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Antioxidants of Rooibos tea - a possible explanation for its health promoting properties?

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Abstract

Rooibos tea, an indigenous South African herbal tea, is considered to be a health drink with a beneficial effect on human health. The unique phenolic metabolites of rooibos tea acting as potent antioxidants may be the key to its therapeutic value. Recent research in Japan indicated antimutagenic, anticarcinogenic, anti-inflammatory and antiviral activity for rooibos tea infusions. These activities are associated with antioxidative properties of rooibos tea. Free radical damage and the protective role of antioxidants with emphasis on flavonoids present in rooibos tea, are briefly discussed.

Key words: Rooibos tea, flavonoids, antioxidants

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Introduction

Rooibos tea (*Aspalathus linearis*), South Africa's own indigenous herbal tea, is increasingly more popular due to its alleged health properties. The discovery in 1968 by Annatjie Theron that a rooibos tea infusion, when administered to her baby, cured the infant of chronic restlessness, vomiting and stomach cramps, helped promote rooibos tea as a health drink. Rooibos tea is not only enjoyed as a pleasant refreshing drink, but it also claimed to help improve appetite and to cure insomnia, allergies and nervous complaints.¹ Considered an "anti-ageing" beverage by the Japanese, it is used as an ingredient in a natural medicinal product said to be effective in ameliorating a variety of inflammatory diseases as well as reducing serum lipid peroxide levels.²

Evidence pertaining to the beneficial properties of rooibos tea is mostly of an anecdotal nature, but research by Japanese scientists has shown that it exhibits *in vitro* anticarcinogenic and antimutagenic properties.^{3,4} A study on dermatological diseases demonstrated antiviral and anti-inflammatory properties for rooibos tea.⁵ These effects are attributed to the antioxidant activity of rooibos tea's^{6,7} polyphenolic compounds.¹ Snyckers & Salemi⁸ attributed the anti-allergic property of rooibos tea to the antispasmodic effect of quercetin, a flavonoid compound present in rooibos tea. The unique polyphenolic composition of rooibos tea may therefore provide the clue to some of its health properties.

This paper will give a short review of the flavonoid metabolites of rooibos tea. Their possible biological

Uittreksel

Rooibostee, 'n inheemse Suid-Afrikaanse kruietee, word bekou as 'n gesondheidsdrankie weens die heilsame invloed op die menslike gesondheid. Die unieke fenoliese metaboliete van rooibostee wat optree as potente antioksidante, mag moontlik die sleutel wees tot sy terapeutiese waarde. Onlangse navorsing in Japan het antimutageniese, antikarsinogeniese, anti-inflammatoriese en antivirale aktiwiteit vir rooibostee ekstrakte aangetoon. Hierdie aktiwiteite word geassosieer met die antioksidant eienskappe van rooibostee. Vry radikaal beskadiging en die beskermende effek van antioksidante met die klem op flavonoïede teenwoordig in rooibostee, word kortliks bespreek.

functions derived from antioxidative compounds will be discussed.

Free radicals and antioxidants

Oxygen is essential for life, but the normal metabolism of oxygen results in formation of the free radical superoxide ($O_2^{\bullet-}$) and peroxide that are detrimental to health if left unchecked.⁹ The toxicity of superoxide and H_2O_2 are related to their ability to generate the highly active OH^{\bullet} radical *in vivo* in the presence of suitable transition metals, (e.g. iron)¹⁰. OH^{\bullet} indiscriminately attacks lipids, proteins and DNA.¹¹ Free radical damage is manifested in lipid peroxidation, protein denaturation and DNA mutation as the radicals attack different substances in living cells and tissue.¹² Singlet oxygen, another reactive oxygen species that is formed both in the lens and retina of the mammalian eye¹³ can also attack lipids to cause lipid peroxidation.¹⁴ Other sources of reactive oxygen species include radiation (singlet oxygen),¹⁴ air pollutants, tobacco smoke, pesticides, certain drugs, transition metals (iron) and alcohol.^{9,15} Usually the body can cope with these harmful effects as antioxidant enzymes and antioxidant nutrients, i.e. vitamin A, C and E protect the body against oxidative substances.¹⁴ If the radical defense mechanisms fail or are weakened, e.g. as a result of ageing¹⁶ and inadequate nutrition,¹⁷ oxidative stress occurs. Even bursts of strenuous physical activity accompanied by elevated oxygen consumption, can produce oxidative stress.¹⁸ In severe cases oxidative stress can cause cell damage and death.¹⁴ An imbalance in the oxidative levels is believed to be a contributing factor in a broad spectrum of diseases including atherosclerosis,

inflammatory diseases (such as arthritis), heart disease, Alzheimer's disease, cancers and AIDS.^{10, 15, 19, 20, 21, 22, 23 & 24}

