Liposomal supplements in capsules







Why do liposomal products have better bioavailability? Why are powdered liposomes better?

The answer can be found on subsequent slides.





PubMed; PMID: 15545088 <u>10.1080/10611860400003817</u> NUTRACEUTICS AND DELIVERY SYSTEMS.

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<u>Abstract</u>

Medical treatment has been shifted to being more prophylactic as a recent trend. Postgenomic research has unveiled the fact that nutritional intervention has been strongly associated with genetic expressions, which are responsible for a variety of biological functions. Based on these findings, the prophylactic effects of dietary supplement and nutrient have been enthusiastically investigated. Preventing or retarding the onset of diseases has become a more attractive and cost effective strategy in the medical arena. Among other approaches to prevent diseases, antioxidants, which are found in many phytochemicals, have received much attention. However, most natural antioxidants such as alpha-tocopherol, ascorbic acid and others are biologically unstable, poorly soluble in water, and poorly distributed to target sites. Because of these shortcomings further prophylactic applications of dietary supplements have stagnated. This is partially due to a lack of basic awareness of drug delivery system for dietary supplements and nutrients. In this article, we strongly advocate serious consideration of the bioavailability of dietary supplements.

In this material, we advocate taking the bioavailability of dietary supplements seriously. Currently, ambitious works are underway to improve their bioavailability with the use of liposomal forms, which we show in the further part of this presentation, based on scientific research reports.



WHY ARE ORIDINARY SUPPLEMENTS CHARACTERISED BY A LOW ABSORBABILITY?





- Why are ordinary, non-liposomal supplements less absorbable?
- 6 What is a liposome?
- Why does the human body like liposomes so much that allows their passage to blood and transport to cells in unaltered form?
- Ilustrations of liposomes and their absorption.
- 🖕 Advantages of powder liposomes over liquid liposomes.



WHAT ARE LIPOSOMES?

They are microscopic phospholipid vesicles, stable in water, that may contain aqueous solutions of various substances (Walde et al. 1990, Walde and Ichnikowa 2001).

The structure of the liposome is similar to many cells of the human body.

The outer ends are water-soluble, the inner ends surrounding the active ingredient are fat-soluble.





Why does our body leave liposomes intact and why do our cells like them so much, and immediately accept them inside?

Nature also creates small liposomes called "micelles" that facilitate absorption.

In its structure, liposome is similar to many cells of the human body.

Phospholipids, and especially phosphatidylcholine, are important components of both cell membranes and liposomal membranes of the supplement, and at the time of contact with each other, liposomal forms are treated by cells as compatible and desirable forms, therefore they are so willing to combine with each other. Our cells accept liposomes and absorb their contents.

Health Effects Of Dietary Phospholipids- Nbci 5.01.2012 R.: 10.1186/1476-511x-11-3 Daniella Kullenberg i in. Küllenberg, D., Taylor, L.A., et al. 2012. Health effects of dietary phospholipids. *Lipids in Health and Disease*. 5;11:3.



A LIPOSOME AND ITS CONTACT WITH A HUMAN CELL



Active substance inside the FORTISGO liposome (green) The liposome approaches the cellular wall Fusion of the liposome and the cellular wall

Active substance released into the cell



LIPOSOMES

DIETARY AND NUTRITIONAL SUPPLEMENTS.

As for the use of liposomes as a carrier for dietary and nutritional supplements - until recently liposomes were used primarily for targeted drug delivery. However, versatile character of liposomes is now being appreciated in other situations as well. Liposomes are currently being implemented for the specific oral delivery of certain dietary and nutritional supplements.

A very small number of companies developing dietary and nutritional supplements are now trailblazers in using benefits of this unique solution.

This new direction and application of liposome science is partly a consequence of low absorption and bioavailabilityr ates of traditional oral dietary and nutritional tablets and capsules. Low oral bioavailability and absorption of many nutrients is clinically well documented.

That is why the natural <u>encapsulation of lipophilic and hydrophilic</u> nutrients in liposomes has become a highly efficient method to avoid destruction by components of the <u>digestive system</u> and to suport the supply of encapsulated nutrients into cells and tissues.

•·19- Williamson, G; Manach, C (2005). "Bioavailability and bioefficacy of polyphenols in humans. II. Review of 93 intervention studies". The American Journal of Clinical Nutrition. 81 (1 Suppl): 243S–255S.

• 20- Bender, David A. (2003). Nutritional Biochemistry of Vitamins. Cambridge, U.K.



