Horse chestnut – *Aesculus hippocastanum*: potential applications in cosmetic skin-care products

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Synopsis
In addition to the well reported beneficial effects of horse chestnut (*Aesculus hippocastanum*) extracts on venous insufficiency and associated conditions, such preparations also have many potential positive pharmacological effects on the skin. Extracts from this species, and in particular, those based on horse chestnut seeds, contain saponins, known collectively as ‘aescin’, which have a gentle soapy feel, and are potent anti-inflammatory compounds. Saponins, such as escin, also reduce capillary fragility, and therefore help to prevent leakage of fluids into surrounding tissues, which can cause swelling. An extract of horse chestnut has recently been shown to have one of the highest ‘active-oxygen’ scavenging abilities of 65 different plant extracts tested. Such extracts are more powerful anti-oxidants than vitamin E, and also exhibit potent cell-protective effects, which are linked to the well-known anti-ageing properties of anti-oxidants.

The extract is also rich in a number of flavonoids, such as derivatives of quercetin and kaempferol. Flavonoids also have protective effects on blood vessels, and are well-known, powerful anti-oxidants.

Résumé
En plus des effets bénéfiques bien connus des extraits de marron d’Inde (*Aesculus hippocastanum*) sur l’insuffisance veineuse et les troubles associés, de telles préparations ont aussi de nombreux effets pharmacologiques potentiels positifs sur la peau. Des extraits de cette espèce, et en particulier, ceux à base de graines de marron d’Inde, contiennent des saponines, collectivement connues comme ‘aescine’, qui ont un toucher savonneux doux, et sont composés anti-inflammatoires puissants. Les saponines, telles que l’aescine, réduisent aussi la fragilité capillaire, et aident par conséquent à empêcher la fuite des fluides dans les tissus environnants, ce qui peut causer un gonfllement. Un extrait de marron d’Inde s’est récemment avéré avoir une capacité de capture de ‘l’oxygène actif’ parmi les plus élevées de 65 extraits de plantes différentes testés. De tels extraits sont des anti-oxydants plus puissants que la vitamine E, et présentent aussi des effets potentiels de protection de la cellule, qui sont liés aux propriétés anti-vieillissement bien connues des anti-oxydants.

L’extrait est aussi riche en un certain nombre de flavonoïdes, tels que des dérivés de la quercétine et du kaempférol. Les flavonoïdes ont aussi des effets protecteurs sur les vaisseaux sanguins, et sont des anti-oxydants puissants bien connus.

Introduction
In recent years, the cosmetic industry has become increasingly interested in using plant-derived natural products in cosmetic formulations. This is not merely a marketing tool, but...
a result of the plant material itself having biological activity that is beneficial to skin [1].

The use of horse chestnut preparations as herbal medicines has been adequately described
elsewhere, so this paper therefore focuses on the biological potential of extracts from this
species as cosmeceuticals and for skin-care products.

Existing uses of Aesculus hippocastanum

Extracts of the seeds of Aesculus hippocastanum (horse chestnut) are a well established
treatment for conditions such as varicose veins, haemorrhoids, phlebitis (inflammation of
the veins), diarrhoea, fever, enlargement of the prostate gland [2], rheumatism, neuralgia
and rectal complaints [3]. Such treatments are usually administered orally, at a dose of
0.5–1.2 ml of liquid seed extract per day.

In addition to these uses for seed extracts, preparations from both the bark and the leaves
of A. hippocastanum are also used as therapeutic agents. The bark is no longer in common
use, but has a folk medicinal application as a febrifuge, and as an astringent in cases of
diarrhoea and haemorrhoids [4]. Decoctions of the bark are also used, albeit rarely, for the
topical treatment of skin disorders, such as sores, lupus and ulcers [4]. The bark has also
previously been used as an anti-malarial agent, as a cinchona substitute, but this practice
is no longer continued [3]. Horse chestnut leaf preparations are used in folk medicine to
treat coughs, rheumatism and arthritis, although the underlying phytochemical basis for
these applications has not been determined [3].

Chemical constituents of Aesculus hippocastanum seeds

Saponins

The total saponin content of seeds, often expressed as ‘(a)escin’ (Figure 1), actually
consists of α-escin and β-escin, the latter of which is, in turn, composed of more than 30
derivatives of the triterpenoids, protoaescigenin and barringtogenol C. These compounds
are primarily found in the seed cotyledons (they can constitute up to 28% of the weight of
the dry seeds), but have also been detected in the seed integument, the bark, buds, leaves
and the immature fruit pericarp of A. hippocastanum [5].

