



# Antilipemic Agents

NAPNES Guidelines

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# Antilipemics

- Drugs used to lower lipid levels
- Fredrickson classification
  - Types of hypelipidemias
    - Type I
    - Type IIa, IIb
    - Type III
    - Type IV
    - Type V

# Antilipemics

- Two primary forms of lipids in the blood
  - Triglycerides
    - Function as energy source
    - Stored in adipose tissue
  - Cholesterol
    - Primarily used to make steroid hormones, cell membranes and bile acids
  - Both are water-insoluble fats
    - Must be bound to apoproteins
      - Specialized lipid-carrying proteins
  - Lipoprotein
    - Combination of triglyceride or cholesterol with apoprotein

# Types of Lipoproteins

Lipid

Content

Lipoprotein Classification

Protein

Content

**Most**

chylomicron

**Least**



very-low density lipoprotein  
(VLDL)



low-density lipoprotein (LDL)



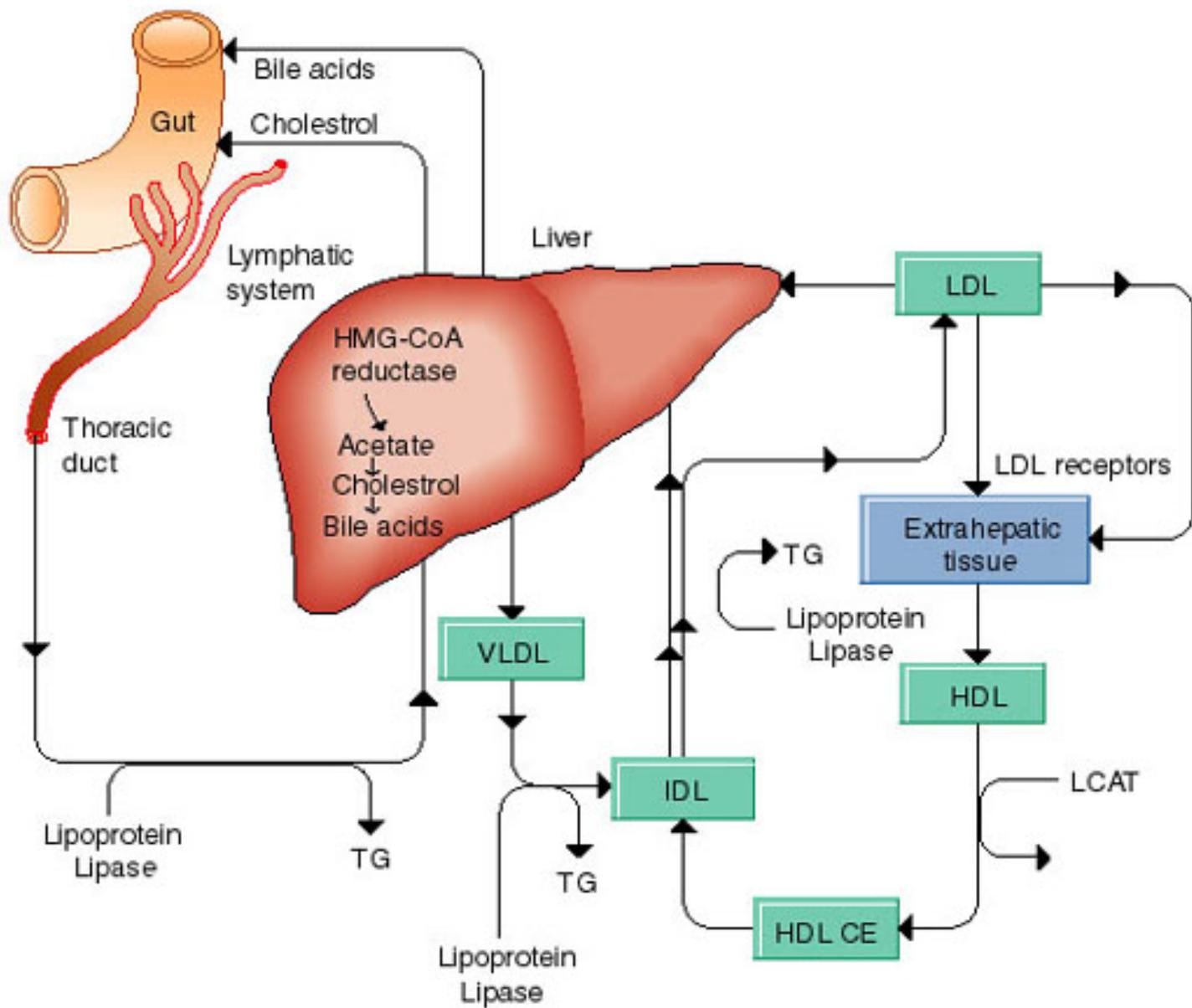
Intermediate-density lipoprotein  
(IDL)



