

Anti inflammatory and other effects of *Kigelia africana* extracts



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**Presented on behalf of PhytoTrade Africa,
The Southern African Natural Products Trade Association**

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Kigelia africana



About PhytoTrade Africa

- Helps African rural producers develop and market their natural products for export
- Non-profit trade association representing 55 members in the region
- Promotes sustainable production and certification
- Fair trade, contributing to the economic development of Southern African countries (Botswana, Malawi, Mozambique, Namibia, South Africa, Swaziland, Zambia, Zimbabwe)
- Natural products are collected in the wild by rural producers, and include:
Cosmetic and personal care raw materials and ingredients, herbal extracts and botanical medicines, food supplements and nutritional ingredients, flavours and fragrances, health foods and drinks, industrial raw materials and ingredients.

Kigelia africana

Folklore Uses on the skin

SPECIES	PART USED	INDICATION	EXTRACT/ FORM
K. africana	Fruit	Anti bacterial Cures Acne	Fruit (ripe)
K. africana	Fruit powder	Wounds and ulcers; breast firming	Powder used as a dressing
K. africana	Fruit powder	Disinfectant	Powder used in solution
K. africana	Fruit powder	Eczema	Powder used as a dressing
K. africana	Fruit slices	Breast firming	Fruit (ripe)

Kigelia africana

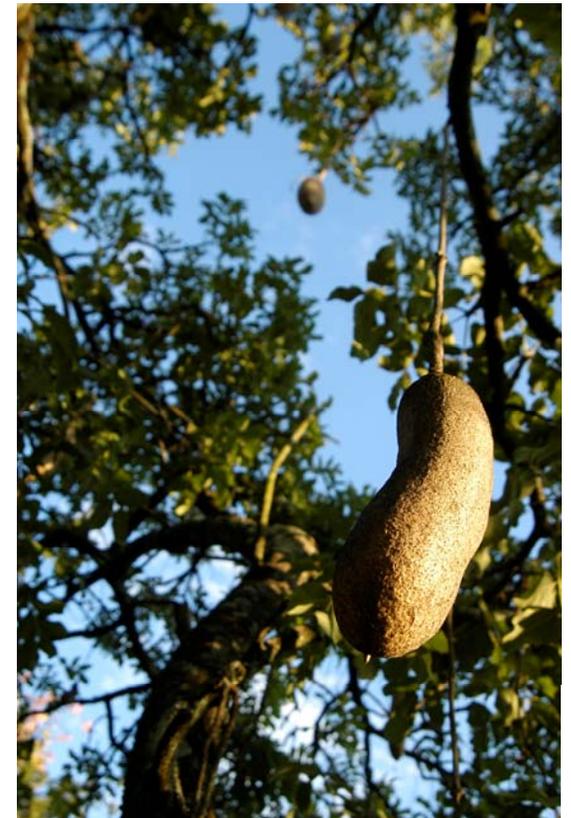
Description



- African Sausage Tree - *Kigelia africana / pinnata*
- Member of the Bignoniaceae family – 650 species
- Widely distributed throughout sub-Saharan Africa
- Large, sausage-shaped fruit, 30-60 cm long, weighing up to 3kg per fruit

Kigelia africana

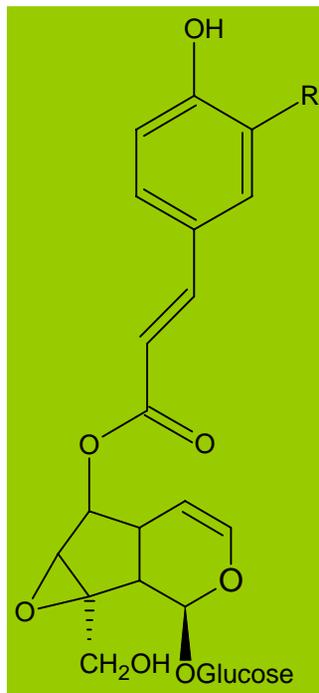
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Kigelia africana