Gawęcki J.: Witaminy. Wydawnictwo Akademii Rolniczej im. Augusta Cieszkowskiego w Poznaniu, Poznań 2002. Vitamin C is degraded under the influence of external factors related to the production and storage process of the preparation, as well as at the stages of absorption, distribution and metabolism in the body. The solution to this problem is sought in liposomal technology, the interest of which is growing in the field of medicine and pharmacy.

of Liposomes for Stealth Behavior. Pharmaceutics 2013, 5(4):542-69.

Drugs and dietary supplements encapsulated in liposomes show improved pharmacokinetic and pharmacodynamic properties. As a result, their bioavailability increases.

Ramana L.N., Sharma S., Sethuraman S. i wsp.: Investigation on the stability of saquinavir loaded liposomes: Implication on stealth, release characteristics and cytotoxicity. Int J Pharm 2012, 431:120 9. Lian T., Ho R.J.-Y.: Trends and developments in liposome drug delivery systems, J. Pharm. Sci. 6 2001, 90. The unquestionable advantage of liposomes is their compatibility with cell membranes of the human body. Their nanostructure makes it possible to reduce side effects and possible drug interactions. Additionally, thanks to the use of liposomal technology, it is possible to obtain a formula with an extended release. The active substance encapsulated in nanomolecules stays longer in the bloodstream and is released under certain conditions, e.g. at an appropriate pH. In addition, the two-layer phospholipid structure of the vesicle, in which the active substance is closed, protects it against harmful external factors, which include, among others high temperature, light or unfavorable pH of the environment.



SCIENCE ON LIPOSOMIC FORMS

Lukawski M., Dalek P., Borowik T., Forys A., Langner M., Witkiewicz W. i wsp.: New oral liposomal vitamin C formulation: properties and bioavailability. J Liposome Res. 2019, 1–8. An additional benefit of using liposomal technology is reduction of the irritating effect of vitamin C on the gastrointestinal mucosa.

Janda K., Kasprzak M., Wolska J.: Vitamin C–structure, properties, occurrence and functions. Pomeranian J of Life Sci61(4):419–425.

Studies have shown that liposome-encapsulated ascorbic acid is more stable than in the free form. Stability of these two forms of vitamin C was compared under conditions of exposure to harmful factors, such as the presence of copper, lysine and ascorbic oxidase:

- free ascorbic acid in the presence of copper was completely degraded after 30 hours, while its level of liposomal form after 50 days was only 18% lower than in liposomes stored without this metal;

- when exposed to lipase and ascorbic oxidase, the protective effect of liposomes was even greater, the lipid microcapsule significantly improved pharmacokinetic parameters of vitamin C. "It has been proven that for the liposomal form, the maximum concentration in the blood is higher after the administration of the same dose, the volume of distribution (AUC) is greater, the time from administration to the maximum concentration in the blood (Tmax) and the half-life (T1/2) are prolonged. This indicates that the presence of liposomes increases the bioavailability of vitamin C ".

Maurya V.K., Bashir K., Aggarwal M.: Vitamin D microencapsulation and fortification: Trends andtechnologies.J. Steroid Biochem. Mol. Biol.2020, 196, 105489.

Phospholipids that build the shell of the nanocapsule are another advantage for the use of liposomal technology, due to their beneficial effect on the body, because: - they build cell membranes, - they are necessary for the proper functioning of the nervous system, - participate in metabolic processes, - have a positive effect on cholesterol levels.



EXCELLENT ABSORPTION AFTER ORAL ADMISTRATION.

regardless of the substances contained in the liposomes, excellent absorption into the blood or lymph can be expected (*Ling et al. 2006*)

PROTECTION OF SUBSTANCES CONTAINED IN LIPOSOMES AGAINST DIGESTION OR DEGRADATION.

until the encapsulated substance is released from the liposome, it remains unchanged until being absorbed into a cell, regardless of the internal environment.

ABSORPTION WITHOUT EXPENSE OF ORGANISM'S ENERGY.

liposomes enable the absorption of active substances from the intestine into the blood, and from the blood into the cytoplasm and cellular organelles in an energy-saving manner (*Baumrucker 1985*).

DEEP INTRACELLULAR PENETRATION.

liposomes, due to their similarity to cell membranes, are accepted as equals; this allows liposomal forms to penetrate inside cells and cellular structures, such as mitochondria and even cell nuclei (Yamada and Harashima 2008, Rawrat et al. 2007).

ADDITIONAL VALUE OF PHOSPHATIDYLCHOLINE CONTAINED IN LIPOSOME

unmodified liposomes containing phospholipids and its various forms have a positive effect on the body:

- lowering cholesterol (Mastellone et al. 2000), - having anti-atherosklerotic effect (Altman et al. 1980, Levy 2006)

- having antioxidative effect (Das et al. 2007), - protecting against ischemia (Aabdallah and Eid 2004, Demirbilek et al. 2006), - in treatment and prevention of diseases of the liver (Lieber 2004, Buang et al. 2005, Lamireau et al. 2007).

