

The New Nutrition: Nanosized Nutraceuticals!

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"Four of the defining technologies of the 21st century will be nanotechnology, biotechnology, information technology, and environmental science ... the potential of both for improving the quality and increasing the length of life has never been greater."

~ Malcom Gillis, president, Rice University

"If I were asked for an area of science and engineering that will most likely produce the breakthroughs of tomorrow, I would point to nanoscale science and engineering."

~ Neal Lane, assistant to President George Bush for science and technology

"By 2010, half of all drugs will be made with nanotechnology"

~ The National Science Foundation

"If I were just setting out today to make that drive to the West Coast to start a new business, I would be looking at biotechnology and nanotechnology."

~ Jeff Bezos, founder of Amazon.com

So, What Is Nanotechnology?

A nanometer is one billionth of a meter, or about 100,000th the size of a single grain of sand!

Therefore, it is typically accepted that nanotechnology involves the manufacture and manipulation of molecules from 0.1-100 nanometers in size. Specifically, nanotechnology is the development of methods for fabrication, synthesis and characterization of materials at the nanometer scale.

Glenn McGee, associate director of the University of Pennsylvania's Center for Bioethics, has stated, "The two fundamentally different approaches to nanotechnology are graphically termed 'top down' and 'bottom up.' 'Top-down' (AKA incremental) refers to making nano-scale structures by machining and etching techniques, whereas 'bottom-up' (AKA evolutionary) ... applies to building organic and inorganic structures ... molecule-by-molecule. Top-down or bottom-up is a measure of the level of advancement of nanotechnology. Most nanotechnology, as applied today, is still in the main at what may be considered the more primitive 'top-down' stage."¹

Nonetheless, "primitive" may be too humble a description. Indeed, a whole burgeoning industry of "nanobiotechnology" as an interface technology, integrating elements of both nanotechnology and biotechnology, has set the stage for establishing methods by which physiologically harmless and biocompatible materials can be made applicable to biology, medicine, cosmetics and the food sector.² Hielcher, a German nanotech company, writes in its Web site, "The reduction of primary particles into micron and submicron range (nano-powders) is required in many processes in the pharmaceutical (and) chemical ... industries ... nano-scale particles, such as biodegradable micro-

spheres and nano-spheres, are very potent drug and antigen delivery systems ... micron-size and nano-size materials down to the size of several nanometers are favored for many applications due to their large surface area."³

In March 2004, Dow Chemical renewed collaboration with the University of Texas at Austin, developing nanotechnologies to improve the solubility and bioavailability of often poorly bioavailable drugs. Dow's BioAqueous Solubilization Services focuses on altering the particle size, surface area, or morphology of drugs to create nanostructured particles that can improve their ability to dissolve in the body. These processes produce extremely fine, readily absorbed particles with a high surface area and good "wettability."⁴

Dow states that its "solubilization solutions" can help pharmaceutical companies increase bioavailability and dissolution rate, improve onset of activity, reduce variability, and/or decrease dose size.⁵

In a Pham PK discussion group, Shakil A. Saghir, MSPH, PhD, senior research toxicologist at the Dow Chemical Co., writes, "We are running bio-availability studies for some of the old drugs ... just by ... nano-sizing and comparing different nano-sizing methods with original micro-sized formulations. ... We are not only seeing higher (plasma) AUC for nano-sized drugs ... but also for (each) method of nano-sizing."⁶

The use of a nano-emulsion to deliver either recombinant proteins or inactivated organisms to a mucosal surface to produce an immune response has been demonstrated at the University of Michigan, School of Medicine. The results indicate the basis of a platform vaccine delivery system. The first applications, an influenza vaccine and an HIV vaccine, can now proceed to clinical trials.⁷

Quite naturally, there has been keen interest in processing nutraceuticals in nanosized particles. One such nutraceutical is Coenzyme Q₁₀, of interest because of both its expense and poor absorbability. An article in *The New Zealand Medical Journal* compared the bioavailability of seven different CoQ₁₀ brands. There were significant differences in bioavailability between the seven brands tested. Of note here is that the "winner" was formulated via a patented process which reduces the CoQ₁₀ particles to the nano-range and renders them hydrosoluble as well as liposoluble.⁸

