



HANDSCHEDULE

The 1st African Conference on Natural Products & Related Fields

24-25 May 2022

About

Cape Peninsula University of Technology



The Cape Peninsula University of Technology (CPUT) is a vibrant, multi-campus institution with close to 40,000 students across its six faculties. The vision of CPUT is to be, "at the heart of technology education and innovation in Africa".

Whilst the Institution's Strategic Plan, Vision 2020, focused on building a strong research culture at CPUT by unlocking the potential of staff and students, Vision 2030 will be geared towards becoming "One Smart CPUT", premised by the idea that 'Smartness' must be imbedded into every operational aspect of our work.

The only University of Technology in the Western Cape, CPUT strives to position itself strategically to offer sound academic programmes and relevant research opportunities that are responsive to the needs of the Western Cape, our country, and the world.

Through the innovative system of work-integrated learning, our students have the added advantage of simultaneously learning and working in their chosen field, thereby creating holistic individuals who are equipped to enter the world of work as soon as they graduate.

Research is at the core of our education business. With the support of our 51 NRF rated researchers and quality academic staff, and aided by local and international research partners, CPUT is geared to relevant, proactive research that will benefit society well beyond the Fifth Industrial Revolution.

Using our vision as the key driver, the Cape Peninsula University of Technology is committed to bridging the digital divide and creating a better equipped humanity.

About Universidade Lusófona



UNIVERSIDADE
LUSÓFONA

The Universidade Lusófona de Humanidades e Tecnologias, as a University, was founded in 1998. Since its inception, the University has had as its objectives "teaching, research in the various fields of science, culture and technologies, from an interdisciplinary perspective and, especially, for the development of Portuguese-speaking countries and peoples".

The University resulted from the merger of ISMAG - Higher Institute of Applied Mathematics and Management, a university institute, and ISHT - Higher Institute of Humanities and Technology, a polytechnic institute, both founded in 1989 by the same institution that founded Lusófona University, COFAC.

The Lusófona University of Humanities and Technologies is the largest private university in Portugal. The entity legally responsible for the management and development of the University is COFAC - Cooperativa de Formação e Animação Cultural, a non-profit educational entity with facilities in Lisbon, Portugal, which is currently the largest non-profit educational organization in Portugal and not funded by the state in the country.

The name of the University comes from the word "Lusophony" that names all Portuguese-speaking countries and their common linguistic and cultural background. The University campus is located in the city center of Lisbon, capital of Portugal.

Universidade Lusófona is an equal opportunity university, currently with a student body of over 11,350 students and 1,500 professors.

The University is organized around 10 faculties that constitute the main institutional unit.

Currently, the Lusófona University of Humanities and Technologies offers 37 degrees, 43 Masters, 3 Integrated Masters, 10 PhD programs and 42 postgraduate courses. All of the University's courses meet the European requirements for higher education, and comply with the European Union Credit Transfer System (ECTS). All University degrees are accredited by A3ES, the Portuguese higher education assessment and accreditation body.

COMMITTEES

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Prof Patricia Rijo

CBIOS - Universidade Lusófona de Humanidades e Tecnologias,
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PLENARY SPEAKERS



Prof. Thomas Efferth

Director, Institute of Pharmaceutical and Biomedical Sciences, Johannes Gutenberg University, Mainz, Germany

Professor Dr. Prof. h. c. mult. Thomas Efferth is chair of the Department of Pharmaceutical Biology, Institute of Pharmaceutical and Biomedical Sciences, Johannes Gutenberg University, Mainz, Germany. He is a biologist by training (Technical University of Darmstadt, Germany). Doctoral thesis: German Cancer Research Center (DKFZ), Heidelberg, Germany (1990).