Flavonoids

A number of flavonoids (mainly glycoside derivatives of quercetin and kaempferol) have
been detected in A. hippocastanum (Figure 2), including astragalin (kaempferol 3-O-
glucoside), isoquercitrin (quercetin-3-glucoside), leucocyanidin (3,3’,4,4’,5,7 hexahydroxy-
flavone) and rutin (quercetin 3-rutinoside) [3]. Most of these compounds are found in
the seeds (not including the integument), but have also been detected in the seed integument, the bark, buds, leaves
and the immature fruit pericarp of A. hippocastanum [5].

In addition to these flavones, epicatechin and its dimer proanthocyanidin A₂ (Figure 3)
have been reported in A. hippocastanum. These compounds are primarily found in the
bark, leaves buds and fruit pericarp, but have also been found in the seed integument.
Previously, this latter tissue has been eliminated from extracts used in the preparation of
drugs [6], but, if included, it would provide a valuable additional source of bioactive
compounds.
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Figure 1. Selected components of the saponin mixture ‘escin’.

Figure 2. Selected flavonoids found in *Aesculus hippocastanum*.
Other constituents

Seeds of *A. hippocastanum* have also been described as containing starch (40–50% weight) [6], sugars, proteins (specifically the globulin, hippocastanine, containing L-(+)-lysine and L-(+)-tryptophan), a fatty oil (containing oleic, linoleic, linolenic, stearic and palmitic acids) and purines (adenosine, adenine and guanine). [5]

Bark

The bark of *Aesculus hippocastanum*, in common with the seeds, has been described as containing the saponin mixture ‘escin’ [3]. Coumarin glycosides, including esculin, scopolin and fraxin, and their respective aglycones, esculetin, scopoletin and fraxetin, are also present in the bark of *A. hippocastanum* (Figure 4), in contrast to seed tissues, in which these compounds have not been detected. The flavonoid glycoside quercitrin (Figure 2), and its corresponding aglycone have also been detected in bark tissues. Additional compounds, including allantoin, sterols, leucocyanidin, leucodelphinidin, catechol tannins and alkanes have also been described as occurring in bark tissues. [3]

![Figure 3. Structure of proanthocyanidin A2.](image)

![Figure 4. Coumarins and coumarin glycosides present in the leaves and bark of *Aesculus hippocastanum*.](image)

R₁ = H: Aesculetin, R = Glucose: Aesculin  
R₂ = H: Scopoletin, R = Glucose: Scopolin  
R₃ = H: Fraxetin, R = Glucose: Fraxin
Leaves

In common with the bark of *A. hippocastanum*, leaf tissues contain the coumarin glycosides scopolin, fraxin and esculin (Figure 4) [3]. A range of flavonoid glycosides of quercetin (e.g. quercitrin, rutin, isoquercitrin and quercetin 3-arabinoside) and the corresponding glycosides of kaemperfol have also been detected in leaf tissues (Figure 2). In addition to these glycosides, escin has been detected (but only in trace amounts), as well as leucanthocyans, *cis,trans*-polyprenols, amino acids, fatty acids and sterols (sitosterol, stigmasterol and campesterol).

**Biological activities of horse chestnut phytochemicals**

*Saponins*

Isolated components of the ‘escin’ complex have been demonstrated to have potent anti-inflammatory effects, especially on the early stages of induced inflammation [7, 8] and can also reduce ethanol absorption and display hypoglycaemic actions when taken orally [9]. Escin also has positive therapeutic effects on the microvasculature and its surrounding connective tissues. Such tissues are composed of cellular and fibrous components in a fluid ‘ground substance’ or extracellular matrix, which consists of proteoglycans and glucosaminoglycans, and provides support and cushioning to blood vessels and fibrous tissues. One of the key components of this ground substance is hyaluronic acid, a proteoglycan, which gives the extracellular matrix its viscosity.

