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HERBAL APPROACHES TO SKIN LIGHTENING: A COMPREHENSIVE REVIEW

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ABSTRACT: Hyperpigmentation is a skin complaint where black patches or spots appear on skin. It affects a large percentage of the human population. Cosmetics that naturally lighten the skin have been shown to be both safe and effective for treating hyperpigmentation. To achieve a lighter skin appearance, skin lightening goods are commercially accessible for cosmetic resolutions. They're also utilised in the clinic to treat pigmentary disorders like melasma and post-inflammatory hyperpigmentation. Various stages of melanin content in the skin are affected by whitening agents. The finding demonstrates that numerous bioactive substances have anti-oxidant and anti-inflammatory properties in addition to tyrosinase inhibition, melanosome transfer inhibition, and other mechanisms that affect the melanin production pathway. Many of them have been identified as good inhibitors of tyrosinase, a central enzyme in the process of melanogenesis. Others stop this enzyme from maturing or from transporting pigment granules (melanosomes) from melanocytes to keratinocytes. We present an overview of whitening products obtained from natural sources that may reduce skin pigmentation by interfering with pigmentary processes in this review. Several bioactive compounds found in plants with skin lightening activity led to the enrichment of skin lightening properties and the inhibition of hyperpigmentation. Finally, before developing a formulation for a successful skin lightening solution, screening prospective skin lightening chemicals from natural sources is critical.

INTRODUCTION: Hyperpigmentation, or darkened patches or spots on the skin, has become one of the most common cosmetic issues among humans, both male and female. Overproduction and accumulation of melanin pigments or an increase in the number of melanocytes expressing melanin synthesis within the skin layers are most likely the causes of this [1, 2]. Post-inflammatory hyperpigmentation, solar lentigo, freckles, melasma, and age spots are all examples of hyperpigmentation problems.

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A pigment known as Melanin, found in the skin, hair, and eyes are responsible to give them colour [3]. The quantity, forms, and circulation of melanin in the supra-basal skin layer, as well as the size and amount of melanosomes, determine skin colour variation among races. Melanin protects human skin from the damaging effects of ultraviolet radiation, drugs, toxic substances and other environmental factors, in addition to defining human skin color [1].

The existence of melanin units is critical for development of melanin. Melanogenesis, also known as melanin production, is a complex sequential system including the rate-limiting enzyme tyrosinase that arises in melanosomes, membrane-bound organelles of melanocytes [4]. However, internal and external factors by means of hormone regulation and inflammation, as well as

UV exposure and drugs, may influence melanin development [1]. As a result, melanin development would increase, resulting in hyperpigmentation. As a result, cosmetics containing skin lightening agents are thought to be a viable solution to this issue. Most cosmetics now contain a variety of skin-lightening ingredients, which can be contained in natural, semi-synthetic, or synthetic forms [5]. Skin lightening agents are said to be used to avoid hyperpigmentation by reducing melanin output [6], in addition to produce skin that is lighter and more even. On the melanin biosynthesis pathway, different skin lightening mediators have different mechanisms of action. However, the use of marketed obtainable skin lightening products raises some contentious and doubtful safety concerns for consumers. This is due to the use of toxic whitening chemicals such as hydroquinone [7] and mercury in cosmetic products for an instant and greater lightening effect. As a result, natural skin lightening products are a better option than chemical skin lightening products because they are safer, less expensive, and have fewer side effects [8]. The layer of human skin is well explained by diagrammatically in **Fig. 1.**

