



# Annatto:

## Delivering Tocotrienols from Amazonia

A new perspective on an old-fashioned vitamin E staple is opening a new range of health applications.

By **Barrie Tan, PhD, Founder, & Anne Trias, Product Director**  
*American River Nutrition*

**D**uring the 17th and 18th centuries, coloring of foods for aesthetic purposes was fashionable. Among various natural colors introduced at the time was annatto, a pigment that—while novel to those in North America, Europe, and Asia—had been used by South Americans as far back as 3,600 years ago. But ancient Ma-

yans, Incans, and Aztecs of Central and South America did not use annatto, also known as *Bixa orellana* as it was named after Amazon explorer Francisco de Orellana, for coloring purposes alone. Ancient uses of annatto extracts for folk medicine served as a skin protectant, cardiogenic, anti-inflammatory, and even antibiotic.

### *This article in a nutshell:*

- Supplementation: Tocotrienols vs. Tocopherols
- Application of Vitamin E: The Fundamentals
- Tocotrienol: Distinctive Features
- Full Circle: Amazonia to Present

Today, annatto is known to be one of the superior sources of tocotrienols, whose researched health benefits mirror some of those passed down from ancient traditions. Unique among the plant kingdom, annatto produces only tocotrienols, whereas all other known sources of this vitamin E nutrient, such as palm and rice, deliver mixtures of tocopherols and tocotrienols, typically containing anywhere from 25-50% alpha-tocopherol. This is one ancient secret steeped into an Amazonian past.

### Supplementation: Tocotrienols vs. Tocopherols

The human body does not make vitamin E tocopherols and tocotrienols, but desperately needs them for oxidative protection. How do plants like annatto make vitamin E? Plants photosynthesize and take in carbon dioxide (CO<sub>2</sub>), and hence build chemicals one carbon at a time. These plant chemicals—we call them phytonutrients—are found in different parts of the plant.

Tocopherols and tocotrienols are oil-soluble. For example, tocopherols are found in soy oil; mixtures of tocopherols and tocotrienols are found in palm oil; and tocotrienols are found in annatto oil. Diets that contain these plant oils provide tocopherols and tocotrienols, albeit insufficiently. Supplementation is necessary to realize the health benefits they offer.

It is generally true that nature makes a myriad of very similar chemicals within a class, which scientists call isomers—like polyphenols, carotenoids, and vitamin Es. If plants make these classes of chemicals, the corollary assumption is that consuming them all produces the best effect. This axiom is not always true, and we should be aware of the exceptions.

Here are some practical examples. Beta-carotene and lutein are carotenoids, and both are good for eye health for different reasons. However, when taken together beta-carotene blocks the absorption of lutein. Alpha-tocopherol is the best known vitamin E, and gamma-

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tocopherol is a potent antioxidant. When taken together, numerous studies show that alpha-tocopherol blocks the absorption of gamma-tocopherol. This is true with tocotrienols. Alpha-tocopherol blocks the absorption of tocotrienols. It has been shown that alpha-tocopherol blocks absorption—and various resultant functions—of the three best known isomers, delta-tocotrienol, gamma-tocotrienol and alpha-tocotrienol. To capitalize on tocotrienol benefits in human health, check in on alpha-tocopherol: less than 10% is recommended.

### Application of Vitamin E: The Fundamentals

Mammals need vitamin E for proper growth and protection. Humans need them for literal cellular protection. There are 7.5 billion people in the world, and North Americans are a mere 4% of this number. Imagine multiplying the world population by a factor of 10,000, and you arrive at the approximate number of cells that constitute each person's body: 75 trillion! Each cell wraps its components—which scientists call organelles—with a

membrane full of fatty acids, mostly unsaturated with other fatty materials, notably cholesterol. To connect with their outside ocean of water, the fatty acids are attached to water-happy heads of phosphates. Hence, these sorts of fats lining the cell membrane are referred to as phospholipids.

For the proper function of life as we know it, oxygen is found inside and outside of cells, keeping them alive. Now and then the oxygen runs amok—this is what we know as oxygen free radicals—and they damage the easiest prey, the fatty acids of the phospholipids. The architecture of the cell membrane can be damaged, compromising its integrity and gatekeeping properties.

If this unwanted oxidation is not kept in check, then inflammation will soon ensue. Michael Brown and Joseph Goldstein (1989 Nobel Prize winners for their discovery of LDL receptors) captured this succinctly, noting that "...if LDL is depleted from its antioxidants, it is left to the mercy of oxygen."

Of the many popular antioxidants (e.g., beta-carotene, lutein, lycopene, CoQ10), vitamin E is the one nature chose to reside

within the lipid cell membrane. This is because vitamin E has the perfect shape—similar to the phospholipids making up the cell membrane, like a tadpole with a head and tail. Tocopherols have a longer tail, whereas tocotrienols have a shorter, agile tail for heightened mobility. This small difference in molecular structure allows tocotrienols to cover a larger surface area of the cell membrane more quickly, hence making them up to 50 times more effective as antioxidants to stop oxygen radicals from damaging fats.

