Bile Acid Resins

Bile acid resins are a class of drug which work by sequestering bile acids and disrupting enterohepatic circulation of bile. These drugs are indicated for treating hyperlipidemia (abnormally high values of lipids or lipoproteins in the blood), but have other uses as well. The mechanism of this drug is binding to bile acids and preventing their reabsorption in the gastrointestinal system, leaving them to be excreted. Circulating cholesterol is then utilized to replace the lost bile, decreasing blood levels of cholesterol. By promoting apoprotein A1 synthesis, HDL levels are slightly increased, and by activating phosphatidic acid phosphatase, triglyceride synthesis is promoted, slightly raising triglyceride levels. These drugs lead to upregulation of HMG-CoA reductase, which increases upregulation of LDL receptors in hepatocytes. LDL is taken in intracellularly, decreasing plasma LDL cholesterol levels. Commonly prescribed bile acid resins include colestipol, cholestyramine, which can be used for diarrhea treatment and toxin absorption, and colesevelam. Side effects of these drugs include patient complaints, due to the bad taste and GI disturbances that accompany their use. These medications lead to decreased absorption of fat-soluble vitamins and cholesterol gallstones as well.



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Indications

Hyperlipidemia
Hiker-lips
This drug is indicated for hyperlipidemia, which refers to abnormally high levels of lipids or lipoproteins in the blood. Bile acid resins are also indicated for familial hyperlipidemia type IIa, an inherited disease where patients display xanthelasmas, arcus senilis, and tendon xanthomas.

Mechanism of Action

Bile Acid Reabsorption Prevented
Acidic-lemon pulled into Bile-Nile
This drug is a bile acid sequesterant, which binds to bile acids in the gastrointestinal tract. These form insoluble complexes which are excreted in the feces.

Slight Increase HDL/Triglycerides
Small Up-arrow Hot-dog / TAG-triceratops
Bile acid sequestrants promote apoprotein A1 synthesis by an unknown mechanism and tend to slightly raise high-density lipoprotein (HDL) cholesterol levels. These drugs also activate phosphatidic acid phosphatase, which promotes hepatic triglyceride (TG) synthesis and slightly raises their levels.

Decrease LDL
Down-arrow Ladybug-devil
As bile is sequestered and excreted, the liver has to use cholesterol to make more bile. Intracellular cholesterol depletion leads to upregulate expression of HMG-CoA reductase and LDL reductase. This results in increased uptake of LDL particles by hepatocytes and subsequent reduction in plasma LDL.

Drugs

Colestipol (Colestid)
Coal-stapler
Colestipol is a bile acid resin which is commonly used. It has been known to bind to other medications and decrease their absorption/mechanism of action, such as digoxin, lasix and tetracycline.

Cholestyramine
Coal-star-man
Cholestyramine is a commonly used bile acid resin, which is also used in other diseases. This drug can be used in Crohn's patients who have undergone ileal resection to prevent diarrhea. Furthermore, cholestyramine can be used to absorb toxins during a C. Difficile infection.

Colesevelam
Coal-servant
Colesevelam is another commonly used bile acid resin, which also has been used to improve glycemic control in type II diabetics.

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Side Effects

Cholesterol Gallstones

Cholesterol-burgers with Gold-stones

Very rarely, bile acid sequesterants can lead to cholesterol gallstones. This is because treatment yields higher cholesterol concentrations in the bile.

Decreased Absorption of Fat-soluble Vitamins

Down-arrow Bacon Viking-ship

Use of these medications lead to decreased absorption of fat-soluble vitamins: Vitamins A, D, E and K.

Patients Hate It

Disgusted Patient

Patients tend to dislike these drugs for treating their hyperlipidemia. They taste bad and lead to GI discomfort.

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