Pharmacological Reports
2011, 63, 1335–1348
ISSN 1734-1140

Review

Ezetimibe – a new approach in hypercholesterolemia management

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Abstract:
Ezetimibe is the first agent used in hypercholesterolemia treatment known to lower intestinal cholesterol uptake that is able to inhibit NPC1L1 transport proteins in the brush boarder of enterocytes and macrophages. Furthermore, it demonstrates anti-inflammatory and immunomodulatory properties and influences the expression of certain antigens. The drug is rapidly absorbed from the gastrointestinal tract and is then glucuronidated to form the active metabolite. It also undergoes extensive enterohepatic circulation. Various genetic polymorphisms seem to influence the pharmacokinetics of ezetimibe with different effects. The drug also presents a complex impact on cytochrome P450 enzymes, as it is a metabolism-dependent inhibitor of CYP3A4. Ezetimibe does not demonstrate any clinically significant interactions with statins, fibrates, mipomersen sodium, levothyroxine or lopinavir. However, its effect in conjunction with cyclosporine is not neutral. The use of this cholesterol absorption inhibitor has been shown to be safe and effective among patients after cardiac, renal and liver transplants, as well as in HIV patients.

Key words:
ezetimibe, hypercholesterolemia, pharmacokinetics, interactions