

THE 13th SYMPOSIUM OF THE NATURAL
PRODUCTS RESEARCH NETWORK FOR EASTERN
AND CENTRAL AFRICA (NAPRECA)



Theme ***“DRUG DISCOVERY FROM AFRICAN
RAINFOREST”***

August 10-14, 2009

Tel: 00243999942710
Kinshasa/Gombe, DR Congo

BOOK OF ABSTRACTS

>>>> SCOPE OF THE SYMPOSIUM >>>>

- **Role of ethnopharmacology in drug discovery**
- **Target identification and validation for diseases of concern to African countries**
- **Screening of extracts for bioactivity**
- **Herbal remedies**
- **Vector control agents and repellents**
- **Monitoring the isolation of active constituents**
- **Structure elucidation of bioactive compounds**
- **Ethics of Drug development**
- **Bringing active compounds into drug development**
- **Green Chemistry**



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FOREWORD

We take this opportunity to welcome delegates to the 13th NAPRECA Symposium to the Democratic Republic of Congo. The theme of the Symposium “Drug discovery from African rain forest” could not have been better used; the African biodiversity still offers great opportunity for doing what the them says. The amount of research that has been done on African medicinal plants and their development to phytomedicines or phytopharmaceuticals is still quite limited. The Congo basin is also the centre of the “African Rain Forest” by all consideration and has a bewildering array of biodiversity which needs to be tapped by us for the benefit of mankind.

There is a large rapporteur of topics to be covered during this Symposium as indicated by the titles. We also have some luminary leading researchers and people of different disciplines in the field amongst us and we should seize the opportunity to explore collaboration for more effective and productive research activity as we usually endeavour to carry out. It is clear to all of us that while the resolution and identification of active ingredients is gaining momentum in our institutions, we are not moving quickly enough to what should be our ultimate goal which should be getting the “product”. We should spend time at this Symposium reflecting the difficulties of doing this amongst ourselves.

Finally, many of you, we are sure, are eagerly awaiting their first social experiences in the Congo. We wish that you will cease the opportunity to see as much as possible and leave with a positive impression.

Enjoy your stay in Kinshasa. Seize your chance.

Pascal Dibungi Kalenda- President, NAPRECA - Congo

Jacob Ogweno Midiwo- Executive Secretary, NAPRECA.



PROGRAMME FOR THE 13TH NAPRECA SYMPOSIUM

SUNDAY, AUGUST 9TH 2009

ARRIVAL

REGISTRATION, CERCLE ELAEÏS

16:00 – 18:00 COCKTAIL

MONDAY, AUGUST 10TH 2009

SESSION I

Chairperson: Prof. Dr. Virima Mudogo (Secretary and Vice Chairman, Organizing Committee)

08:00 – 09:00	Registration Continues
09:00 – 09:10	Welcome and Introductory Remark by Prof. Dr. Dibungi Kalenda
09:10 – 09:20	Remark by Prof. J.O.Midiwo (Executive Secretary, NAPRECA)
09:20 – 09:30	Remarks by sponsors
09:30 – 09:35	Official of UNIKIN
09:35 – 09:45	Rector, UNIKIN
09:45 – 10:00	Government Official from DRC
10:00 – 10:45	Keynote address by Prof. Dr. Dibungi Kalenda



10:45 – 11:00 TEA BREAK

SESSION II

PLENARY LECTURES (PL)

Chairperson: Prof. Dr. Dibungi Kalenda (Chairman, Organizing Committee)

PL 1	11:00 – 11:40	Prof. Dr. Berhanu Abegaz Novel metabolites from <i>Rhus pyroides</i> from Botswana and <i>Morus mesozyga</i> from Cameroon
PL 2	11:40 – 12:20	Prof. Kurt Hostettmann The potential of African medicinal plants as source of new drugs.
PL 3	12:20 – 13:00	Dr. Karine Ndjoko Application of LC-MS and LC-NMR Techniques to the Identification of Bioactive Natural Products

13:00 – 14:00**LUNCH****SESSION III****Chairperson: Prof. Dr. Abiy Yenesew**

PL 4 14:00 – 14:40

Prof. Dr. Dr. h.c. G. Bringmann

Anthraquinones and alkaloids –acetogenic, anti-infective, and axially chiral!

SL 1 14:40 – 15:00

Steinert, C.Isolation of bioactive compounds from the tropical liana *Ancistrocladus congolensis*

SL 2 15:00 – 15:20

Irmer, A.

The biosynthetic origin of naphthylisoquinoline alkaloids

SL 3 15:20 – 16:00

Ngombe, N.K.Vasoconstrictor and inotropic effects induced by the root bark extracts of *Anthocleista schweinfurthii* (Gilg) (Gentianaceae)**16:00 – 16:30****TEA BREAK****SESSION IV****Chairperson: Prof. Dr. Ermias Dagne**

PL 5 16:30 – 17:10

Prof. Dr. P. Okinda Owuor

Optimising yields and quality of tea in different locations

*******End of day one*******

TUESDAY, AUGUST 11TH 2009**SESSION V****Chairperson: Prof. Dr. Berhanu Abegaz**

- PL 6 08:30 – 09:10 **Prof. Philippe Rasoanaivo**
Drug discovery at IMRA: Recent results and way forward
- PL 7 09:10 – 09:50 **Prof. Dulcie A Mulholland**
Terpenoids from African Medicinal Plants
- SL 4 09:50 – 10:10 **Mpiana, P.T.**
Antisickling activity of *Justicia secunda* Vahl
- SL 5 10:10 – 10:30 **Merhatibeb, B.**
HPLC analysis and NMR identification of homoiso-flavonoids and stilbenoids from the inter-bulb surface of *Scilla nervosa*.

10:30 – 11:00**TEA BREAK****SESSION VI****Chairperson: Prof. Dr. Dr. h.c. G. Bringmann**

- SL 6 11:00 – 11:20 **Bwire, R.N.**
Radical scavenging principles from *Cassia abbreviata* beareana holmes and *Vangueria parvifolia* sond & a facile palladium catalysed transfer hydrogenation protocol of prochiral alkenes.
- SL 7 11:20 – 11:40 **Yagi, S.**
Phytochemical and biological studies of *Gegeria alata*
- SL 8 11:40 – 12:00 **Kabera, J.N.**
Phytomedicine development from plants of Rwanda
- SL 9 12:00 – 12:20 **Namutebi, A.**
The role of nutraceutical-implied empirical grades of plant foods in eliciting plant biodiversity on women small holder farms

- SL 10 12:20 – 12:40 **Mapitse, R.**
Synthesis of methoxystilbenes
- SL 11 12:40 – 13:00 **Shetonde, O.M.**
Total synthesis of biarylchalcones: Rhuschalcone VI and its analogues

13:00 – 14:00**LUNCH****SESSION VII****Chairperson: Dr. Karine Ndjoko**

- PL 8 14:00 – 14:40 **Prof. Abiy Yenesew**
Red hot compounds from the red hot poker plants,
Kniphofia species
- SL 12 14:40 – 15:00 **Magadula, J.J.**
Bioactive extracts and compounds from some
Garcinia species growing in Tanzania
- SL 13 15:00 – 15:20 **Byamukama, R.**
Anthocyanins from selected plant species in
Uganda
- SL 14 15:20 – 15:40 **Sivakumar, T.**
An application of mass spectra in natural products
- SL 15 15:40 – 16:00 **Ngombe, N.K.**
Screening of antibacterial and antifungal activities
of fifteen extracts from *Anthocleista schweinfurthii*
(Gilg.) (Gentianaceae)

**16:00 - 16:30****TEA BREAK****Chairperson: Prof. Dr. Dibungi Kalenda**

- PL 9 16:30 – 17:10 **Prof. Dr. Joe Conolly**
Lifetime of Natural Products

*******End of day two*******

WEDNESDAY, AUGUST 12TH 2009

SESSION VIII

Chairperson:

PL 10 08:30 – 09:10

Prof. Ermias Dagne

Quality control of Natural Products

PL 11 09:10 – 09:50

Prof. Sabrina Krief

From plants to bioactive compounds - through the study of great apes- ecology, chemistry and conservation of Tropical forest

PL 12 09:50 – 10:30

Prof. J. Quetin-Leclercq*Croton zambesicu*: isolation and quantification of active diterpenes and structure-activity relationships

10:30 – 11:00

TEA BREAK

SESSION IX

Chairperson:

SL 16 11:00 – 11:20

Derese, S.Antiplasmodial β -hydroxydihydrochalcone from seedpods of *Tephrosia elata*

SL 17 11:20 – 11:40

Kerubo, L.Phytochemical investigation of surface exudates of *Dodonaea angustifolia* for *in vitro* antiplasmodial and mosquito larvicidal activity

SL 18 11:40 – 12:00

Mukanganyama, S.

The role of natural products as modulators of drug efflux in pathogenic microbes

SL 19 12:00 – 12:20

Kamatenesi-Mugisha Maud

Nutri-medicinal plants usage in the management of immuno-compromised ailments in Uganda

SL 20 12:20 – 12:40

Ngadjui, B.T.Chemistry and biological evaluation of *Morus*

mesozygia and *Dorstenia* spp (Moraceae)

SL 21 12:40 – 13:00

Chiteva, R.

Phytochemical investigation of resins from *Commiphora holtziana* in Kenya

13:00 – 14:00

LUNCH

SESSION X

Chairperson: Prof. Dr. Tana Lutete

SL 22 14:00 – 14:20

Nindi, M.M.

Phytochemistry, analytical chemistry and medicinal plants: *challenges in Africa*

SL 23 14:20 – 14:40

K. B. Kubata

Trypanosomiasis and African indigenous knowledge

SL 24 14:40 – 15:00

Ngombe, N.K.

Antibacterial and antifungal activities of cardiac glycosides extract of *Snthocleista schweinfurthii* (Gilg) (Gentianaceae)



15:00 – 16:00

POSTER SESSION

16:00 – 16:30

TEA BREAK

Chairperson: Prof. Dr. Kokengo Bokolo

16:30 – 17:30

ROUND TABLE DISCUSSION

*******End of day three*******

THURSDAY, AUGUST 13TH 2009

SESSION XI

Chairperson:

08:30 – 10:30

**GREEN CHEMISTRY WORK
SHOP**

10:30 – 10:45

TEA BREAK

10:45 – 13:00

**GREEN CHEMISTRY WORK
SHOP CONTINUES**

13:00 – 14:00

LUNCH

SESSION XII

Chairperson:

14:00 – 14:45

Medicinal Plants in the Congolese Art
(DRC)

14:45 – 15:30

Prof. Dr. Dr. h.c. G. Bringmann

Our Congolese-German partnership – a
model case for other universities?



15:30 – 16:00

TEA BREAK

Chairperson:

16:00 – 17:30

Round Table Discussion

19:00

DINNER

*******End of day four*******

FRIDAY, AUGUST 14TH 2009

EXCURSION

PLENARY LECTURES



[PL -1] NOVEL METABOLITES FROM *RHUS PYROIDES* FROM BOTSWANA AND *MORUS MESOZYGA* FROM CAMEROON

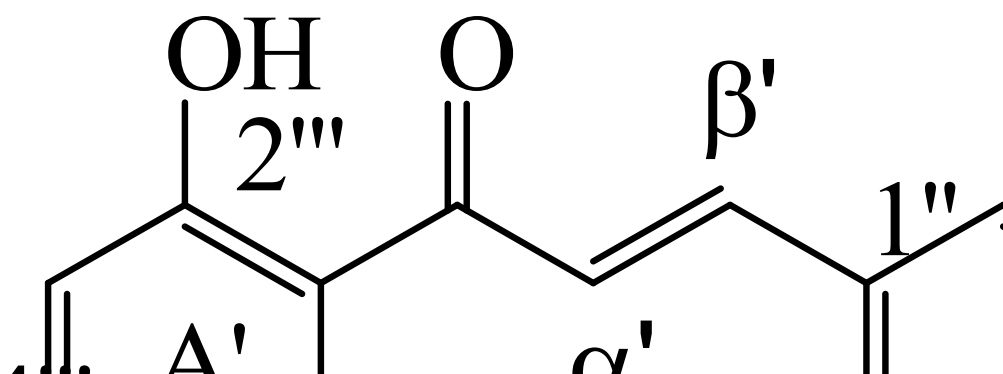
Berhanu M. Abegaz^a, O. M. Shetonde^a, G.D.W.E.Kapche^b, W. Mammo^c, and K. Marobela^d

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We have recently completed a phytochemical investigation of the medicinal plant known as *Rhus pyroides* as well as the total synthesis of the major constituents [1, 2, 3]. Our studies have resulted in the isolation of two kinds of unique bichalcones: one set containing an oxygen bridge between the chalcone moieties (**1-4**); and the second set (**5, 6**) consisting of two directly linked chalcones. The plant has demonstrated fairly strong biological activities and these properties appear to be attributed to these novel metabolites. We have therefore undertaken and successfully achieved the total synthesis of these compounds and their derivatives. A full investigation of the biological activities of these compounds is currently underway. We have also completed the investigation of the Moraceous plant, *Morus mesozyga* from Cameroon which yielded 13 metabolites of which five were found to be novel 2-aryl benzofuran derivatives [4]. The structural features of these metabolites and their significance will be discussed.



References

1. B. Masesane, S. O. Yeboah, J. Liebscher, C. Muegge and B. M. Abegaz. 1999. A Bichalcone from the twigs of *Rhus pyroides* *Phytochemistry*, 53, 1005-1008.

2. Ladislaus K. Mdee, Samuel O. Yeboah, and Berhanu M. Abegaz 2003. Rhuschalcones II-VI, Five New Bichalcones from the Root Bark of *Rhus pyroides*, *J. Nat. Prod.* 66(5) pp 599 – 604.
3. O. M. Shetonde, W. Mammo, M. Bezabih, K. Marobela,^d and B. M. Abegaz, Total Synthesis and anti-protozoal activities of Rhuschalcone VI and derivatives, 2009 manuscript under to be submitted.
4. G.D.W.E.Kapche, C.D. Fozin, J.H. Donfack, G.W. Fotso, D. Amadou, A>k. Tchana, M. Bezabih, P.F. Moundipa, B.T. Nadjui, B.M. Abeaz, Prenylated arylbenzofuran derivatives from *Morus mesozyia*, *Phytochemistry*, 2009, 70, 216-221.

[PL -2] THE POTENTIAL OF AFRICAN MEDICINAL PLANTS AS SOURCE OF NEW DRUGS

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3

The African continent with tropical forests, coastal regions, desertic regions and high mountains has a very wide biodiversity which is not yet fully exploited. The most famous plant issued from Africa is without any doubt coffee (various species of the genus *Coffea*, Rubiaceae) which is now well-implanted in South America. A couple of African plants have provided important molecules such as the alkaloids of *Catharanthus roseus* (Apocynaceae) used worldwide for the treatment of leukaemia and various cancers. To fight arthritic pains, extracts of devil's claw (*Harpagophytum procumbens*, Pedaliaceae) are widely used in Europe and are now recognized as registered drugs and not as food supplements anymore. The same applies for Umckaloabo (*Pelargonium sidoides*, Geraniaceae), a plant used in the Zulu traditional medicine. Extracts of this plant have been introduced with great success in the Western medicine for the efficient treatment of acute bronchitis and other problems of the respiratory tract. *Hoodia gordonii* (Apocynaceae) is used as food supplement to inhibit appetite. Many other examples could be cited.

