Bioactive Compounds

Sources, Properties and Applications

Rocco Porter
Nigel Parker
Editors

Biotechnology in Agriculture, Industry and Medicine

NOVA

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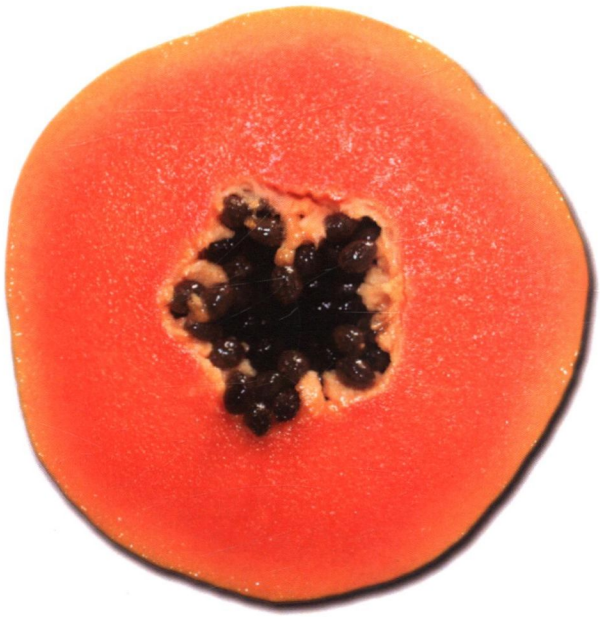

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SOURCES, PROPERTIES AND APPLICATIONS

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PREFACE

The study of bioactive compounds has received a considerable rising interest over the last three decades, given their biological activity as reported by scientific evidence linking these substances to the prevention of several types of diseases. Chapter One is aimed at making a wide description of sources, properties and applications of bioactive compounds. Chapter Two summarizes content of bioactive compounds (antioxidants, polyphenols, flavonoids, phenolic acids, vitamins, mineral compounds and others) of adaptogenic plants, including antidepressant, antioxidant, antiinflammatory, antimicrobial and anticancer activities, as well as their potential to prevent several disorders. Chapter Three summarizes and discusses the recent updates and progress made of so far on bioactive compounds from cyanobacteria and their therapeutic importance on human health. The influence of various bioactive compounds present in plant systems on the dehydration process under thermal stress was investigated in Chapter Four. Chapter Five reviews the scientific literature about the structure of PEs, as well as their natural sources and health effects. Chapter Six focuses on the most recent articles about phenolic compounds, their sources, properties and applications. The aim of Chapter Seven was to characterize the composition and antioxidant activity of new Brazilian Coffea arabica cultivars and correlate this information with the genetic background of the coffee plants and the sensory characteristics of the coffee brews. Chapter Eight summarizes and updates the current knowledge about the pharmacological properties of the naphthodianthrones – hypericin and pseudohypericin – and to discuss their main medical application – photodynamic therapy – in several areas. In order to further highlight the importance of Brazil's fruitful diversity and its bioactive potential, a number of items related to Brazilian native fruits will be addressed in Chapter Nine, including their biomes of origin, composition of bioactive compounds and potentials, as well as their limitations and future prospects. Chapter Ten discusses the benefits of using fruits containing bioactive compounds in whole wheat cookies, with particular attention to blackberries.

