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Polyphenols-Versatile Weapons in Plants and Human Beings

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Enzymatic Browning: Phenolic Oxidation in Fruits

An apple a day keeps the doctor away. The healthy value of fresh fruits is widely known and accepted. However, did you ever wonder why the apple you are eating after lunch is getting brown after a while? This is a specific enzymatic reaction. Plants and especially fruits contain large amounts of polyphenols, which are oxidized. This oxidation reaction is catalyzed by o-diphenyloxidases (cresolases, catecholases). Phenolic compounds react to o-dihydroxyphenols and further to o-quinones. Then, p-phenol oxidases catalyze hydroquinones to p-quinones. These reactions do not take place in intact cells, because phenols and phenol oxidases occur separately in the cell. Phenol oxidases are bound to the membranes of chloroplasts and mitochondria, while phenols are in the cytosol. Only after wounding the cells, i.e., by cutting the fruit, both come together and enzymatic browning starts. The generated o-quinones react spontaneously without participation of further enzymes with other phenols or amino acids and dark colored melanines are generated [1]. Browning of fruits worsens taste, aroma and nutritional value of fruits and vegetables. Hence, it is important to eat fresh fruits without browning, not only because they taste better, but also because intact polyphenols instead of degraded products display their full healthy potential.

Browning is, however, also interesting under a different aspect. Fruits are not getting brown in a uniform manner at the cut surfaces. This can be illustrated for instance with apples and bananas. The browning starts first around the inner core in the apple (Figure 1A) and at the interfaces of the peel in the banana (Figure 1B). This indicates that the highest concentrations of polyphenols are at these locations. This observation reminds once more that secondary metabolites are chemical weapons in the armory of plants to deter microbial attack.

Physiological Role of Polyphenols in Plants

The distribution of browning raises the question, whether there is a biological function, and indeed it is. Polyphenols take over very important tasks in plants to protect them against attack from microbes (viruses, bacteria, protozoans) and predatory herbivores (worms, insects, mammals). The protection of fruits such as apple, banana and many others apparently occurs at two lines of defense. The first line of defense is the outer peel, which protects the fruits from infection of viruses and bacteria. The second line of defense is around the semen. Defense from microbes and predators is not the only task of polyphenols. This class of compounds serves the plant also as color or flavoring substances. For instance, anthocyanidins occur in the cells as glycosides. Depending on the pH-value in the cytosol and the glycoside complex formation with Fe(III) and Al(III) ions, their color can vary from red orange, to red violet, violet to blue [2]. Another example is polyphenolic tannins, which are important flavors in red wine [3].

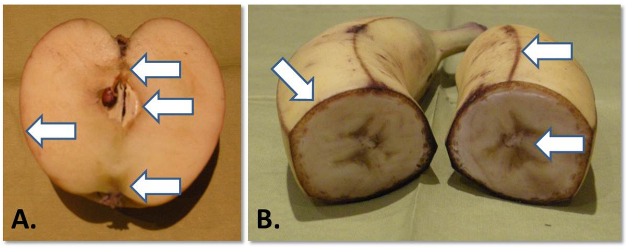

Figure 1: Browning of fruits A: Apple B: Banana

Furthermore, polyphenols are important monomers for polymeric scaffold biopolymers, such as lignin and suberin [4,5]. Moreover, polyphenols also protect from the detrimental effects of UV light [6]. Although plants need sunlight to perform photosynthesis, they have to protect their photosystems from UV-light induced damage and the anti-oxidative activity of polyphenols serves as valuable protection. Interestingly, it is discussed, whether this sun-protecting features of polyphenols can also be exploited as sunscreens for human skin [7]. This brings us to the second major area of interest of polyphenols: the pharmacological and pharmaceutical potential of this class of compounds.

Pharmacological Activity of Polyphenols

Independent of the enormous importance of this widely distributed class of secondary metabolites in the plant kingdom, polyphenols also reveal a plethora of bioactivities in human beings. There is a plethora of reports on the health promoting effects of polyphenols both to prevent and treat diseases. The long list of diseases that have been investigated to be affected by polyphenols includes cancer, cardiovascular diseases, diabetes, obesity, neurodegenerative diseases and many others [8-13]. Worth mentioning in this context is polyphenon E as the first FDA approved anticancer drug from botanical origin as defined compound mixture [14]. Antioxidant and anti-inflammatory mechanisms play an important role in mediating these effects [15,16]. Recently, genome-wide microarray hybridizations have been applied to unravel the molecular mechanisms of polyphenols [17]. The tremendous bioactivities against such a large panel of diseases explain why polyphenols are attractive research objects and that an ever increasing number of publications on polyphenols and their preventive and therapeutic application in medicine (Figure 2).

A problem with dietary polyphenols is that they are extensively metabolized in the digestive tract before reaching the target organs [18]. Therefore, the numerous in vitro investigations in the literature needed to be validated by in vivo studies. Without evidence for activity in animals, we do not have convincing proof, whether sufficient levels of polyphenols can be reached in blood serum of living organisms to exert therapeutically relevant effects.

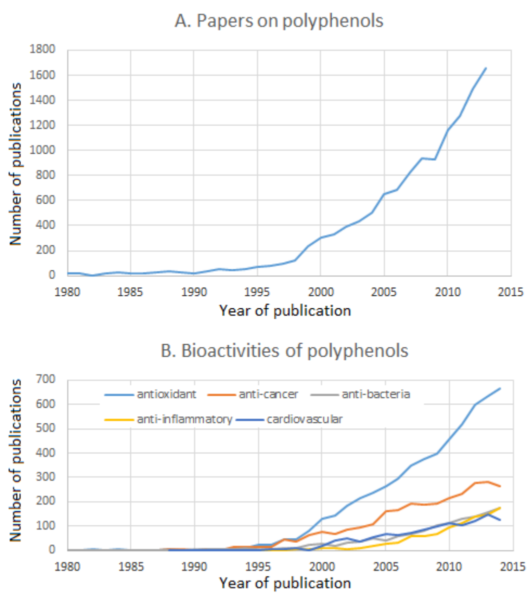

Figure 2: Graph showing papers on polyphenols v/s bioactivities of polyphenols.

A next step that has to be approached is the performance of clinical phase I/II trials. The translation from preclinical investigations to clinical application is certainly not trivial, but indispensable, if polyphenolic treatment should be realized for routine therapy.

Polyphenols from vegetables and medicinal plants bear the potential to develop effective therapies for patients in developing countries, who frequently cannot afford the expensive drugs used in academic medicine of industrialized countries. This therapeutic potential should be realized for the sake of all patients on this planet.

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