The approach to find new bioactive compounds from higher plants will be described and illustrated with the search for new antifungal compounds from various African plants. The potential of these plants is important in the fight against neglected diseases such as parasitic diseases which still affect millions of people in Africa. In

Mali, a plant introduced long times ago from Central America, *Argemone mexicana* (Papaveraceae) is now used by traditional healers to treat malaria. The phytochemical investigation of this plant will also be presented.

[PL -3] APPLICATION OF LC-MS AND LC-NMR TECHNIQUES TO THE IDENTIFICATION OF BIOACTIVE NATURAL PRODUCTS

Karine Ndjoko

[PL -4] ANTHRAQUINONES AND ALKALOIDS –ACETOGENIC, ANTI-INFECTIVE, AND AXIALLY CHIRAL!

Gerhard Bringmann *et al.*

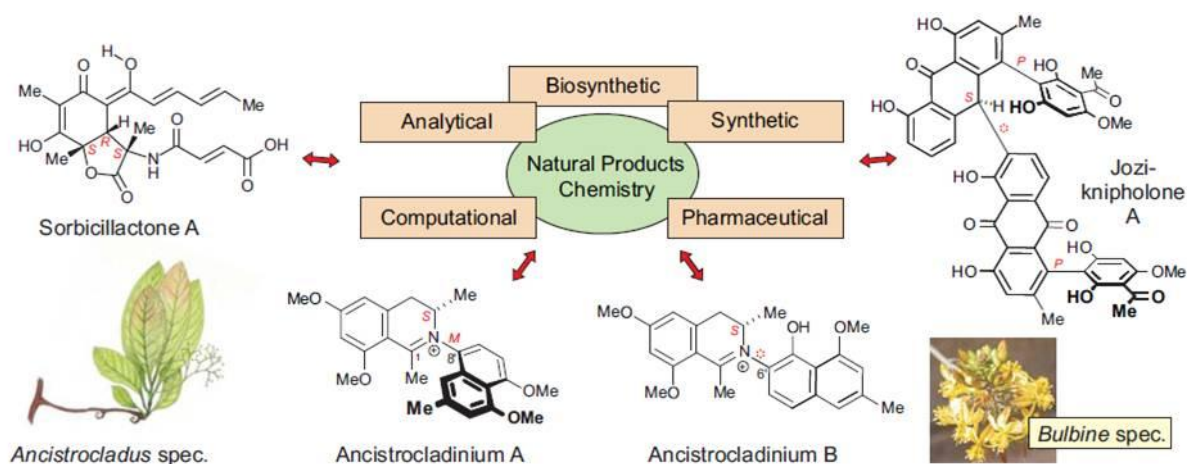
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Natural products chemistry is a stimulating and future-oriented field of research, providing new chemical and biological challenges and inspirations, but also new drug candidates and, thus, new chances to overcome diseases and suffering of mankind. We pursue natural products chemistry in a broad, multi-disciplinary way, with analytical, synthetic, biosynthetic, computational, and pharmaceutical facets. This high degree of interdisciplinarity is, exemplarily, depicted for different classes of compounds that possess unusual, stereochemically intriguing structures, new or even unprecedented biosynthetic origins and, in particular, promising bioactivities.

Our strategy to find novel-type compounds is spectroscopy-assisted, rather than bioactivity-guided, with the structural novelty as the primary search criterion. The lecture describes the strategy for such an online search for novel-type structures by HPLC-MS/MS-NMR-CD (in combination with quantum chemical CD calculations),^{1,2} exemplarily for different classes of metabolites (see Figure). These involve acetogenic alkaloids and anthraquinones from plant or marine sources, possessing unprecedented biosynthetic origins and/or stereochemically intriguing C,C- or C,N-axes (sp^2-sp^2 or sp^2-sp^3), which are configurationally stable or semi-stable.³⁻⁵



The work shows the synergy of these interdisciplinary facets of natural products research in the isolation, structural elucidation (online or offline), biosynthesis, synthesis, and bioactivity discovery and optimization of natural products.

1. G. Bringmann, T. Bruhn, K. Maksimenka, Y. Hemberger; The Assignment of Absolute Stereostructures by Quantum Chemical Circular Dichroism Calculations; *Eur. J. Org. Chem.* **2009**, 17, 2717-2727.
2. G. Bringmann, T.A.M. Gulder, M. Reichert, T. Gulder; The Online Assignment of the Absolute Configuration of Natural Products: HPLC-CD in Combination with Quantum Chemical CD Calculations; *Chirality* **2008**, 20, 628- 642.
3. G. Bringmann, B. Abegaz, M. Knauer, J. Mutanyatta; Knipholone and related 4-phenylanthraquinones: structurally, pharmacologically, and biosynthetically remarkable natural products; *Nat. Prod. Rep.* **2008**, 25, 696-718.
4. G. Bringmann, J. Mutanyatta-Comar, K. Maksimenka, J. M. Wanjohi, M. Heydenreich, R. Brun, W.E.G. Müller, M.G. Peter, J. O. Midiwo, A. Yenesew; Joziknipholones A and B, the First Dimeric Phenylanthraquinones, from the Roots of *Bulbine frutescens*; *Chem. Eur. J.* **2008**, 14, 1420-1429.
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Keywords: Naphthylisoquinoline alkaloids; anthraquinones; atropisomerism; synthesis; biosynthesis; anti-infective activity

Schrott:

G. Bringmann, A. Irmer; Acetogenic Anthraquinones: Biosynthetic Convergence and Chemical Evidence of Enzymatic Cooperation in Nature; *Phytochem. Rev.* **2008**, 7, 499-511.

[PL -5] OPTIMISING YIELDS AND QUALITY OF TEA IN DIFFERENT LOCATIONS

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Tea (*Camellia sinensis* (L)) is grown under tropical and sub-tropical environments with large variations in climatic conditions resulting in yields and quality differences. These differences are attributed changes to soil types, altitudes, solar radiation, rainfall, seasonal conditions and agronomic in-puts. Further away from the equator, the seasonal variations are much larger than close to the equator. Consequently, seasonal yield distributions and quality become more variable as distance from the equator increases. Close to the equator, growth is more uniform, and it was assumed growth and leaf chemical composition which control yields and quality, respectively, do not vary much. As a result, agronomic inputs and processing technology recommendations have been uniform to a large extent. But recent research have demonstrated large variations in quality and yields in the different environments within East and Central African tea growing areas implying need for region specific agronomic recommendations and processing technologies. This report reviews results of studies to establish the variations in yields and quality of black tea in different locations within the region due to agronomic inputs and processing technologies. For same cultivars grown in different locations, there were differences in yields and quality suggesting variations in growth pattern and differences in the chemical composition of the same cultivar in the different regions. Growth and green leaf chemical composition resulting in differences in yields and black tea quality were observed in the same cultivars grown at different altitudes even within a 10 km radius at different altitudes. Yields declined but quality improved with rise in altitude. When the same cultivar was subjected to different rates on nitrogenous fertiliser and plucking intervals, response depended on the location of growth. Indeed, the optimal yields and quality were obtained at different nitrogenous fertiliser rates and plucking intervals demonstrating the growth and green leaf chemical composition varied with location of production. Fermentation is a critical stage in black tea processing as most noticeable chemical transformations occur at this stage. Using same cultivar grown in Kenya and Malawi, and processed under identical conditions, large differences in the resultant black tea chemical composition hence quality were recorded, at the same fermentation duration. Indeed, optimal fermentation durations in the same cultivars were arrived at after same fermentation duration in the two countries. Generally, optimal fermentation durations were much shorter in Malawi than in Kenya under identical fermentation

conditions. The data suggest that there is need to develop region specific agronomic inputs, cultivars and processing technologies. Adoption of agronomic inputs and processing technologies recommendations develop away from the farming area may be subjecting some tea growers to perpetual low production and/or production of low quality black tea. This subjects the producers to continuous poverty.

Keywords

Black tea, *Camellia sinensis*, environment, genotypes, cultural and agronomic practices, processing technologies, yields, quality.

[PL -6] DRUG DISCOVERY AT IMRA: RECENT RESULTS AND WAY FORWARD

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One of main activities of the Institut Malgache de Recherches Appliquées (acronym IMRA) is drug discovery which is focussed on tropical diseases (malaria and chikungunya) and diseases of ageing (diabetes of ageing, erectile dysfunction in old men, and memory and cognitive dysfunction). The presentation will be focussed on erectile dysfunction. The work has been carried out in collaboration with Uppsala University. The arrival of the synthetic drug Sildenafil citrate and its chemical analogues has captivated the public imagination, and has drawn tremendous medical attention to the concept of sex male dysfunction. A search of PubMed, using the search term erectile dysfunction (ED) and comparing the results for the period 1961-1997 with that of 1998-2009, 1997 being the year when sildenafil was launched, reveals a dramatic 15-fold steep increase in frequency of papers, and this includes papers in “high impact factor” peer-reviewed journals. In response to recent advances in synthetic medication, there has been a recent growing interest towards the search of traditionally herbs, which are being constantly claimed for the treatment of erectile and libido dysfunction. At this point, *Neobegua mahafalensis* (Meliaceae) is used in the South and West parts of Madagascar for the treatment of erectile dysfunction in old men. We used the physiology-based approach to

demonstrate activities, and the mating behaviour test to assess the efficacy of different extracts from the species. Bioassay-guided fractionation led to the isolation of three pharmaco-active constituents as limonoids with unprecedented structures [1]. They were active even at very low doses, *i.e.* 0.4 down to 0.04mg/kg, and had long lasting effects after three days consecutive treatment. Preliminary investigations suggest that the lipophilic bioactive compounds probably act in the brain, which precludes any direct vascular effects. Acute and sub-acute toxicological studies in rodents demonstrated the safety of the active constituents. A phytomedicine under the trade name Dangitsyl[®] was formulated with the active constituents. Under the regulations regarding the clinical evaluation of traditional medicine preparations in Madagascar, the clinical efficacy of Dangitsyl was verified at daily dosages ranging from 10mg to 60mg in preliminary trials. The effect of Dangitsyl is delayed; most subjects experience a pronounced improvement of sexual performance on the 4th or 5th day following the start of the treatment, and the effect may persist for several weeks. This corroborates the effects observed in animal models. The duration of the effect of Dangitsyl is therefore very long (several weeks to even months), and may vary with patient, and as well as over time with repeated treatment for the same patient. Several pharmacological studies are underway to further clarify the mechanism of action of the active limonoids.

References

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[PL -7] TERPENOIDS FROM AFRICAN MEDICINAL PLANTS

Dulcie A Mulholland

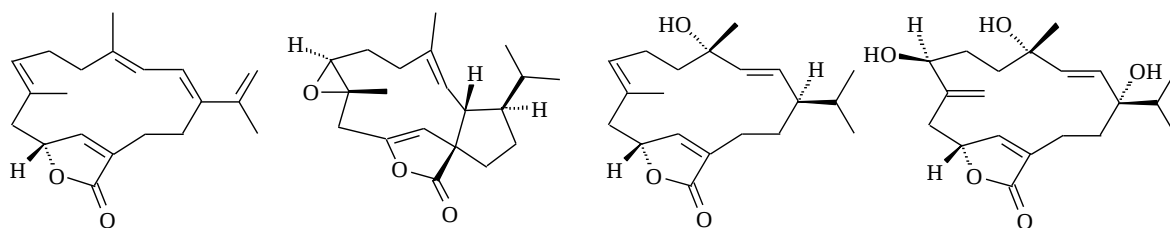
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Phytochemical investigations of the genus *Croton* (Euphorbiaceae) and *Phyllanthus* (Phyllanthaceae) have yielded a range of di- and tri-terpenoids. Of particular interest is the range of novel cembranoids isolated from *Croton gratissimus*, as shown below, which include the first example of a cembrane-derived diterpenoid with a C-2, C-12 bond. *Croton sylvaticus* has yielded clerodane diterpenoids including a novel lactonized clerodane furanoditerpenoid and *Croton pseudopulchellus* has yielded *ent*-kaurane diterpenoids

including two novel *ent*-kaur-16-en-19-oic acid derivatives. The variation in diterpenoid classes isolated from the different *Croton* species investigated will be discussed.

Cembranoids from *Croton gratissimus*.



[PL -8] RED HOT COMPOUNDS FROM THE RED HOT POKER PLANTS, *KNIPHOFIA SPECIES*

Abiy Yenesew,^a Immaculate Achieng^a, Meron Gebru,^a Matthias Heydenreich,^b Martin G. Peter,^b Ermias Dagne,^c Michael Knauer^d, Gerhard Bringmann^d

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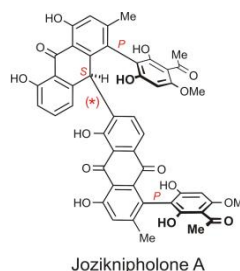
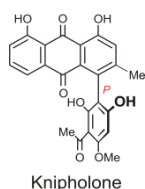
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Knipholone, the first 4-arylanthraquinone was discovered from the stem of *Kniphofia foliosa* (Asphodelaceae) in 1984 [1]. Since then a number of 4-arylanthraquinones including knipholone anthrone have been isolated from this plant [2, 3] and other members of the Asphodelaceae, namely from *Bulbine* [4] and from *Bulbinela* species [5]. Compounds belonging to this new class of anthraquinones have rotationally hindered biaryl linkages. The absolute configuration of knipholone and the other members was established by the use of advanced quantum chemical CD calculations [6]. Recently the first dimeric arylanthraquinones, named joziknipholones A and B, have been discovered from the roots of *Bulbine frutescens* [7]. We have now reinvestigated *Kniphofia foliosa* and identified joziknipholone A and other anthraquinones. More significantly, we have discovered an unprecedented tetrameric phenylanthraquinone, named jozi-joziknipholone. The structures and antiprotozoal activities of these compounds will be discussed.



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[PL -9]

LIFETIME OF NATURAL PRODUCTS

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In almost fifty years of natural product research I have met a lot of very nice people and a lot of very nice compounds. In my talk I want to look back and to highlight some of the major changes I have seen over the years. Then I shall discuss some of the compounds isolated by my Cameroon colleagues in their quest for anti-malarial activity. Finally I shall mention some of my favorite compounds from other parts of the world.

[PL -10] QUALITY CONTROL OF NATURAL PRODUCTS**Ermias Dagne**

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The worldwide demand for high quality, safe, effective, and clean natural plant products has grown significantly in recent years. Although there is rich heritage in the use of medicinal plants in Africa, not much economic benefit has been derived from this area despite the rapidly expanding international market.