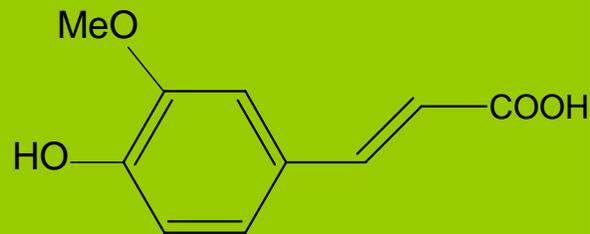
Iridoids



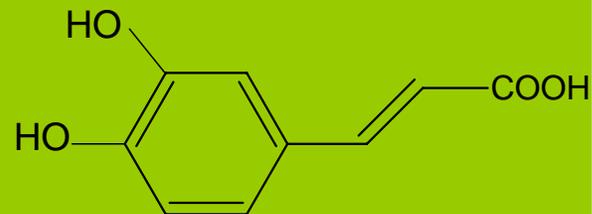
R = H minecoside
R = OCH₃ specioside
R = OH verminoside

Kigelia africana

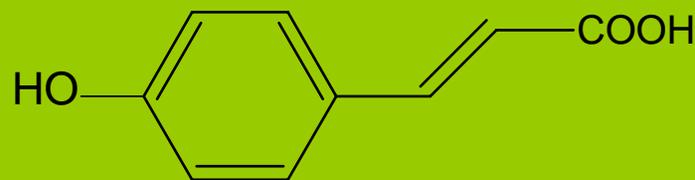
Caffeic acid derivatives



caffeic acid



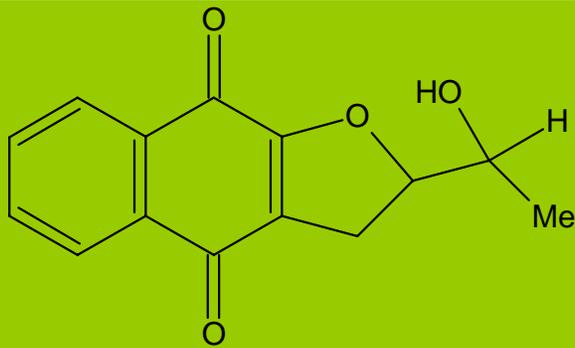
ferulic acid



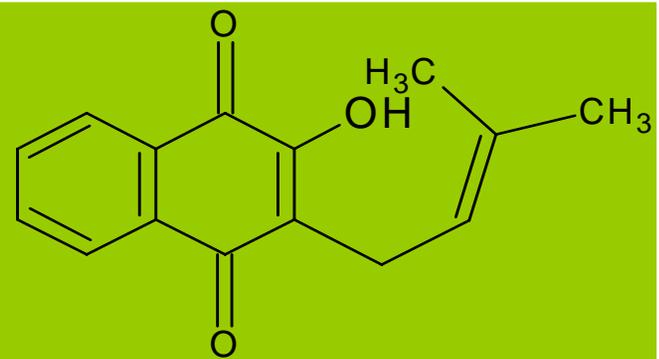
p-coumaric acid

Kigelia africana

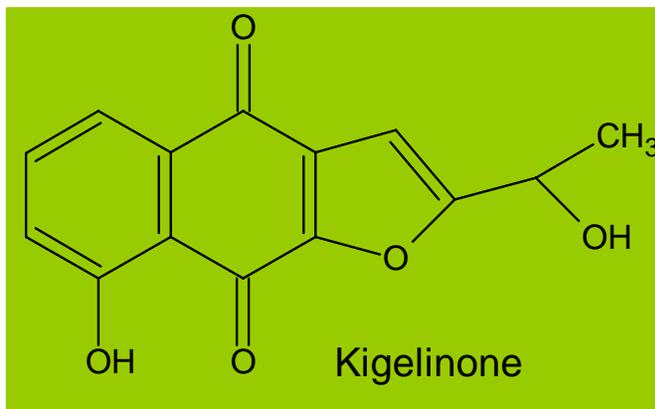
Napthoquinones



2-(1-hydroxyethyl)-2-acetyl-naphtho[2,3-b]furan-4,9-dione



Lapachol



Kigelinone

Kigelia africana

Skin Anti Cancer activity



I.C 50 values for K. pinnata against G361 melanoma cell line (Houghton 2000)