The activity of hyaluronidase, the enzyme which breaks down hyaluronic acid, reduces the viscosity of the ground substance [10], thus reducing its supporting and cushioning capacity. An additional effect of this activity is that there is reduced resistance to fluid leakage from capillary vessels, as a result of the compromised integrity of the extracellular matrix, and hence there is an increased exchange of fluids across the microvasculature membranes (Figure 5) [11]. Whilst this activity can be associated with pathogenic infections (e.g. *Staphylococcus aureus* secretes hyaluronidase, allowing the bacterium to move more freely through the connective tissues [10]), it has also been exploited for beneficial effect, as injection of hyaluronidase prior to drug administration can be used to deliberately increase capillary permeability, thus facilitating easier access of the therapeutic agent to the bloodstream. One hypothesis for the oedematous symptoms associated with venous insufficiency is that hyaluronidase activity results in increased vascular leakage from the capillaries [11]. This hypothesis could certainly be used to explain the beneficial effects of horse chestnut extracts on conditions resulting from venous insufficiency, as more than one component of these extracts have been demonstrated to display anti-hyaluronidase activity [11, 5].

The saponin mixture, escin, is one component of horse chestnut extracts capable of inhibiting hyaluronidase activity (another is proanthocyanidin A2; see later). In the case of escin, however, inhibition of hyaluronidase has been determined to be insufficient to account for the powerful venotonic properties of *A. hippocastanum* extracts on the microvasculature, and it has been suggested that inhibition of other enzymes, including collagenase, elastase and β-glucuronidase, all of which are involved in defining the integrity of the extravascular matrix, might explain why extracts of *A. hippocastanum* were markedly more effective than escin alone [11].
Flavonoids

As a class of phytochemicals, flavonoids are widely accepted as possessing a range of biological activities [12] which may be of relevance in the context of topical application of flavonoid-containing preparations. Some of these activities are listed in Table I.

In the specific case of horse chestnut flavonoids, rutin has been described as possessing radical scavenging, anti-bacterial and anti-viral activities, and is also used medicinally in the treatment of varicosis and capillary fragility [13]. Quercitrin and isoquercitrin are also antibacterial (e.g. against *Pseudomonas maltophilia*), and the former additionally possesses anti-haemorrhagic activity [13]. Proanthocyanidin A2 has been demonstrated to possess a range of biological activities, similar to those of escin, which would be beneficial in cosmetic applications [5, and refs.

Table I. General biological activities of flavonoids

<table>
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<th>Activity</th>
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<tr>
<td>Reduction of capillary fragility and permeability</td>
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<tr>
<td>Anti-inflammatory</td>
</tr>
<tr>
<td>Anti-allergic</td>
</tr>
<tr>
<td>Anti-bacterial</td>
</tr>
<tr>
<td>Anti-viral</td>
</tr>
<tr>
<td>Enzyme inhibitory</td>
</tr>
</tbody>
</table>

Activities as described in Bruneton (1995) [6]

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1 Ground tissue consists of proteoglycans and glucosaminoglycans, including hyaluronic acid. Cellular components (fibroblasts, macrophages, plasma cells, adipocytes and mast cells) and tissues (collagen, elastic and reticular fibres) omitted for clarity.

2 Fluid leakage due to capillary permeability and density of surrounding ground substance. Increased capillary permeability can result in oedema typical of diseases resulting from venous insufficiency.

**Figure 5.** Simplified representations of capillary vessel permeability in connective tissues. Left: Permeability in tissue containing normal amounts of hyaluronic acid in ground substance. Right: Increased permeability, as a result of reduced hyaluronic acid content (due to hyaluronidase activity).
therein]. These activities are primarily venotonic and vasoprotective, such as depermeabilisation of capillaries, but also include wound healing, powerful antioxidant, anti-inflammatory, and anti-enzymatic properties. In a similar manner to escin, proanthocyanidin A₂ has been shown to be able to inhibit lipid peroxidation, which is indicative of cellular-protective effects of this compound. Similarly, proteolytic enzymes in the extravascular matrix are inhibited by proanthocyanidin A₂, with β-glucuronidase, elastase and collagenase all being more strongly inhibited than hyaluronidase. Compounds such as proanthocyanidin A₂ may well be at least partly responsible for the differences between the venotonic effects of escin (which inhibits hyaluronidase [11]), and the more powerful effects of crude A. hippocastanum extracts.