In the past, herbs and essential oil bearing plants were largely harvested from the wild and brought to the market without questions being asked about their origin, botanical identity, methods of cultivation, safety, efficacy, sustainable harvesting, loss of genetic diversity resulting from extensive wild harvesting etc. Botanical misidentification, inappropriate methods of collection and processing and presence of pesticide and microbial residues have all negatively contributed to the marketing of African natural products.

The export of raw plant materials requires product-tracking system for the cultivation and sustainable harvesting. Processed products, which usually give better returns, need to be backed by quality control data, which usually require trained manpower and sophisticated technology, both not readily available in Africa. The role local and regional universities could play in alleviating the problem of quality control of natural products cannot be overemphasized.

The main purpose of this talk is to present recent results in quality control of natural products achieved in our laboratory.



**[PL -11] FROM PLANTS TO BIOACTIVE COMPOUNDS
THROUGH THE STUDY OF GREAT APES- ECOLOGY, CHEMISTRY AND
CONSERVATION OF TROPICAL FOREST**

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Tropical forests are being threatened by accelerating rate of forest conversion and degradation. They contain however 50 to 90 % of the animal and vegetal species and remain the main source for medicinal products. Of all the primates, 90% live in tropical forests and one in five is endangered or critically endangered. The unique habitat of six species of great apes is tropical forests. In the framework of the partnership between French and Ugandan institutions (Museum National d'Histoire Naturelle, France- Institut de Chimie des Substances Naturelles, France- Makerere University, Uganda- Uganda Wildlife University, Uganda) we aim at implementing the knowledge on phytochemistry and biodiversity of Ugandan plants using chimpanzees as "guides". In Kibale National Park, Uganda, we monitor the chimpanzee (*Pan troglodytes schweinfurthii*) diet since 1999. Of the 250 plant parts, some are rarely consumed and have a low nutritive value. We thus explore the relationship between health and plant selection to target plants and to isolate bioactive compounds. Several bioactive extracts of plants species eaten by chimpanzees were investigated some of them leading to novel molecules. Bioguided fractionation of the leaves' extract of *Trichilia rubescens* (Meliaceae) provided new antiparasmodial limonoids, novel cytotoxic oleanane-type triterpene saponins were isolated from extract of leaves and bark of *Albizia grandibracteata* (Fabaceae) and ten novel cycloartanes triterpenoids from *Markhamia platycalyx* (Bignoniaceae) exhibiting antitrypanosomal activities were elucidated. In addition, there is a large overlap between the ingested plant parts by chimpanzees and those used in traditional medicine. Studying and preserving the interactions between humans, animals and flora is of major interest for the human health and the planet welfare in the future. For this reason, the Association 'Projet pour la Conservation des Grands Singes' in partnership with UNESCO, and MAEE currently conduct a sensitization programme using kits with 120kg of educative tools in African countries which are home range of great apes. In Gabon and Uganda, 15 000 kids from 120 schools in remote areas participated in 2008 to sessions where Apes are ambassadors for tropical forests. DRC, Congo as well as Cameroun will be soon partners of the programme through ECOFAC partnership. The motivation of participants for preserving forests for a sustainable use of their resources as well as Apes survival greatly increased following the programme. It is the challenge of the seventh species



of Great Apes, present worldwide and threatening the others, human beings, to save their closest parents, umbrella and keystone species in tropical forests.

**[PL -12] *CROTON ZAMBESICUS* : ISOLATION AND QUANTIFICATION
OF ACTIVE DITERPENES AND STRUCTURE-ACTIVITY RELATIONSHIPS**

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UCL- Belgium

Croton zambezicus Muell. Arg. (Euphorbiaceae) (Syn. *C. amabilis* Muell. Arg., *C. gratissimus* Burch.) is a shrub or small tree reaching 10 m in height. It is a Guineo-Congolese species widespread in Tropical Africa. The leaf decoction is used in Benin as anti-hypertensive, anti-microbial (urinary infections) and to treat fever associated with malaria.

The genus *Croton* is well known for its diterpenoid content and a lot of different types of diterpenes (phorbol esters, clerodane, labdane, kaurane, trachylobane, pimarane, etc.) have been isolated from this genus.

There was very little literature concerning the phytochemical content of *Croton zambezicus* although this plant is widely used in African traditional medicine. Labdane, clerodane and trachylobane diterpenes have been identified in its stem bark.

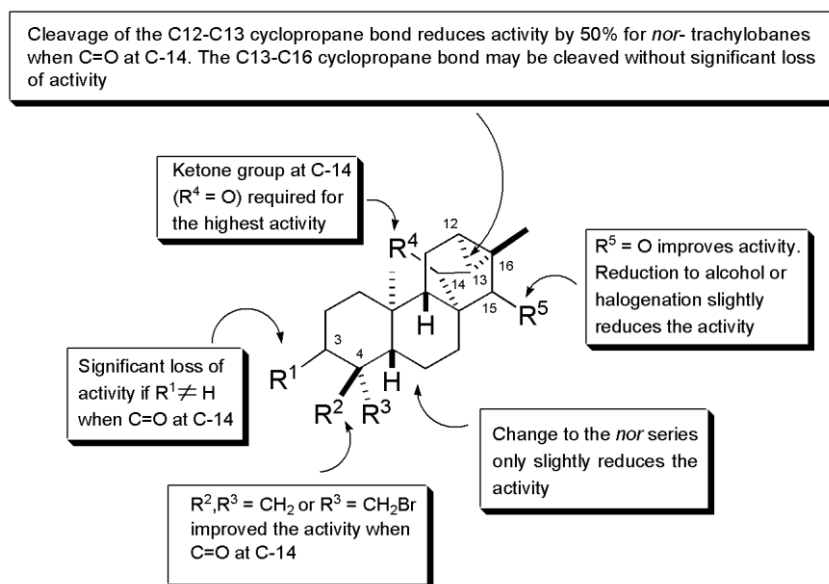
During our research, we isolated from the leaves three new trachylobanes, one isopimarane together with trans-phytol, β -amyirin and sterols, as well as a mixture of two diterpenes that inhibits the KCl-induced contraction of male Wistar rat aorta in a concentration-dependent manner with an IC_{50} of 1 μ g/mL. As the dichloromethane fraction of the aqueous extract of the leaves show some antihypertensive properties on mice, we decided to test the diterpenes isolated from the leaves of *Croton zambezicus* to evaluate their vasorelaxant activity on Wistar rat aorta. Their vasorelaxant effect was compared to a series of synthetic trachylobanes on KCl-induced contractions in order to evaluate the structure-activity relationships. The cytotoxicity of all these compounds has also been evaluated on HeLa cells as some trachylobanes were shown to be cytotoxic and pro-apoptotic.

We showed for the first time the vasorelaxant properties of some pure trachylobane diterpenes at low concentration ($IC_{50} < 5 \mu$ g/mL) on KCl-induced contractions but none of them have an effect on noradrenaline-induced contractions. We also observed that a carbonyl group at C-14 associated to a hydroxyl or ketonic function

at C-15 or a carbonyl at C-3 associated to a hydroxymethyl group at C-4 plays an important role on the vasorelaxant activity of trachylobane diterpenes. We did not observe a marked effect of the absolute configuration nor of the cleavage of the C13-C16 cyclopropane bond. Our work also shows that cytotoxic activities of these diterpenes were not correlated to their vasorelaxant properties.

To quantify these compounds in plants and extracts, a sensitive and accurate method, combining Soxhlet extraction, solid-phase extraction and capillary gas chromatography was developed and fully validated for the quantitative determination of four diterpenes (*ent*-trachyloban-3 β -ol, *ent*-18-hydroxy-trachyloban-3-one, *ent*-trachyloban-3-one and isopimara-7,15-dien-3 β -ol) in the leaves of *Croton zambesicus*.

These results indicate that *C. zambesicus* leaves contain vasorelaxant diterpenes which could account, at least partially, for their use to treat hypertension. Nevertheless, as some of these compounds are cytotoxic, this plant has to be used with caution and *in vivo* toxicity studies are needed before this plant could be recommended in medicine



Schematic SAR model for the vasorelaxant activity of synthetic trachylobane diterpenes.

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[PL 13] OUR CONGOLESE-GERMAN PARTNERSHIP – A MODEL CASE FOR OTHER UNIVERSITIES?

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The University of Kinshasa (UNIKIN), once a leading university in Subsaharan Africa, presently suffers from difficult conditions concerning staff age and replacement, shortage of scientific instrumentation, and insufficient infrastructure in general. Starting with an intense cooperation on the biodiversity of Congolese plants and the resulting chemical diversity, and guided by our personal dreams to find solutions to these difficult problems, we have, together with our friends, built up a multi-faceted joint program, which consists of essentially 9 'columns':

1. The scientific cooperation as such, since 1994.
2. A joint university-university agreement since 2003.
3. Joint lecture courses, seminars, and excursions.
4. The organization of scientific symposia, starting with the first chemistry and pharmacy symposium in 2005, and, in 2009, hosting the 13th NAPRECA symposium.
5. The collection and donation of scientific books (30 tons, more than 10 further tons *en route*).
6. A first German-Congolese joint highschool-highschool project.
7. Likewise just starting: a joint bee



project near the UNIKIN campus.

8. Intense public relations work (i.a., at the European Parliament).
9. An excellence-scholarship system (BEBUK), a probably unique, privately financed program, which will enable young outstanding Congolese students to study rapidly and efficiently and then to go abroad *and* come back for an academic career in Africa. After a first *pilot phase I* (students of chemistry and pharmacy), and encouraged by the excellent results of the first 4 scholars, the scholarship system has been extended to presently 10 students of chemistry, pharmacy, medicine, laws, and letters, and will be enlarged to all other subjects and disciplines of the UNIKIN.

In Würzburg (Germany), the joint program is paralleled by the foundation of the *Africa Circle at the University of Würzburg* (www.afrikakreis.uni-wuerzburg.de), which involves scientists from all 10 faculties, by an own NGO ("*Support for the UNIKIN*", see logo), and by a research network SFB 630 "*Agents against Infectious Diseases*" (www.sfb-630.uni-wuerzburg.de); coordinator of all these institutions is G. Bringmann.

Keywords: Partnership UNIKIN-UNIWUE; BEBUK; excellence scholarship; biodiversity; agents against infectious diseases

Speaker: Prof. Dr. Dr. h.c. Gerhard Bringmann, Institut für Organische Chemie, Am Hubland, 97074 Würzburg, Germany, bringman@chemie.uni-wuerzburg.de

SHORT LECTURES



[SL - 1] ISOLATION OF BIOACTIVE COMPOUNDS FROM THE TROPICAL LIANA *ANCISTROCLADUS CONGOLENSIS*

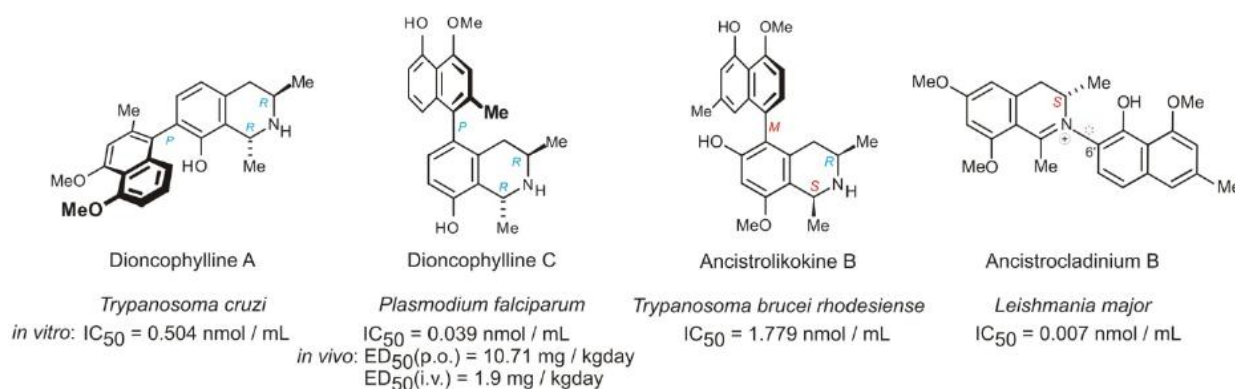
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The small plant family of the Ancistrocladaceae comprises approximately 18 species in the palaeotropic regions and, up to now, one single genus named *Ancistrocladus*.^[1] These lianas feature hooked branches as climbing implements, and are closely related to the Dioncophyllaceae, tropical lianas with hooked leaves. The two plant families are used in traditional African and Asian medicine against dysentery, malaria, African sleeping sickness and leishmaniasis.^[2] The bioactivity results from naphthylisoquinoline alkaloids, an extraordinary class of biaryls, only found in Ancistrocladaceae and Dioncophyllaceae species. The naphthylisoquinolines consist of a naphthalene and an isoquinoline moiety, coupled via a biaryl axis. The axis joins the two molecule halves at various positions and usually is rotationally hindered. Several naphthylisoquinolines, *C,C*-coupled ones, like dioncophylline A and C and ancistrolilikine B, and *N,C*-coupled ones, like ancistrocladinium B, have shown *in vitro* and *in vivo* activities against pathogens of tropical diseases.

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Previous work in our research group on *Ancistrocladus congolensis* showed the presence of numerous interesting naphthylisoquinolines and also naphthylisoquinoline dimers, which are known for their anti-HIV activity. Recently, the structures of two new compounds, a 7,8'-coupled naphthylisoquinoline and a dimer, were elucidated, three more are in progress. In addition, the naphthoquinone malvone A was isolated from plant material for the first time.

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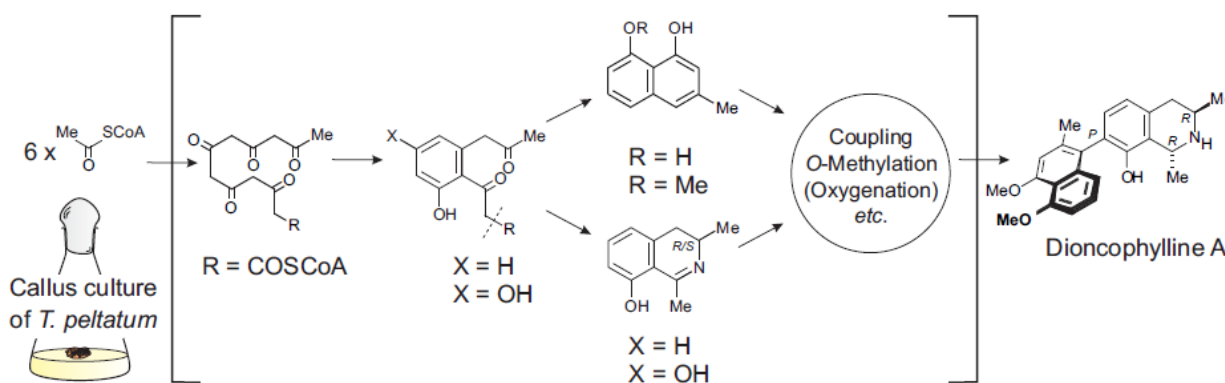
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[SL - 2] THE BIOSYNTHETIC ORIGIN OF NAPHTHYLISOQUINOLINE ALKALOIDS

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The small palaeotropical plant families Dioncophyllaceae and Ancistrocladaceae comprise most peculiar lianas. They are the only plants known to produce naphthylisoquinoline alkaloids, like, *e.g.*, dioncophylline A. These remarkable natural products are characterized by their unprecedented structures, usually with rotationally hindered and thus stereogenic biaryl axes, by their promising antiprotozoal bioactivities (*e.g.*, against *Plasmodium*, *Leishmania*, and *Trypanosoma* species), and by the unique biosynthetic origin of both, the isoquinoline and the naphthalene portions, each from six acetate units^[1]



To evidence this acetogenic origin by biosynthetic experiments was possible only by the establishment of cell cultures of these sensitive tropical lianas, thus providing a sterile *in vitro* system that reliably produces the alkaloids. More detailed insight into the biosynthetic pathway was now gained by the synthesis and incorporation of two advanced ¹³C-labeled isoquinoline precursors^[2] The unambiguous incorporation of these precursors into dioncophylline A and two other naphthylisoquinolines was achieved by spectroscopic methods (¹H NMR, ¹³C NMR, and INADEQUATE experiments).