He headed a research group for Pharmaceutical Biology at DKFZ (2005-2009) and was an adjunct professor (apl.) at the University of Heidelberg (2007-2009). In 2009, he took over the Chair of Pharmaceutical Biology (full professorship). Since 2021, he is the director of the Institute of Pharmaceutical and Biomedical Sciences. Furthermore, he is an honorary professor at several universities (Northeast Forestry University Harbin, Zhejiang Chinese Medical University Hangzhou, Zhejiang University of Science and Technology Hangzhou, Chinese University Hong Kong, Baptist University Hong Kong, Vellore Institute of Technology) and visiting professor (“professional visitor”) at the McLean Hospital, Harvard Medical School, Boston, USA.

Selected Awards: Prize of the Southwest German Association for Medicine (1991), Willmar-Schwabe-Award of the German Society for Medicinal Plant Research (2006), citizen medal of the City of Heidelberg, Germany (2008), CESAR Award for Translational Oncology (2011), *Qihuang* International Award of the Chinese Association of Chinese Medicine (2017). Since 2018, he is a full member of the World Academy of Sciences.

Thomas Efferth published 740+ PubMed-listed papers (Hirsch-factor: 94; citation rate: 47,000; acc. to Google Scholar) and a textbook on ‘Molecular Pharmacology and Toxicology’ (Springer Publisher; 2006). He holds 8 patents. The scientific results were communicated in 320+ oral presentations/invited lectures and 250+ poster presentations at international conferences.

He is editor-in-chief of “Phytomedicine” and “Phytomedicine Plus” as well as associate editor of several other scientific journals and a member of several scientific advisory boards (e.g., German Pharmaceutical Society, Hong Kong Research Grant Council, etc.). Eighteen of his former lab members were promoted to leading academic positions (1 president, 2 full, 5 associate, 10 assistant professors).

Efferth’s research focus is on:

1. Systems biology and molecular pharmacology of natural and synthetic compounds against drug-resistant tumors and infectious diseases (basic research)
2. Predictive and prognostic markers for personalized medicine (translational research)

For more details see: <http://www.pharmazie.uni-mainz.de/Ak-Efferth/index.php>

Selected papers:

- Elbadawi M, **Efferth T**. [Organoids of human airways to study infectivity and cytopathy of SARS-CoV-2](#). Lancet Respir Med. 2020;8:e55-e56. (IF: 30.7)
- Kadioglu, O, Saeed MEM, Greten HJ, Mayr K, Schrama D, Roos WP, **Efferth T**. Identification of potential inhibitors targeting BRAF-V600E mutant melanoma cells. J Am Acad Dermatol 2021;84:1086-89 (IF: 11.5)
- **Efferth T**, Oesch F. The immunosuppressive activity of artemisinin-type drugs towards inflammatory and autoimmune diseases. Med Res Rev 2021;41:3023-61. (IF: 12.9)
- **Efferth T**, Oesch F. Repurposing of plant alkaloids for cancer therapy: Pharmacology and toxicology. Semin Cancer Biol. 2021;68:143-163. (IF: 11.1)
- **Efferth T**, Saeed MEM, Kadioglu O, Seo EJ, Shirooie S, Mbaveng AT, Nabavi SM, Kuete V. [Collateral sensitivity of natural products in drug-resistant cancer cells](#). Biotechnol Adv 2020;38:107342 (IF: 12.8)
- **Efferth T**. [Beyond malaria: The inhibition of viruses by artemisinin-type compounds](#). Biotechnol Adv 2018;36:1730-7 (IF: 14.2)
- **Efferth T**. From ancient herb to modern drug: *Artemisia annua* and artemisinin for cancer therapy. Semin Cancer Biol 2017;46:65-83 (IF: 11.1)
- **Efferth T**, **Paul NW**. Threats to human health by great ocean garbage patches. [Lancet Planetary Health](#). 2017;1:e301-e303.(IF: 19.1)
- Li PCH, Lam E, Roos WP, Zdienicka MZ, Kaina B, **Efferth T**. Artesunate derived from traditional Chinese medicine induces DNA damage and repair. Cancer Res 2008;68:4347-51. (IF: 12.7)
- **Efferth T**, Konkimalla VB, Wang YF, Sauerbrey A, Furchtbar S, Zintl F, Mattern J, Volm M. Prediction of broad spectrum resistance of tumors towards anticancer drugs. Clin Cancer Res 2008;14:2405-12. (IF: 12.5)
- Steinbach D, Gillet JP, Sauerbrey A, Gruhn B, Dawczynski K, Bertholet V, de Longueville F, Zintl F, Remacle J, **Efferth T**. ABCA3 as a possible cause of drug resistance in childhood acute myeloid leukemia. Clin Cancer Res 2006;12:4357-63. (IF: 12.5).
- **Efferth T**, Bachli EB, Schwarzl SM, Goede JS, West C, Smith JC, Beutler E. Glucose-6-phosphate dehydrogenase (G6PD) deficiency-type Zurich: a splice site mutation as an uncommon mechanism producing enzyme deficiency. Blood 2004;104(8):2608. (IF: 23.6).





