Dynamic Chiropractic

HERBS/ TEAS & HOMEOPATHY

Using Botanicals to Prevent and Fight Degenerative Disease

PHENOLS, LIGNANS AND TERPENES: PHYTOMOLECULES OF HEALTH AND LONGEVITY.

Jeanne D'Brant, DC, DACBN, CTN, RH

One of the first lessons taught in organic chemistry is the dance of functional groups on and off of the carbon skeleton, and the unique properties these groups bestow. The function of any molecule, its chemical and physical properties, is determined by its shape. As chiropractors well know, structure determines function.

Since the Renaissance of herbalism in the 1970s, it has been the hydroxyl groups, or alcohols, which have engendered early and intense interest. Behaving as antioxidants, these compounds containing the oxygen-rich OH groups include phenols, polyphenols, phenolic acids, phenylpropanoids, flavonoids, stilbenes and lignans. Polyphenols contribute to colors, flavors, astringency, odors, and the plants' all-important bitter qualities. Eight thousand known polyphenols stem from two major precursors, shikimic acid and phenylalanine. Classification of polyphenols is based upon their number of phenol ring structures and how the rings bond to one another. Structural variations within each group include the number and arrangement of the hydroxyl groups and the extent of their glycosylation or alkylation.

Important Polyphenol Flavonoid Subgroups

Chalcones and aurones: the ancestral molecules of all of the flavonoids. Chalcones (copper) convert to aurones, the golden and yellow pigments that richly color flowers, herbs, fruits and vegetables. Sources include *Angelica, Glycyrrhiza, Piper* and *Ruscus* species.

Anthocyanins: (pro)anthocyanidins, AKA condensed tannins, are pigments found in produce in the blue / red / purple spectrum. These pigments assist in rhodopsin regeneration for night vision, improve vascular integrity and occur widely in elderberry, cranberry and the epithelial-critical omega-6 black currant oil. Other sources: chokeberry, bilberry leaf, grape seed, blueberry.

Flavonols, i.e., quercetin, hyperin, rutin, and myricetin, are just a few of the hundreds of glycosides that exist in about 80 percent of plants. Flavonols are the yellow co-pigments to anthocyanins and serve as strong antioxidants, protecting the chloroplast from excess UV radiation during photosynthesis. In human tissues they are antioxidant, anti-allergenic, and anti-inflammatory.

Quercitin, a powerful anti-inflammatory, has more than 135 different glycosides; rutin (quercetin-3-rutinoside) is beneficial for preventing mast cell degranulation, improving capillary fragility and venous integrity. Hyperin (quercetin-3-galactoside), is the main flavonoid in the cardiac amphoteric herb hawthorne. Also found in St. John's wort, it has shown anti-depressive activity and is cataract–preventive. Sources include onion, broccoli, kale, lingonberry, cranberry, blueberry, grapes and red wine, strawberry leaves, black currant oil, Hawthorne leaves and berries, green and black tea.

Flavones such as luteolin and apigenin are not as common as flavanols or flavonols. They are anti-inflammatory, anti-bacterial, spasmolytic, and inhibit cancer cell growth. Sources: cocoa, green tea, crabapple leaves, *Scutellaria* species, dittany, lemon balm, mint, sage, sweet marjoram, parsley, thyme, celery, artichoke rosemary, oregano, red peppers.

Flavanones, aka citrus bioflavonoids ("vitamin P") include naringenin (grapefruit, blood oranges) the antioxidant, antimicrobial, antihepatotoxic and antispasmodic substance found in grapefruit and blood oranges which inhibits platelet aggregation; hesperetin and neoeriocitrin, found in high concentration in the inner white rinds of citrus fruits. Liquiritigenin, the anti-inflammatory and antispasmodic found in licorice root, is a MAO inhibitor with anti-ulcer properties (caution: hypertension). Sources: skullcap, mentham, citrus *da chengqi tang*, *Scutellaria* species, *Yerba santa*, propolis.

Flavanols are monomers. Endothelium-dependent vasodilation follows the consumption of flavanol-rich foods, and purified flavanols are associated with increased nitric oxide activity. Sources: chocolate, lavandin, grape seed, green and black teas.