The results thus obtained suggest that the initially formed dihydroisoquinoline is efficiently and highly stereoselectively reduced to the corresponding *trans*-configured tetrahydroisoquinoline, which is then further coupled oxidatively with the naphthalene moiety to form the complete naphthylisoquinoline alkaloids.

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[SL – 3] VASOCONSTRICTOR AND INOTROPIC EFFECTS INDUCED BY THE ROOT BARK EXTRACTS OF *ANTHOCLEISTA SCHWEINFURTHII* (GILG) (GENTIANACEAE)

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The present study was performed to evaluate the cardiovascular effects of three extracts from the root bark of *A. schweinfurthii* (Gilg) positive to kedde reagent considered as specific for cardiac glycosides. Plant extracts which contains “digital like” compounds present a possible cardiotoxicity due to inhibition of the Na⁺,K⁺ ATPase pump. Nowadays, there is no evidence of cardio-vascular activity of the extracts of *A. schweinfurthii* (Gilg.). This big tree of tropical regions are eaten by bonobo (*Pan paniscus*), an endemic pigmee chimpanzee of the Democratic Republic of Congo and also used in folk medicine to treat several disorders (malaria, tumors, cancers, venereal diseases, bacterial diseases...). The effects of dichloromethane soluble fraction of the ethanolic extract (DCMR), cardiac glycoside-rich fraction (CARDAN) and aqueous extract (A_E) on the vascular responses of isolated rat aorta and the cardiac functions in isolated frog heart were evaluated. In isolated rat aortic preparations, the contraction evoked by A_E was completely reversed by phentolamine (1μM), whereas the contractile response to CARDAN was inhibited by the addition of verapamil (1μM). Effect of DCMR was transient and contraction relaxed spontaneously. In frog isolated heart, *A.schweinfurthii* extracts exhibited

positive inotropic effect in isolated frog heart. The effect of A_E and DCMR was depressed in the presence of propranolol. The inotropic effect of CARDAN was unaffected by the beta blocker. The present results showed for the first time the cardiovascular effect of three extracts isolated from *A. schweinfurthii* (Gilg) containing cardiac glycosides type compounds as indicated by a positive reaction with Kedde reagent.

KEY WORDS: *Anthocleista schweinfurthii*- cardiac glycosides extracts- asoconstrictor effect-inotropic effect.

[SL - 4] ANTISICKLING ACTIVITY OF *JUSTICIA SECUNDA* VAHL

P.T. Mpiana, K.N. Ngbolua, M.T. Bokota, T.K. Kasonga, E.K. Atibu, and V. Mudogo

Anthocyanins extracts from some Congolese plants used in traditional medicine against sickle cell anemia had recently showed antisickling activity *in vitro*^{1,2} *Justicia secunda* is one of them. Its use is even advised by some religions congregation to bypass blood transfusions.

SS erythrocytes treated using anthocyanins extracts of *J. secunda* indicated the re-appearance of the biconcave shape of erythrocytes with a mean radius value of $3.3 \pm 0.3 \mu\text{m}$ similar to that of normal ones. The solubility of Deoxyhemoglobin S erythrocytes and their osmotic fragility increased upon treatment with anthocyanins extracts.

These findings suggest that anthocyanins extract play a role in both stabilizing erythrocyte membrane and inhibiting polymerization of hemoglobin S. This provides a possible molecular basis for earlier reports on the antisickling properties of anthocyanins and their use in the management of sickle cell disease.

Key words: *Justicia secunda*, Antisickling activity, Anthocyanins extracts.

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[SL - 5] HPLC ANALYSIS AND NMR IDENTIFICATION OF HOMOISO-FLAVONOIDS AND STILBENOIDS FROM THE INTER-BULB SURFACE OF *SCILLA NERVOSA*

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Scilla nervosa (Burch.) Jessop [syn. *Scilla regidifolia* (Kunth), *Schizocarpus nervosus* (Burch.) Van der Merwe] (Hyacinthaceae) is an important traditional medicinal plant in Southern Africa where it is native to Botswana, Namibia, South Africa and Swaziland. It is used to treat infections and rheumatic fever. On the other hand it is also recognized to be poisonous to livestock [1-3].



In Botswana the bulbs of *S. nervosa* are claimed to enhance female fertility. One will find the bulbs offered for sale in open markets at bus stations and other public places in Botswana. Our earlier investigation of the dried and powdered bulbs of *S. nervosa* purchased at the Gaborone bus station in Botswana yielded 13 homoisoflavonoids and 3 stilbinoids [4]. Additionally two homoisoflavonoids and two stilbenoids were reported from whole bulbs of *S. nervosa* collected in South Africa [5]. Twenty one isoflavonoids are reported from the genus *Scilla*.



The yellow inter-bulb deposits from *Scilla nervosa* were analysed by HPLC and found to contain 19 components. Twelve of the 19 were identified by comparison of R_t values with those of authentic homoisoflavonoids and stilbenoids, co-elution and by

preparative isolation followed by NMR and MS. Two new homoisoflavonoids, 3-(4-hydroxyoxybenzyl)-5,7-dimethoxy-6-hydroxychroman-4-one and 3-(4-methoxybenzyl)-6,7-dimethoxy-5-hydroxychroman-4-one were also identified.

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[SL - 6] RADICAL SCAVENGING PRINCIPLES FROM *CASSIA ABBREVIATA* BEAREANA HOLMES AND *VANGUERIA PARVIFOLIA* SOND & A FACILE PALLADIUM CATALYSED TRANSFER HYDROGENATION PROTOCOL OF PROCHIRAL ALKENES

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The extracts and compounds isolated from two medicinal plants in use in Eastern and Southern Africa were assayed for antioxidant activity. They showed very good to appreciable activity and this is reported here. Both the rapid TLC analysis and the UV spectrophotometric method were used to assay these compounds for radical scavenging activity. A facile stereoselective palladium catalysed transfer hydrogenation protocol of prochiral alkenes was also developed

Key word index: *Cassia abbreviata* Beareana Holmes, *Vangueria parvifolia* Sond, Radical scavenging, Antioxidants, Stereoselective.

[SL - 7] PHYTOCHEMICAL AND BIOLOGICAL STUDIES OF *GEGERIA ALATA*

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Plants form the main ingredients of medicines in traditional systems of healing and have been the source of inspiration for several major pharmaceutical drugs. *Gegeria alata* (DC), Oliv & Hiern (Asteraceae) has been used as traditional medicine for many applications such as epilepsy, spasms, pneumonia and rheumatism¹. Also, the whole plant is used as insecticidal and in food as spices. Repeated column chromatography of the chloroform extract of the roots of *G. alata* yielded two new sesquiterpene lactones [1&2] together with the known Geigerane (sesquiterpene lactone) and Flavonol: 7-O- methylkaempferol. Six components were detected in the oil of *G. alata* roots representing 99% of the total oil.

Extracts from the leaves and roots were screened for different biological activities such as antibacterial, antifungal, cytotoxicity, and insecticidal activities. All extracts of the roots and the ethanol extract of the leaves showed significant activity against *S. aureus* and *K. pneumonia*. The former bacterium was also susceptible to chloroform extract of leaves. Also the ethanol extract of the roots displayed significant activity against *E. coli*. The hexane and ethanol extracts of leaves showed moderate antifungal activity against *C. albicans*.

The chloroform extract of the roots showed significant insecticidal activity against *Tribolium castaneum* and *Callosbruchus analis* while the volatile oil exhibited only a significant activity against *C. analis*. The brine shrimp lethality assay showed absence of any measurable cytotoxicity of the hexane and chloroform extracts of the leaves whereas, both extracts as well as volatile oil obtained from roots showed significant cytotoxicity. Thus *G. alata*, as shown by *in-vitro* assays, could be a potential source for natural antibacterial, insecticidal and anticancer agents.

Keywords: *Gegeria alata*, sesquiterpene lactones, flavonol, biological activity.

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[SL - 8] PHYTOMEDICINE DEVELOPMENT FROM PLANTS OF RWANDA



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In Rwanda, the first scientific work on the pharmacopoeia and traditional medicine started in the year 1972, at the National University of Rwanda (UNR), more precisely within the Faculty of Medicine by a small group of researchers-teachers. In 1975, this group is reinforced by a few teachers of the Faculty of Science, in particular those from the Department of Chemistry. In the years 1980, these researchers put in place the University Research Centre on the Pharmacopoeia and Traditional Medicine known as CURPHAMETRA. In 1989, this centre became the centre Pharmacopoeia of the Institute of scientific and technological research (IRST) by law Nr 06/1989 of March 15, 1989. Very recently, this centre was named "Research Center in Phytomedicines and Life sciences" (CRP&SV).

Since 1989, several drugs containing plant extracts were developed, components with new structures were isolated and were given names inspired by the vernacular names of the plants; such as for example: Idomaïn de Gutenbergia coridifolia (vernacular name :Idoma).

The studies undertaken on the medicinal plants are mainly based on information provided by traditional healers during ethno-botanic investigations. First, these plants are the subject of a botanical study for the exact scientific identification. Then, the extracts of these plants prepared using various solvents are subjected to a series of biological and pharmacological tests in order to detect a biological activity. The plants having revealed a particularly interesting activity are the subject of a chemical study including isolation, purification and structural identification of active ingredients having the initially detected biological activity. The isolation of the active ingredients is generally carried out using various methods of extraction, column and thin layer chromatography, preparative chromatography and purification by crystallization. The determination of chemical structures is carried out, in collaboration with foreign laboratories better equipped than ours, by various techniques of spectrometry: infra-red (IR), ultraviolet (UV), gas chromatography coupled with mass spectrometry (GC-MS) and by nuclear magnetic resonance (NMR). The biological and pharmacological tests are mainly carried out on laboratory mice, in our "Research Centre in Phytomedicines and Life sciences" (CRP&SV).

Essential oils are extracted by the method of steam distillation. Their chemical composition is established by gas chromatography coupled with mass spectroscopy. The - antimicrobial activity is tested by the traditional biological methods. The results of this research led to the manufacture of drugs containing the local plants extracts and also aroused the interest to continue research because the potentialities are enormous.



**[SL - 9] THE ROLE OF NUTRACEUTICAL-IMPLIED EMPIRICAL
GRADES OF PLANT FOODS IN ELICITING PLANT BIODIVERSITY ON
WOMEN SMALL HOLDER FARMS**

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A range of plant biodiversity species, produced for household food and nutrition security, characterize women small-holder farms of the Lake Victoria Basin. The

usefulness of nutraceutical-implied grades computed from micro-nutrient mineral concentrations of plant germplasm in eliciting plant biodiversity is presented. Plant foods were sampled from women small-holder farms in Iganga (Uganda) and Vihiga (Kenya) districts. Mineral concentrations were measured using Energy dispersive X-ray fluorescence spectroscopy (XRF), converted to nutrametric scores (1-10) and grades (low, medium and high). Six minerals were consistently found in a decreasing concentration order ($p>0.05$) viz.: potassium, calcium, iron, Zinc, manganese and strontium and used to elicit plant biodiversity variation on the farms. The nutrametric grades computed using the If logic function have been coined as units of biodiversity. A single mineral exhibited a wide plant biodiversity on the farms. Similarly, a combination of the six minerals exhibited wide plant biodiversity. Plant foods were from *Acanthaceae*, *Amaranthaceae*, *Asteraceae*, *Basellaccae*, *Cucurbitaceae*, *Dioscoreaccae*, *Moraceae*, *Musaceae* and *Solanacea* families. The plant foods captured on these farms with medium to high nutraceutical grades have been identified for phyto-chemical profiling to further qualify their nutraceutical value in order to conserve the seed and share among farmers.

Key words: Biodiversity, Nutraceutical, Small-holder, Mineral

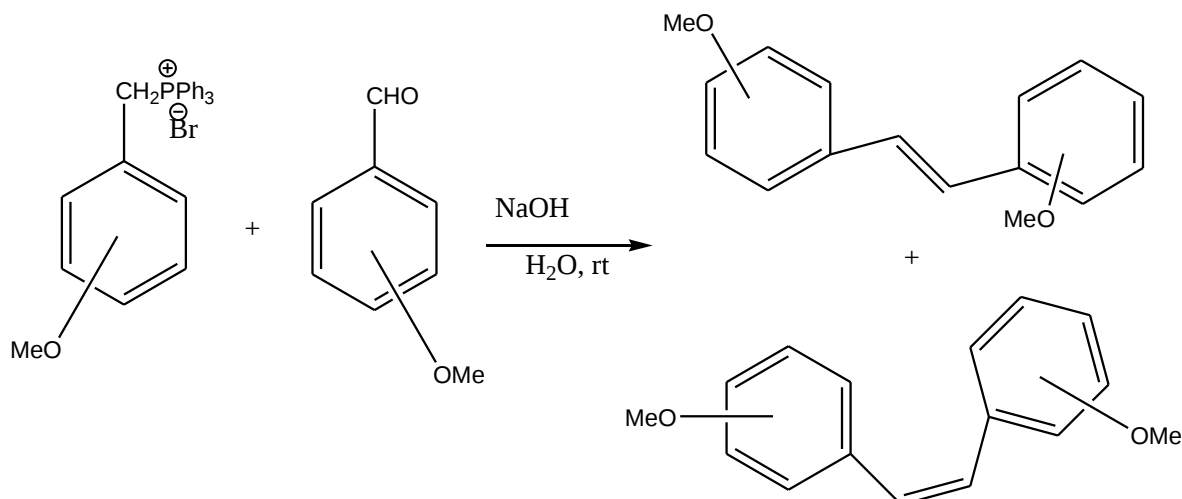
[SL - 10] SYNTHESIS OF METHOXYSTILBENES

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Polyhydroxystilbenes are among the naturally occurring bioactive compounds often isolated from plant materials. Examples include resveratrol¹ and its analogues. The observed biological activities range from antibacterial, antifungal and anticancer properties, to name just a few. Some methoxystilbenes have been found to possess superior biological activities compared to the polyhydroxy analogues. In some cases the introduction of the methoxy groups has led to a 100-fold improvement² in biological activity. This paper describes the synthesis of methoxystilbenes using the Wittig reaction. It was found that the substitution pattern of both the ylide and aldehyde affected the cis/trans ratio of the stilbene product. Biological activity of the compounds is currently being investigated.