**Prof. Maria José Umbelino
Ferreira**

Faculty of Pharmacy, Universidade de
Lisboa, Portugal

Maria José Umbelino Ferreira is a Professor of Medicinal and Organic Chemistry at Faculty of Pharmacy, University of Lisbon. She coordinates a Master course in Medicinal and Biopharmaceutical Chemistry and leads the Natural Products Chemistry group at Research Institute for Medicines (IMed.Ulisboa), Faculty of Pharmacy, University of Lisbon. She directed the Department of Pharmaceutical Chemistry (2018-2020) and was Regional Representative of the Phytochemical Society of Europe for Iberia (2016-2020). Her main research interest is the isolation and molecular derivatization of new bioactive chemical scaffolds from plants. She is focused on discovering anticancer compounds, with emphasis on targeting multidrug-resistant cancer cells. The development of anti-infective molecules from African medicinal plants, used in traditional medicine, is also one of her goals. She authored 126 papers/book chapters (Scopus: h-index 34). She supervised/co-supervised 42 PhD/MSc students and postdoctoral fellows and she is member of the editorial board of several international journals.





Prof. Akhere A. Omonkhua

HOD, Department of Medical Biochemistry,
University of Benin,
Benin City, Nigeria.

Prof Akhere Omonkhua is a teacher and researcher in the Department of Medical Biochemistry, University of Benin, Nigeria where her research focus is determining the efficacy, safety, and mechanism of action of local medicinal plants used to treat diabetes, malaria, and reproductive disorders. She has over fifty (50) journal and other publications, and has presented papers in a number of local and international conferences. She has served as a resource person and guest speaker at several workshops, seminars, and public lectures within and outside Nigeria. Prof. Omonkhua is the current Head of the Department of Medical Biochemistry and she also oversees the academic and research programmes of the African Centre of Excellence in Reproductive Health Innovation (CERHI), a World Bank supported project in the University of Benin. She is also the principal investigator of the Natural Products and Phytomedicine Research Group (NAPPREG), University of Benin; and principal investigator/co-investigator of several grants including AREF's Excell Programme, three TETFUND National Research Fund (NRF) grants, National COVID-19 Rapid Diagnostic Tests Validation Study, and Seeding Labs Instrumental Access. She is the Science Editor of African Journal of Reproductive Health Africa's foremost journal in the dissemination of reproductive health research and programming outcomes. In addition to this, she's a member of several scientific societies including the Nigerian Society for Biochemistry and Molecular Biology (NSBMB), Nigerian Society for Experimental Biology (NISEB), the Society for Medicinal Plant and Natural Product Research (GA), Germany, a Fellow of the Nigeria Young Academy (NYA) and a digital business owner and mentor.





