Dermacosmetic Potential of Bioactive Compounds in Coffee

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Contributor: Raquel Rodrigues, Maria Beatriz Prior Pinto Oliveira, Rita Carneiro Alves

Coffee is one of the most widely consumed beverages in the world and its consumption is associated with various health benefits, such as reduction of type II diabetes risk, or protection against neurodegenerative diseases, which have been mostly attributed to two major groups of bioactives present, phenolic compounds and alkaloids. These compounds also possess characteristics with dermacosmetic interest that have been explored over the years in regard to skin health and beauty, as well as hair care.

Keywords: coffee silverskin ; spent coffee grounds ; extraction ; bioactivities

1. Introduction

Coffee is one of the most widely consumed beverages in the world and its consumption is associated with various health benefits, such as reduction of type II diabetes risk, or protection against neurodegenerative diseases, which have been mostly attributed to two major groups of bioactives present, phenolic compounds and alkaloids [1][2]. These compounds also possess characteristics with dermacosmetic interest that have been explored over the years in regard to skin health and beauty, as well as hair care [3][4].

Considering that about 50% of the coffee fruit is discarded in its production, the coffee industry is responsible for generating large quantities of residues [5][6]. Their disposal constitutes a serious environmental hazard, especially due to their content of caffeine, tannins and polyphenols, which can present a phytotoxic effect when improperly discarded in the soil [5][7]. On the other hand, such bioactive compounds are a source of possible active ingredients for many industries, such as pharmaceutical or cosmetic ones [7]. Converting by-products into products of higher quality or value, an upcycling approach, represents the closing of the circle of a design aimed to increase the product overall sustainability, in a circular economy model [8].

2. Bioactive Compounds: Dermacosmetic Potential

Plants contain a variety of natural antioxidants produced as a response to environmental stressors, such as ultraviolet (UV) radiation or high temperatures, in order to preserve their physical and metabolic integrity [9]. Antioxidants protect skin against oxidative stress, so they are frequently added to anti-aging formulations, since oxidative stress plays a major role in the intrinsic, as well as the extrinsic, process of skin aging [9].

UV exposure is the main external factor in the aging process, promoting oxidizing effects through the generation of reactive oxygen species (ROS), thus photoprotector and anti-aging proprieties are tightly linked [9]. In addition, damage to the skin barrier from UV exposure creates an inflammatory response with the production of cytokines (e.g., IL-1α, IL-6, and TNF-α), proteolytic enzymes and oxidant species, therefore photoprotection is enhanced by anti-inflammatory properties [9]. ROS also play a fundamental role in the regulation of matrix metalloproteinases (MMPs), such as collagenase or elastase, which degrade fundamental extracellular matrix proteins, namely collagen and elastin, known to provide strength, flexibility, and firmness to the skin [9][10]. Another enzyme, hyaluronidase, has an increased expression with UV exposure and inflammatory processes, so the ability to inhibit this protein can also contribute to an anti-aging effect since hyaluronic acid is part of the natural moisturizer factor and vital to maintain skin's hydration and evenness [9].

Regarding intrinsic aging, collagen and elastin synthesis decreases with age, as does hyaluronic acid content; at the same time, expression of MMPs increases in fibroblasts and keratinocytes, leading to loss of skin elasticity and wrinkles [9].

In that sense, bioactive molecules that can slow down the rate of intrinsic skin aging processes, as well as diminish the impact of extrinsic factors, are of great interest in the development of anti-aging skincare products.

2.1. Chlorogenic Acids

Phenolic compounds are mainly found in green coffee beans as chlorogenic acids (CGAs), up to 12% in dry weight, and are the main antioxidants present [6][11]. The three major CGAs classes in coffee are caffeoylquinic acids (CQA), feruloylquinic acids (FQA) and dicaffeoylquinic acids (diCQA) [11]. Caffeoylquinic acids, are esters of caffeic acid and quinic acid, and present several isomeric forms, such as 5-caffeoylquinic acid, which is the major CGA in green coffee beans and often referred to as the chlorogenic acid [12]. CGAs are also the main group of phenolic compounds in post-roasting coffee by-products being reported at levels between 1–6%, a lower value than unroasted coffee beans, since they can be thermally degraded [6][11][13].

Chemical-based assays have shown that CGA can scavenge $ABTS^{\bullet+}$, $DPPH^{\bullet}$, and hydroxyl radicals, as well as superoxide anions and peroxylnitrite [14]. CGA also has been demonstrated to increase collagen synthesis in human dermal fibroblasts (HDFs) and upregulate the transcription of skin barrier genes in epidermal keratinocytes, such as the ones encoding for filaggrin, a protein which plays an important role in the skin's barrier function, without showing cytotoxicity [10].

Cho et al. [15] investigated CGA activity in mouse fibroblast cells under ultraviolet B (UVB) radiation. UV light has an adverse effect on dermal collagen not only by stimulating MMP action, as mentioned before, but also through inhibition of collagen biosynthesis [15]. CGA inhibited intracellular ROS production and the expression of metalloproteinases MMP-1, 3, and 9, as well as the activity of enzyme xanthine oxidase, an enzyme associated with free radical generation [15]. On the other hand, in CGA-treated fibroblast cells, type-I procollagen (a collagen precursor) increased [15]. Due to conjugative bonds in their structures, phenolic compounds often exhibit UV absorption and photoprotective capacity which this research could also demonstrate [15]. Another study aimed to investigate the anti-aging ability of CGA on HDFs regarding ultraviolet A (UVA)-induced skin photoaging, since UVB mainly leads to the damage of the epidermal layer [16]. UVA rays penetrate more deeply into the human skin dermal tissue, hence UVA is the main contributor for skin photoaging [16]. This research demonstrated that CGA treatment increased the collagen biosynthesis and secretion in HDFs, especially in type 1 collagen, and decreased MMP-1 and MMP-3 expression [16]. In addition, CGA attenuated the decrease of fibronectin after UVA exposure, which may indicate its synthesis-promoting role in other extracellular matrix proteins [16]. Additionally, CGA reduced the accumulation of UVA-induced ROS, reduced DNA damage and promoted cell repair [16].