Existing cosmetic applications

In 1980, the applications of horse chestnut and escin to cosmetic products were described for treating the following areas: scalp, oral cavity, face, body, hands, legs and feet, body hygiene, foot hygiene, intimate hygiene and prevention of haemorrhoids [14]. Recently, it has been reported that extracts of horse chestnut [or escin at 0.25–0.5% (w/v)] have been included in a range of commercial products which apply to these areas, including shampoos, shower foams, foam baths, creams, lotions and toothpastes [15]. Horse chestnut seeds are on the Medicines Control Agency’s General Sales List in the UK [2] for external use only. In Germany, they are the subject of a positive therapeutic monograph, indicated for venous insufficiency, although in the United States, they are of undetermined regulatory status [15].

Additional cosmetic applications

Recent pharmacological studies suggest that there is, in addition to the uses described above, considerable potential for use of these extracts in cosmetic preparations in the following categories:

Anti-ageing effects

Many reports suggest a link between skin ageing and active oxygen species, especially when combined with ultra-violet radiation. Recently, an extract of A. hippocastanum has been shown to be highly effective in reducing the production and damaging effects of active oxygen species in vitro, using both biochemical and biological assays [16].

In vitro active-oxygen-scavenging properties of A. hippocastanum. An extract of A. hippocastanum has been demonstrated to be a 'potent scavenger' of active oxygen, being almost 20 times more effective at absorbing superoxide anions than ascorbic acid, a recognised anti-oxidant [16; Table II]. Similarly, the extract of A. hippocastanum reduced the release of hydroxyl radicals and singlet oxygens in vitro, demonstrating a broad spectrum of active oxygen scavenging properties (Table II). As these oxygen species are associated with cellular damage and inflammation, their absorption by cosmetic preparations containing horse chestnut phytochemicals has great potential to alleviate damage to the skin, which could ultimately be manifested as an anti-ageing effect.

In vitro cell-protective effects of A. hippocastanum. Lipid peroxidation, a process indicative of damage to cellular membranes, was induced in vitro, under controlled conditions, and
was found to be significantly reduced in experiments using A. hippocastanum extracts [16]. Such inhibition was comparable to that obtained by including the anti-oxidant \( \alpha \)-tocopherol in the assay. Cultured fibroblasts, which are cells usually found in the connective tissue secreting a matrix associated with the repair and isolation of wounded tissues, were also strongly protected by the extract of A. hippocastanum from damage caused by active oxygen species in vitro. Almost four times the number of these cells survived damage induced by oxygen species, generated using the hypoxanthine/xanthine-oxidase system, in the presence of the A. hippocastanum extract than when the extract was absent.

**Solar protective effects**

Closely linked to the anti-ageing effects of A. hippocastanum are its potential applications in cosmetics with sun-screen properties. A recent study [17] has investigated the potential use of plant extracts, including A. hippocastanum seed extract, as novel ‘anti-solar’ agents, based on their ability to absorb light. Such absorption is of particular interest in the ultraviolet region of the spectrum, as UV radiation has been linked with a number of cutaneous conditions, including sunburn, photosensitivity, actinic elastosis, cutaneous degeneration and perhaps most importantly, skin cancer [10, 17].

Although an extract of A. hippocastanum did not display significant UV-absorbing properties when studied in isolation [in which it had a sun protection factor (SPF) of 0], when combined with a synthetic sunscreen, octylmethoxycinnamate, significant increases in the effectiveness of the synthetic compound were demonstrated (SPF rose from 4 to 6 on the addition of the A. hippocastanum extract; Table III). Whilst the precise reasons for this improvement of SPF remain unresolved, the authors speculated that such an increase may be as a result of synergistic interactions between components of the A. hippocastanum extract and octylmethoxycinnamate [17].

**Venous effects**

One of the most widely used applications of A. hippocastanum is in the treatment of poor circulation, and its associated conditions. Whilst many of these studies rely on oral delivery of the extracts to improve circulation, conditions such as varicose veins, phlebitis
and post-thrombotic syndrome have all been treated using gels containing escin [5, and refs. therein], suggesting that the topical administration of extracts, as would be the case in the application of cosmetics, is potentially an equally valid delivery system.