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[SL - 11] TOTAL SYNTHESIS OF BIARYLCHALCONES: RHUSCHALCONE VI AND ITS ANALOGUES

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Rhus pyroides Burch. (Anacardiaceae) is a shrub to a medium-sized tree widely distributed in the eastern part of Botswana and South Africa, and is used against epilepsy in traditional medicine.^{1,2} *R. pyroides* is an exceptionally rich source of bioactive bichalcones with novel structural characteristics.¹ Rhuschalcone VI (**1**) is a naturally occurring biarylchalcone composed of two molecules of isoliquiritigenin (**2**). The natural material was reported for the first time by Mdee *et al.*¹ from the root bark of *R. pyroides* and was shown to have a strong anti-plasmodial activity and a moderate antiproliferative activity against two colorectal cancer cells.¹ However, the quantities obtained from natural sources were limited, and it is remarkable that

whereas a number of flavonoids have been employed in Suzuki reactions,³ the use of chalcones and the synthesis of any biaryl-type Rhuschalcones has not yet been reported. In order to provide ready access to sufficient quantities of material for more complete biological studies, as well as a general route for the preparation of Rhuschalcone VI and its structural analogues, the total synthesis of Rhuschalcone VI has been achieved starting from simple and available resorcinol and 4-hydroxybenzaldehyde.



Since a previous report¹ on Rhuschalcone VI has shown that it possesses interesting biological activities, unnatural Rhuschalcone VI analogues may show similar and/or other pharmacological activities, which would warrant additional investigation. Within this context, and in order to demonstrate the applicability of our strategy, we undertook and successfully completed the preparation of a few such Rhuschalcone VI analogues that would be of value in SAR studies. The first total syntheses of eight Rhuschalcone VI-type bichalcones were achieved, indicating that the general methodology developed by our group is of practical use in the syntheses of more congeners carrying the same carbon-framework and the creation of biologically more potent substances. The lecture will describe our strategy, which involves the solvent-free Aldol syntheses of chalcones, and the first time use of the Suzuki-Miyaura coupling reaction in the synthesis of bichalcones.

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Key words: Synthesis, Rhuschalcone VI, Biarylchalcones, Suzuki-Miyaura reaction.

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**[SL - 12] BIOACTIVE EXTRACTS AND COMPOUNDS FROM SOME
GARCINIA SPECIES GROWING IN TANZANIA**

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The genus *Garcinia* is a member of the Clusiaceae (Gutiferae) family that comprises of plant species growing mainly in tropical Africa and Southeast Asia¹. Trees or shrubs of this genus usually produce yellow resin of medicinal importance while fruits of most species of the genus *Garcinia* are edible. Phytochemically, the genus is well known to contain prenylated xanthenes², flavonoids³, isoprenylated benzophenones⁴ and triterpenoids⁵.



In our continued efforts to search for novel and bioactive compounds from the genus *Garcinia*, we recently investigated 17 crude extracts from 9 *Garcinia* species growing in Tanzania for their potential against 4 human cancer cell lines, HIV-1 protease inhibitors, antioxidants and antimicrobial activities. Furthermore, phytochemical studies on the stem bark of *Garcinia semseii* gave three novel isoprenylated benzophenones (**1-3**) while from the root barks of *Garcinia edulis* and *Garcinia volkensii*, three bioactive prenylated xanthenes (**4-6**) were isolated. The results for biological activities exhibited by crude extracts and compounds together with structure elucidation of new compounds will be presented and discussed.

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**[SL - 13] ANTHOCYANINS FROM SELECTED PLANT SPECIES IN
UGANDA**

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Anthocyanins comprise a diverse group of intensely coloured pigments responsible for the appealing and often spectacular orange, red purple and blue colours of many fruits, vegetables, cereal grains, flowers, leaves, roots and other plant storage organs. The most common food colorants that have been used worldwide are synthetic ones some of which are deemed to be carcinogenic. Because of this, the safety of synthetic colorants has been questioned in the past years, and this has significantly increased the interest in natural colorants as food colour additives such as anthocyanins. Today, interest in anthocyanin pigments has also intensified because of their possible health benefits. Anthocyanins are potent antioxidants and may be chemoprotective.

This presentation will give the results of anthocyanin analysis (isolation and structure elucidation) from a number of plants plant species in Uganda including the novel compounds whose structure has been elucidated (*Hippeastrum cultivars*, *Castor-Ricinus communis*, *Acalypha hispida*, *Rubus Pinnatus*, *R. Rigidus*) from Uganda. The presentation summarise the following Publications

1. Robert Byamukama, Monica Jordheim, Bernard Kiremire and Øyvind M. Andersen (2008). New anthocyanins from the stem bark of Castor, *Ricinus communis*. *Natural Product Communications*, **3** (9), 1497-1500.
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3. Robert Byamukama, Bernard T. Kiremire, Øyvind M. Andersen and Andreas Steigen (2005). Anthocyanins from fruits of *Rubus pinnatus* and *Rubus rigidus*. *Journal of Food Composition and Analysis*, **18**, 599–605.
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[SL - 14] AN APPLICATION OF MASS SPECTRA IN NATURAL PRODUCTS

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Natural flavonoids, alkaloids, saponins and sesquiterpenoids have been extensively investigated because of their biological and physiological significances, as well as their promising clinical uses. It is designed to improve the productivity and quality of results to facilitate wise decisions for use of MS data. Interpretation of mass spectrometry (MS) data can help to solve the problems. The successful hyphenation of LC and MS, which was thought as "the bird wants to marry with fish", has been conducted widely in natural product sample analysis. The application of mass spectrometry in the natural health products industry is, however, sparse. Given the complexity of the chemical composition of these products, the use of mass spectrometry as a chromatographic detector is essential for the proper identification and quantification of their bioactive components. It is also important to monitor the fate of the bioactive components of natural health products during processing, as processing conditions may modify their structure and activity. Mass spectrometric evaluations of commercial health food products show that most products are lacking in quality, indicates that the methods presently used for quality control and quantitative analysis are not adequate. This paper examines the use of biomolecular mass spectrometry for analysis of the bioactive components in functional foods, nutraceuticals, and herbal health products. With the health and safety of consumers at stake, mass spectrometry should be made mandatory for analysis of those products.



Keywords: alkaloids, mass spectrometry, natural products

[SL – 15] SCREENING OF ANTIBACTERIAL AND ANTIFUNGAL ACTIVITIES OF FIFTEEN EXTRACTS FROM *ANTHOCLEISTA SCHWEINFURTHII* (GILG.) (GENTIANACEAE)

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Anthocleista schweinfurtii (Gilg) is a common plant of tropical regions, whose leaves, stem bark and root bark are eaten by bonobo (*Pan paniscus*), an endemic pigmee chimpanzee of the Democratic Republic of Congo and also used in folk medicine to treat several disorders (malaria, tumors, cancers, venereal diseases, bacterial diseases...). Only few studies on the chemical and biological activities of this plant have been performed. The development of multiple resistances in human pathogenic microorganisms to the indiscriminate use of antibiotic drugs commonly employed in the treatment of infectious diseases, have conducted scientists into looking for new antimicrobial substances from various sources like medicinal plants. The screening of plant extracts for antimicrobial activity has shown that higher plants represent a potential source of new anti-microbial agents. The present study was conducted to investigate antibacterial and antifungal activities of fifteen extracts from *A.schweinfurtii* (Gilg). Inhibition of bacterial growth and fungal growth was investigated using agar diffusion methods (paper disc method). The microorganisms used in this study consisted of reference strains of *Staphylococcus aureus*, *Escherichia coli*, *Pseudomonas aeruginosa*, *Klebsilla pneumonie*, *Klebsiella oxytoca*, *Yercinia enterolytica*, *Enterobacter aeruginos* and *Candida albicans*. Most extracts of *Anthocleista Schweinfuthii* showed antimicrobial activity against *S.aureus* and *E.coli* and antifungal activity against *C. albicans* at the concentrations tested. The least effective were the most polar fractions (EtOAc extracts and aqueous residues) indicating that most active molecules were lipophilic.

KEY WORDS: *Anthocleista schweinfurthii*- antifungal activity-antibacterial activity

[SL - 16] ANTIPLASMODIAL β -HYDROXYDIHYDROCHALCONE FROM SEEDPODS OF *TEPHROSIA ELATA*

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Tephrosia species (Leguminosae) in East Africa are used in traditional medicinal practice to treat infectious diseases. It is estimated that there are between 300 and 400 *Tephrosia* species, of which some 30 are found in Kenya. The genus *Tephrosia* is rich in flavonoids and isoflavonoids including rotenoids. Previous phytochemical investigation of the roots of *T. elata* yielded flavanones, a flavone, pterocarpan and rotenoids.

In this study from the seedpods of *Tephrosia elata*, a new β -hydroxydihydrochalcone named (S)-elatadihydrochalcone (**1**) was isolated. In addition, the known flavonoids obovatichalcone, obovatol, obovatol methyl ether and deguelin were identified. The structures were determined on the basis of spectroscopic evidence. The crude extract and the flavonoids obtained from the seedpods of this plant showed antiplasmodial activities. The literature NMR data on β -hydroxydihydrochalcones is reviewed and the identity of some of the compounds assigned β -hydroxydihydrochalcone skeleton is questioned. These results will be discussed during the short lecture.



Key Words: *Tephrosia elata*; Leguminosae; seedpods; (S)-elatadihydrochalcone; β -hydroxydihydrochalcone; Antiplasmodial Activity.

[SL - 17] PHYTOCHEMICAL INVESTIGATION OF SURFACE EXUDATES OF *DODONAEA ANGUSTIFOLIA* FOR *IN VITRO* ANTIPLASMODIAL AND MOSQUITO LARVICIDAL ACTIVITY

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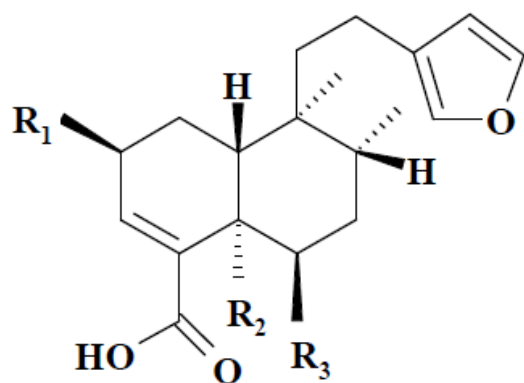
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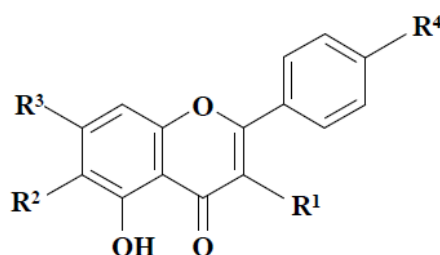
Dodonaea angustifolia in study belongs to the family sapindaceae distributed in the tropical and subtropical regions of the world. Traditionally this plant is used as an analgesic, laxative, antipyretic, in rheumatism, eczema, and skin ulcers. The most common secondary metabolites of these plants are terpenoids and flavonoids usually deposited on the surface of the leaves, and are known to have antioxidant, antibacterial and antiviral activities. The surface exudes of *Dodonaea angustifolia* is up to 12% surface exudates and has yielded seven methylated flavonoids and two clerodane terpenoids. The surface exudates showed anti-plasmodial activity with IC₅₀ values of 41.5 ± 3.9 $\mu\text{g/ml}$ against chloroquine-sensitive (D6) strain of the *P. falciparum*. The crude extract did not show good larvicidal activity, against the larvae of *Aedes aegypti*, as its LC₅₀ value was > 60 $\mu\text{g/ml}$ after 24 hours. Most of the isolated compounds showed moderate anti-plasmodial activity against the D6 strain of *Plasmodium falciparum* (Table 1.0). Among the compounds tested for larvicidal activity against *Aedes aegypti*; rhamnocitrin (**5**) and santin (**4**) showed good dose dependent activity with an LC₅₀ value of 1.75 and 5.1 $\mu\text{g/ml}$ (Table 1.0), respectively, after 24 hours.

In this presentation the chemistry, antiplasmodial and mosquito larvicidal activity of some isolated compounds will be discussed.



	R ₁	R ₂	R ₃
8	OH	Me	H
9	H	Me	OH

Table 1. 0: The *in vitro* antiplasmodial activities (IC₅₀) against *plasmodium falciparum* and mosquito larvicidal activities (LC₅₀) against *Aedes aegypti* of the flavonoids and diterpenoids from *Dodonaea angustifolia*.



Compound	R ¹	R ²	R ³	R ⁴	IC ₅₀ (μg/ml)	LC ₅₀ (μg/ml)
Flavonoids						
1	OMe	H	OMe	OMe	13.8 ± 4.2	60.0
2	OH		OMe	OMe	13.0 ± 2.4	20.0
3	OMe		OMe	OH	7.6 ± 2.3	17.5
4	OMe	OMe	OH	OMe	8.6 ± 1.7	5.1
5	OH		OMe	OH	17.4 ± 3.9	1.75
6	OMe		OH	OH	11.1 ± 4.0	N/T
7	OH	OMe	OH	OH	18.4 ± 4.8	N/T
Terpenoids						
2β-Hydroxyhardwickiic acid (8)					10.8 ± 2.2	N/T
Dodonic acid ((9))					9.7 ± 2.8	>100
Standards						
Chloroquine					0.003 ± 0.001	
Mefloquine					0.063 ± 0.003	
Quinine					0.002 ± 0.001	
Rotenone						0.68

NT≡ Not tested.

Keywords: *Dodonaea angustifolia*, surface exudates, *in vitro* antiplasmodial, mosquito larvicide.