CGA treatment in human HaCaT cells reduced the amount of DNA breakage induced by UVB radiation as demonstrated by Cha et al. [17]. CGA scavenged $DPPH^{\bullet}$, superoxide anions and hydroxyl radicals generated by radiation, and was also capable of absorbing electromagnetic radiation in the UVB range, thus providing evidence of photoprotector ability [17].

CGAs also possess anti-inflammatory activity through inhibition of pro-inflammatory cytokines and reduced expression of COX-2 and iNOS [18]. A decrease in inflammatory molecules, IL-1β, IL6 and TNF-α, as well as COX-2 and nitric oxide, was observed in CGA-treated macrophage cells stimulated by LPS, without cytotoxicity [18].

Antibacterial activity was observed against *Klebsiella pneumoniae*, *Staphylococcus epidermidis*, and *Staphylococcus aureus*, with no cytotoxicity, at minimal inhibitory concentrations ranging from 31.3 to 250 µg/mL of coffee silverskin aqueous, hydroalcoholic and alcoholic extracts [19]. This antibacterial activity can be related to the presence of phenolic compounds, being CGA the most relevant, but also to other components, particularly melanoidins that have known antibacterial effect. [19].

2.2. Caffeine

Caffeine, a methylxanthine, is the main alkaloid present in coffee beans [20]. Its chemical structure is similar to cyclic adenosine monophosphate (cAMP) adenosine, thus most of its biological activity is mediated through an antagonist effect of the adenosine receptors leading to nervous system stimulation, cardiovascular and metabolic effects [2][21]. Potential protection against neurodegenerative diseases, such as Parkinson's disease, has also been studied [2].

Caffeine has become increasingly popular as an ingredient of cosmetic products due to its ability to penetrate the skin barrier and biological effects that improve skin and hair condition [21]. In fact, it is frequently used in different cosmetic formulations due to its antioxidant, UV-protective and lipolytic action [20]. Caffeine is also present in many fortifying and anti-hair loss products [21]. Hair follicles are sensitive to hormonal action, particularly dihydrotestosterone (DHT), which is produced when an enzyme, 5-α-reductase, converts testosterone to DHT, which shortens the anagen phase of the hair

cycle [21]. Caffeine can stimulate hair growth in two ways: by improving microcirculation in the hair scalp, increasing nutrients delivery and oxygenation; and inhibiting 5-α-reductase [21].

Besides antioxidant and anti-inflammatory properties, epidemiological studies have also suggested that caffeine consumption reduces the incidence of non-melanoma skin cancer [21][22]. Caffeine can also be incorporated in skincare products such as a sunscreen adjuvant acting in synergy as a photoprotector and a photo stabilizer [23]. Sunscreens with 2.5% content in caffeine were prepared by Rosado et al. [23] and their efficacy was assessed in vitro and in vivo. Both assays showed higher sun protection factor (SPF) values for the caffeine formulated sunscreen combined with chemical and physical filters, compared to the caffeine-free sunscreen, reporting an increase of, approximately, 25% in the in vivo anti-UVB protection [23]. It has been suggested that topical caffeine can prevent UVB-induced carcinogenesis by its sunscreen function, but also by enhancing apoptosis in DNA damaged cells [24][25].

The potential of caffeine as a therapeutic agent against photoaging was explored by Eun Lee et al. [22] considering a possible inhibitory effect of MMPs (collagenase and elastase) and tyrosinase activity. The bioassays were performed with different caffeine concentrations between 10 and 1000 µg/mL. This work revealed that caffeine strongly inhibited collagenase followed by elastase, in a concentration-dependent manner, and had a week inhibition activity towards tyrosinase (only the highest caffeine concentration tested, 1000 µg/mL, had statistically different results for this enzyme) [22].

Table 1 and **Table 2** summarize some of the most important biological activities demonstrated by CGA and caffeine, respectively, with dermacosmetic impact.

Table 1. Chlorogenic acids skin-related benefits documented in scientific literature.

Chlorogenic Acids Dermacosmetic Activities	
Antioxidant and anti-aging	**Ability to scavenge free radicals [14]** **Xanthine oxidase inhibition [15]** **Down-regulation of MMP-1, MMP-3, and MMP-9 [15][16]** **Up-regulation of procollagen synthesis [15][16]**
Photoprotective and anti-cancer	**UV-B absorption [15][17]** **Protection against UV-induced DNA damage [16][17]**
Anti-inflammatory	**Downregulation of pro-inflammatory molecules [18]** **iNOS and COX-2 inhibition [18]**
Antibacterial	**Growth inhibition of *Klebsiella pneumoniae*, *S. epidermidis*, and *S. aureus* [19]**

Table 2. Caffeine skin-related benefits documented in scientific literature.

Caffeine Dermacosmetic Activities	
Thermogenic and anti-cellulite	**Lipolytic action through inhibition of phosphodiesterase activity in adipocytes [21]**
Antioxidant and anti-aging	**Inhibition of lipid peroxidation induced by ROS [7]** **Collagenase and elastase inhibition [22]**
Photoprotective	**SPF enhancer [23]** **Inhibit the development of UVB-induced skin cancer [25]** **Induce apoptosis in UV-damaged keratinocytes [25]**
Hair growth stimulant	**Increase blood circulation and inhibition of 5 α-reductase [21]**

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