The positive effects of *A. hippocastanum* extracts on circulation are due to a range of complex interactions with veins, the lymphatic system, capillaries and connective tissue. Extracts of *A. hippocastanum* have been demonstrated to possess venotonic effects *in vitro* and *in vivo*, in both animal and human systems [5, and refs. therein]. For example, *A. hippocastanum* extract led to an increase in pressure of flow through both normal and constricted isolated veins, and an increased effect of noradrenaline on increasing the blood pressure (and consequently flow) through such vessels [18]. Similarly, capillaries have been shown to be strengthened by administration of *A. hippocastanum* extract.

In addition to the direct effects of *A. hippocastanum* extract on blood vessels, benefit may also be gained from the protective effects of the extract on connective tissues which surround the capillary vessels. In chronic venous insufficiency, the capillaries become highly permeable, resulting in water and proteins leaving the vascular system, which in turn cause swelling; this may be as a result of the degradation of the extracellular matrix surrounding the microvasculature (Figure 5). The main component of this extracellular matrix is hyaluronic acid, a simple glucosaminoglycan consisting of up to several thousand sugar residues; levels of this compound are usually regulated by the enzyme hyaluronidase, which promotes the degradation of hyaluronic acid. Recently, escin and its aglycone, escinol, have been demonstrated to possess non-competitive antihyaluronidase activity (Table IV), even at concentrations well below that expected as a result of topical application of these compounds [11].

This inhibition of hyaluronidase should lead to the recovery of the integrity of hyaluronic acid, and consequently the extracellular matrix surrounding the microvasculature, and may therefore be responsible for some of the beneficial effects of *A. hippocastanum* extracts.

### Table III. Sun protection factors and UV absorptions of dry extracts of *Aesculus hippocastanum* (prepared by maceration) alone, and in combination with 2% solution of octylmethoxycinnamate.

<table>
<thead>
<tr>
<th>Wavelength (nm)</th>
<th>10% dry extract</th>
<th>10% dry extract + 2% octylmethoxycinnamate</th>
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<tbody>
<tr>
<td>290</td>
<td>0.091</td>
<td>0.528</td>
</tr>
<tr>
<td>295</td>
<td>0.079</td>
<td>0.569</td>
</tr>
<tr>
<td>300</td>
<td>0.065</td>
<td>0.598</td>
</tr>
<tr>
<td>305</td>
<td>0.053</td>
<td>0.625</td>
</tr>
<tr>
<td>310</td>
<td>0.045</td>
<td>0.651</td>
</tr>
<tr>
<td>315</td>
<td>0.038</td>
<td>0.625</td>
</tr>
<tr>
<td>320</td>
<td>0.034</td>
<td>0.553</td>
</tr>
<tr>
<td>SPF</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

*a SPF = Sun protection factor  
*b 2% octylmethoxycinnamate has an SPF rating of 4  
Modified from Ramos et al., 1996 [17].
One of the conditions associated with venous insufficiency is the development of oedematous panniculopathies (inflammation of the sub-cutaneous layer of fat, leading to tender nodules, typically on the thighs and breasts), and this condition has recently been treated with phytochemicals from horse chestnut. Application of an emulsion containing escin and biological carriers, such as \( \beta \)-sitosterol and phosphatidylcholine, over a 30 day treatment period, led to significant improvements to both thigh and breast panniculopathies in human volunteers. These improvements were ascribed to improvements in micro-circulation, as recorded by Laser Doppler Flowmetry, resulting in reduced swelling and normalisation of skin temperature [5, and refs. therein].

Conclusions
In addition to the existing uses of extracts of horse chestnut as treatments for venous insufficiency, preparations containing phytochemicals from horse chestnut have considerable potential for inclusion in a range of cosmetic formulations. Inclusion of horse chestnut extracts (especially those from the seeds of the plant, rather than the more poorly-characterised extracts from leaf and bark tissue) could result in a number of beneficial actions on the skin after topical administration. Such effects would include potent antioxidative activity, which could help decrease the visible signs of skin ageing, anti-bacterial and anti-viral properties (largely due to flavonoids), reduction in skin reddening and cutaneous swelling as a result of effects on capillary vessels and their surrounding connective tissues (due to saponins and the epicatechin dimer proanthocyanidin A2) and also the potential synergistic enhancement of the efficacy (SPF) of sun screens.

References
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Note added in proof: Extracts of *Aesculus hippocastanum* referred to in Tables II and III were prepared in 50% ethanol [16] and ethanol [17] respectively.