Ezetimibe

A Viewpoint by Harold Bays

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Ezetimibe represents the first new lipid-altering class of drugs approved in the US in about the past 15 years. As monotherapy, and in combination with statins, ezetimibe has consistently been shown to reduce low density lipoprotein-cholesterol by around 18–22%, and to have favorable effects on levels of triglycerides and high density lipoprotein-cholesterol.^[1]

Ezetimibe is well tolerated, with an adverse effect profile similar to that of placebo. The drug does not increase the risk of myalgias or myopathy. A 0.9% increase in liver transaminases was observed when ezetimibe was added to statins, but the incidence of consecutive transaminase elevations ≥ 3 times the upper limits of normal was less than 2% with statin monotherapy or statins coadministered with ezetimibe. If ezetimibe is added to statin therapy, liver enzymes should be monitored at the initiation of therapy and then according to the recommendations of the

statin. Ezetimibe is not recommended in patients with moderate to severe hepatic insufficiency.

Finally, with the possible exception of cyclosporin and bile acid sequestrants, ezetimibe does not have any clinically meaningful potential drug interactions. The mechanism of action of ezetimibe is distinct from that of other intestinal-acting drugs, and because of its novel nature, the drug does not have the tolerability and triglyceride-raising concerns often found with bile acid sequestrants.

Ezetimibe is administered as one small pill daily, with or without food. Its efficacy is not influenced by the time of administration, and it can be taken at the same time as a statin if needed. No dosage adjustment is necessary according to sex or age in adults, although ezetimibe is not currently a recommended treatment in children younger than 10 years of age. Ezetimibe is indicated for the treatment of patients with primary hypercholesterolemia as monotherapy and in combination with statins. ▲

Reference

1. Bays HE. Ezetimibe. *Expert Opin Investig Drugs* 2002; 11 (11): 1587-604