

The Science Behind Enerjii Spray Supplements

Enerjii Spray Vitamins and the Concept of Oral Absorption

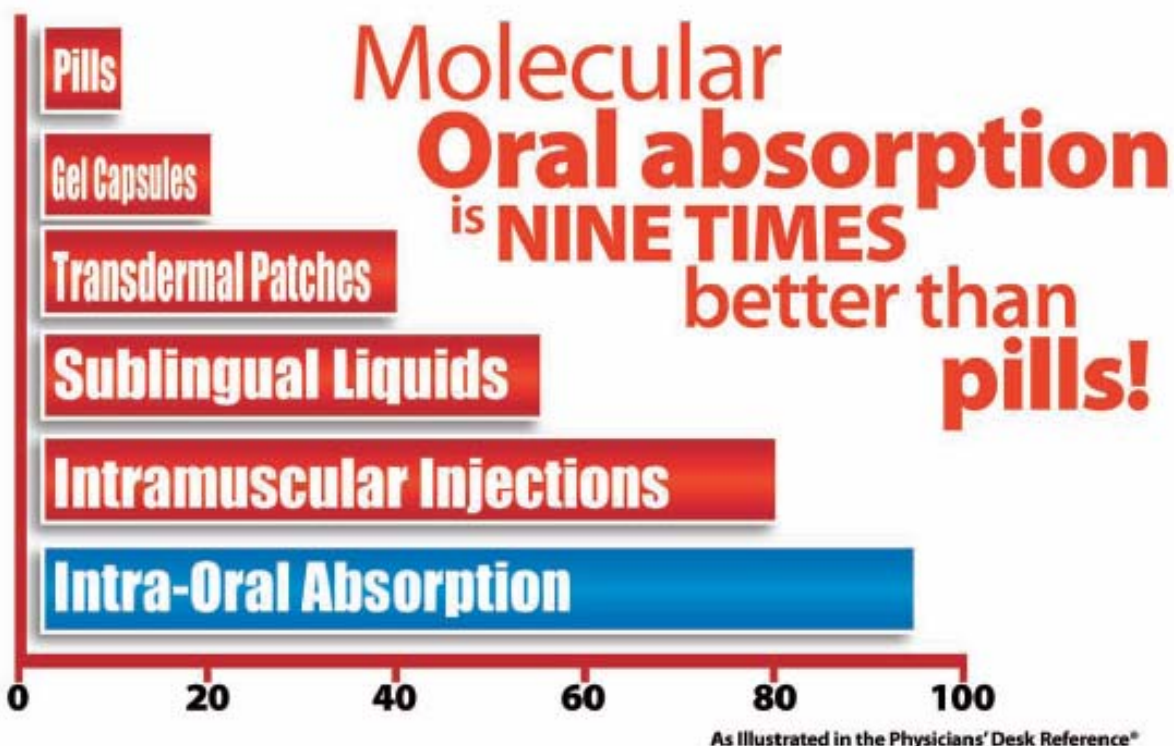
In medical circles, 'oral absorption' is an extremely common delivery method. Using nitroglycerin for heart patients, as a model example, the ONLY way that it is delivered is by 'oral absorption'. Not only is it delivered by oral absorption, but over the last few years it has been increasingly delivered by spray (Medilingual®, from Rhone-Poulenc).

If you were to take a nitroglycerin pill, no active nitroglycerin would ever enter the bloodstream, whereas a nitroglycerin spray, which is used in cases of angina pectoris, gets to work on the heart almost immediately.

