Detergent Effects of Sodium Deoxycholate Are a Major Feature of an Injectable Phosphatidylcholine Formulation Used for Localized Fat Dissolution: A Review

Scott Karolchyk, MS, RPh
Co-author: Linda Seibert, PharmD, RPh (Pharmacy Creations)

Injectable phosphatidylcholine formulations are gaining recognition as effective agents for the treatment of localized fat accumulation, yet the exact mechanism by which phosphatidylcholine exerts its effects is still unknown. Proposed mechanisms include emulsification and mobilization of triglycerides from fat cells, detergent action which lyses cell membranes, and stimulation of lipase activity – all of which accelerate lipolysis. Because it serves as a bile emulsifier in food preparations and exerts lipid-lowering effects in serum when administered IV or orally, phoshatidylcholine is thought to be the active constituent. Sodium deoxycholate, a bile salt used in injectable formulations to increase the aqueous solubility of phoshatidylcholine, is also a detergent. (Detergents are composed of both polar and nonpolar moieties and facilitate emulsification by reducing surface tension.) In the lead article, Rotunda et al speculate on the role and mechanism of phosphatidylcholine and aim to identify sodium deoxycholate as an active constituent.

The effects of isolated phosphatidylcholine have not yet been evaluated, however. Phosphatidylcholine must be mixed with solubilizing agents such as bile salts to be formulated into an acceptable aqueous injection. Phosphatidylcholine is soluble in ethanol and other organic solvents; however, these solvents may be damaging in vivo. An agent or method must be used to solubilize the phosphatidylcholine, which by itself will not exert detergent or emulsifying action to cells.

Rotunda et al report that although cell viability results are similar between groups, there was less cell lysis in the deoxycholate group, possibly due to a synergistic effect between phosphatidylcholine and sodium deoxycholate in the formulation or to concentration differences.

Is cell lysis the only mechanism for effective mesotherapy treatments? It is theorized that lipolysis of fat stored in adipocytes is regulated by alpha-2 and beta adrenoreceptors on the adipocyte cell surface. Lipolysis is also affected by hormones, including estrogen. Beta-receptor activity increases lipolysis and alpha-2 receptor activity inhibits beta-receptors. Therefore, compounds that promote beta activation and alpha inhibition may increase rates of lipolysis. Collagenase, although not promoted at this time for mesotherapy, has been studied for its effect

on the size of lipomas. In a US FDA-approved study, lipomas on the body were injected with collagenase, and after 6 months, the majority of lipomas were significantly reduced in size.

Rotunda al cite the effectiveness of phosphatidylcholine in cultured cells and porcine fat specimens; however, the clinical role that phosphatidylcholine may play in the systematic reduction of fat deposits cannot be completely assessed via this method. A research model that simulates or measures circulation, lymphatics, and reactions such as inflammation may be more appropriate.

The laboratory tests performed were relevant and appropriate, although exact numerical data were not published, specifically, values which are considered “similar” or “significant.” Three conclusions may conclusively be made from this study: First, phosphatidylcholine exerts a detergent effect to lyse cell membranes, although its action may not end here second, sodium deoxycholate is a major active component of the formulation; and lastly, the phosphatidylcholine formula containing sodium deoxycholate is more effective than sodium deoxycholate alone. More studies on phosphatidylcholine must be done to investigate further the mechanism of action as well as its clinical reaction on and within living tissue.

When body-contouring procedures are skillfully applied to the appropriate patient, the results are amazing and pleasing to the patient and physician. One physician’s patients may have different results than another physician’s patients, even if similar formulas are used. Why? I believe that the many different components of these cocktails and formulas act synergistically not only to lyse the adipocyte, but also to emulsify, transport, and excrete the freed fat. More controlled in vitro and in vivo experiments are welcome and needed to determine the effects of agents, alone and in combination, used in mesotherapy.

Our Journal is the only available information exchange platform open to all of us