One of the participants involved stated, "What makes (our Co Q-10) so powerful is the fact that we have simply made the Co Q-10 particles very small (sub-micron size) so that they seem to go into solution in water. The large surface area afforded by the smaller particle size facilitates much greater absorption in the gut."⁹

Last year, NanoTechWire.com announced the findings of clinical studies carried out in human subjects at the Weitzman Institute in Israel, comparing the relative bioavailability of yet another CoQ₁₀ processed with a different nanotechnology, with other currently available dosage forms. The results demonstrated that the CoQ₁₀ processed through "nano-emulsion" technology was vastly superior. This resulted in a free-flowing powder with a 100 percent dissolution rate and exhibited a sixfold increase in plasma CoQ₁₀ values over baseline and several-fold greater relative bioavailability over other dosage forms tested.¹⁰

Many other nutraceuticals are poorly absorbed, such as vitamin B₁₂, vitamin K₂, vitamin E, and

many phytonutrients, especially polyphenols. (Actually, if discovered today, vitamin K complex and vitamin E complex would not be classified as vitamins, but as phytochemicals, as a subclass of the terpenes called the chromanols, namely the phyloquinones and tocopherols/tocotrienols, respectively.¹¹

Maximizing the bioavailability of phytonutrients is of vital importance today. To quote Jean Mayer of the USDA Human Nutrition Research Center on Aging, Tufts University, Boston, Mass., "The effort to understand the health benefits of plant foods is the characterization of

their physiologically active constituents, the phytochemicals ... as our knowledge grows we will learn how best to create new products through altering their concentrations, combinations *and/or their bioavailability*" (*italics mine*).¹²

The importance of delivery systems when formulating nutraceuticals is further underscored in the *Journal of Drug Targeting*: "Antioxidants, which are found in many phytochemicals are biologically unstable, poorly soluble in water, and poorly distributed to target sites ... we strongly advocate serious consideration of the bioavailability of dietary supplements to improve their bioavailability using delivery systems such as liposomal formulations."¹³

Today, liposomes can be created as nanoparticles!

Dr Brain Keller, executive vice president of a California-based company, creates "technologies that enhance the performance and delivery of active ingredients." Dr. Keller's company has patented a "bottom up" evolutionary nanobiotechnology that gently encapsulates poorly absorbed nutrients and nutraceuticals in nanosized, multilayered vesicles that, when ingested, are selectively taken up by enterocytes in the small intestine, bypassing portal circulation!¹⁴

Health professionals who recommend nutraceuticals to their clients may desire to stay informed relative to recent advances and in nano-biodelivery technologies. How new all of this is can be best demonstrated by the fact that most of my footnotes are from the Web! Nonetheless, such enhanced bioavailability through nanotechnology, when proven, not merely hyped, may well lead to greater efficacy and smaller dosing, with resultant long-sought-after effects on economy, compliance and clinical efficacy.

References

1. www.nano.org.uk/nano.htm.
2. NanoBioNet - The Center of Excellence of Nanobiotechnology. www.nanobionet.de/eng.htm.
3. [Click to view it online](#).
4. [Click to view it online](#).
5. [Click to view it online](#).
6. PharmPK Discussion - Human bioavailability values, PharmPK Discussion List Archive Index. [Click to view it online](#).
7. www.nanobio.com/vaccine.html.
8. The bioavailability of coenzyme Q10 supplements available in New Zealand differs markedly, *New Zealand Medical Journal*, Oct. 8, 2004;117(1203). (The study was approved by the Canterbury Ethics Committee per the Ministry of Health of New Zealand and was completed November 2003 through January 2004.)
9. [Click to view it online](#).
10. [Click to view it online](#).
11. Maher, J, Physiological functions of phytonutrients, a brief introduction. *Dynamic Chiropractic*, July 2003.

12. Mayer, J, *Functional Foods for Health Program*, USDA Human Nutrition Research Center on Aging, Tufts University, Boston, MA.
13. Yoko Shojia,B, and Hideki Nakashimaa. Nutraceuticals and delivery systems. *Journal of Drug Targeting* 2004;12(6):385-391.
14. Personal communication with Dr. Keller.