Extract	I.C ₅₀ values (Ng/ml)
Fruit (active fraction)	1.2 ± 0.2
Stem Bark	2.1 ± 0.2
Betulinic Acid	3.2 ± 0.2

Kigelia africana

Skin Anti Cancer activity



Test Group Number of papillomas per mouse 15.8 ± 0.4 (Azuine et al., 1997)

Test Group	Number of papillomas per mouse
Benzo(a)-pyrene	5.8 ± 0.4
B(a)P + Fruit extract	1.4 ± 0.2

Kigelia africana



PhytoTrade Africa studies

A number of extracts were prepared from Kigelia fruits:

- **The fruits were air dried to constant weight**
- **Ethanol based extracts of the pulp**

Kigelia africana

Phytotrade studies



CO2 extracts of the separated pulp and seeds



Extraction yields:

Seeds: 15%

Pulp:5%

Kigelia africana

Carbon length/ Degree of unsaturation	Compound	Lit	Lit	Lit	CO ₂ EXTRACT SEED	CO ₂ EXTRACT PULP
16 : 0	Palmitic acid (Hexadecanoic acid)	7.0	25.4 0	7.80	6.80	8.70
18 : 0	Stearic acid (Octadecanoic acid)	1.0	0.90	6.20	3.80	3.0
18: 1	Oleic acid (9 – Octadecenoic acid)	10.0	8.90	26.60	13.80	13.50
18 : 2	Linoleic acid (9, 12 – Octadecanoic acid)	25.0	42.0	15.0	16.27	16.99
18 : 3	α – Linoleic acid (9, 12, 15 – Octadecatrienoic acid)	57.0	--	44.10	52.97	51.48

Kigelia africana



Identified Long-Chain Alcohols and Sterols

Component	Average
<i>1 – Tetracosanol</i>	0.019
<i>1 – Hexacosanol</i>	0.018
<i>1 – octacosanol</i>	0.084
<i>1 – Triacontanol</i>	0.071
<i>Total Long-Chain Alcohols (%)</i>	0.19
<i>Campesterol*</i>	0.150
<i>Stigmasterol</i>	0.586
<i>b-Sitosterol + Stigmastanol*</i>	2.207
<i>Total Sterols (%)</i>	2.94

* Campesterol, and Stigmastanol new compounds identified in Kigelia

Kigelia africana

Biological studies



Kigelia is being developed by PhytoTrade Africa for its potential use as an ingredient in:

Pharmaceutical

Nutraceutical

Cosmeceutical products

Kigelia africana



Further biological studies undertaken by PhytoTrade Africa

- Anti Cancer effects
- Anti Oxidant effects
- Anti Inflammatory effects

Kigelia africana



Antioxidant studies conducted by PhytoTrade Africa

- *FRAPP* assay – ethanolic extracts showed comparable activity to grape juice
- *ABTS antioxidant assay* – Potent antioxidant effects due to caffeic acid derivatives and compounds unique to *Kigelia*

Kigelia africana



**Further biological studies undertaken by
PhytoTrade Africa**

Anti inflammatory activity – Potent activity in
a number of assays

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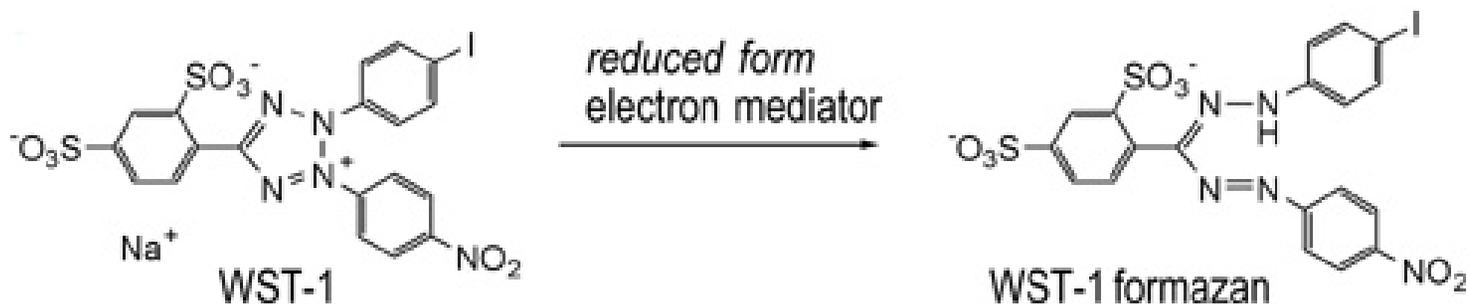
Anti Inflammatory studies

