

MEDICATIONS USED TO TREAT HIGH CHOLESTEROL AND THEIR SIDE EFFECTS




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RESINS:^{1,2}

-  Cholestyramine (Questran®)
-  Colestipol (Colestid®)

What They Do:

Attach to bile salts and cholesterol in the stomach and intestine so there is less in the blood.

-  ↓ bad cholesterol
-  ↑ good cholesterol
-  May ↑ TG (blood fats)

Drug Interactions:








Resins can also bind to other drugs and fat-soluble vitamins, decreasing their amount in the body.

-  Take other medications at least 1 hour before or 4 to 6 hours after a resin.

Side Effects:

Resins are not absorbed from the gastro-intestinal tract; therefore side effects are mostly stomach related. The dose is increased slowly, to help reduce the stomach side effects.

Common Side Effects:

-  Constipation (dose related) (10%)
-  Bloating and gas (goes away) (1-5%)
-  Feelings of fullness (1-5%)
-  Nausea (1-5%)
-  ↑ triglycerides (blood fats)
-  ↑ liver enzymes (reversible)
-  Vitamin deficiency

Constipation:

- ✚ More common with high doses and in the elderly
- ✚ Always take with liquids
- ✚ Eat lots of fiber and drink lots of water
- ✚ Lower dose or stop medication (Doctor)

Your doctor will be checking:

- ✚ Liver function
- ✚ Triglycerides
- ✚ INR (impaired vitamin K absorption)
- ✚ Electrolytes (increased chloride)

HMG CoA REDUCTASE INHIBITORS: (Statins)^{1,3}

- ✚ Atorvastatin (Lipitor™)
- ✚ Simvastatin (Zocor®)
- ✚ Rosuvastatin (Crestor®)
- ✚ Fluvastatin (Lescol®)
- ✚ Lovastatin (Mevacor®)

What They Do:

Block cholesterol from being made in the liver.






- ✚ Best medications to ↓ bad cholesterol
- ✚ ↓ the chance of having a heart attack or stroke by 26%⁴
- ✚ ↓ the chance of dying from a heart attack or stroke
- ✚ Beneficial regardless of age, sex or health
- ✚ It usually takes more than 4 weeks to get a maximal benefit from a statin

Side Effects:

Side Effect	Number of People out of 100
Headache	7.7
Diarrhea	4.5
Nausea/Vomiting	3.3
Stomach Pain /	3.3



Side Effect	Number of People out of 100
Cramps	
Gas	3.0
Rash / Itchiness	3.0
Constipation	2.7
Dizziness	2.3
Heartburn	2.2
Trouble Sleeping	1.9
Dry Mouth	0.8

Liver Side Effects: Increase in liver enzymes: 1 to 2% of people



-  Within 3 to 12 months after starting
-  Doctor will test liver at baseline, then after 3, 6 and 12 months of being on the drug, and then yearly
-  If liver enzymes become very high, the doctor will take you off of the drug
-  Liver slowly returns to normal once drug stopped
-  People who drink a lot of alcohol and/or have a history of liver disease need to be watched more carefully

Muscle Side Effects: Not common if only on a statin.







Myalgia:

-  Muscle pain, tenderness and weakness
-  2 to 11 % of people taking statins ⁵

Myositis:

-  Inflammation/swelling of the muscles
-  0.5 % of people taking statins ⁵











Rhabdomyolysis:

-  Skeletal muscle breakdown/death
-  Toxic muscle cell components released into the blood
-  Can lead to kidney problems, high potassium levels and the heart may stop beating
-  Very Rare: occurs in approximately 0.2/1 million statin prescriptions ⁶
-  < 0.1 % of people taking statins ⁵
-  Death occurs in ~ 10 % of cases ⁷

If muscle symptoms occur, they usually appear within weeks to months after starting statins.

It takes days to weeks for muscle pain, weakness, and serum CK concentrations to return to normal after stopping the statin.

