

## An introduction to Liposomal Encapsulation Technology

Mother Nature has the innate ability to solve problems through the most efficient and effective route possible.

The problem of how to make an oil-soluble nutrient absorbable in the watery environs of the small intestine has been solved by Mother Nature by using her own nano-technology.

Upon ingestion, water soluble proteins and carbohydrates are broken down by mechanical actions, acids and enzymes, they are then absorbed in the mucosal layer of the small intestines by the enterocytes of the microvilli. Fats however, are absorbed differently. Bile and lecithin are added to break fats and oils down into smaller particles. But even these very small fat globules are not soluble in water and as such cannot be absorbed in the same way as proteins and carbohydrates.

Digestion involves a process of making nutrients smaller and smaller. To make some of these (oil-soluble) nutrients absorbable by the small intestine once they have been broken down, Mother Nature creates nano-sized vesicles that are water-soluble on the outside and encapsulate the fat-soluble nutrient on the inside. These vesicles are known as micelles and liposomes.

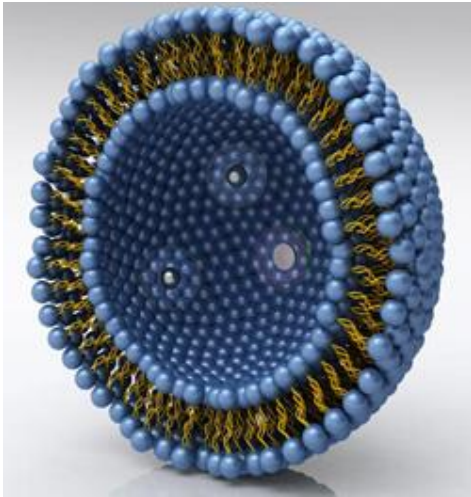
Manufacturers have learnt from Mother Nature and mimicked this process to safely and effectively enhance bioavailability of some hard to absorb nutrients.

Until very recently the use of Liposomal Encapsulation Technology was primarily directed at targeted drug delivery, particularly in chemotherapy. However due to the versatile ability of liposomes, they are now being cleverly implemented for the specific oral delivery of certain previously poorly absorbed water and oil soluble nutritional supplements.

The introduction of Liposomal Encapsulation Technology into the administration of oral nutritional supplements provides a very effective method of bypassing the destructive elements of the gastric system and aiding the encapsulated nutrient to be delivered to the cells and tissues.

A small number of dedicated nutritional supplement companies are currently pioneering the benefits of this unique nano-science.

## Liposomal Encapsulation Technology explained - What is a liposome and why do we need it?



A liposome is a 'nano' sized bubble or sphere (vesicle) made from a phospholipid (in many cases phosphatidylcholine, the same substance found in our cell membranes.)

Liposomal Encapsulation Technology or LET is a process that fills these bubbles with hydrophobic and/or hydrophilic substances such as Vitamin C, Glutathione, CoQ10 etc.

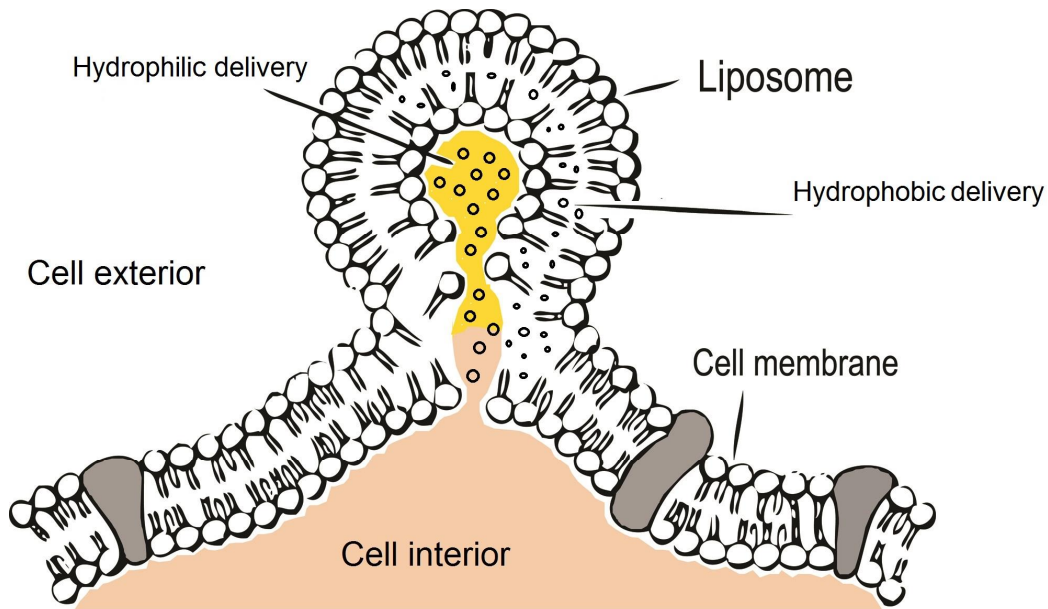
Liposomes have the ability to carry either water or fat-soluble payloads, which makes them an ideal delivery system.