Not only are our bodies under attack from free radicals, but the food we eat is also susceptible to oxidative changes. This leads to formation of off-flavours, odours and potentially toxic byproducts, pigment discoloration, changes in texture and reduction in nutritional value, which limit the shelf life of foods and in severe cases results in food wastage.²⁵

Antioxidant properties of phytochemicals

Nature provides an abundance of antioxidants to protect the body and food against free radical damage.^{15,26} Model studies have shown that primary or chain-breaking antioxidants interfere with lipid peroxidation in that they "mop up" active oxygen species responsible for initiation and propagation of the free radical chain reaction of lipid peroxidation.²⁷ Secondary antioxidants retard the rate of lipid oxidation by mechanisms such as chelation of metals, scavenging of oxygen, quenching of singlet oxygen and reduction of hydroperoxides to non-radical products.²⁸

The use of natural antioxidants in foods for stabilization against oxidative changes is gaining more acceptance as consumer resistance to synthetic antioxidants is increasing. Several potential sources of natural antioxidants are known, but not all are exploited commercially.^{26,29,30} Herbs and spices, well-known for their antioxidant action, are used in meat and baked products, as well as in other preserved foods.³¹ Extracts of rosemary are commercially available, but their organoleptic properties (colour, taste and flavour) limit their application to a few food products where the rosemary flavour is acceptable.²⁹ Tea and sage also have potential as natural antioxidants but they have not yet achieved such importance as rosemary.³²

Antioxidants have a similar "preservative" effect on biological systems and more specifically on human life. In the past research focused mainly on β -carotene (provitamin A), vitamin C and vitamin E, but scientists are beginning to realize the potential of other dietary substances, e.g. flavonoids³³ in cancer prevention^{34,35} or reducing the risk of coronary heart disease.³⁶ This led to the "designer" food program of the National Cancer Institute (USA) - a major initiative to identify, assess the safety of and test phytochemicals with cancer-preventive properties that could be incorporated into experimental foods.^{33,37}

One group of phytochemicals that is of interest to the tea drinker, is polyphenols or more specifically flavonoids. These compounds act mainly as potent primary antioxidants³⁰ with the ability to scavenge superoxide,³⁸ hydroxyl radicals³⁹ and peroxy radicals.²⁷ Flavonoids display also secondary antioxidant activity due to their metal-chelating ability⁴⁰ and quenching of singlet oxygen.⁴¹

Flavonoids are naturally-occurring in plants and are therefore an integral part of the human diet. Kühnau⁴² estimated the daily intake of flavonoids in human diet

through consumption of plant foods at 1 g, while Hertog and co-workers³⁶ found that the average daily intake of flavonoids by 805 men in the Netherlands was 26 mg. Black tea provided almost 61% of the total daily intake of the flavonoids, with onions and apples the other major contributors. Another study of the flavonoid intake of 4 112 adults showed that black tea contributes 48% of the dietary flavonoids with quercetin being a major contributor.⁴³

While these data may give an indication of the amount of flavonoids we consume, no recommended daily allowances exist for antioxidants.⁴⁴ Our antioxidant requirements are determined by factors such as fat intake, life-style, age, smoking, alcohol intake, infections, occupation etc. that influence our oxidative stress levels.⁴⁵ A note of caution is necessary in the use of antioxidants, since some flavonoids, i.e. quercetin are reported to show pro-oxidant properties under certain circumstances.⁴⁶

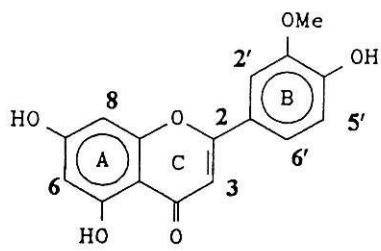
Flavonoids of Rooibos tea

South African consumers drink on average 6 cups of rooibos tea per day (Rooibos Tea Natural Products (Ltd), personal communication). One cup of rooibos tea (150 ml) contains ca. 1.5 mg of quercetin.⁸ Quercetin is only one of the flavonoid substances in rooibos tea. A recent extensive study of the phenolic composition of rooibos tea⁴⁷ resulted in the isolation and identification of several phenolic compounds, i.e. flavonoids and phenolic acids in addition to flavonoids isolated some 30 years ago.^{48,49} Flavonoids isolated up to date from rooibos tea are given in Figure 1.