Chapter 1 - The study of bioactive compounds has received a considerable rising interest over the last three decades, given their biological activity as reported by scientific evidence linking these substances to the prevention of several types of diseases. In particular, plant origin products have been widely described as the most common source of bioactive compounds, mainly carotenoids, flavonoids, phenolic compounds, vitamins, dietary fiber, polyunsaturated fatty acids, terpenes, alkaloids, among others. As compounds of interest, over time it has been developed extraction techniques intended to separate these substances from the rest of the plant. Methods such as maceration or Soxhlet have been employed in the past years, however these techniques have as main drawback the use of undesired organic solvents. New technology has provided of methods which avoid the use of toxic solvents such ultrasound assisted extraction, microwave extraction, pulsed electric fields or supercritical fluids. On the other hand, parallel to the methods of extraction, some techniques for identifying and quantifying bioactive compounds have been developed, particularly chromatographic and spectral methods. The obtention of pure bioactive compounds has led to find a way to preserve them from environmental aspects such as light or oxygen, where encapsulation has been reported as an attractive strategy of conservation, especially for food and medical applications. The current study of bioactive compounds has focused on enhancing the bioavailability of these substances once they have been ingested. *In vivo*, *in vitro* and *ex vivo* procedures have been carried out to describe the level of absorption and the physiological effects of the intake of bioactive compounds.

Chapter 2 - The term "adaptogen" was originally established in 1947 by N. V. Lazarev to refer to a substance which was claimed to increase "non-specific" resistance to adverse influences to organism and stress. Plant adaptogenic substances are stated to have the capacity to normalize body functions and strengthen systems compromised by stress. It was reported that plant adaptogens have a protective effect on health against a wide variety of environmental assaults and emotional conditions. The mechanism of adaptogens appears to involve the hypothalamic pituitary adrenal axis with resultant decreases or normalizing of nitric oxide and cortisol, which are increased during times of stress. Most adaptogens also have anxiolytic and antioxidant properties and these have been attributed to their adaptogenic effect. As a contrary, stimulants give a temporary increase of work capacity. Adaptogens are performance enhancers. They work longer and do not drop off sharply as stimulants do, but rather "taper off." Studies on the mechanism of action of adaptogenic drugs revealed that they produce immunostimulation. Plants synthesize many primary and secondary compounds that have a dizzying array of chemical structures with positive effect to human body.

Chapter summarizes content of bioactive compounds (antioxidants, polyphenols, flavonoids, phenolic acids, vitamins, mineral compounds and others) of adaptogenic plants, including antidepressant, antioxidant, antiinflammatory, antimicrobial and anticancer activities, as well as their potential to prevent several disorders. These

following plants with adaptogenic effect are described in chapter: *Withania somnifera, Panax ginseng, Eleutherococcus senticosus, Schisandra chinensis, Glycyrrhiza glabra, Rhodiola rosea, Astragalus membranaceus, Codonopsis pilosula, Ocimum sanctum, Gynostemma pentaphyllum, Lycium barbarum, Rhaponticum carthamoides, Tribulus terrestris, Hippophae rhamnoides, Asparagus racemosus*.

Chapter 3 - Cyanobacteria, a group of oxygenic gram negative autotrophs are one of the most ancient and successfully thriving microorganisms present on Earth. This very diverse group of photoautotrophic prokaryotes is endowed with immense metabolic flexibility and biochemical diversity. They represent a massive untapped source of secondary metabolites that include bioactive compounds of immense importance in pharmacology and drug discovery. Cyanobacteria have been used as food by humans from times immemorial. They have also been recognized as an excellent source of vitamins and proteins and are popularly stocked in health food stores throughout the world. They are also excellent sources of fine chemicals, renewable fuel and bioactive compounds. Their role as antiviral, anti-tumor, antibacterial, anti-HIV and a food additive have been established. The cultivation of cyanobacteria in artificial and natural environments has been fully explored and therefore opens up avenues for the mass production of these valuable compounds. Many species produce a wide variety of therapeutically important novel bioactive compounds which include anti cancerous agents, antibacterial agents, antiviral agent, antifungal agents anti molluscicidal agents, antiprotozoal agents, anti-inflammatory agents, immune-modulators, antioxidant's, and mal-nutritional supplements.

This chapter summarizes and discusses the recent updates and progress made of so far on bioactive compounds from cyanobacteria and their therapeutic importance on human health.