### Liposome journey

When a regular capsule or pill is ingested it must first pass from the mouth through the digestive system to finally be absorbed in the small intestine. During this process, digestive enzymes in the mouth and stomach, digestive acids, bile salts and various gut flora degrade the nutrients before they are finally metabolised by the liver and made available to the body. This entire process slows and degrades the nutrients' bioavailability.

Phospholipids are impervious to the various digestive substances. This makes liposomes the perfect delivery system for acid and enzyme-reactive substances.

Once the liposomes reach the small intestine they are absorbed by simple passive diffusion through the walls of enterocytes located in the villi. Inside the enterocytes, the liposomes are incorporated into chylomicrons, together they travel through the lymph system, bypassing the liver (portal circulation) into the subclavian vein.



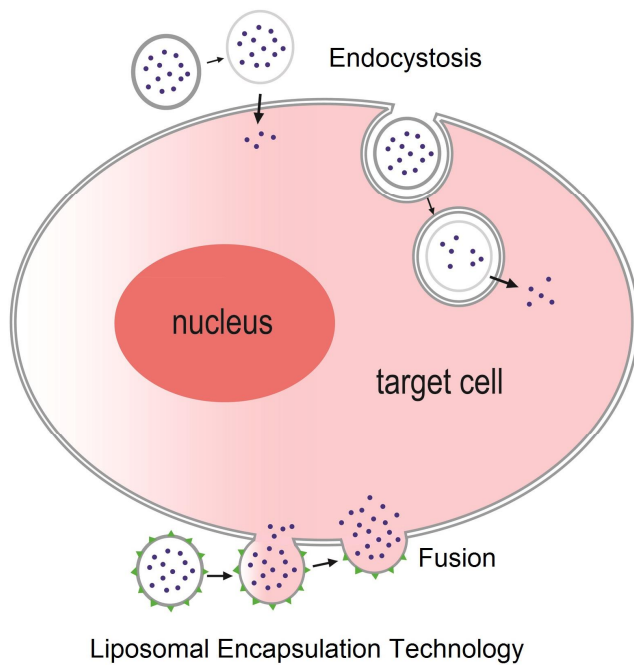
Delivery of nutrients via Liposomal Encapsulation Technology

Another major advantage of the liposomal delivery system is the way it delivers the nutrients on an intra-cellular level.

A liposome can do this in a number of ways:

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|----------------|---|
| Endocytosis    | Endocytosis is a process in which the liposome gains entry into a cell without actually passing through the cell membrane. The cell engulfs the liposome forming a membrane-bounded vesicle called an endosome. |
| Adsorption     | The liposome wall adheres to that of the cell and releases its payload into the cell.   |
| Fusion         | The melding of the liposome membrane with the membrane of the cell, carrying the contents of the liposome into the cell.  |
| Lipid exchange | The contents of the liposome and cell exchange their lipid contents.  |

In a nutshell, a liposome protects the nutrient and allows it to be delivered exactly where it is needed, inside the cell.



## Liposomal Examples

### Glutathione:

It is well known that regular Glutathione is very poorly absorbed, in the region of 1 - 3%. The reason for this is that since Glutathione is a peptide, it is subject to degradation by the peptidase enzymes in the digestive tract. Encapsulating the reduced form of Glutathione in liposomes can result in absorption rates of over 90%

### Vitamin C

Vitamin C is not as poorly absorbed as Glutathione however there is a limit to the amount of oral vitamin C one can ingest before the osmotic water-retaining effect of the unabsorbed portion in the gastrointestinal tract causes diarrhea.

Liposomal vitamin C largely overcomes this bowel tolerance issue by allowing far more of the vitamin C to be absorbed in the small intestine, this means much higher oral doses of vitamin C can be tolerated.