Prof. Marion Meyer

Faculty of Natural and Agricultural
Sciences,
University of Pretoria, South Africa

Prof Marion Meyer is a phytochemist who has a special interest in medicinal and toxic plants and the isolation of their active substances. His recent research has focused on the toxic substances of *Euphorbia* species and their role in the creation of the fairy circles in Namibia. He has often used a metabolical approach to identify medicinal and toxic substances in plants. His papers have been cited about 3600 times and according to Scopus he has an “H-score” of 38. The Thomson Reuters Essential Science Indicators (ESI) compiles the top 1% of research output globally and he is listed as one of these researchers in the field of Pharmacology and Toxicology.





Prof. Patrícia Rijo

CBIOS - Universidade Lusófona de Humanidades e Tecnologias, Lisboa, Portugal

Patrícia Rijo has a degree in Chemistry from the Faculty of Sciences of the University of Lisbon (FCUL), and a Master and Ph.D. in Pharmaceutical and Therapeutic Chemistry from the Faculty of Pharmacy of the University of Lisbon (FFUL). She is currently an Associate Professor at the School of Health Sciences and Technologies (ECTS) at Universidade Lusófona (ULHT, Lisbon, Portugal) where she is responsible for Organic Medicinal Chemistry and Pharmacognosy and the international coordinator. The main area of research is medicinal chemistry, phytochemistry, and pharmacognosy, with an emphasis on the Chemistry of Natural Products. She is the director of Communication and External Relations at CBIOS (Research Center for Biosciences and Health Technologies at Universidade Lusófona), where she leads the Laboratory of Natural Bioactives (Bio.Natural). Patrícia has published more than one hundred articles in international journals, has more than two hundred communications (oral and panel) presented at national and international meetings and conferences, and has three registered patents.



ABSTRACTS



PS-1

Update on COVID-19, Long COVID, and Treatment Strategies

Prof. Thomas Efferth

Thomas Efferth

Department of Pharmaceutical Biology, Institute of Pharmaceutical and Biomedical Sciences, Johannes Gutenberg University, Mainz, Germany.

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Abstract:

There is no disease threatening people more than COVID-19 these days. This overview lecture provides a comprehensive overview of the basic knowledge of this novel viral disease regarding epidemiology, molecular biology, pathophysiology, immunology, and pharmacology (including natural products). In the second part of the lecture, own data are presented.

We applied a workflow of combined in silico methods (virtual drug screening, molecular docking, and supervised machine learning algorithms) to identify novel drug candidates against COVID-19. We constructed chemical libraries consisting of FDA-approved drugs for drug repositioning and of natural compound datasets from literature mining and the ZINC database to select compounds interacting with SARS-CoV-2 target proteins (spike protein, nucleocapsid protein, and 2'-O-ribose methyltransferase). Supported by the supercomputer MOGON, candidate compounds were predicted as presumable SARS-CoV-2 inhibitors. Interestingly, several approved drugs against hepatitis C virus (HCV), another enveloped (-) ssRNA virus (paritaprevir, simeprevir, and velpatasvir) as well as drugs against transmissible diseases, cancer, or other diseases were identified as candidates against SARS-CoV-2. This result is supported by reports that anti-HCV compounds are also active against Middle East Respiratory Virus Syndrome (MERS) coronavirus. The candidate compounds identified by us may help to speed up the drug development against SARS-CoV-2.

Selected own contributions:

- Elbadawi M, Efferth T. Organoids of human airways to study infectivity and cytopathy of SARS-CoV-2. *Lancet Respir Med.* 2020;8(7):e55-e56.
- Shahhamzehei N, Abdelfatah S, Efferth T. In silico and in vitro identification of pan-coronaviral main protease inhibitors from a large natural product library. *Pharmaceuticals (Basel).* 2022;15(3):308.
- Kadioglu O, Saeed MEM, Greten HJ, Efferth T. Identification of novel compounds against three targets of SARS CoV-2 coronavirus by combined virtual screening and supervised machine learning. *Comput Biol Med.* 2021;133:104359.
- Oesch F, Oesch-Bartlomowicz B, Efferth T. Toxicity as prime selection criterion among SARS-active herbal medications. *Phytomedicine.* 2021;85:153476.
- Ibrahim MAA, Abdelrahman AHM, Mohamed TA, Atia MAM, Al-Hammady MAM, Abdeljawaad KAA, Elkady EM, Moustafa MF, Alrumaihi F, Allemailem KS, El-Seedi HR, Paré PW, Efferth T, Hegazy MF.