Chapter 4 - Thermodynamic analysis of the dehydration process of seedlings of two maize hybrids (ZP434 (drought tolerant) and ZP704 (older generation hybrid, drought sensitive)) was performed. Thermodynamic quantities during the dehydration process of the seedlings treated with the different concentrations of 24-epibrassinolide (24-EBL) (a brassinosteroid originally isolated from Aegle marmelos L. Correa (Rutaceae), also known as golden apple) was examined. Comparison of results was carried out in the case of control samples with those which were treated with 24-EBL. In this work, the influence of various bioactive compounds present in plant systems on the dehydration process under thermal stress was investigated. It was found that trehalose has an impact on the level of resistance to thermal activation of dehydration process, and it was assumed that it may have an impact on the possible change in the reaction mechanismin toward stress protection. Based on the enthalpy-entropy compensation theory, it has been found that water desorption mechanism in hybrids is controlled by entropy, where results demonstrated that the molecular rearrangements are at high levels. Based on the BET (Brunauer-Emmett-Teller) and modified BET approaches, it has been found that response

of ZP704 to 24-EBLs is more acceptable than in the case of ZP434. Based on the performed glass transition temperature analysis of control samples, it was assumed that presence of LEA proteins probably increases the glass transition temperature (where this is checked by means of theoretical analysis) of a glassy matrix made of sucrose and strengthens hydrogen-bonding network. Results showed that this phenomenon is favored in the case of ZP434 control sample. It was found that 24-EBL affects both germination and growth of the maize hybrids ZP704 and ZP434 at the lower and higher concentrations of applied phytohormone. The hybrids reacted divergently to the exogenous application of 24-EBL. Lower concentration has a stimulating effect on growth and germination, while high concentration of 24-EBL has an inhibitory effect on these processes.

Chapter 5 - Phytoestrogens, also called estrogens, are bioactive compounds original from plants. They are similar in structure and functionality to the estrogenic hormones in animals. It has been documented that these compounds have several effects on the human body, namely in terms of carbohydrate, protein, lipid and mineral metabolism. Some of the most known effects of these substances are related to their roles in the women's reproductive system.

The dietary phytoestrogens are present in vegetable sources, like some herbs, grains or fruits. Their structure is similar to that of estradiol, which can act in the body both as estrogenic or antiestrogenic. They are classified into the following categories: i) isoflavones, which are essentially present in legume beans, and particularly soy beans and soy products; ii) lignans, which are part of foods rich in dietary fibre, like cereal brans, beans, legumes or oilseeds; iii) coumestans, found in various beans such as split peas, pinto beans or lima beans, and also in alfalfa and clover sprouts; iv) mycoestrogens, which are produced by molds.

Phytoestrogens can be used as human health enhancers, and have many documented beneficial effects on the human body. They are reported to diminish the incidence of some types of cancer, as well as to minimize menopausal symptoms and prevent osteoporosis. Also some benefits have been associated with the ingestion of these bioactive compounds and protection against cardiovascular diseases.

Hence, this chapter aims at reviewing the scientific literature about the structure of PEs, as well as their natural sources and health effects.

Chapter 6 - Phenolic compounds are secondary metabolites widely distributed by fruits, vegetables, legumes, herbs, medicinal plants, cereals, seeds, chocolate, coffee, wine, tea, nuts, extra virgin olive oil, beverages, among others. They can be classified in different ways because they are constituted in a large number of heterogeneous structures that range from simple molecules to highly polymerized compounds. Based on their carbon skeleton, phenolic compounds are classified in non-flavonoids (phenol, phenolic acids, benzoquinones, stilbenes and lignans groups) and flavonoids (flavonols, flavones, anthocyanins, flavanones, isoflavones and flavan-3-ols) compounds. The growing interest