Two different anti inflammatory assays:

- *Inhibition of “oxidative burst” on human neutrophils*
- *Inhibition of Cyclooxygenase*

Kigelia africana

Anti inflammatory assay “Oxidative burst” – Reduction of highly –water soluble terazolium salt WST-1 in the presence of activated neutrophils



Studies were undertaken by HEJ RESEARCH INSTITUTE OF CHEMISTRY, UNIVERSITY OF KARACHI



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- Human neutrophils were obtained from healthy human volunteers.
- Kigelia africana extracts were tested against a control (buffer, neutrophils and WST-1) and against Indomethacin.
- Absorbance measured at 450nm. IC50 values were expressed as % inhibition of superoxide produced.

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Serial No	Compound Code	% Inhibition 500 μ g/mL	IC ₅₀ \pm Sem
2	H.S.I/P/2-infl	93.94	232.09 \pm 25.50
5	Indomethacine	44.57 (200 μ g/mL)	271.21 \pm 5.90

**Extract #2 was most active tested.
It showed highest activity at 500mg/ml conc.**

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*Anti-inflammatory studies:
Inhibition of Cyclooxygenase*



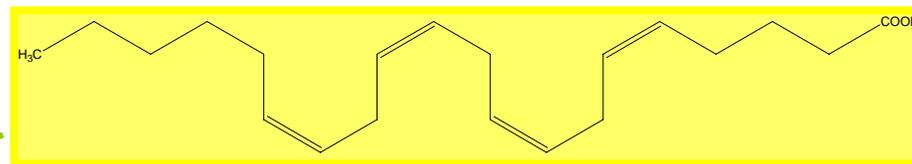
- COX converts Arachadonic acid to Prostaglandins (pain mediators)
- Inhibition of prostaglandin production produces pain relief
- Two isoforms of Cyclooxygenase:
COX-1
COX-2

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*Anti-inflammatory studies:
Inhibition of Cyclooxygenase*



Arachadonic acid (C 20:4)



COX - 1

COX - 2

Prostaglandins

**Allergies, inflammation,
gastric damage**

**Inflammation by injury,
localised pain relief**

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*Anti-inflammatory studies:
Inhibition of Cyclooxygenase*



Preference for selective COX-2 inhibitors

- **COX-1 present in all tissues**
- **Inhibition of COX-1 effects gastric secretions and can lead to ulceration of the stomach**
- **COX-2 is induced at sites of inflammation**

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*Anti-inflammatory studies:
Inhibition of Cyclooxygenase*

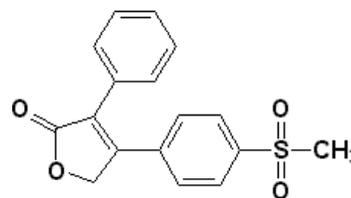
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Synthetic COX-2 inhibitors have been shown to be clinically relevant e.g.:

Celecoxib (Pfizer)



Rofecoxib (Merck & Co.)



Kigelia africana

*Anti inflammatory effects:
Inhibition of Cyclooxygenase*



Species & Parts /Synthetic Used	Extract	COX-2 Activity ($\mu\text{g/ml}$)	
Kigelia africana, fruit ²	chloroform/ethanol/ water	IC ₅₀	0.8
Kigelia africana, fruit ²	methanol/chloroform	IC ₅₀	0.8
Indomethacin ²	--	IC ₅₀	32

Source: Patent DE10200490 (10.07.2003) Use of mixtures, which contain parts of the plant *Kigelia africana*.
Hans Knoll Institut für Naturstoff Forschung