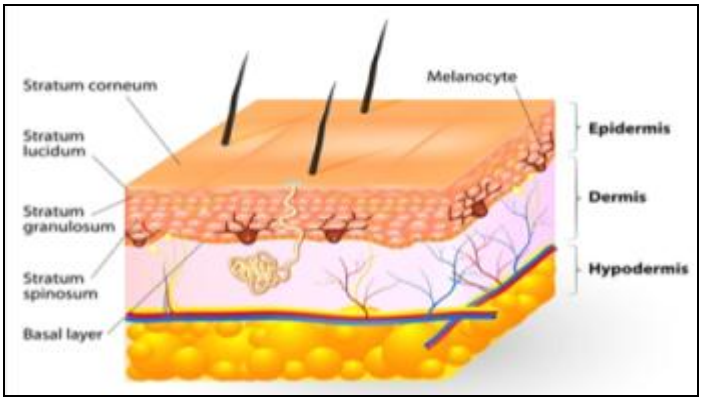

FIG. 1: THE LAYER OF HUMAN SKIN

Inhibition of Tyrosinase Enzyme: Skin hyperpigmentation can be effectively treated by inhibiting the activity of the tyrosinase enzyme during melanogenesis process. The enzyme tyrosinase is a slow-moving one, copper-containing that primarily uses tyrosine as a substrate in the production of melanin pigment [9]. **Fig. 2**, shows how it catalyses during melanin biosynthesis, the hydroxylation of L tyrosine into L-3,4-dihydroxyphenylalanine (L-DOPA) and the oxidation of L-DOPA into L-DOPA quinine [9]. However, blocking this primary enzyme at an early stage in the process would cause the entire melanin biosynthesis pathway to be disrupted. As a result, melanin output will be reduced in the future. Various chemical compounds have been discovered to have inhibitory action against tyrosinase. The majority of drugs, such as arbutin and glabridin, are isolated and obtained from natural sources. Melanin biosynthesis pathway and eumelanin and pheomelanin production shown in **Fig. 2.**

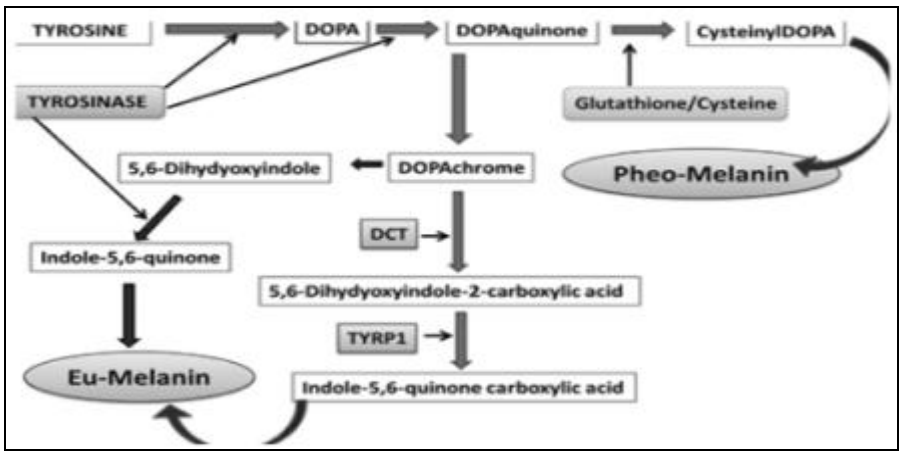

FIG. 2: MELANIN BIOSYNTHESIS PATHWAY AND EUMELANIN AND PHEOMELANIN PRODUCTION

Mechanisms of Natural Skin Lightening Agents: Maximum cosmetic products now comprise a variety of skin-lightening components derived from natural sources such as herbal constituents and microbes. Arbutin, liquorice, and *aloe vera* are natural skin lightening ingredients that can avoid hyperpigmentation without causing any skin problems [10]. As a result, natural ingredients are often preferred over synthetic ingredients in cosmetic formulations. Strategies to promote pigmentation include inhibiting tyrosinase synthesis, slowing melanosome transfer, speeding up epidermal turnover, and increasing the effectiveness of antioxidant and anti-inflammatory medications [11]. Tyrosinase inhibition, on the other hand, is one of the most effective targets for lowering melanin output and is often regarded as the greatest strategy for preventing hyperpigmentation of all targets [12].

MATERIALS AND METHODS: A database of many different websites was viewed using phrases like cosmetics, skin whitening agents, pharmacological action, herbal extracts for hyperpigmentation, and phytochemicals. This study discusses the potential chemical constituents and some plants which having skin lightening properties. Multiple papers from several websites were consulted for the literature review, including Google Scholar, Scopus, Web of science and others.