So through the eons of time, mammalian cells have garnered the perfect vitamin E molecules to snuggle uniquely between the phospholipids of cell membranes, 10,000 times the world's population.

### Tocotrienol: Distinctive Features

The loss of oxidative protection can cause inflammation, which underwrites many chronic maladies. Tocotrienols' best suit today is on mitigating chronic conditions, particularly cardiovascular diseases, metabolic syndrome/diabetes, and cellular derangement. Annatto-derived tocotrienols have been researched clinically in a variety of these applications.

**Cardiovascular Health & Anti-Inflammation:** Clinical studies have shown that tocotrienols—when taken apart from alpha-tocopherol (due to interference issues)—lower total cholesterol, LDL, and triglyceride levels between 15-20%. Further, an optimum daily dose of 250 mg tocotrienols (without tocopherols) lowered C-reactive protein and other inflammation markers between 35-60%. Combinations with other anti-inflammatory ingredients, such as quercetin, resveratrol, and B-vitamins can synergize with tocotrienol's cardio-metabolic benefits, as was shown in clinical trials.

**Bone Health:** One relatively recent and exciting area of research for the vitamin is on the subject of bone health, where we may soon see tocotrienols on shelves among staple ingredients such as vitamin D and calcium. Many pre-clinical studies

have already shown promise in this area, while a double-blind, placebo-controlled clinical trial is about to be published in 2018. In this study, post-menopausal women with osteopenia were given tocopherol-free tocotrienols over the course of 12 weeks. These findings are expected to increase understanding of how tocotrienols work to benefit bone health.

**Skin Health:** Vitamin E has long been lauded for its skin health benefits. Although quantitatively, alpha-tocopherol comprises the bulk of vitamin E found in the epidermis, tocotrienols—applied orally or topically—also deliver to viable skin layers. In this context, tocotrienols have been found to reduce hyperpigmentation, repair skin damage in wounds, protect from light exposure, suppress skin cancer, and promote wound-healing of the dreaded MRSA infection often associated with hospital environments.

Of the various E vitamers, delta- and gamma-tocotrienol were the most potent in reducing skin pigmentation and blemishes by inhibiting melanin synthesis. Delta-tocotrienol was also shown to suppress melanoma. The SPF value of delta-tocotrienol was reported to be 5.5.

Most recently, an Italian study has shown annatto tocotrienol to be an effective adjuvant for antibiotic treatment against methicillin-resistant *Staphylococcus aureus* (MRSA). In this mouse model, the antimicrobial effect of tocotrienol administered with daptomycin potentiated the natural killer cytotoxicity and elevated wound repair markers. In addition, bacterial load was reduced 1,000-fold compared to the untreated control group.

**Bioavailability:** Although pre-solubilized forms of the vitamin have appeared on the market, they are not recommended unless supplementation must occur on an empty stomach. These "bio-enhanced" formulas contain ingredients that do not conform to clean label preferences, and result in larger capsule sizes that are difficult for consumers to swallow. Tocotrienol bioavailability has been confirmed in clinical pharmacokinetic studies, which support taking the supple-

ment with a meal and in the absence of alpha-tocopherol.

### Full Circle: Amazonia to Present

Annatto may be an ancient plant, but it is in no sense a bygone one. Just as humans have always had the advantage of plants providing essential vitamin E even though it had not been discovered as a component of lettuce and wheat germ until 1922, ancient civilizations unknowingly held the benefits of the yet undiscovered tocotrienols from annatto.

Tocopherols and tocotrienols are both important antioxidants, and fit well inside cell membranes found throughout the human body, where they protect the 75 trillion cells from oxidation. This is a long-standing function of vitamin E, and is imperative to good health.

A growing body of research, however, indicates that tocotrienols go much further, and reverse chronic ills of the cardiometabolic, musculoskeletal, and cellular systems. This is a unique vitamin E proposition scientifically star-powered in tocotrienols, and not shared by tocopherols. Some of these benefits have common platforms with other lipid nutrients, and therefore novel combinations can be feasibly formulated. In today's fast-paced market of ever-emerging ingredients, a fresh perspective on an old-fashioned vitamin E staple is opening a new range of health benefit applications. **NW**

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*American River Nutrition is led by Dr. Barrie Tan, one of the world's foremost experts on vitamin E and the pioneering scientist and researcher credited with identifying the primary sources of plant-based tocotrienols. Anne Trias, MS, is product director at American River Nutrition, producer of DeltaGold tocotrienols sourced from the unique, virtually 100% tocotrienol-producing annatto plant.*

**Editor's Note:** For a complete list of references for this article, read the online version at [www.nutraceuticalsworld.com](http://www.nutraceuticalsworld.com).