### Non-lipophilic

Even non-lipophilic ingredients can be hard to absorb, for example: phytonutrients such as free ellagic acid due to its low solubility in water. Flavonoids such as quercetin and polyphenols like green tea also have low bioavailability.

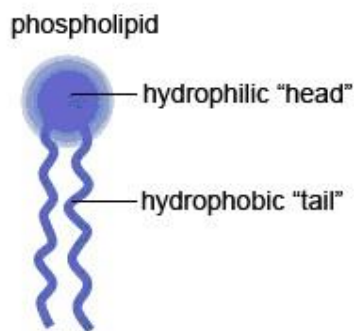
## Vitamin B12

B<sub>12</sub> absorption can be compromised due to many factors such as aging, disease, pharmaceuticals or insufficient intrinsic factor. This makes B12 another good example of a nutrient which would benefit from liposomal encapsulation.

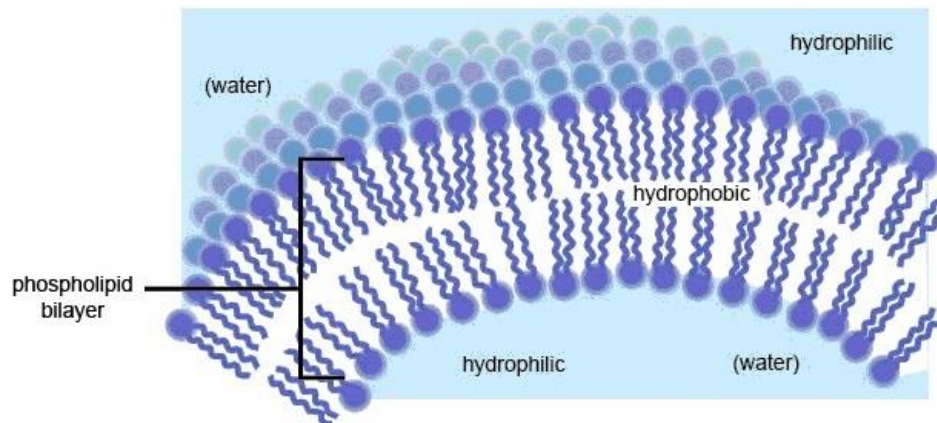
### How liposomes are made

Liposomes are created when a high quality phospholipid such as phosphatidylcholine, a molecule derived from lecithin, is placed in water and consequently forms a series of bilayers each separated by water. This can only be achieved once enough energy has been supplied under laboratory conditions through a process such as sonication.

#### Liposome creation



Phospholipids such as phosphatidylcholine are amphiphilic; they consist of a hydrophilic (water loving) head and hydrophobic (water hating) tail.



When phospholipids are placed in an aqueous solution, the hydrophobic tails face each other avoiding the water and form a phospholipid bilayer, while the hydrophilic heads form hydrogen bonds with the water molecules. The lipid bilayer will form a closed sphere (liposome) to completely exclude water from the hydrophobic tail.

### **Laboratory versus Homemade**

Doing a quick search on the internet will reveal many articles and websites that give recipes for making home made 'liposomal' products using ultrasonic jewellery cleaners and lecithin, it is unfortunately not that simple...

- To make liposomes one requires very high quality phosphatidylcholine (PC) (a molecule from lecithin). Home brews are made with pure lecithin that contain very low amounts of phosphatidylcholine.
- In order to produce liposomes a machine is required that is powerful enough to obtain small enough nano-sized particles to be effective. Homemade liposomals will not produce liposomes that are small enough to be effective with very little of the nutrient actually being encapsulated.
- Liposomes are unstable, this is one of the biggest challenges in the process.
- Liposomes, Vitamin C, Glutathione, etc are extremely unstable in the presence of oxygen, this has to be taken into account when producing liposomal products.

### **Summary**

Liposomal Encapsulation Technology represents an exciting step forward in the oral delivery of nutritional supplements. The use of nanotechnologies to increase the absorption of nutraceuticals is undoubtedly a highly effective method of bypassing the destructive elements of the gastric system and targeting the areas where the nutrient is required. Indeed a seemingly small dose of a liposomal nutrient can make a big difference.

Liposomes and Micelles have been used in pharmaceuticals for decades and have a proven safety track record; Lipolife® brings you the very best food supplements in a liposomal form guaranteeing you quality and absorption.