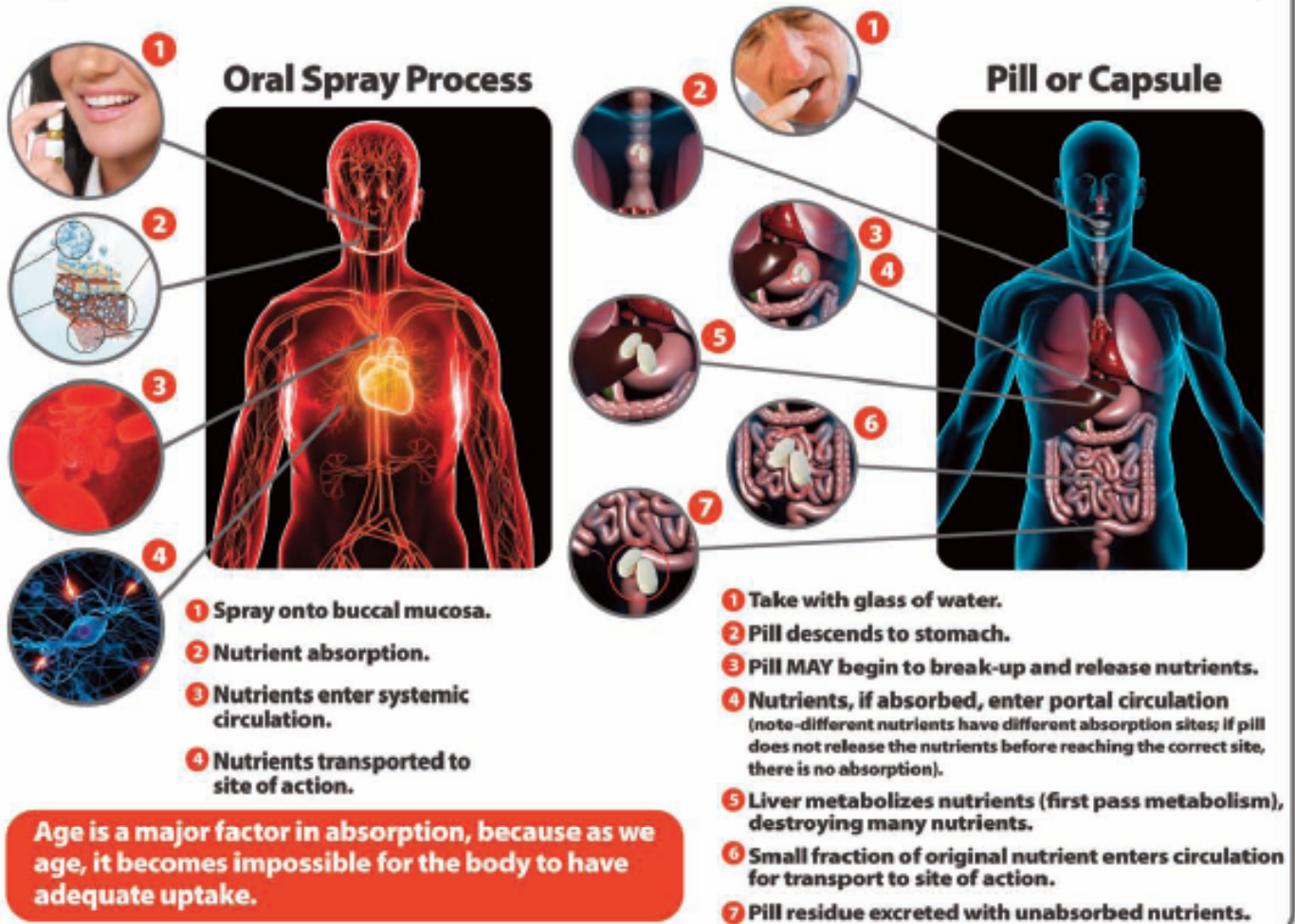
Oral absorption also avoids degradation of an ingredient by stomach acids and other digestive juices. Another benefit is that, due to the large amount of blood vessels in the mouth, oral absorption results in rapid onset of action and you can also use a lower dose because of the lack of destructive metabolism.

Further discussion on the benefits of the buccal route of delivery can be found in reference 1.

At the far end of the molecular size spectrum, there is a "spray insulin" currently in clinical trials (reference 2).



How Vitamins are absorbed.



In between these two extremes there are numerous other drugs, and, of course, our interest – the vitamins. There are literally thousands of published research papers on oral absorption (both buccal and sublingual) of vitamins, minerals and drugs.

Below is a brief outline of some of the major advantages of this route of delivery, together with some key references.

Much of the literature on oral absorption was reviewed in the publication: "Oral Mucosal Drug Delivery", *Drugs and the Pharmaceutical Sciences*, Vol. 74 (ed. M.J. Rathbone), Marcel Dekker, Inc (1996). In addition, there are numerous other excellent review articles which contain absorption data.

Summary:

Oral Absorption is the process that occurs when nutrients or other molecules are taken up into the capillaries and veins that line the mouth.

