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Research note

Anti-inflammatory effects of *Leucosidea sericea* (Rosaceae) and identification of the active constituents

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Abstract

The 'Oldwood' tree *Leucosidea sericea* is the sole representative of the genus *Leucosidea* and as such occupies a botanically-privileged status within the Rosaceae of southern Africa. The use of the plant in the traditional medicinal practices of some of the indigenous people of the region has been known for over a hundred years. Amongst these, its use as a vermifuge and astringent medicine, as well as anti-inflammatory agent, amongst the Basuto and Zulu tribes has been recorded. Based on these observations, the plant was here examined for the underlying phytochemical principles which might corroborate these interesting traditional uses. In the process, the known cholestane triterpenoids β-sitosterol and β-sitostenone were isolated for the first time from stems of *L. sericea* and identified by physical and spectroscopic techniques. These findings provide insights to the traditional usage of the plant for inflammation related ailments.

Keywords: Anti-inflammatory; *Leucosidea sericea*; Rosaceae; β-sitostenone; β-sitosterol

Despite its wide global distribution, the family Rosaceae is poorly represented in southern Africa with only eight native tree species and a number of naturalized aliens (Jordaan, 2000). In this regard, the genus *Leucosidea* comprising a single species *L. sericea* occupies a botanically-privileged status within the Rosaceae (Jordaan, 2000). Also known as 'Oldwood' ('Ouhout' in Afrikaans or 'umTshitshi' in Zulu), *L. sericea* Eckl. & Zeh. is a silvery gray shrub or small tree (2–9 m high) which occurs at high altitude, often near water, in grasslands or on mountain slopes (Pooley, 1993). It is found in parts of Zimbabwe and Lesotho as well as in KwaZulu-Natal, the Eastern Cape, Gauteng, Mpumalanga and the Free State, and is often regarded as an aggressive invader of overgrazed and disturbed areas (Pooley, 1993).

The use of *L. sericea* in the traditional medicinal practices of some of the indigenous people of South Africa has been known for over a hundred years (Harvey and Sonder, 1894). It is used as an astringent medicine, as well as a protective sprinkling charm against evil (Harvey and Sonder, 1894; Watt and Breyer-Brandwijk, 1962). In particular, the Basuto use the leaves as a vermifuge, while Zulu traditional healers apply the paste derived from ground leaves to treat conjunctivitis (ophthalmia) (Hutchings et al., 1996). In spite of its botanical hierarchy and documented use in traditional medicine, until recently (Bosman et al., 2004; Aremu et al., 2010, 2011), little was known about the chemistry and biological properties of the plant. In the first phytochemical investigation, Bosman et al. (2004) accounted for the presence of the known compounds aspidinol and desaspidinol in leaves and flowers of *L. sericea*. These findings were significant given that both of these compounds are known anthelmintic agents which could explain the use of the plant as a vermifuge in tribal medicine (Bosman et al., 2004). Consequently, we undertook a quantitative pharmacological screen of both leaves and stems of *L. sericea* (Aremu et al., 2010, 2011), uncovering its antimicrobial, anthelmintic, anti-inflammatory, antimutagenic, antioxidant and acetylcholinesterase inhibitory properties.

Abbreviations: COX, cyclooxygenase; NMR, nuclear magnetic resonance; UKZN, University of KwaZulu-Natal.

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Table 1
Cyclooxygenase (COX-1 and -2) activity of triterpenoid constituents of *Leucosidea sericea*.

Compound	% inhibition	
	COX-1[a]	COX-2[a]
β-sitosterol	65.3±1.30[b]	82.7±5.26[b]
β-sitostenone	15.3±2.50[b]	4.1±1.72[b]
Indomethacin	78.8±3.18[c]	60.1±3.46[d]

[a]Values are means of three experiments. [b]Values are at 483 and 485 μM, respectively. [c,d]Values carried out at 5 μM and 200 μM respectively.

In the present investigation, stems of the plant were found to contain the known phytosterols β-sitosterol and β-sitostenone, identified by 2D NMR and mass spectroscopic techniques. Anti-inflammatory activity of both compounds was ascertained by the cyclooxygenase (COX) inhibition assay. The presence of the known anti-inflammatory agent β-sitosterol is significant given the reputed usage of *L. sericea* for inflammation related ailments such as conjunctivitis.

Plant samples were collected during May 2009 from the National Botanical Gardens (Pietermaritzburg) and an authentic voucher specimen (A. Aremu 15 NU) deposited at the UKZN Herbarium. The acetone extract of powdered dried stems of *L. sericea* was subjected to gravity column chromatography on silica gel via gradient elution with hexane/ethyl acetate mixtures by which the cholestane triterpenoids β-sitosterol and β-sitostenone were isolated. Structure elucidation was based on both physical and spectroscopic data which was in close agreement with those from the literature (Li et al., 2008; Prachayasittikul et al., 2009). COX-1 and COX-2 inhibitory activities using indomethacin as standard were carried out according to procedures as described by Aremu et al. (2010).

Plant sterols (phytosterols) are naturally occurring plant constituents that are structurally similar to cholesterol, and found in the cells and membranes of all oil producing plants, fruits, vegetables, grains, seeds and trees (Moreau et al., 2002). Amongst these, β-sitosterol is best known for its diverse biological properties, including anti-inflammatory, anti-atherogenic, analgesic, anthelmintic and antimutagenic effects (Moreau et al., 2002). Its most striking usage is for treatment of benign prostate hypertrophy, marketed under the commercial name Harzol which has garnered widespread acceptance in Europe (Nair and Kanfer, 2008).

The data as listed in Table 1 confirmed the potency of β-sitosterol as an anti-inflammatory agent (65.3% and 82.7% inhibitions of COX-1 and COX-2, respectively). Interestingly, at the same concentration, β-sitostenone was seen to elicit a much reduced response from both enzymes with 15.3% and 4.1% inhibitions of COX-1 and COX-2, respectively. Given the close structural similarity of both compounds, we speculate that the potency of β-sitosterol over β-sitostenone may reside in the formulation of the C-3,C-4,C-5,C-6 regions of the molecules, and that extended conjugation through α,β-unsaturation in the case of β-sitostenone may be deleterious to enzyme inhibition.

In summary, phytochemical investigation of the indigenous southern African tree *L. sericea* has led to the identification of the known anti-inflammatory agent β-sitosterol which is here highlighted as the constituent likely responsible for such functions in the traditional use of the plant.

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