[SL - 18] THE ROLE OF NATURAL PLANT PRODUCTS AS MODULATORS OF DRUG EFFLUX IN PATHOGENIC MICROBES

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The success of infectious disease chemotherapy has been dimmed ever since the dawn of the antimicrobial drug era because of the anti-infective resistance. Numerous diseases caused by microorganisms have become difficult to treat including microorganisms responsible for severe infections in hospitalized patients, food borne pathogens, and sexually transmitted pathogens are resistant to most available antimicrobial drugs. Among the mechanisms of drug resistance observed clinically, enhanced drug efflux from the pathogenic organisms has been noted to play a significant role. Among these drug efflux pumps are ATP-binding cassette (ABC) family which is found in most microorganisms. Several studies now show a common cause of high-level drug efflux in clinical isolates of pathogenic organisms and this is correlated to the over-expression of drug efflux proteins. Efflux pumps can be specific for a class of antibiotics or responsible for multidrug resistance. They are attractive antibacterial targets and co-administration of an efflux pump inhibitor (EPI) with an antibiotic can potentially be used to modulate or enhance the effects of the antibiotic. The existence of every class of the five existing families of efflux pumps has been demonstrated in *Mycobacterium tuberculosis*, *Candida albicans*, *Escherichia coli* and other bacterial species. Using *Mycobacterium aurum*, *Candida albicans* and several bacterial species, we have investigated the role of plant extracts in the efflux of ciprofloxacin (CIP) or Rhodamine 6G (R6G) from these cells. The study was performed to set up both ciprofloxacin efflux assay and Rhodamine 6G efflux assay in *Mycobacterium aurum*, *Candida albicans*, *E. coli* for testing plant natural compounds as efflux pump inhibitors (EPIs). After determining the MICs and MBCs for the putative EPIs, they were tested for their effects as inhibitors of the efflux pumps. Our results show the both compounds (CIP and R6G) are pumped out from these cells via an ATP-dependent pathway. The efflux of ciprofloxacin from *C. albicans* was inhibited by standard efflux inhibitors such as reserpine, CCCP and verapamil. Several plant extracts (*Syzigium cordatum*, *Cussonia natalensis*, and *Combretum zeyhrii*) were shown to modulate the effects of these pumps in *Candida albicans*. Further work is being carried out on to assess the effects of plant extracts on the efflux of drugs from the other model organisms such as *S. aureus*, *E. coli*, *C.*

glutamicum, *P. aeruginosa*, *M. aurum* and *Bacillus* species. This study provides evidence for the role of plant compounds not just as inhibitors of growth but also as modulators of drug efflux from the cells and this may provide an additional mechanism for the action of natural plant compounds as anti-infective agents.

[SL - 19] NUTRI-MEDICINAL PLANTS USAGE IN THE MANAGEMENT OF IMMUNO-COMPROMISED AILMENTS IN UGANDA

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To regard food as medicine is part of cultural heritage. Today, more advanced scientific research reveals that human health is directly connected to nutrition (Johns, 2003, Lentini *et al.*, 2007). There is no definitive resource available containing this information for African food plants. FAO, (2003) observed that wild food plants have a potential in the mitigation of HIV/AIDS impact, especially among the rural poor. In Uganda, 80% of mothers use traditional medicine to provide health care for themselves and children (Kamatenesi *et al.*, 2005, Kamatenesi and Oryem-Origa 2006). For instance, in the treatment of ailments that women suffer especially in rural areas, herbal medicines are the first line treatment unless the condition deteriorates then they seek modern health facilities. This study inventoried nutritional medicinal plants and performed the nutritional analysis of some nutri-medicinal plants used in most parts of Uganda. The research findings revealed that vegetables such as *Amaranthus graecizans* Auct.Non L, *Solanum nigrum* Acerb. ex. Dunal, *Cleome gynandra* L., are rich in nutrients and micronutrients such as iron, calcium, phosphorus, potassium, beta carotene and vitamin C, proteins and dietary fibre. These plants were found to be favoured by immuno-compromised people including pregnant women for immune boosting and restoration of appetite. This paper will present the value of food plants that double as medicinal plants in health care delivery in Uganda.

Key words: *Nutri-medicinal plants; immune boosting; nutritional analysis; Uganda.*

**[SL – 20] CHEMISTRY AND BIOLOGICAL EVALUATION OF MORUS
MESOZYGIA AND DORSTENIA SPP (MORACEAE)**

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The study of natural products is an important area of scientific activity in Cameroon. This study embraces the disciplines of Taxonomic botany, pharmacology, pharmacognosy and chemistry. The selection of the plant material for investigation has been guided by its uses in the traditional medicine. We have been able to isolate and identified many novel structures and biological properties such as anti-malarial, anti-inflammatory, antimicrobial. Summary of the recent work on *Morus mesozygia*, and *Dorstenia* spp (Moraceae) will be presented.



Morus mesozygia

Morus or Mulberry (Moraceae) is a genus of 10-16 species of deciduous trees native to Warm, Temperate and Subtropical regions of Asia, Africa and the America. Leaves of *Morus species*, especially, of *M. alba* have been an indispensable food source for silk-worms. *Morus mesozygia* is a small to medium sized forest tree of Tropical Africa; its leaves and fruit provide food for Monkey and Chimpanzee[1]. *M. mesozygia* is used to cure venereal diseases stomach troubles [1]. Repeated column chromatography of the trunk bark organic extract yielded several prenylated arylbensofuran derivatives such as 5-(2,3-dihydroxy-3-methylbutyl)-2-(3,5-dihydroxyphenyl)benzofuran-6-ol [1].

Dorstenia spp

The genus *Dorstenia*(Moraceae), represented by about 170 species worldwide, contains many plants that are used as anti-snakebite, anti-infection and anti-rheumatism remedies in the medicinal plant therapy of many countries in Africa, Central and South America. The genus is now recognized as a rich source of prenyl and geranyl-substituted coumarins and flavonoids [2]. There is now increasing interest in the genus *Dorstenia*; during the last decade close to 40 papers have appeared dealing with investigations of over 29 *Dorstenia* species. We have so far investigated 16 species out of 23 identified in Cameroon. The results of our studies

yielded: triterpenoids, styrenes, coumarins and mainly flavonoids [2]. Eleven diprenylated flavonoids have so far been isolated from *Dorstenia* and all of them are reported from the twigs the twigs of *D. mannii*. The pharmacological data of this genus are scanty. Extracts of *D. multiradiata* show antileishmanial activity.

1. G.W.F. Kapche, C.D. Fozing, J.H. Donfack, G.W. Fotso, D. Amadou, A.N.Tchana, M.-T. Bezabih, P. moundipa, B.T. Ngadjui, B.M. Abegaz; *Phytochemistry*; **70**, 216-221 (2009).
2. Ngadjui, B. T. Abegaz, B.M. "The chemistry and pharmacology of the genus *Dorstenia* (Moraceae)" in *studies in Natural Products Chemistry* (ed. Atta-Ur-Rahman, Elsevier, vol 29 Bioactive Natural Products (Part J), pp. 761-805 (2003)

**[SL – 21] PHYTOCHEMICAL INVESTIGATION OF RESINS FROM
COMMIPHORA HOLTZIANA IN KENYA**

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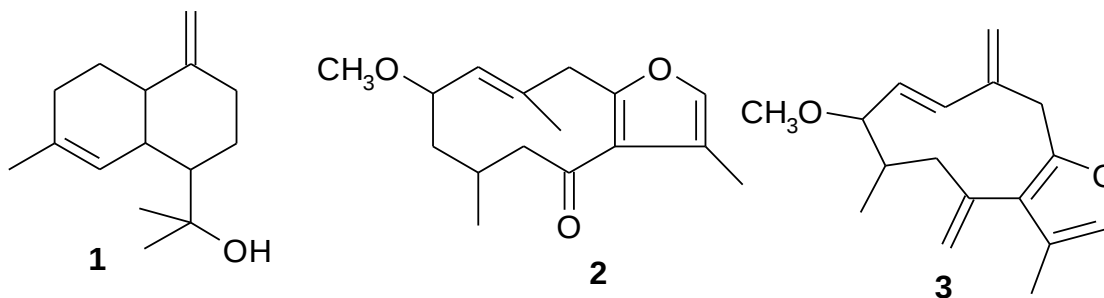
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In the antimicrobial analysis, the crude extracts of *Commiphora holtziana* showed an appreciable activity against Gram positive (*Bacillus pumilis*, *Bacillus subtilis* and *Staphylococcus aureus*) and Gram negative (*E. coli*) bacteria, and the fungus *Sacharamyces cervisiae*. A new compound, 11-hydroxyl-γ-muurolene (**1**) was isolated and characterized from the hexane extract. In addition, two known compounds, (1E)-3-methoxy-8,12-epoxygermacra-1,7,10,11-tetraen-6-one (**2**) and (1E)-3-methoxy-8,12-epoxygermacra-1,7,10,11-tetraen-6-one (**3**) were also identified. Furthermore, a total of 174 compounds were detected by GC – MS analysis, and some 14 of these were identified by the comparison of their mass spectra with data available in the GC – MS library. The details of these results will be presented.



**[SL - 22] PHYTOCHEMISTRY, ANALYTICAL CHEMISTRY AND
MEDICINAL PLANTS: *CHALLENGES IN AFRICA***

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Medicinal plants have for a long time played an essential role to a variety of communities in Africa. It is not surprising that tremendous research on medicinal plants have been studied extensively by African researchers as well as other scientists worldwide. The pharmaceutical industry in developed countries has invested heavily in the development of novel drug entities for the treatment of disease from large supply banks of compounds for new screens. However, drug development through natural product research is challenging and requires a multi-disciplinary approach that would include expertise in phytochemistry and analytical chemistry. There is a need for analytical chemists to play a role in the isolation, sample pre-treatment, characterization and quantification of isolated compounds. Elimination of problematic common natural products such as saponins, tannins, from plant extracts prior to characterization, quantification and testing using biological screening procedures require an analytical approach. The partnership of analytical chemistry and phytochemistry should lead to providing the missing analytical data such as percentage composition of active metabolites present in plants. Such information is invaluable especially in biologically active extracts that have demonstrated reduced activities when tested as pure components.

The presentation would review the currently available technology that could be used in the phytochemistry research of natural products. Examples will be given of some of the work that we have already done. The challenges faced by African scientists in this area of research will also be reviewed.

**[SL - 23] TRYPANOSOMIASIS AND AFRICAN INDIGENOUS
KNOWLEDGE**

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Lipid mediators (Prostaglandins, Thromboxane A₂, etc.) comprise a family of structurally related biologically active compounds involved in various symptoms associated with parasitic diseases. The molecular mechanisms of Lipid mediators' biosynthesis in animals have been studied extensively. Currently, several lines of evidence link their production with parasitic infections. The author will discuss Prostaglandins (PGs) production in parasitic protozoa and their roles in pathogenesis and parasite physiology as well as the recent advances in our understanding of the enzymology of PG production in various parasites. The author will also discuss the role of some African tropical plants in the control of parasitic infections such as trypanosomiasis and malaria.

**[SL – 24] ANTIBACTERIAL AND ANTIFUNGAL ACTIVITIES OF CARDIAC
GLYCOSIDES EXTRACT OF *ANTHOCLEISTA SCHWEINFURTHII* (GILG)
(GENTIANACEAE)**

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Anthocleista schweinfurthii (Gilg) is one of the food plants of Bonobo (*Pan paniscus*), an endemic chimpanzee pygmy of the Democratic Republic of Congo. In folk medicine, this plant is used to treat several disorders (malaria, tumors, cancers, venereal diseases, bacterial diseases). Little is known about the chemical compositions or biological activities of *Anthocleista schweinfurthii* (Gilg). Phytochemical screening showed that some extracts of this plant were positive to Kedde reagent, so were likely to contain cardiac glycosides. Cardiac glycosides could derive from plants, skins and parotid gland of amphibians. They are used as cardiac stimulant. Few studies on the antibacterial and antifungal activities of cardiac glycosides have been performed. The present investigation was carried out to

evaluate antibacterial and antifungal activities of cardiac glycoside type compounds rich-extract (CARDAN) from the root bark of *Anthocleista schweinfurthii* (Gilg) against two strains of bacteria (*Bacillus subtilis* and *Escherichia coli*), the pathogenic fungus *Cladosporium cucumerinum* and the pathogenic yeasts *Candida albicans*. Inhibition of bacterial and fungal growth was assessed in semi quantitative fashion by bioautography on TLC plates. CARDAN showed interesting antibacterial activity against both bacteria when tested at concentration of 50 and 100µg. We observed no activity of this extract against fungi at the same concentration.

KEY WORDS: *Anthocleista schweinfurthii*- cardiac glycosides extract- antifungal activity-antibacterial activity-bioautography

YOUNG SCIENTIS



**[YS 1] THE ANTI-PROLIFERATIVE EFFECTS OF PLANT PRODUCTS
ON HUMAN LEUKEMIA CELL LINES JURKAT AND WIL2**

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Background Cancer is a worldwide problem with treatment being undermined by drug resistance and drug toxicity in patients. With most therapeutic drugs being derived from plant sources researchers have turned to plants for discovery of less expensive and less toxic chemotherapeutic compounds that alone or used with conventional drugs induce apoptosis, inhibit proliferation or increase drug sensitivity of cancer cells. Plants that are used as traditional medicines are under investigation to validate claims of disease treatment and test them on other diseases.

Objectives To screen for plant extracts that inhibit proliferation of leukaemia cell lines Jurkat and Wil 2



Methodology Medicinal plants used in Zimbabwe were screened for their ability to inhibit cell proliferation as compared to anticancer drug doxorubicin. Methanolic extracts from 14 plants were screened for ability to inhibit Wil2 and Jurkat cell growth at concentrations 0, 50, 100, 250, 500 and 1000 µg/mL. Trypan blue assay was used for cell counting and cell viability determination. Doxorubicin was used as the positive control at a concentration of 10 µg/mL.

Results and Discussion The 5 most active of the extracts were found to be potent in this order: *Parinari curatellifolia* > *Aloe forex* > *Croton* > *Vernonia adoensis* > *Euphorbia tirucalli* > *Cajanus cajan*. The extract from *Parinari curatellifolia* reduced cell proliferation by half at a concentration of 50 µg/mL after 3 hours as compared to the anticancer drug doxorubicin that halved cell proliferation after 5 hours of incubation in comparison to the control. The extract from *Parinari curatellifolia* was the most potent at reducing proliferation of the cell lines. The leaves of this plant are used by locals for treating stomach ailments and fever. *Aloe forex* the second most potent is used locally for treating skin ailments, coughs, liver and spleen diseases

Conclusion. The extracts from *Parinari curatellifolia* and the other four plants exhibited anticancer activity and could, therefore, serve as source of active chemical species that can potentially be used as anti-cancer drug leads.

Key words: Leukemia cell lines, anti-proliferative, medicinal plants, plant extracts, doxorubicin

[YS -2] ANTIBACTERIAL ACTIVITY OF SELECTED PLANTS FROM ZIMBABWE

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Background The indiscriminate use of antibiotics has led to the emergence of drug resistant pathogens. For instance, 90-95 % of methicillin-resistant *Staphylococcus aureus* (MRSA) have been reported. The emergence of drug and multidrug resistance means that bacterial infections that were once easy to treat have now become difficult to treat as well as expensive because patients stay longer in hospitals. The search for new antibacterial agents is a matter of urgency to successfully manage and contr ause of the diversity of chemicals found in plants. Furthermore, ethno-medicinal use ol bacterial infections and pathogens. Nature is a source of new antibacterial agents bec of plants has been practiced by many cultural divides the world over since ancient times. These practices could give leads for the development of new antibacterials. However, only a small fraction of these chemicals have been discovered yet with the majority of them still to be exploited.

Objectives The aims of the study were to screen for plant extracts exhibiting antibacterial activity and to determine extract concentrations that are bactericidal to five bacterial species: *Escherichia coli* ATCC 11229, *Pseudomonas aeruginosa* ATCC 27853, *Staphylococcus aureus* ATCC 9144, *Bacillus subtilis* ATCC 6633 and *Bacillus cereus* ATCC 11778.