**Least**

High-density lipoprotein (HDL)

**Most**



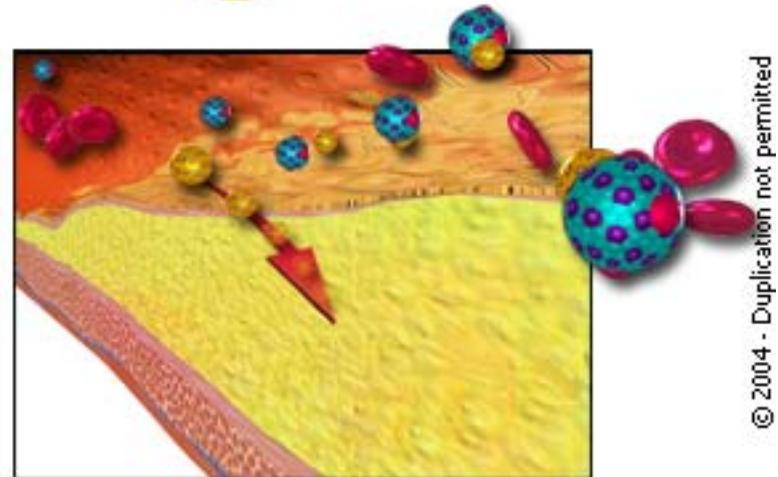
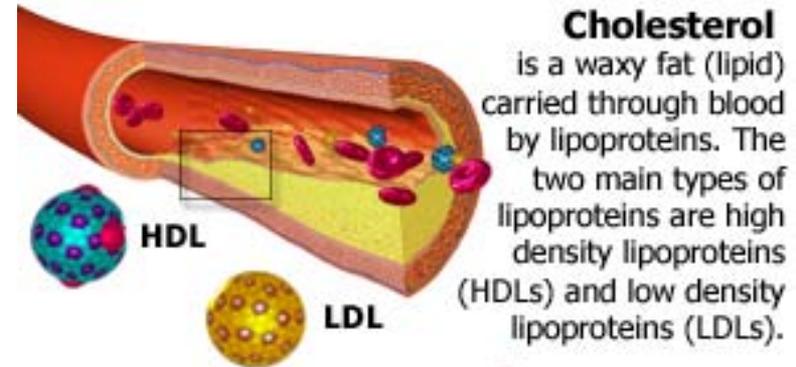
# Antilipemics

## ■ Coronary Heart Disease

□ The risk of CHD in patients

■ Cholesterol levels of  $\geq 300$  mg/dL

□ 3 to 4 times greater than that in patients with levels less than 200 mg/dL

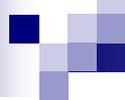


HDLs (good cholesterol) carry LDLs (bad cholesterol) away from artery walls. LDLs stick to artery walls and can lead to plaque build-up (atherosclerosis).

# Antilipemics

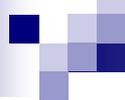
## ■ Types

- Bile acid sequestrants
- HMG-CoA reductase inhibitors  
(HMGs or statins)
- Fibric acid derivatives
- Niacin (nicotinic acid)



# Antilipemics: Bile Acid Sequestrants

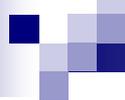
- Also called bile acid-binding resins and ion-exchange resins
- Examples
  - cholestyramine (Questran)
  - colestipol hydrochloride (Colestid)



# Antilipemics: Bile Acid Sequestrants

## Mechanism of Action

- Prevent resorption of bile acids from small intestine
- Bile acids are necessary for absorption of cholesterol



# Antilipemics: Bile Acid Sequestrants

## Therapeutic Uses

- Type II hyperlipoproteinemia
- Relief of pruritus associated with partial biliary obstruction (cholestyramine)

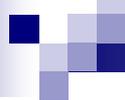
# Antilipemics: Bile Acid Sequestrants

## Side Effects

- Constipation
- Heartburn, nausea, belching, bloating
- High doses will decrease absorption of fat-soluble vitamins
  - A, D, E, K
- May cause mild increase in triglycerides

# Antilipemics: HMG-CoA Reductase Inhibitors (HMGs or statins)

- lovastatin (Mevacor)
- pravastatin (Pravachol)
- simvastatin (Zocor)
- atorvastatin (Lipitor)
- cerivastatin (Baycol)
- fluvastatin (Lescol)
- rosuvastatin (Crestor) – newest statin

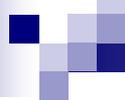


# Antilipemics:

## HMG-CoA Reductase Inhibitors

### Mechanism of Action

- Inhibit HMG-CoA reductase
  - Needed by liver to produce cholesterol
- Lower the rate of cholesterol production



# Antilipemics: HMG-CoA Reductase Inhibitors

## Therapeutic Uses

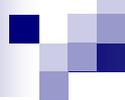
- Treatment of type IIa and IIb hyperlipidemias
  - Reduce LDL levels by 30 to 40%
  - Increase HDL levels by 2 to 15%
  - Reduce triglycerides by 10 to 30%

