U.S. National Library of Medicine
National Center for Biotechnology Information

NLM Citation: LiverTox: Clinical and Research Information on Drug-Induced Liver Injury [Internet]. Bethesda (MD): National Institute of Diabetes and Digestive and Kidney Diseases; 2012-. Colesevelam. [Updated 2017 Sep 28].
Bookshelf URL: https://www.ncbi.nlm.nih.gov/books/

Colesevelam

Updated: September 28, 2017.

OVERVIEW

Introduction

Colesevelam is a nonabsorbed bile acid sequestrant that is used a therapy of hyperlipidemia and for the pruritus of chronic liver disease and biliary obstruction. Colesevelam has not been associated with clinically apparent liver injury.

Background

Colesevelam (koe" le sev' e lam) is a large, highly positively charged anion exchange resin that binds to negatively charged anions such as bile acids (as well as other organic compounds and some medications). The binding of bile acids to colesevelam creates an insoluble compound that cannot be reabsorbed and is thus excreted in the feces. Bile acids ordinarily undergo extensive (>95%) enterohepatic recirculation, being secreted in bile, acting as fat solubilizing compounds in the upper intestine, and then being reabsorbed in the distal small bowel. Chronic loss of bile acids results in a contraction in the total bile acid pool. The liver compensates for this decrease by increasing bile acid synthesis, which directly competes with cholesterol synthesis resulting in a decrease in serum levels. Some of the decrease in serum cholesterol may also result from inhibition of fat absorption by the binding of bile acids to colesevelam. Colesevelam was approved for use in the United States in 2000 and is currently used largely as an adjunctive therapy when statins or other lipid lowering agents result in an inadequate decrease in cholesterol levels. Colesevelam is also approved as an adjunct to diet and exercise to improve glycemic control in type 2 diabetes. Colesevelam is available in tablets of 625 mg under the brand name of Welchol. The usual dose is three tablets twice a day, given with meals or six tablets once daily. Other drugs should be given 1 hour before or 4 to 6 hours after colesevelam. Colesevelam is administered in tablet form and does not have the problem of lack of palatability that is characteristic of other bile acid resins. Side effects include abdominal discomfort, indigestion, nausea, flatuence and constipation.

Hepatotoxicity

There is little evidence that colesevelam causes significant liver injury. Mild elevations in serum aminotransferase and alkaline phosphatase levels have been reported in small numbers of patients on bile acid resins, but the elevations have been mild, transient and without accompanying symptoms. Colesevelam is used in patients with liver disease to treat pruritus, and has little or no effect on serum enzyme or bilirubin levels.

Likelihood score: E (unlikely cause of clinically apparent liver injury).

Mechanism of Injury

The lack of hepatic injury by colesevelam is probably due to the fact that it is not absorbed, and the contraction of the bile acid pool caused by its use does not harm the liver. Because colesevelam can interfere with the absorption of other medications or vitamins, it may indirectly exacerbate liver disease by lowering effective levels of medications used for liver disease. These effects are particularly important for vitamins A, D, E, K, hormones such as estrogens, corticosteroids, and thyroid hormone, and medications such as thiazide diuretics, acetaminophen and digoxin.

References on the safety and hepatotoxicity of colesevelam are given with those for cholestyramine and colestipol in the Overview section on Bile Acid Resins/Sequestrants.

Drug Class: Antilipemic Agents, Bile Acid Resins/Sequestrants

PRODUCT INFORMATION

REPRESENTATIVE TRADE NAMES

Colesevelam – Welchol®

DRUG CLASS

Antilipemic Agents

COMPLETE LABELING

Product labeling at DailyMed, National Library of Medicine, NIH

CHEMICAL FORMULA AND STRUCTURE

DRUG	CAS REGISTRY NUMBER	MOLECULAR FORMULA	STRUCTURE
Colesevelam	182815-43-6	Unspecified	No Image