Benefits

✓ Guaranteed Purity
✓ Better Absorption
✓ Enhanced Bioavailability
✓ Antioxidant Regenerator
✓ Reduces oxidation of cholesterol
✓ Metal Chelation
✓ Research
✓ Clinically demonstrated effectiveness
✓ Pharmaceutical Manufacturing License
✓ Good Manufacturing Practices (GMP)
✓ NHPD site licensed manufacturer

Antioxidants perform numerous tasks essential to health and life. A truly powerful and complete antioxidant satisfies several criteria including quenching a broad range of free radicals, chelating metals, interacting with and regenerating other antioxidants, metabolic regeneration and gene regulation. It must also be bioavailable and safe. R-α-lipoic acid and its reduced form, dihydrolipoic acid (DHLA), meet all the above criteria.

R-α-lipoic acid is a naturally occurring substance that offers health benefits beyond plain nutrition. It plays a pivotal role in cellular metabolism as a coenzyme in energy production and functions as an ideal antioxidant.

R versus S form

The R-enantiomer of lipoic acid occurs naturally. However, conventional synthesis of supplemental lipoic acid yields a mixture of R- and S-enantiomers. R-α-lipoic acid from Alpha Science is processed in such a way as to yield the active R-isomer only with a purity guaranteed to be higher than 99%.

Bioavailability of R- and S-lipoic acid has been studied extensively in humans using single dose administration. Intravenous administration yields no difference between R- and S-lipoic acid concentrations in plasma. However, after oral intake of the combined mixture, a 60% higher response is found for R-lipoic acid than for the S-form, which is highly significant.

In fact, recent studies have suggested that the S-enantiomer has an inhibiting effect on the R-enantiomer, reducing its biological activity substantially and actually adding to oxidative stress rather than reducing it. Furthermore, the S-enantiomer has been found to reduce the expression of GLUT4, the agent responsible for glucose uptake in cells, thereby reducing insulin sensitivity.

Antioxidant Properties of Lipoic Acid

Lipoic acid and its reduced form, DHLA, appear in tissues in free form indicating that lipoic acid is activated metaboli- cally to DHLA in vivo. DHLA is a strong reductant that can regenerate oxidized antioxidants. For example, when antioxidants such as ascorbate (vitamin C), glutathione, coenzyme Q10 and vitamin E scavenge radicals, they become radicals themselves. DHLA can directly and indirectly recycle these substances and “reactivate” them. This interaction has lead to the term “antioxidant network”. For example, when vitamin E scavenge a peroxyl radical, a vitamin E radical is formed. The vitamin E radical may be reduced at the lipid/water interface by several antioxidants, such as ascorbate, ubiquinol, and reduced glutathione (GSH) which themselves become free radicals. DHLA is able to reduce all these antioxidants and thus regenerate them and therefore take a central role in the antioxidant network. Remarkably, lipoic acid has both water-soluble and membrane-soluble characteristics enabling it to reduce oxidized antioxidants at the lipid/water interface.

The predominant form that interacts with reactive oxygen species is DHLA, but the oxidized form of lipoic acid can also inactivate free radicals. The table below lists broad array of reactive oxygen species scavenged by lipoic acid and DHLA.

Table: R-α-lipoic acid / Dihydrolipoic Acid (DHLA)—The Most Versatile Antioxidant Pair

<table>
<thead>
<tr>
<th>Oxidant</th>
<th>Lipic acid</th>
<th>Dihydrolipoic acid</th>
</tr>
</thead>
<tbody>
<tr>
<td>Hydrogen peroxide</td>
<td>Yes</td>
<td>Yes</td>
</tr>
<tr>
<td>Singlet oxygen</td>
<td>Yes</td>
<td>No</td>
</tr>
<tr>
<td>Hydroxyl radical</td>
<td>Yes</td>
<td>Yes</td>
</tr>
<tr>
<td>Nitric oxide radical</td>
<td>Yes</td>
<td>Yes</td>
</tr>
<tr>
<td>Superoxid radical</td>
<td>No</td>
<td>Yes</td>
</tr>
<tr>
<td>Hypochlorous acid</td>
<td>Yes</td>
<td>Yes</td>
</tr>
<tr>
<td>Peroxynitrite</td>
<td>Yes</td>
<td>Yes</td>
</tr>
<tr>
<td>Peroxyl radical</td>
<td>No</td>
<td>Yes</td>
</tr>
</tbody>
</table>

For comparison, vitamin E, which is regarded as one of the most important biological antioxidants, scavenges only peroxyl radicals in membranes.