Isoflavones: phytoestrogens found widely in soy products and herbs such as astragalus, *Puerariae radix*, *Dalbergiae odoriferae*, lignum.

Abundant in herbs and produce, phenolic acids have two subgroups: benzoic acid and cinnamic acid derivatives. While red fruits, herbs and onions are of the first category, the more common dimorphic hydroxycinnamic acids are called *lignans*. Renowned for hormonal activity, lignans are primarily associated with flax seed (*Linum usitatissimum*) oil, pumpkin seed, berries and green tea.

Antimicrobial and insecticidal for plants, flavonolignans such as those found in milk thistle and schisandra are not estrogenic. With a wide variety of biological actions, lignans are adaptogenic, hepatoprotective and have demonstrated beneficial effects for colon, prostate and breast cancers, as well as chronic renal disorders and atherosclerosis.

Lignans

Healthy gut flora may be required for humans to obtain significant benefits from lignans. Gut microbiota convert the botanical lignans to the mammalian lignin forms of enterolactone and enterodiol. Overutilization of antibiotics, steroidals and other gut-altering medications has left the average American eating the SAD (Standard American) diet with imbalanced gut flora that cannot adequately drive lignan conversion.

Functional mammalian lignans are known to bind to SHBG (sex hormone-binding globulin), thereby displacing binding of testosterone and estradiol. They also alter estrogen metabolite production toward the antiproliferative E2 in a dose-dependent fashion. High levels of lignans are associated with lower risk for breast, prostate and thyroid cancer. Lowered breast cancer incidence is believed to be significantly greater in women with elevated genetic risk due to having one A2 allele of the CYP 17 gene, which codes for the androstenedione estradiol precursor. It has also been demonstrated that women with breast cancer have lower plasma levels of lignans than women without it. Silymarin, a blend of flavonolignans such as silybin, silydianin, and silychristin (hybrid molecules composed of a phenylpropanoid unit and a flavonoid) is hepatoprotective, antioxidant and preserves the integrity of the hepatic plasma membrane.

Polyphenols

Produced as secondary metabolites, polyphenols serve the plant as defenders against pathogenic activity and protectors against the oxidative effects of UV radiation. Epidemiological studies and related meta-analyses have definitively supported regular consumption of plant polyphenols as protective against induction of carcinogenesis, CVD, DM, neurodegeneration and bone loss.

Polyphenols disrupt chain oxidation reactions by sparing other endogenous antioxidants, affect absorption of oxidizing compounds such as iron, and protect against nucleotide damage. Their outstanding effects upon the cardiovascular system include potent inhibition of LDL oxidation, upregulation of HDL production, antithrombotic actions via inhibition of platelet aggregation and smooth muscle proliferation, enhancement of endothelial function, stabilization of plaque and anti-inflammatory effects.

Quercitin, a polyphenol widely occurring in botanicals and plants such as the onion, is documented to downregulate MMP1 (metalloproteinase 1) expression, which inversely correlates with CHD mortality. Polyphenols are known to have antiproliferative, apoptotic, antioxidant, anti-inflammatory, and estrogenic / antiestrogenic receptor regulation, as well as detoxification and cell signaling modification activities.

Many studies have confirmed the antidiabetic effects of polyphenols, which can attenuate diabetic nephropathy. Various mechanisms have been suggested, including reduction of glucose absorption in the gut and limiting its peripheral uptake. With aging, the efficiency of antioxidative and various repair mechanisms decreases. The important flavonoid subset known as anthocyanins are especially abundant in colored fruits such as berries and concord grapes. Anthocyanins have been shown to have potent antioxidant and anti-inflammatory activities, and to inhibit lipid peroxidation as well as COX-1 and COX-2. Rat studies have demonstrated reversal of age-related brain deficits. The polyphenols of green tea are also associated with anti-aging neurological activity.

Distribution of phenolics in plants is not uniform. Cell walls contain insoluble phenolics, while soluble types are found within vacuoles. Polyphenols such as quercetin are ubiquitous in vegetables, fruits, herbal infusions, teas and wine, while isoflavones and flavanones have specificity for selected herbs.

