triglycerides, minor HDL increase	GI disturbances, affects fat-soluble vitamin absorption, may bind other medications

Brand Names Of Important Marketed Products

Used to reduce **cholesterol and triglycerides**.

Drug Class	Drug	Brand Name(s)	Use
Statins	Atorvastatin	Lipitor, Atorlip	LDL lowering
	Rosuvastatin	Crestor, Rosuvas	High potency statin
Fibrates	Fenofibrate	Lipicard, Tricor	Triglyceride reduction
Cholesterol Absorption Inhibitor	Ezetimibe	Zetia, Ezentia	Add-on to statins
Combination	Atorvastatin + Ezetimibe	Tonact EZ, Liponorm EZ	Dual action on lipids

Various Anti-hyperlipidemic agents

1. Clofibrate

Structure

- Clofibrate is a fibrate derivative with a benzofurazan core structure, characterized by two chlorine atoms and a carboxylic acid group.



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