in this class of phytochemicals is mainly due to their antioxidant potential and the association between their consumption and prevention of some diseases. The health benefits of these chemical compounds are directly linked to a regular intake and their bioavailability. Several studies have shown that phenolic compounds exhibit various biological and pharmacological activities, including antioxidant, cytotoxic, anticancer, antiviral, antibacterial, cardioprotective, hepatoprotective, neuroprotective, antimalarial, antileishmanial, antitrypanosomal and antiamebial properties. These biological and pharmacological properties are usually attributed to their free radical scavenging efficacies, metal complexion capabilities, and their ability to bind to proteins with a high degree of specificity. Antioxidants are one of the most common active ingredients of nutritionally functional foods, which can play an important role in the prevention of oxidation and cellular damage by inhibiting or delaying the oxidative process. In the present review, the authors will focus the most recent articles about phenolic compounds, their sources, properties and applications.

Chapter 7 - In Brazil, where there are several major coffee producers, there is a substantial number of Arabica coffee cultivars, and the diversity in crop conditions results in large differences in coffee composition as well as differences in the cup quality of the coffee brew that is produced. Achieving high productivity with excellent sensorial quality and functional properties is a challenge for producers and the coffee industry. The aim of this study was to characterize the composition and antioxidant activity of new Brazilian *Coffea arabica* cultivars and correlate this information with the genetic background of the coffee plants and the sensory characteristics of the coffee brews. Nine cultivars of arabica coffees produced in the same edaphoclimatic conditions were studied. Natural coffee beans were subject to standardized post-harvest treatments and a roast process. The bioactive compounds caffeine, trigonelline, 5-CQA, cafestol and kahweol were evaluated by reverse phase liquid chromatography, and melanoidins were measured using spectrophotometry. Antioxidant activity (AA) was estimated while considering the ABTS radical scavenging activity, the linoleic acid autoxidation inhibition (conjugated diene formation) and the reducing capacity (the Folin–Ciocalteu method). Free choice profiling was applied for sensory descriptive analysis. Considering that harvest and post-harvest conditions and roasting processes were standardized, the differences observed among the samples could be attributed mostly to their genetic characteristics. IPRs 97, 104, 107 and 108 (Sarchimors-derived) and IPR 102 (Catuaí x Icatu) had high trigonelline and 5-CQA content as well as a high reducing capacity. Regarding the sensory characteristics, these coffee brews had negative (e.g., transparency, green aroma, astringency, green taste and watery texture) or positive (e.g., brightness, sweet aroma, acidity, bitter, sweet taste and full-bodied texture) attributes in the brew, and some of these were similar to attributes found in immature coffee beans. IPRs 100, 101 and 105 (Catuaí-derived) as well as 106 (Icatu-derived) had high caffeine, nicotinic acid and AA contents (estimated by ABTS and dienes). IPRs 105 and 106 were also distinguished by

higher cafestol and kahweol content. Coffee brews of IPR 100, 101, 105 and 106 cultivars were described with attributes that are considered positive for a coffee brew: high intensity of coffee colour, turbidity, coffee aroma, chocolate taste and aroma, and sweet and bitter taste as well as a full-bodied texture. These coffees were highlighted by good sensory and high functionality characteristics, showing a potential for future research for functional foods.

Chapter 8 - *Hypericum perforatum* L., commonly known as St. John´s wort, is one of the most studied medicinal plants throughout the world due to its numerous pharmacological activities. During a long period, *H. perforatum* has been used in traditional medicines for healing skin wounds, eczemas, burns, diseases of the digestive tract, and several psychic disorders especially mild and moderate depression and more recently in diabetes and as an antitumoral. The major bioactive components of *H. perforatum* are naphthodianthrone derivatives (hypericin and pseudohypericin), phloroglucinol derivatives (especially hyperforin), procyanidins, tannins, essential oils, phenylpropanes, xantones and flavonol derivatives. Among these, the naphthodianthrones, hypericin and pseudohypericin are some of the best-known constituents, which have been reported to exert various major biological activities of this plant. Most of these biological activities (responsible for the therapeutic effects) include antioxidant, anticonvulsant, analgesic, anti-inflammatory, cytotoxic and neuroprotective properties, and are achieved by several mechanisms. Over the years many reviews have already been published describing the biological activities of *H. perforatum*, with a focus on the role of some of its constituents, particularly hypericin. Furthermore, hypericin and pseudohypericin are closely related molecules. However, pseudohypericin is less known and, during the last years, has gained more relevance in the inflammatory responses.