To do this, the nutrient molecules must cross the buccal membrane, which lines the inside of the mouth. Once across this membrane the nutrient enters the blood vessels which drain into the jugular vein and from there they enter the systemic circulation which distributes blood, and the nutrients it is carrying, throughout the body. This is a rapid process, taking place in the space of a few seconds.

Absorption across the buccal mucosa was first noted in 1847, and this route of administration was firmly established in medical practice in 1897 (using nitroglycerin).

The benefit, value, and effectiveness of Oral Absorption: excerpts:

“The Encyclopedia of Pharmaceutical Technology” (Volume 2, 1990):

“The administration of drugs by the buccal route has several main advantages over peroral administration, including:

1. The drug is not subjected to the destructive acidic environment of the stomach.
2. Therapeutic serum concentrations of the drug can be achieved more rapidly.
3. The drug enters the general circulation without first passing through the liver.

The first recognition of the ability of a substance to cross the oral mucosa was by Braunton in his Gaulstonian Lectures delivered at the Royal College of Physicians in 1877. He indicated that sublingual therapy with glyceryl trinitrate (G.T.N.) could bring about dramatic effects in alleviating the symptoms of angina pectoris.”

Physiological Pharmaceutics – Biological Barriers to Absorption (1989) Chapter 2, “Drug Delivery to the oral Cavity”:

‘Venous return from the mouth enters the systemic circulation through the jugular vein and not the hepatic portal system. For this reason, first-pass metabolism is avoided and so there is much interest in optimising drug absorption from the oral epithelia.”

“The oral cavity is rich in blood vessels and lymphatics, so a rapid onset of action and high blood levels of drug are obtained quickly. In many cases buccal dose forms can have as high a bioavailability as intravenous formulations”

Another aspect that should not be neglected is that of “BIOAVAILABILITY”. As discussed by Srinivasan (reference 3), when referring to tablets, “Good quality is associated with the ability to disintegrate and dissolve”. In the case of Enerjii™ Spray Vitamin Supplements, the active components are already in solution or suspension. Examples that clearly demonstrate the problems associated with the bioavailability of nutrients in tablets are described in references 4 and 5.



Vitamin B12 deserves special consideration. There is conclusive evidence, based on the medical literature, that vitamin B12 deficiency can be effectively treated using oral delivery as a convenient, easy, high compliance alternative to injection (reference 6).

In addition, a comparison between intra-muscular injection and oral delivery of vitamin B12 has been reported by Kuzminski et al. (reference 7).

This study demonstrated the effectiveness of vitamin B12 tablets in comparison to intramuscular injection. A total of 38 vitamin B12 deficient patients were treated with either 1 mg vitamin B12 intramuscularly on 9 occasions over 120 days (days 1, 3, 7, 10, 14, 21, 30, 60, 90) or with 2 mg orally on a daily basis.

Based on the changes in serum levels of cobalamin the authors concluded that: "In cobalamin deficiency, 2 mg of cyanocobalamin administered orally on a daily basis was as effective a 1 mg administered intramuscularly on a monthly basis and may be superior." Their data showed the mean value rose from 93 pg/mL to 1,005 pg/mL for the oral group, and from 95 pg/mL to 325 pg/mL for the parenteral (injection) group.

Sharabi et al. (reference 8) made a direct comparison between the efficacy of sublingual and oral (swallowed tablet) administration of vitamin B12 supplements. Thirty subjects with low serum concentrations of cobalamin were randomly selected to receive a daily tablet of 500 mcg vitamin B12, either sublingually or orally (swallowed). Serum cobalamin concentrations before treatment were 94 ± 30 pmol l^{-1} and 108 ± 17 pmol l^{-1} in the sublingual B12 and oral B12 groups, respectively. After 4 weeks, concentrations rose to 288 ± 74 pmol l^{-1} and 286 ± 87 pmol l^{-1} , respectively. The increase in each group across time was statistically significant. The authors concluded that a dose of 500 micro g of cobalamin given either sublingually or orally is effective in correcting cobalamin deficiency.

As further support for the buccal route of delivery used by Enerjii™ Spray Vitamin Supplements, we list additional articles demonstrating the buccal absorption of thiamine (reference 9), niacin and niacinamide (reference 10), and vitamin C (references 11, 12).

Oral absorption is a simple concept – with massive repercussions throughout the health industry. Drug delivery is a highly active research field and in the next few years we can expect more and more drugs to be developed for delivery by buccal absorption.

References:

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