Usually, herbs and foods contain complex mixtures of polyphenols, with higher levels in the outer layers. Ripeness at time of picking hugely affects types and concentrations. Plant infection affects antimicrobial polyphenol concentration dramatically, as increased production is a response to injury. Adverse storage conditions are known to produce oxidation. It has been reported that wheat flour stored for six months evidences phenol concentration loss of 70 percent. Cold storage of fruits and herbs allows for little decrease in chemical concentration.

Free-form hydroxycinnamic acids are quickly absorbed in the SI and conjugated as flavonoids. However, these compounds must be hydrolyzed by esterases not found in the liver and intestinal mucosa, and present only in gut microflora. Without adequate microflora, necessary hydrolysis cannot occur. Although most polyphenols are absorbed along the SI, some must be hydrolyzed in the LI, where glycosides are converted to aglycones, which undergo further metabolism. After absorption, polyphenols undergo methylation, sulfation and glucuronidation, making them more bioactive and hydrophilic.

Terpenes: Carotenes and Xanthophylls

Terpenoids (diterpenes) or carotenoids include more than 700 known nutrients in herbs and produce.

They are divided into two groups, carotenes and xanthophylls. Carotenes, found in orange, yellow and red vegetables, herbs, and fruits, are polyunsaturated molecules containing 40 carbon atoms and their attendant hydrogens only (no oxygen or other elements). Some terminate in hydrocarbon rings on one or both ends of the molecule, and contain multiple conjugated double bonds. Carotenes are tetraterpenes, made from four 10-carbon terpene units.

alpha-carotene, beta-carotene and lycopene have been studied more than gamma, delta, epsilon, and zeta-carotene. Higher dietary intake and blood serum levels of x-carotene are associated with significantly lower risk of death. Note that carotenes together occur in nature, not as isolated molecules such as beta-carotene. Results of flawed research studies in which synthetic beta-carotene was administered to subjects cannot be applied to naturally occurring mixed carotenes. These hydrocarbons are fat-soluble and hydrophobic. Members of the other carotenoid group, the xanthophylls, contain oxygen and thus are less hydrophobic.

α-carotene, ß-carotene, and ß-cryptoxanthin are precursors to vitamin A and its bioactive form, retinol. Lycopene produces red coloration in botanicals and produce, including red grapes, watermelon, pink grapefruit, apricots and papayas. It does not have vitamin A activity, but lycopene-rich diets have been found to lower risk of prostate cancer, particularly in its more aggressive forms. The beneficial actions of most carotenes are enhanced by chopping, puréeing, and cooking, particularly in oil. Carotenoids are best absorbed with fat in a meal, and oil-based supplements offer superior absorption.

Ginkgo biloba contains diterpenes. The gingkolides A, B, C, J and M are diterpene lactones. They are synergistic with the flavonoids (flavonols, proanthocyanidins) for anti-inflammatory effects.

Xanthophylls, found in yellow and green herbs and produce, contain oxygen as well as lutein and zeaxanthin, powerful antioxidant which store in the retina and lens. Lutein and zeaxanthin seem to slow the development of cataracts and age-related macular degeneration. These molecules have long chains of carbons with alternating single and double bonds, which allow them to absorb light.

Lutein and zeaxanthin are present in high levels in the macula. They are efficient absorbers of blue light, preventing a considerable amount of it from damaging delicate visual structures via light-induced oxidative stress. This mechanism probably underlies their inverse association with age-related macular degeneration and cataracts. High functional levels of lutein and zeaxanthin are especially important in the 21st century because of 24-hour bombardment of the retina by blue-light-emitting computer and television monitors.

The phytochemical groups found in plants are complex in design and actions. While Americans are strongly encouraged to include more vegetables and fruits in their diets, emphasis must be placed upon consuming produce of various color groups to ensure that a broad spectrum of phytonutrients is bioavailable. Futher, restoration of gut microbiota consequent to antibiotic use and bottle-feeding appears to be crucial for biochemical activity. Herbs contain far greater concentrations of metabolically active phytomolecules than produce, an economic advantage that is underexplored. Botanicals deserve a prominent place in emerging phytochemical research on the prevention and treatment of degenerative disease.

Resources

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