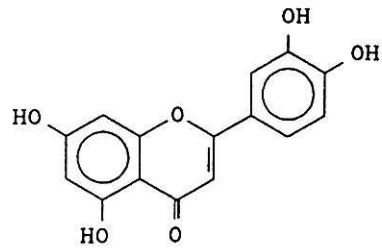
The flavonoid composition of rooibos tea is unique insofar it contains aspalathin,⁴⁹ hitherto only isolated from rooibos tea. It also contains nothofagin, another rare β -hydroxydihydrochalcone⁵⁰ that apart from rooibos tea, has previously only been isolated from *Nothofagus fusca* (red beech).⁵¹ Aspalathin and nothofagin constitute ca. 0,55% and 0,19% respectively of the soluble solids of the processed tea.⁵⁰ The flavonoid fraction of rooibos tea also includes the flavones, orientin, iso-orientin,^{48,52} vitexin, iso-vitexin, chrysoeriol, 5,7,4'-trihydroxy-3-methoxyflavone,⁴⁷ luteolin⁸ and the flavonols, iso-quercitrin, rutin⁴⁸ and their aglycone, quercetin.⁸ Processing is believed to result in formation of other flavonoids, formed from aspalathin⁴⁹ such as flavanones, dihydro-2,3-orientin and dihydro-3,4-iso-orientin.

Several of the flavonoids found in rooibos tea, i.e. quercetin,^{38,39,46,53} luteolin,^{54,55} rutin,^{38,46} isoquercitrin⁵⁶ and iso-vitexin⁵⁷ have displayed antioxidant activity. All flavonoids with the 3', 4'-dihydroxy configuration possess antioxidant activity.³⁰ The ene-diol functionality in the electron-rich aromatic B-ring system could supply the electrons that are required for the reduction of the active oxygen species rendering them harmless.

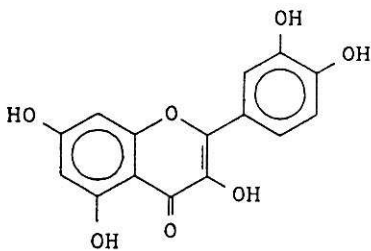
This ene-diol functionality is present in luteolin, quercetin, rutin, isoquercitrin, orientin, iso-orientin, 2,3-dihydro-orientin, 2,3-dihydro-iso-orientin and aspalathin. The double bond between C-2 and C-3 atoms of flavones and flavonols^{26,31,58} is also considered important for antioxidant activity, but flavanones with a satu-