This review intends to summarize and to update the current knowledge about the pharmacological properties of the naphthodianthrones – hypericin and pseudohypericin – and to discuss their main medical application – photodynamic therapy – in several areas. Finally, future perspectives and studies related to the potential role of hypericin and pseudohypericin in these applications are discussed.

Chapter 9 - Brazil is a country internationally known for its vast territorial extension and biodiversity of flora and fauna. When it comes to plant species, Brazil stands out for the great representativeness of endemic species. Each of the six biomes that compose the Brazilian ecosystem has its own specimens, with the Atlantic Forest, Cerrado and Amazon contributing most to the rich diversity of native species. In recent years, many studies have been conducted with native fruits, including research on the nutritional and bioactive aspects. In folk medicine, the use of various plant organs for the preparation of infusions or direct consumption demonstrates the common knowledge of the bioactive properties of these compounds, even if in some cases not scientifically proven yet. The *açaí* (*Euterpe oleracea* Mart.) is an example of native fruit from the Amazon biome, rich in flavonoids, and already widespread in several regions of the country, being consumed

not only by the Brazilian population, but by people from different countries. On the other hand, native fruits such as the *araçá* (*Psidium cattleianum* Sabine), from the Atlantic Forest, rich in phenolic compounds and vitamin C, have their knowledge limited even by the population from which it comes. In addition to being excellent sources of bioactive compounds when consumed *in natura*, native fruits have great potential for use in many different areas, such as in the food, pharmaceutical and cosmetics industries. And it is these bioactive properties of the compounds found in the native fruits that arouse consumer interest for these products. Several studies have already demonstrated the antioxidant, anti-inflammatory, antimicrobial, antiproliferative and anticarcinogenic potential of different native fruits. The processing and storage of the native fruits may lead to the loss of the bioactive compounds due to the destruction or loss of these substances in the most diverse processes, and, consequently, to cause a decrease in the biological effects of these compounds. When the subject is international market, several aspects hamper the availability of native fruits in other countries, such as: high perishability, seasonality, lack of agronomic information, lack of commercial cultivation among other factors. The fact that they are important sources of bioactive compounds, together with the cultural importance of these fruits and the need for diversification of products on the market, justifies the call for greater investment in research, both for cultivation and for native fruits potential.

Chapter 10 - Research on bioactive compounds in food has been gaining interest due to its health benefits, together with the increasing consumers' demand for a balanced diet rich in fruits, vegetables, and cereals. To be considered a functional food, it must satisfy basic nutritional needs and provide additional physiological benefits to the consumer. In this context, although whole grain cereals have been used in baking, including bread, cakes, biscuits, and pasta, these products have lower acceptance by the consumer due to the different color and flavor when compared to the conventional products. An alternative for using whole cereal products in food formulations may be their association with fruits, which can improve the sensory acceptance of the product and increase the bioactive compounds input, without compromising the technological quality. The use of fruits in high consumption products such as cookies can bring benefits to those countries with a great diversity of fruits with high potential as a source of bioactive compounds, which are not commercially exploited. Most of these fruits show exceptional sensory quality, attracting attention for their exotic and nutritional appeal, such as the blackberry, which has an intense bittersweet and purplish-red color due to the high concentration of anthocyanins. However, in tropical countries, high temperatures and excessive humidity contribute to a high perishability of fruits. Therefore, dehydration processes can increase fruit stability, which can be used to replace fresh fruits in exported products, desserts, fillings, and cereal-based products. This chapter will discuss the benefits of using fruits containing bioactive compounds in whole wheat cookies, with particular attention to blackberries.

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