Risk Factors for Muscle Side Effects:



-  Higher doses
-  Liver problems
-  Kidney problems
-  Alcoholic abuse
-  Advanced age (> 80 yrs old)
-  Women > men
-  Frailty, small body frame
-  Untreated low thyroid levels
-  Multiple medications
-  Grapefruit juice intake

NICOTINIC ACID: ^{1,8}

Niacin (Vitamin B₃)







What It Does:

Slows down how fast your liver makes bad cholesterol and lowers the production.

-  ↓ TG's and bad cholesterol
-  Best medication to ↑ good cholesterol








Side Effects:

Common:




-  Hot flushes
-  Itchy / dry skin
-  Skin changes (reversible)
-  Reactivation of peptic ulcer
-  Stomach problems
-  ↑ sugar, uric acid and liver enzymes (SR) in the blood

Your doctor will be checking your blood sugar and liver function at 3, 6 and 12 months, then yearly.

Flushing:




-  Starts in the face but it may spread to the arms, chest, legs and feet
-  Also feel hot, itchy and may have stomach upset
-  Starts within 20 minutes and lasts up to 60 minutes
-  Usually goes away after 2 weeks. **Do not miss a dose!**
-  Do not have hot drinks, hot showers, spicy food or alcohol for 1–2 hours after a dose
-  Regular aspirin (325 mg) daily in the first few weeks of treatment or when increasing the dose may be helpful
-  Taking niacin with food may help to decrease stomach upset and flushing

FIBRATES: ^{1,9}







-  **Gemfibrozil (Lopid®)**
-  **Fenofibrate (Lipidil™ EZ)**
-  **Bezafibrate (Bezalip® SR)**

What They Do:

Inhibit fat breakdown in the tissues so less fat is taken up by the liver from the blood; therefore less TG's are made.

-  ↓ TG (blood fat)
-  ↑ good cholesterol
-  Bezafibrate and fenofibrate ↓ bad cholesterol > gemfibrozil

Side Effects:

-  Nausea
-  Stomach pain / gas
-  Muscle pain (reversible)
-  Gall stones
-  ↑ liver enzymes (reversible)
-  Blood cell levels decrease (stabilize with long term use)




Your doctor will check your blood, liver and renal function at 3, 6 and 12 months, then yearly. Fibrates are usually not given with statins because the combination increases the risk of developing muscle problems.

CHOLESTEROL ABSORPTION INHIBITORS: ^{1, 10}









Ezetimibe (Ezetrol®)

What It Does:

Blocks the absorption of cholesterol from the intestine. Less cholesterol is taken to the liver, forcing the body to take it from the blood.

-  Better tolerated than resins
-  Less drug interactions than statins
-  Does not affect the absorption of fat-soluble vitamins

Side Effects:

-  Back pain (4.1% vs. 3.9% placebo)
-  Joint pain (3.8% vs. 3.4%)
-  Muscle pain (5.0% vs. 4.6%)
-  Diarrhea (3.7% vs. 3.0%)
-  Stomach pain (3.0% vs. 2.8%)
-  Fatigue (2.2% vs. 1.8%)
-  Dizziness (2.7% vs. 1.2%)
-  Headache (8.0% vs. 5.4%)

Most people who developed muscle pain had also had it before while taking a statin.

In clinical trials, the number of people who developed muscle disease or rhabdomyolysis was similar with the control group.

Rare Side Effects:

-  Increased liver enzymes (0.5% vs placebo 0.3%)

It has not been proven that Ezetrol causes hepatitis or pancreatitis.

Comparison of Effects of Cholesterol Lowering Drugs¹

	Bad Cholesterol	Good Cholesterol	Blood Fats (TG)
Resins	↓↓	↑	↑
Statins	↓↓↓	↑	↓↔↓↓
Niacin	↓↓	↑↑	↓↓
Fibrates	↓↔↓	↑↑	↓↓↓
Ezetimibe	↓↓	↑↔	↓

*Atorvastatin and rosuvastatin have the greatest TG-lowering effect.

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