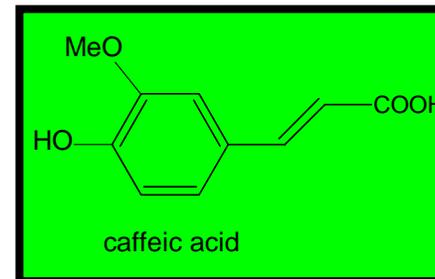
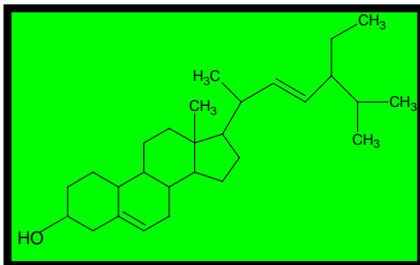
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Anti Inflammatory studies

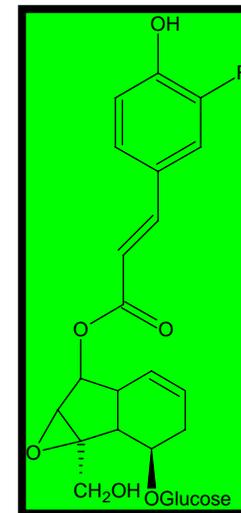
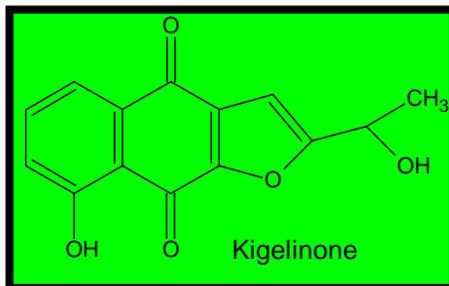
Species Used	COX-2 Activity ($\mu\text{g/ml}$)	
<i>Kigelia africana</i>	IC ₅₀	60
<i>Arnica montana</i>	IC ₅₀	110
<i>Sanguinaria canadensis</i>	IC ₅₀	50
<i>Solanum dulcamara</i>	IC ₅₀	150
<i>Tinospora smilacina Benth</i>	IC ₅₀	81.2
<i>Tripterygium wilfordii Hook</i>	IC ₅₀	125
<i>Scutellaria baicalensis</i>	IC ₅₀	150

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Anti inflammatory activity



Kigelia africana

In Conclusion



- Kigelia fruits have strong folklore for use as an antibacterial, anti-inflammatory and anti-viral agents.
- PhytoTrade Africa have prepared a number of unique extracts of Kigelia fruits.
- These have been shown to display potent antioxidant and anti-inflammatory activity.
- These extracts can be readily formulated into a variety of food and dietary supplements for use as a unique Nutraceutical ingredient

Kigelia africana

In Conclusion



PhytoTrade Africa is currently working with key extract manufacturers to produce effective and safe extracts for a range of possible applications

Kigelia africana

In Conclusion



Possible cosmeceutical and topical applications:

**“After sun”
skin lotion**

**Breast firming
agent**

**“Anti aging”
and anti
oxidant
effects on
the skin**

**Reduction of
skin irritation,
soothing
agent**

**Reducing
reddening of
the skin and
inflammation**

Kigelia africana

In Conclusion



These extracts can be ready formulated for use as a unique cosmeceutical ingredient.

Kigelia water/ alcohol extracts are ideal for water based cosmetic products such as gels, lotion and water/oil emulsions and creams

CO₂ extracts are lipophilic and can be incorporated into oil based cosmetic formulations such as creams, lotions, oils

The benefits of collaborating with PhytoTrade Africa



- Supply chain development and raw material sourcing
- Support for organic certification, sustainability and Fair Trade issues
- Documentary and bibliographic support
- Collaborative research and development
- Marketing tools – imagery and documentation
- Legitimate access to African biological resources, traditional knowledge and folklore

Further research work in Phytochemistry

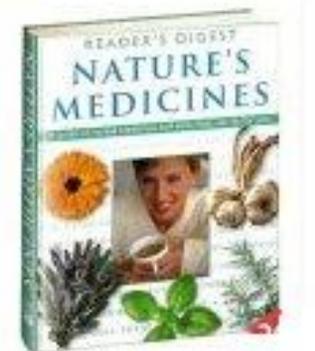
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