Potential Chemical Constituents and Some Plants with Skin Lightening Effect:

Arbutin: Arbutin is herbal plant having D-glucopyranoside [13] made from hydroquinone in a glycosylated form [14]. It contained wheat, bearberry, blueberry, cranberry, and pear extracts, among others [14]. Arbutin, although being a hydroquinone derivative, inhibits melanogenesis without causing melano-cytotoxicity [13]. Arbutin is a phytoconstituent which has skin lightening activity and may help to avoid hyperpigmentation [13]. This is supported by the fact that tyrosinase enzyme activity in the melanin synthesis can be inhibited effectively without interfering with mRNA gene expression [14].

Glabridin: Liquorice extract is commonly used in current cosmetic products as a commercialised skin lightener. Glabridin is the most important dynamic compound that helps lighten skin [15].A phytoconstituent called glabridin which is extracted from *Glycyrrhiza glabra* (liquorice) root, and it is the key substance in the hydrophobic portion of liquorice extract [16, 17]. Glabridin has been shown to be successful in reducing hyperpigmentation in B_{16} murine melanoma cells by inhibiting tyrosinase enzyme action without interfering with DNA expression [15, 17].

Niacinamide: Niacinamide is another popular natural ingredient used in cosmetic formulations to lighten skin. Niacinamide is naturally active source of niacin (vitamin B) that can be found in abundance in yeast and some vegetable roots [17]. Niacinamide is thought to be a safe and efficient skin lightener for hyperpigmentation [18]. By inhibiting the movement of melanosomes from melanocytes to keratinocytes, niacinamide has the ability to reduce skin hyperpigmentation [17].

Vitamin C: Vitamin C, a well-known as ascorbic acid, is a natural antioxidant that helps to prevent skin hyperpigmentation by regulating signalling factors like UV radiation. This naturally occurring vitamin C is commonly used in cosmetic products for example nontoxic and effective antioxidant agent for skin lightening [3, 19].

***Aloe vera*:** *Aloe vera* is a popular plant in the cosmetic industry that has a variety of skin care benefits, including relief from skin burns, eczema, wounds, and other inflammatory skin conditions. It has been suggested that the presence of aloes in, a key bioactive component isolated from plant leaves, has significant skin-lightening potential [17].

FIG. 3: *ALOE VERA*

Coffea arabica: Coffeeberry, or *Coffea arabica* as it is scientifically known, is said to have skin-lightening properties. Proanthocyanidins [20], quinic acid, caffeic acid, and chlorogenic acid are among

the polyphenolic compounds contained in coffeeberry fruit extract. Coffeeberry pays to an important antioxidant function as compared to vitamin C and E, as well as green tea extract because it contains high amount of polyphenolic compounds found in the extract of fruit [21, 27].

FIG. 4: COFFEE-BERRY

Camellia sinensis: Green tea, or *Camellia sinensis*, is a popular ingredient in cosmetic goods, notably for skin whitening. Green tea leaf extract contains polyphenolic chemicals, including epigallocatechin-3-gallate, a major bioactive ingredient in the extract [20]. Furthermore, epigallocatechin-3-gallate (ECGC) has been demonstrated to have properties of skin lightening which is characteristics by acting as a tyrosinase inhibitor [22, 20], antioxidant, and anti-inflammatory agent in the melanin production pathway. Green tea extract has good inhibitory effect against tyrosinase enzyme, according to a review paper by Ali *et al.* [22] based on an *in-vitro* mushroom tyrosinase inhibition experiment. On the other hand, ECGC of polyphenolic groups has been found to have anti-inflammatory activities *via* reducing superoxide anion production and cyclooxygenase activity [20].

FIG. 5: *CAMELLIA SINENSIS*

***Glycine max*:** *Glycine max,* sometimes called as Soy bean, contains number of key bio-active chemicals that help to improve cosmeceutical and dermatological outcomes [23, 24]. According to Fisk et al. [20], serine protease inhibitors in soy bean extract are essential for limiting melanosome transfer from melanocyte to keratinocyte by suppressing the expression of PAR2 (protease-activated-receptor 2).