Methodology Seventeen plant extracts selected from Zimbabwe were screened for antibacterial activity using the disc diffusion assay. Minimum inhibitory concentrations (MICs) and minimum bactericidal concentrations (MBCs) of top five potent extracts were determined using the microdilution and agar dilution methods respectively. Ampicillin was used as a reference antibiotic in all experiments. Serial two-fold dilution of plant extracts ranged from a concentration of 1000 µg/ml to 1.95 µg/ml.

Results Initial screening by the disc diffusion assay, showed the following to be the top five most potent plant extracts.

E. Coli ; *Callistemon sp* > *Vernonia adoensis* > *Parinari curatellifolia* > *Parinari curatellifolia* > *Mangifera indica*.

P. aeruginosa; *Vernonia adoensis* > *Callistemon sp* > *Parinari curatellifolia* > *Parinari curatellifolia* > *Mangifera indica*.

S. aureus; *Vernonia adoensis* > *Callistemon sp* > *Parinari curatellifolia* > *Parinari curatellifolia* > *Faurea sp*

B. subtilis; *Callistemon sp* > *Asteraceae* family > *Vernonia adoensis* > *Parinari curatellifolia* > *Faurea sp* > *Mangifera indica*.

B. cereus; *Vernonia adoensis* > *Callistemon sp* > *Parinari curatellifolia* > *Xeroderris stuhlmannii* > *Parinari curatellifolia*.

These extracts were then used to determine the MIC and MBC.

MIC and MBC results showed that *Mangifera indica* and *Callistemon sp* were the most potent extracts for *P. aeruginosa*, *E. coli* and *B. subtilis*. *Callistemon sp* and *Vernonia adoensis* were the most potent for *S. aureus* and *B. cereus*.

Conclusion The most potent extracts among all species of bacteria were *Callistemon sp*, *Mangifera indica* and *Vernonia adoensis*. The active antibacterial compounds in these plant species will be isolated using bio-guided fractionation assays. The extracts from the three species will also be used to determine their effects on the efflux of ciprofloxacin from the bacterial cells.

Key words: natural plant products, ethno- medicinal, antibacterial, screening, bioassay

POSTERS



**[PS – 1] ABIETANE DITERPENOIDS FROM *CLERODENDRUM*
*ERIOPHYLLUM***

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Clerodendrum eriophyllum is a tree/shrub that grows to a height of about 8m high. It is widely used in Kenya's traditional medicine for treatment of fever and malaria. *In-vitro* anti-plasmodial tests on methanol/dichloromethane (1:1) extract of the roots of this plant showed activities against chloroquine sensitive (D6) and chloroquine resistant (W2) clones of *Plasmodium falciparum* parasites.

Chromatographic separation on the extract, aimed at isolating the anti-plasmodial constituents, led to isolation of eight abietane diterpenoids, whose structures were elucidated using spectroscopic methods.

**[PS – 2] PURIFICATION OF 2-ARYLBENZOFURANS FROM AFRICAN
MULBERRY *MORUS MESOZYGIA* (MORACEAE) BY CENTRIFUGAL
PARTITION CHROMATOGRAPHY**

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Six 2-aryl benzofurans derivatives were isolated from the twigs of a Cameroonian medicinal plant *Morus mesozygia* (Moraceae) in a preparative-scale using centrifugal partition chromatography (CPC). In this study, we have successfully used the quaternary Arizona solvent systems (*n*-heptane-EtOAc-MeOH-water) for the

purification of moracin C (1), moracin M (2), moracin Q (3), moracin R (4), moracin T (5) and moracin U (6). Their structure was elucidated using MS, 1D and 2D NMR. Their antimicrobial activity was evaluated, and all non cyclised prenylated compounds (1, 4, and 5) were found to be active on at least 60 % of the tested microbial strains.

Key words: Antimicrobial activity, 2-arylbenzofurans, centrifugal partition chromatography, Moraceae, moracin, *Morus mesozygia*.

KINETIC STUDY OF THE ANTI-DIABETIC PROPERTIES OF *BRYOPHYLLUM PINNATUM* LEAVES

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Diabetes is one of the major degenerative diseases in the world today. Conventional drugs used for its treatment are expensive and unaffordable by the rural populace. This work was aimed at studying the anti-diabetic properties of *Bryophyllum pinnatum* leaves using animal models. Since albino rats have similar blood to humans, they were used as model.

The rats were made diabetic by injecting them with glucose to raise their blood glucose level. Aqueous extract of the leaf was administered on several rats with dosages of different concentrations.

The measurement of the rats' blood glucose level after administering the extract showed significant drop in glucose level to a value close to normal in about 120mins. These results were competitive with results obtained when a mixture of the extract and Glibenclamide was administered.

This study revealed that when 200mg/Kg concentration of the extract was used, it gave a better reduction in the glucose level than the higher concentrations of the extract. The results also obtained from a combination of Glibenclamide and extract sample showed large drop in the blood glucose level. This now concludes how the

extract and its combination with existing diabetes drug can lead to better normalization of the glucose level.

Key words: Anti-diabetics, *Bryophyllum pinnatum*, Blood glucose level, albino rats

ANIMAL SELF-MEDICATION: A BIO-RATIONAL FOR DRUG DISCOVERY

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Plant secondary metabolites (PSM) are traditionally viewed as the means by which plants inhibit or limit damage done to them by herbivores. This stimulated research into how animals are sometimes able to detoxify PSM in order to utilize the plant's nutritional properties. Some herbivorous species also exploit PSM for their curative value, as humans traditionally do around the world. A growing body of research shows that primates ingest PSM for positive benefits, in particular for their therapeutic and passive preventative properties. A growing body of evidence for this comes from the emerging field of animal self-medication, particularly from the study of primates. Self-medication primarily take on three forms, ingestion of plants for their structural barriers to digestion (e.g. silicate) or bioactive / toxic properties and / or external application of PSMs. African great apes, and chimpanzees in particular, practice the first two forms in response to parasite infections. A substantial number of such plant species have been recorded and are also known to be traditionally utilized by some African cultures for the treatment of similar symptoms / diseases. New PSM have also been discovered which may have potential use for humans. Thirteen novel compounds and their biological activities have been discovered from the investigation of one species alone; *Vernonia amygdalina*. Other examples exist from research on a variety of wild and domestic animals species. Traditionally humans around the world have looked to animals as a source of medicinal wisdom. In this two pronged approach, natural products chemistry has much to benefit from the study of animal self-medication.



PHYTOCHEMICAL PROFILE AND MOSQUITO LARVICIDAL ACTIVITIES OF THREE *KOTSCHYA* SPECIES.

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Due to resistance of mosquito vectors to chemical insecticides previously used, it is becoming necessary to identify safe, eco-friendly and effective alternative sources of larvicides in order to reduce mosquito menace. Previous studies have shown that polar extracts of *Kotschya uguenensis* show larvicidal & growth disruption activities of immature stages of *Anopheles*. In this study, it was hypothesized that, other *Kotschya* species may contain the responsible bioactive compounds in varying amounts. Hence need to compare the chemical profiles of the ethanolic root extracts of *Kotschya uguenensis*, *K. speciosa* and *K. strigosa* and conduct further studies on their mosquito larviciding properties. Reverse phase plates (Uniplate-HPTLC-RP8F uv 254) were used for qualitative analysis of the crude extracts. The plates were developed and placed under a CAMAG TLC scanner 3 controlled by an external computer equipped with WINCAT software and scanned at UV 360 nm. Larvicidal assay against *Culex quinquefasciatus* was done according to WHO 1996 protocol. Higher activity was shown for the root extract of *K. uguenensis* attaining 100% mortality on 4th day at 500 and 250 µg/ml. *K. speciosa* attained greater effect (≥ 45%) on 8th day compared to *K. strigosa* (≤ 35%) especially at 250 µg/ml. Densitometric evaluation revealed a presence of a common peak at RF 0.28 whose composition in *Kotschya uguenensis*, *K. speciosa*, and *K. strigosa* agreed with the trend of larvicidal results for the three plant species. This confirmed presence of similar bioactive compound responsible for larvicidal activity in the three extracts. However, further studies should be done to isolate, characterize and quantify this compound to know whether it works alone or in synergism with other compounds present in the extract.

Key words: *Kotschya uguenensis*, *K. strigosa*, *K. speciosa*, Verbenaceae, Mosquito Larvicidal activities, HPLTC

ANTI-PARASITIC ACTIVITY AND CYTOTOXICITY OF SELECTED MEDICINAL PLANTS FROM KENYA

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Extracts from 6 selected plant species, used as medicinal plants by indigenous local communities in Kenya, were screened for *in vitro* anti-plasmodial and anti-leishmanial activity, against 2 laboratory-adapted *Plasmodium falciparum* isolates (D6, CQ-sensitive and W2, CQ-resistant) and *Leishmania major* (IDU/KE/83 = NLB-144 strain), respectively. The methanol extract of *Suregada zanzibariensis* leaves exhibited good anti-plasmodial activity (IC_{50} 4.66 ± 0.22 and $1.82 \pm 0.07 \mu\text{g/ml}$ for D6 and W2, respectively). Similarly, the methanol extracts of *Albizia coriaria* (IC_{50} $37.83 \pm 2.11 \mu\text{g/ml}$ for D6) and *Asparagus racemosus* (32.63 ± 2.68 and $33.95 \pm 2.05 \mu\text{g/ml}$ for D6 and W2, respectively) had moderate anti-plasmodial activity. Although the leishmanicidal activity of extracts were lower than for pentostam (80%), reasonable activity was observed for *Aloe nyeriensis* methanol ($68.4 \pm 6.3\%$), *Albizia coriaria* water ($66.7 \pm 5.0\%$), *Maytenus putterlickoides* methanol ($60.0 \pm 6.23\%$), *Asparagus racemosus* methanol and water (58.3 ± 8.22 and $56.8 \pm 6.58\%$, respectively), *Aloe nyeriensis* water ($53.3 \pm 5.1\%$) and *Acacia tortilis* water ($52.9 \pm 6.55\%$) extracts at $1000 \mu\text{g/ml}$. *Leishmania major* infected macrophages treated with methanol extracts of *Suregada zanzibariensis* and *Aloe nyeriensis* var *kedongensis* and Pentostam[®] had infection rates of 28 ± 2.11 , 30 ± 1.22 and $40 \pm 3.69\%$, respectively at $1000 \mu\text{g/ml}$, indicating better anti-leishmanial activity for the extracts. Multiplication indices for *Leishmania major* amastigotes treated with methanol extracts of *Albizia coriaria*, *Suregada zanzibariensis* and *Aloe nyeriensis* var *kedongensis*, aqueous extract of *Acacia tortilis* and pentosam were 28.5 ± 1.43 , 29.4 ± 2.15 , 31.1 ± 2.22 , 35.9 ± 3.49 and $44.0 \pm 3.27\%$, respectively, at $1000 \mu\text{g/ml}$,

confirming better anti-leishmanial activity for the extracts. All extracts exhibited very low cytotoxicity ($CC_{50} > 500 \mu\text{g/ml}$) against human embryonic lung fibroblast (HELFI) cells. The investigations demonstrated the efficacy and safety of some extracts of plants that are used by rural indigenous communities for the treatment of parasitic diseases.

EVALUATION OF SOME TANZANIAN PLANT SPECIES AS SOURCES OF BOTANICAL LARVICIDAL FOR *ANOPHELES GAMBIAE* GILES S.S

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In many isolated areas of the world indigenous people have historically relied on locally sourced natural plant-based remedies to kill, repel or prevent biting insects entering their homes. Although synthetic insecticides ruled the plant protection scene, their replacement either partially or totally is now considered a necessity because of the adverse effects associated with their use. This presentation will give detailed mosquitocidal properties of some Tanzanian plant species. Extracts from those plant species and different fractions thereof were compared for their immediate toxicity and longer-term effects on *Anopheles gambiae* Giles sensu stricto (Diptera: Culicidae). Larvicidal effects of the extracts appeared to be associated with components of low polarity extracted by pet ether and chloroform than those of high polarity extracted by methanol. Farther investigations that are needed and possible use of the results in terms of development of larval control strategies for malaria vectors are discussed.



Keywords: Botanical mosquitocides; *Anopheles gambiae* s.s.; igr activity

UNUSUAL SESQUITERPENE KETONE FOUND IN THE ESSENTIAL OIL OF *CYPERUS DISTANS* L. (CYPERACEAE) FROM SOUTH AFRICA

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The essential oil from the rhizomes of *Cyperus distans* growing wild in KwaZulu-Natal Province, South Africa was obtained by hydrodistillation and analyzed by GC and GC/MS for the first time. Twenty-three constituents were identified, representing 88.4% of the oil composition. The chemical profile of the oil was very different from the essential oils of several species of the genus *Cyperus*, with little amount of cyperene (3.1%) detected. The most interesting feature of the oil was the presence of an unusual and rarely occurring sesquiterpene ketone, zierone (33.9%).

Keywords: *Cyperus distans*, Cyperaceae, essential oil composition, zierone.



ANTI-PROTOZOAL CONSTITUENTS OF *ACRIDOCARPUS CHLOROPTERUS* OLIVER

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Protozoal diseases like malaria, trypanosomiasis and leishmaniasis caused by *Plasmodium*, *Trypanosoma* and *Leishmania*, respectively, have overwhelming impact on public health in developing regions. Due to their prevalence, virulence and drug resistance, they are the most serious and widespread parasitic diseases encountered by mankind. The inadequate armory of drugs, high cost and lack of new drugs are the major limiting factors in the fight against the 3 diseases. Consequently, there is continuing need of research on the discovery and development of new effective and safe anti-protozoal drugs. In this work, extract from *Acridocarpus chloropterus* was tested for its anti-protozoal activity. Anti-protozoal bioassays revealed inactivity. Chromatography of *A. chloropterus* extract led to the isolation and identification of 5 triterpenes: β -sitosterol (**1**), stigmasterol (**2**), friedelin (**3**), oleanolic acid (**4**), ursolic acid (**5**); and 5 flavonoids: apigenin (**6**), luteolin (**7**), vitexin (**8**), kaempferol (**9**) and quercetin (**10**). Quercetin (**10**) exhibited moderate *in vitro* anti-plasmodial activity (IC_{50} 2.6 ± 0.05 $\mu\text{g/ml}$) while the rest of compounds were inactive. Mild to weak *in vitro* anti-trypanosomal activity was observed in quercetin (**10**) (IC_{50} 3.60 ± 0.00001 $\mu\text{g/ml}$), ursolic acid (**5**) (IC_{50} 7.80 ± 0.0001 $\mu\text{g/ml}$) and apigenin (**6**) (IC_{50} 9.0 ± 0.00001 $\mu\text{g/ml}$). Good *in vitro* anti-leishmanial activity (IC_{50} 0.80 ± 0.00001 , 2.10 ± 0.00001 , 2.20 ± 0.00001 , 5.90 ± 0.0001 and 3.5 ± 0.00002 $\mu\text{g/ml}$) and favourable selectivity were observed in ursolic acid (**5**), quercetin (**10**), kaempferol (**9**), apigenin (**6**) and oleanolic acid (**4**), respectively. SAR comparisons in the isolated triterpenoids confirmed that, the OH at C-3 with, 23-CH₃, 25-CH₃, 26-CH₃, C-12/C-13 double bond, 28-CO₂H, H-20 and 30-CH₃ in the molecular framework of ursolic acid (**5**) are the structural motifs responsible for the strong anti-leishmanial activity whereas in the isolated flavonoids, the 3-OH and 3'-OH in the apigenin (**6**) molecular framework are the structural motifs responsible for the observed strong anti-protozoal activity. The strong to moderate anti-leishmanial activity of the isolated triterpenes and flavonoids render them good candidates as molecular templates for SAR studies which could lead to new drug development.