# Antilipemics:

## HMG-CoA Reductase Inhibitors

### Side Effects

- Mild, transient GI disturbances
- Rash
- Headache
- Myopathy (muscle pain)
  - Uncommon (<0.1%) during monotherapy
- Elevations in liver enzymes



# Antilipemics: Fibric Acid Derivatives

- clofibrate (Atromid-S)
- gemfibrozil (Lopid)
- fenofibrate (Tricor)

# Antilipemics: Fibrin Acid Derivatives

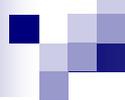
## Mechanism of Action

- Believed to work by activating lipase,
  - Breaks down cholesterol
- Suppress release of free fatty acid from the adipose tissue
- Inhibit synthesis of triglycerides in the liver
- Increase the secretion of cholesterol in the bile

# Antilipemics: Fibrin Acid Derivatives

## Therapeutic Uses

- Decrease the triglyceride levels and increase HDL by as much as 25%
- Indicated for treatment of
  - Type III, IV, V hyperlipemias
  - Some cases of type IIa
    - Other classes of antilipemics attempted first



# Antilipemics: Fibric Acid Derivatives

## Side Effects

- Abdominal discomfort
- Diarrhea
- Nausea
- Blurred vision
- Increased risk of gallstones
- Prolonged prothrombin time
- Liver studies may show increased function

# Antilipemics

## Cholesterol Absorption Inhibitor

### ■ Ezetimibe (Zetia)

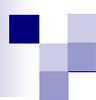
- Available as a 10 mg tablet
- Only member of new class

### ■ Mechanism of action

- Selectively inhibits absorption of cholesterol
  - Small intestine
- ↓ total cholesterol, LDL, triglycerides
- ↑ HDL

# Antilipemics: Niacin (Nicotinic Acid)

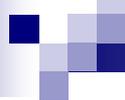
- Vitamin B<sub>3</sub>
- Lipid-lowering properties require much higher doses than when used as a vitamin
- Effective, inexpensive, often used in combination with other lipid-lowering agents



# Antilipemics: Niacin (Nicotinic Acid)

## Mechanism of Action

- Thought to increase activity of lipase
  - Breaks down lipids
- Reduces the metabolism or catabolism of cholesterol and triglycerides



# Antilipemics: Niacin (Nicotinic Acid)

## Therapeutic Uses

- Effective in lowering triglyceride, total serum cholesterol, and LDL levels
- Increases HDL levels
- Effective in the treatment of types IIa, IIb, III, IV, and V hyperlipidemias

# Antilipemics: Niacin (Nicotinic Acid)

## Side Effects

- Flushing (due to histamine release)
  - ASA or NSAID 30 minutes prior to dose
  - Start low dose
    - Gradual increase
- Pruritus
- GI distress

# Antilipemics: Combination Drugs

## ■ Examples

### □ Advicor

- Lovastatin + niacin

### □ Vytorin

- Ezetimibe + simvastatin

### □ Caduet

- Amlodipine + atorvastatin



# Antilipemics: Nursing Implications

- Before beginning therapy, obtain a thorough health and medication history.
- Assess dietary patterns, exercise level, weight, height, VS, tobacco and alcohol use, family history.
- Assess for contraindications, conditions that require cautious use, and drug interactions.

# Antilipemics: Nursing Implications

- Contraindications include biliary obstruction, liver dysfunction, active liver disease.
- Obtain baseline liver function studies.
- Patients on long-term therapy may need supplemental fat-soluble vitamins
  - (A, D, E, K)
- Take with meals to decrease GI upset.

# Antilipemics: Nursing Implications

- Patient must be counseled concerning diet and nutrition on an ongoing basis.
- Instruct on proper procedure for taking the medications.
- Powder forms must be taken with a liquid,
  - Mixed thoroughly but not stirred
  - NEVER taken dry.
- Other medications should be taken 1 hour before or 4 to 6 hours after meals to avoid interference with absorption.

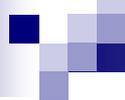
# Antilipemics: Nursing Implications

- Clofibrate often causes constipation; instruct patients to increase fiber and fluid intake to offset this effect.
- To minimize side effects of niacin, start on low initial dose and gradually increase it, and take with meals.
- Small doses of aspirin or NSAIDs may be taken 30 minutes before niacin to minimize cutaneous flushing.



# Antilipemics: Nursing Implications

- Inform patients that these agents may take several weeks to show effectiveness.
- Instruct patients to report persistent GI upset, constipation, abnormal or unusual bleeding, and yellow discoloration of the skin.



# Antilipemics: Nursing Implications

- Monitor for side effects, including increased liver enzyme studies.
- Monitor for therapeutic effects:
  - Reduced cholesterol and triglyceride levels