FIG. 6: *GLYCINE MAX*

***Citrus limon Linn*:** Lemon, scientifically known as *Citrus limon Linn*, has a strong antioxidant action that inhibits melanin biosynthesis [17, 23]. This is because the peel of a lemon fruit contains a lot of important bioactive chemicals like ascorbic acid and hesperidin [17, 28]. Hesperidin, a crucial flavonoid that neutralizes free radicals and serves as an antioxidant, can be found in lemons.

FIG. 7: *CITRUS LIMON LINN*

Morus alba: The mulberry plant, *Morus alba*, is widely utilized in traditional medicine throughout Asia, China, and Japan due to its many health benefits, including immunomodulatory, antioxidant, antihyperlipidemic, anticancer, and neuroprotective qualities [25, 40]. Additionally, this plant aids in the prevention of melanogenesis by lightening the skin.

FIG. 8: *MORUS ALBA*

Piper betle: The *Piper betle* is a perennial plant in the Piperaceae family with glossy, heart-shaped leaves. It is classified as an aromatic plant with distinct odour and spicy flavour. This plant can be found in India, as well as other South-East Asian countries including Vietnam and China [26- 35].

FIG. 9: ***PIPER BETLE***

TABLE 1: AN OVERVIEW OF THE PLANT SPECIES THAT CONTAIN PHYTOCONSTITUENTS THAT LIGHTEN THE SKIN

Plant species	Plant parts	Phytoconstituents	References
Aloe barbedensis	Leaves	Aloesin	17
Camellia sinensis	Leaves extract	Polyphenols	20, 21
Citrus lemon	Peel	Hesperidin	20, 22, 24
Coffee arabica	Fruit	Polyphenols, caffeic acid, quinic acid	20, 21, 27
Glycin max	Whole wheat	Serine protease inhibitor, isoflavones	20, 23
Morus alba	Leaves	Mulberroside F	25, 40-50
Piper betle	Leaf extract	Hydroxychaviol	26
Glycyrrhiza glabra	Root	Glabardin	16, 17

DISCUSSION: Natural-based skin lightening has emerged as a preferred choice for many individuals seeking to address hyperpigmentation, dark spots, and uneven skin tone. This approach involves harnessing the power of botanical extracts, plant-derived compounds, and other naturally occurring ingredients to promote a brighter and more radiant complexion. One of the most compelling aspects of natural-based skin lightening is its safety profile.

Many natural ingredients used in these formulations are gentle on the skin, making them suitable for individuals with sensitive or reactive skin types. Unlike harsh chemicals that can cause irritation, dryness, or inflammation, natural ingredients tend to work in harmony with the skin's natural processes, reducing the risk of adverse reactions.

While natural-based skin lightening products may take longer to deliver visible results compared to their chemical counterparts, they often offer more sustainable and long-term benefits. Rather than providing a quick fix that may come with unwanted side effects, natural ingredients work gradually to inhibit melanin production, fade existing pigmentation, and promote a more even skin tone over time. This gentle approach not only helps achieve brighter skin but also supports overall skin health and resilience.

CONCLUSION: To summarise, identifying and determining viable skin lightening components for inclusion in cosmetic products is a critical step before formulation development. In this literature review, some plant species are mentioned as having skin-lightening properties due to the bioactive components that are produced and extracted from plant parts.

Natural-based skin lightening offers a safer, more sustainable approach to achieving a brighter, more even complexion. By harnessing the power of botanical extracts, vitamins, and antioxidants, these products can effectively reduce hyperpigmentation and dark spots while nourishing the skin. While results may take time and vary from person to person, the long-term benefits of natural-based skincare make it a popular choice for those seeking gentle yet effective solutions for skin lightening.In comparison to other dangerous whitening chemicals like hydroquinone and tretinoin, these bioactive substances are considered a safe and effective alternative skin lightening agent.

Because different skin lightening agents affect different stages of the pigmentation process, this review also focuses on the various mechanisms of action of various skin lightening agents.

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