Glutathione Synthesis

Lipoic acid enhances glutathione (GSH) levels in vivo and in vitro. Glutathione is the most important water-soluble endogenous antioxidant and is linked to detoxification of xenobiotics, modulation of signal transduction, prostaglandin metabolism, regulation of immune response, control of enzyme activity and peptide hormones, etc.

Studies with human cells show that lipoic acid is taken up rapidly by the cell and reduced to DHLA, which in turn reduces cysteine to cysteine and accelerates the biosynthesis of GSH. Cysteine availability is known as the rate-limiting factor in glutathione synthesis.

Beyond Free Radicals

Recent research has shown that antioxidants function beyond mere protection from oxidative damage. Oxidants and antioxidants are involved in the regulation of key mechanisms related to metabolism, immunity, arterial function, cell proliferation, aging and cell death. Oxidation and reduction (redox) emerges as the principle underlying mechanism. Thiol antioxidants such as glutathione and lipoic acid appear to play a predominant role in the redox-dependent regulation of numerous cellular targets.

Research has also concluded that antioxidants, namely lipoic acid, can be of preventive and/or therapeutic benefit for inflammatory disorders. Lipoic acid plays an additional...
There is evidence that it delays the aging process and improves brain function and memory. Studies of rat aging have suggested that the use of L-carnitine and lipoic acid results in improved memory performance and delayed structural mitochondrial decay. As a result, it may be helpful for people with Alzheimer’s or Parkinson’s disease.

Lipoic acid has long been associated with the treatment of liver conditions. The first human clinical studies using alpha-lipoic acid (ALA) in the US were carried out by Bartter, C. and Berkson, B., et al. at the National Institute of Health in the 1970’s. They administered intravenous ALA to 79 people with acute and severe liver damage at various medical centres across the United States and 75 recovered full liver function. Drs. Bartter and Berkson were appointed by the FDA as principal investigators for this therapeutic agent as an investigational drug and Dr. Berkson went on to use it successfully for the treatment of chronic liver disease (viral hepatitis, autoimmune hepatitis, etc.).

Studies also support its role in metal chelation. Transition metals such as iron, copper, mercury, or cadmium can induce free radical damage in biological systems by catalyzing decomposition of hydroperoxides leaving highly toxic hydroxyl radicals. The antioxidant properties of lipoic acid and DHLA lead to chelation of these metals increasing detoxification in heavy metal poisoning.

Recommendations

Food intake results in reduced bioavailability of lipoic acid. Therefore, it has been recommended to take it 30 minutes before a meal or 2 hours afterward. In diabetic patients gastric emptying is usually delayed. In insulin-dependent diabetics, delayed gastric emptying had no substantial influence on lipoic acid bioavailability.

Our Company

Integra Nutrition Inc. is the exclusive distributor of Alpha Science products. We have been servicing the health care professional since 1997. Our mission is to provide products of uncompromising quality with unquestionable integrity.

Alpha Science is a pharmaceutical licensed manufacturer and is an NHPD (National Health Products Directorate) site licensed facility and as such has to meet the highest standards set out by governmental health agencies. This includes meeting the requirements of Good Manufacturing Practices (GMP).

Further, Alpha Science also meets the highest standards set out by our natural health care clientele. All our products are 100% natural and contain no additives. Our products are regularly assayed for heavy metal contamination and a complete certificate of analysis verifies the purity and content of each ingredient.

References