INCREASED ACTIVITY OF MACROPHAGS COMPARED WITH OTHER CELLS.

if vitamin C is contained in the liposome, then the immune functions become clearly enhanced.



Dr. Thomas Levy

Curing the Incurable

•liposomal administration of vitamin C has the same effect as intravenous administration (page 272)

•On page 273 there is even an information that oral administration of liposomal forms can be is in many cases superior to intravenous administration.





DIFFERENCES BETWEEN APPLICATION OF ORDINARY AND LIPOSOMAL PREPARATIONS



Liposomal products are 20 to 100-times stronger!

As evidenced in Dr. Thomas Levy' book, chapter 5



DIFFERENCES BETWEEN APPLICATION OF ORDINARY AND LIPOSOMAL PREPARATIONS

Standard products

- loss in digestion
- lower transport capacity from the intestine
- reduced transport capacity from blood to cells
- active ingredients are excreted from the body with minimal absorption
- low bioavailability
- low effectiveness
- ballast substances introduced into the body
- active ingredients are usually encapsulated and contain fillers that must later be removed from the body



Liposomal forms from FortisGO

- 👍 protection from digestion
- 👍 better transport from the intestine
- higher capacity of transport from blood to cells
- active ingredients are absorber in over 95% and provide nutrition
- the highest bioavailability, lower doses of vitamin C do not burden kidneys and the liver, thus posing a lower risk of urolithiasis
- 👍 the highest efficacy
- 👍 no balast substances
- definition of the active substance, liposome becomes incorporated in the cellular wall providing nutrition

LIPOSOMAL FORMS – ADVANTAGES AND DISADVANTAGES

Liquid form

- made using chemicals, high pressures and temperatures (this can harm bioavailability and purity of the nutrients)
- unstable and reactive (therefore, after opening, it must be refrigerated and has a fairly shor shelf life, and even then many liposomal structures break down before the expiration date and their effect disappears)
- contains preservatives, flavors, dyes and other additives (due to instability and bad taste)
- less convenient to use (it's a liquid, so ...)

Freeze-dried, powdered form

- a liquid form that is dried to a powder, so it has the same manufacturing disadvantages as liquid
- more stable due to powder formation and encapsulation (but should still be refrigerated)
- it does not contain preservatives, flavors, dyes because it is in a capsule (although some preparations still contain preservatives that are designed to extend the stability)
- user-friendly (capsule, easy to use, easy dosing, transport)

Unique technology in FortisGO supplements

- liposomal powder form
- stable (no refrigeration required and the liposomal structure stays
 stable for 2 years
- does not contain preservatives, aromas, dyes and other additives
 – the best pure form
- friendly and convenient (capsule, easy to use, easy to dose, transport)

RECOMMENDED 🤞



TWO FORMS OF LIPOSOMAL PRODUCTS



liquid / gel

encapsulated liposomal form

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ADVANTAGES OF FORTISGO POWDERED LIPOSOMAL SUPPLEMENTS

- Lower dose of higher efficacy
- No high temperature used in course of production
- No high pressure used in course of production
- No chemicals, alcohol, etc.





ADVANTAGES OF FORTISGO POWDERED LIPOSOMAL SUPPLEMENTS

- A lower dose in the form of a liposome is more beneficial to health due to its high bioavailability, at least 20 times higher than the regular form.
- Liposomes do not acidify the organism dramatically as ordinary forms of ascorbic acid at high doses, which are to compensate for low absorption.
- They reduce the risk of kidney stones, which can occur with high doses of vitamin C or other organic acids taken regularly.
- 👍 No unpleasant taste, no odour.
- 👍 Long shelf-life.
- 👍 No preservatives.
- 👍 Convenient form in travel, at work, at home.



CONCLUSIONS:

- Biochemical features of liposomal forms allow the substances they contain to reach the cells directly, similar to intravenous infusions.
- According to Dr. Thomas Levy's "Curing the Incurable" there are documented cases where the effectiveness of the administered form of liposomal vitamin C is not inferior to intravenous infusions.
- lntravenous supplementation is time-consuming, expensive and not readily available.
- In addition, intravenous infusions can be troublesome and painful for the patient. Phlebitis and infection at the injection site are uncommon but still may happen.
- The liposomal form of administering the supplement is an alternative form of administration, e.g. of vitamins or macro-, microelements. Due to its high effectiveness, it may be an alternative to intravenous infusions.
- Supplementing with liposomal forms may revolutionize medical therapies in various diseases, worth considering.