**IN VIVO EFFICACY OF ORAL AND INTRAPERITONEAL ADMINISTRATION
OF EXTRACTS OF *WARBURGIA UGANDENSIS* (CANELLACEAE) IN
EXPERIMENTAL TREATMENT OF OLD WORLD CUTANEOUS
LEISHMANIASIS CAUSED BY *LEISHMANIA MAJOR***

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The antileishmanial activity of extracts of *Warburgia ugandensis* Sprague (Canellaceae), a known traditional therapy in Kenya was evaluated *in vivo*. Treatment of infected BALB/c mice with *W. ugandensis* extracts orally resulted in a reduction of the size of lesions compared to the untreated control. The lesion sizes differed significantly for the four extracts ($p=0.039$) compared to the untreated control. For mice treated by intraperitoneal injection, the lesion sizes increased initially for the hexane, dichloromethane and ethyl acetate extracts and healed by day 42. The lesion sizes for mice treated with methanol extract increased steadily from 2.47mm to 3.57mm. The parasitic burden was significantly higher ($p<0.001$) in mice treated with methanol extracts and PBS compared to those treated with hexane, dichloromethane and ethyl acetate. This study demonstrated the antileishmanial potential of extracts of *W. ugandensis*.

Key words: *Warburgia ugandensis*; Leishmaniasis; BALB/c, Promastigote, Amastigote.



ANALYSIS OF NUTRITIONAL AND PHARMACOLOGICAL STATUS OF SELECTED PLANTS USED FOR TREATING HIV/AIDS AND OPPORTUNISTIC INFECTIONS IN EASTERN UGANDA

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This paper presents an inventory of medicinal and nutritional plants used in treatment of opportunistic infections for HIV/AIDS patients and their mode of use, parts of plants used and the management status of these plants. People use herbs as food to treat opportunistic infections and this is reflected by the number of herbs mentioned. Sixty five species of plants belonging to sixty three genera and forty three families were mentioned. Plants used as medicine are in the categories of wild, indigenous, shrubs, cultivated, introduced, herbs, trees and lianas. The plant parts most frequently used for treating opportunistic infections due to HIV/AIDS are leaves, bark, and roots. Medications are mostly prepared as infusions, decoctions and topical applications. Some selected plants were analyzed for nutrients and they showed a variety of nutrients ranging from proteins, fibers and minerals. A comparative study on the antibacterial activities of the extracts was also done on *Escherichia coli* (ATCC 25922), *Pseudomonas auriginosa* (ATCC 27853) and *Staphylococcus aureus* (ATCC 25923). All the plant extracts were found to have considerable activities against the test organisms by use of the agar well diffusion assay. They showed inhibition zones ranging from 3.5mm to 20.1mm. Their MIC values were determined by use of serial dilution method and it ranged from 0.0009g/ml to 0.125g/ml.



**IN VIVO ANTIVIRAL AND LARVICIDAL POTENCY OF URSANE
TRITERPENOIDS AND A GARLIC ACID DERIVATIVE FROM *TOUSSAINTIA
PATRICIAE***

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Ursolic acid, its two hydroxylated derivatives as well as garlic acid derivative (ethyl 3,4,5-trihydroxybenzoate) isolated from the leaves of *Toussaintia patriciae* (Annonaceae) exhibited *in ovo* antiviral potency against Newcastle Disease Virus (NDV) and (IBDV) at 360µg/mL. The three ursane triterpenoids also exhibited larvicidal activity against *Anopheles gambiae* mosquito larvae at their late 3rd and early 4th instar whereas the garlic acid derivative was inactive up to 50µg/mL. The larvicidal LC₅₀ values will be presented in the results and discussion section. The structural determination was achieved based on the spectroscopic data.

Key words: Ursane triterpenoids, garlic acid derivative, antiviral, larvicidal, *Toussaintia patriciae*.



**IN VITRO ANTILEISHMANIAL ACTIVITY OF EXTRACTS OF *WARBURGIA
UGANDENSIS* (CANELLACEAE), A KENYAN MEDICINAL PLANT**

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The antileishmanial activity of extracts of *W. ugandensis* (Family Canellaceae), a known traditional therapy and one of the commonly used medicinal plants in Kenya was evaluated. We tested extracts of this plant for possible antileishmanial activity *in vitro*. Different doses of hexane, dichloromethane, ethyl acetate and methanol

extracts of *W. ugandensis* were tested against *Leishmania major* and *Leishmania donovani* promastigotes and amastigotes. The hexane extract had the best activity against *L. major* promastigotes and amastigotes with IC₅₀ value of 9.95 for promastigotes and 8.65 for amastigotes and minimum inhibition concentrations of 62.5 µg/ml. The activity of the hexane extract on amastigotes was comparable ($p>0.05$) to that of pentostam and amphotericin B. Similar results were obtained for *L. donovani* with IC₅₀ values of 8.67 for promastigotes and 100-fold reduction of amastigotes in macrophage cultures. *Warburgia ugandensis* had lower levels of toxicities compared to pentostam and amphotericin B. This study scientifically demonstrates the potential of *W. ugandensis* in the treatment of leishmaniasis.

Key words: *Warburgia ugandensis*; Leishmaniasis; Promastigote; Amastigote

INNOVATION SYSTEMS AND CLUSTER APPROACHES FOR EXPLOITATION OF THE INDIGENOUS AND EXOTIC EDIBLE AND MEDICINAL MUSHROOMS OF THE LAKE VICTORIA BASIN

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Mushrooms are used as food and medicine in many societies. They are also of considerable biotechnological value and important nutraceuticals, particularly in immuno-compromised patients (e.g. HIV cases). However, the nutritional, ecological, medicinal and biotechnological importance of indigenous Ugandan mushrooms are not adequately studied and documented.

Faced with poor and rapidly growing population in Uganda, the competition for natural resources by different producer groups is increasing. Expansion of crop and animal production has adverse effects on the environment. There is increasing pressure on land, leading to loss of indigenous mushroom bio-diversity without understanding their contribution to present and future generations.

This paper will present some data on characterisation of indigenous mushroom ecology, their cultivation, indigenous knowledge, domestication and assessment of their potential as sources of nutrients, novel antibiotics and metabolites for

improved health and socio-economic benefits. The information was gathered through reconnaissance surveys, participatory appraisals and standard laboratory techniques involving assessment of nutritional and pharmacological potential.

Innovation systems and cluster approaches are presented as a way forward to maximise exploitation of the indigenous African mushroom biodiversity. This is because today, economic development policies that target individual firms or industries are no longer the most viable option for regions. Cluster development has gained considerable attention over the past decade, as an operational means to systematically enhance the competitiveness of regions. The new economy of clusters has to do with speed, quality, flexibility, innovation, networks, and building critical mass. This new style demands a team approach at local level, a clustering approach. This clusters approach is the missing link in the indigenous mushroom industry in Africa. It is only through developing of viable clustering that even small firms are able to gain the necessary critical mass to service world markets.

PHYTOCHEMICAL ANALYSIS OF *COMMIPHORA HOLTZIANA* OLEO-GUM RESIN



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An investigation on the acetone extract of *Commiphora holtziana* gum resin yielded eleven known compounds namely cabraleadiol monoacetate, mansumbinol, 3 β -acetylamyrin, 3 α -acetylbosewellic acid, 2-methoxy-8,12-epoxygermacra-1(10),trien-6-one, 2-methoxy-5-acetylfuranogermacra-1(10),7,11-trien-6-one, furadienone, 2-methoxy-5-acetyl-4-furanogermacra-(10) Z-en-6-one, α -amyrin, sitosterol and stigmasterol together with four new triterpenes characterized as methyl 3-oxo-1 α ,19 α ,28-trihydroxyurs-12-en-24-oate (**1**), methyl 3 β -acetyl-2 α ,11 α ,19 α ,28-tetrahydroxyurs-12-en-24-oate (**2**), methyl 3 β ,11 α -diacetyl-1 α ,2 α ,28-trihydroxyurs-12-en-24-oate (**3**) and 3 β ,28-diacetyl-1 α ,2 α ,25-trihydroxydammar-23-ene (**4**). The structures were determined using spectroscopic and physical methods as well as by comparison with data from the literature.

Keywords: *Commiphora holtziana*; Burseraceae; triterpenes; gum-oleo-resin exudates.

INTERSPECIFIC CHEMICAL SIGNALS INFLUENCING OVIPOSITION BY THE MALARIA MOSQUITO, *ANOPHELES GAMBIAE*

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Gravid *Anopheles gambiae* Giles makes a choice of an appropriate oviposition site. The presence of *Culex quinquefasciatus* in an oviposition site influences gravid *An. gambiae* decision to oviposit at a specific site or not. The effect of volatiles emanating from varying numbers of egg rafts and/or larvae of *C. quinquefasciatus* in relation to oviposition by *An. gambiae* was evaluated using double cup oviposition assay. The oviposition response had various patterns depending on the number of egg rafts and/or larvae used in test water. Oviposition was observed to increase in the presence of *C. quinquefasciatus* egg rafts at low density. At increased density the oviposition activity index decreased to negative value. The presence of *C. quinquefasciatus* larvae deterred the oviposition by gravid *An. gambiae* even at low density. However when both *Culex quinquefasciatus* larvae and egg rafts were used with varying density of egg rafts and constant number of larvae the deterrent was more than when the two were used separately.

Key words: *Anopheles gambiae*, *Culex quinquefasciatus*, oviposition, egg rafts, larvae, deterrence.



LEAF-SURFACE DEPOSITED COMPOUNDS AS ALTERNATIVE ANIMAL FEED PRESERVATIVES

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The presence of an array of secondary metabolites in the leaf-surface exudates has elicited phytochemical screening. The constituent compounds, supposedly, serve a protective role on the plant lipids against autoxidation occasioned by UV radiation.

Some flavonoids, Polsen 1, Polsen 3 and Polsen 5, isolated from the leaf-surface exudate of *Polygonum senegalense* were investigated for antioxidant effect on edible oils, heated to about 200 °C. Polsen 1 enhanced the induction period and thus could be used as an animal feed additive for the purpose of retarding oxidative destruction of the naturally occurring carotenes and vitamin E in animal feeds.

One compound (Polsen 5) showed a cytotoxic effect against a mammarian cell-line, Chine Hamster Ovarian (CHO), using the 3-(4,5-dimethylthiazol-2yl)-2,5-diphenyltetrazoliumbromide.

GREEN CHEMISTRY WORKSHOP

**SPONSORED BY THE PAN AFRICAN CHEMISTRY
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GREEN CHEMISTRY AND METAL COMPLEXES/MATERIALS

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Green Chemistry is a philosophy at how to approach a chemical problem. It is possible to use the '12 Principles of Green Chemistry' (and also Green Engineering) to evaluate whether a reaction is more 'green' than other approaches. In this talk two aspects relating to the synthesis of, mainly inorganic compounds, will be discussed. The one issue will relate to the topic of solventless/solvent-free chemistry; the other to the use of 'green' principles in making nanomaterials.

GREEN CHEMISTRY: A TOOL FOR ENVIRONMENTAL POLLUTION PREVENTION CAUSED BY CHEMICAL MANUFACTURING PROCESSES

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Green chemistry is a particular type of pollution prevention that has solutions to the current global warming problems. It is an approach that provides a fundamental methodology for changing the intrinsic nature of a chemical product or process so that it is inherently of less risk to human health and the environment.

Green chemistry involves the design and redesign of chemical syntheses and chemical products to prevent pollution and thereby solve environmental problems. It is therefore, a particular type of pollution prevention.

Synthetic chemists, those who design new chemicals and their manufacturing processes, have not been particularly environmentally conscious. This is primarily due to the fact that they are at the beginning of the process, identifying ways to make chemicals, while the problems have traditionally been identified with the end of the process, the waste stream. Thus, the role of synthetic chemists has historically been to design synthetic pathways to produce target molecules for the least cost in the greatest yield. However, synthetic chemists should play a more central role in developing Green chemical methods for pollution prevention rather than end-of-pipe control.

In this paper therefore, I will discuss the current global ecological footprint situations, introduction to green chemistry, tools and the principles of green chemistry. The role that chemists need to play in preventing environmental pollution based on the Green chemistry methods will also be mentioned.

THE SEARCH FOR GREEN CATALYSTS

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The importance of catalysis makes it one of the most intensely studied and pursued field in both chemical engineering and applied chemistry. The development and advancement of catalysis holds the key for improvement of economic, environmental and for the sustainability of the world. The use of principles of Green Chemistry on the design of chemical products and processes puts catalysis as one of the cornerstone of pollution prevention by design. This presentation gives a brief description of green chemistry in relation to design of products and sustainability. The clean technology pool and the green chemical technologies and hot spots are briefly introduced. This is followed by examples of various catalysts that have been designed based on green chemical technologies and hot spots. These catalysts are mostly chemically anchored onto the surface of micelle templated silica (MTS) support. Some examples of atom economy and green chemistry matrix drawn from other workers are given so as to illustrate the concept. The supported catalysts have been tested on Heck, Suzuki, epoxidation of norbornene, oxidation of maleic acid and enzyme catalysed reactions. The paper concludes by giving the key messages about the importance of green chemistry for sustainable development.

GREEN CHEMISTRY PARADIGM: THE ROLE OF NATURAL PRODUCTS

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The world is going green and chemists are being asked to 'shift' their thinking to Green Chemistry for sustainable development- design processes that '--- meet the needs of the present without compromising the ability of the future generations to meet their own needs'. To give guidelines on sustainability, the 12 principles have been enunciated by Paul Anastas and John Warner; the seventh principle says - "a raw material should be renewable rather than depleting whenever technically and economically practicable". Certain natural products provided in large amounts by higher plants and fungi secondary metabolism should be highlighted as raw materials for synthesis (structural modification) of fine chemicals such as drugs and other biologically active products to propagate green.

Many plant species scattered amongst different plant families produce in large amounts what may be referred to as surface exudates- non polymeric organic molecules that seem to have protective function to the plants. These exudates in the aerial parts have functionality that make them attractive to be considered as green synthons. Examples of surface exudates plants studied (*Polygonum senegalense*, *Psiadia punctulata*, *Dodonaea angustifolia*, *Senecio roseiflorus*) for quantities of exudates and structural diversity will be given in this lecture; structural derivatisation of the natural compounds for activity optimization, in certain cases, under green conditions will be alluded to. Other examples of plants with high yielding potential sources of starter compounds will also be mentioned.