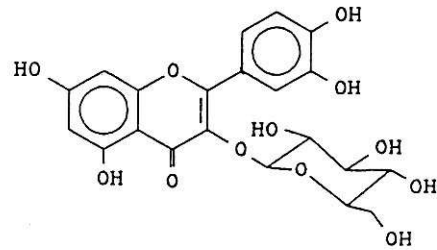
Chrysoeriol



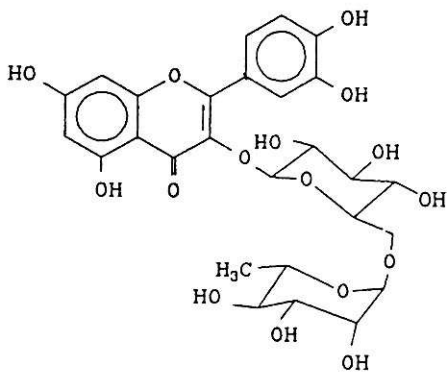
Luteolin



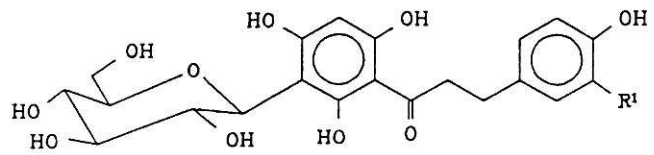
Quercetin



Isoquercitrin

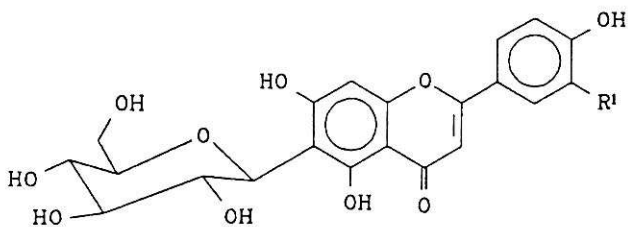


Rutin



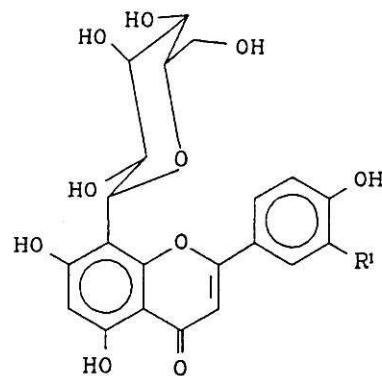
Aspalathin: R¹=OH

Nothofagin: R¹=H



Orientin: R¹=OH

Vitexin: R¹=H



Isoorientin: R¹=OH

Isovitexin: R¹=H

Figure 1 Flavonoids present in Rooibos tea

rated C-2 and C-3 bond were found to be of similar activity as their corresponding flavones.⁴⁰ Recently it has been demonstrated that flavones and flavanones induce the disproportionation of superoxide without undergoing further oxidation.⁵⁹ These flavonoids, represented by luteolin, chrysoeriol, orientin, iso-orientin, dihydro-orientin and dihydro-iso-orientin are therefore antioxidants with undisputed potential and thus of particular interest. Flavonols, e.g. quercetin on the other hand, are oxidized by superoxide to phenolic carboxylic acids and can not be regenerated. However, protocatechuic acid, one of the breakdown products of quercetin, is an effective antioxidant.⁶⁰

Other considerations are the 4-keto group and a free 3-OH group. Glycosylation of the 3-OH decreases the antioxidant activity.⁴⁰ Rutin, a 3-glycoside of quercetin, possesses considerably less antioxidant activity than its aglycone, quercetin, when tested in model systems, but they are expected to exert comparable effects *in vivo* due to hydrolysis of the glycoside.³⁰ Dihydrochalcones are more effective antioxidants than their corresponding flavanones.^{61,62} It could therefore be expected that aspalathin would be a more effective antioxidant than its corresponding flavanones e.g. dihydro-orientin and dihydro-iso-orientin. The degree of conversion of aspalathin taking place with processing could thus affect the antioxidant activity of rooibos tea.

Therapeutic properties of flavonoids

Various therapeutic properties have been claimed for some of the flavonoids present in rooibos tea (Table 1). Quercetin, a ubiquitous flavonoid in nature, is seen to be a highly effective therapeutic agent. Rutin claimed to enhance the stability and permeability of capillary arteries (Vitamin P activity) occurs abundantly in nature and is included in a variety of medical formulations.⁶⁹ Oxidation of low density lipoproteins is also indicated to be prevented by quercetin which may indicate anti-atherosclerotic activity.⁷⁰

Other flavonoids of rooibos tea hitherto untested for their antioxidant activity could also contribute to the antioxidant properties and thus contribute to biological effects of rooibos tea. Further progress has been made in the isolation and identification of other polyphenols from rooi-

bos tea which have antioxidant potential, since Rabe and co-workers⁴⁷ published their results. This will be communicated in pending publications.

Conclusions

In vitro studies with flavonoids are shown to be effective against agents involved in various diseases in humans. It is evident that rooibos tea can be added to the list of plants with known antioxidant activity due to its flavonoid components of which several may exert therapeutic properties. Research is needed to support these data with epidemiological studies and placebo controlled *in vivo* studies.

The growing body of evidence pointing to the therapeutic value of rooibos tea may give some credibility to the "anti-ageing" claims, but expectations of a healthier life rather than an increased lifespan would perhaps be a more realistic outlook.

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TABLE 1 Physiological and therapeutic properties of flavonoids present in rooibos tea

Activity	Active compound			Reference
	Quercetin	Rutin	Luteolin	
Antispasmodic	*		*	8
Anti-inflammatory	*	*		63
Antithrombotic	*	*		64
Antiviral	*	*		65
Antineoplastic	*		*	66
Antimutagenic	*			67
Inhibition of skin tumor formation	*			68
Inhibition of lipoxygenase	*	*	*	68

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