In Silico Mining of Terpenes from Red-Sea Invertebrates for SARS-CoV-2 Main Protease (Mpro) Inhibitors. *Molecules*. 2021;26(7):2082.

- Mukherjee PK, Efferth T, Das B, Kar A, Ghosh S, Singha S, Debnath P, Sharma N, Bhardwaj PK, Haldar PK. Role of medicinal plants in inhibiting SARS-CoV-2 and in the management of post-COVID-19 complications. *Phytomedicine*. 2022;98:153930.
- Lee DYW, Li QY, Liu J, Efferth T. Traditional Chinese herbal medicine at the forefront battle against COVID-19: Clinical experience and scientific basis. *Phytomedicine*. 2021;80:153337.
- Muhammad I, Rahman N, Gul-E-Nayab, Niaz S, Basharat Z, Rastrelli L, Jayanthi S, Efferth T, Khan H. Screening of potent phytochemical inhibitors against SARS-CoV-2 protease and its two Asian mutants. *Comput Biol Med*. 2021;133:104362.
- Ibrahim MAA, Abdelrahman AHM, Atia MAM, Mohamed TA, Moustafa MF, Hakami AR, Khalifa SAM, Alhumaydhi FA, Alrumaihi F, Abidi SH, Allemailem KS, Efferth T, Soliman ME, Paré PW, El-Seedi HR, Hegazy MF. Blue Biotechnology: Computational Screening of Sarcophyton Cembranoid Diterpenes for SARS-CoV-2 Main Protease Inhibition. *Mar Drugs*. 2021;19(7):391.
- Khalifa SAM, Yosri N, El-Mallah MF, Ghonaim R, Guo Z, Musharraf SG, Du M, Khatib A, Xiao J, Saeed A, El-Seedi HHR, Zhao C, Efferth T, El-Seedi HR. Screening for natural and derived bio-active compounds in preclinical and clinical studies: One of the frontlines of fighting the coronaviruses pandemic. *Phytomedicine*. 2021;85:153311.
- Ibrahim MAA, Abdelrahman AHM, Hussien TA, Badr EAA, Mohamed TA, El-Seedi HR, Pare PW, Efferth T, Hegazy MF. In silico drug discovery of major metabolites from spices as SARS-CoV-2 main protease inhibitors. *Comput Biol Med*. 2020;126:104046.
- Khalifa SAM, Mohamed BS, Elashal MH, Du M, Guo Z, Zhao C, Musharraf SG, Boskabady MH, El-Seedi HHR, Efferth T, El-Seedi HR. Comprehensive Overview on Multiple Strategies Fighting COVID-19. *Int J Environ Res Public Health*. 2020;17(16):5813.
- Schwarz S, Sauter D, Wang K, Zhang R, Sun B, Karioti A, Bilia AR, Efferth T, Schwarz W. Kaempferol derivatives as antiviral drugs against the 3a channel protein of coronavirus. *Planta Med*. 2014;80(2-3):177-82.





PS-2

Bioactive Compounds from African Medicinal Plants as potential anticancer agents

Prof. Maria-José U. Ferreira

Maria-José U. Ferreira

Research Institute for Medicines (iMed.U.Lisboa), Faculty of Pharmacy, Universidade de Lisboa, 1649-003 Lisbon, Portugal

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Abstract:

Plant-derived compounds, characterized by a great structural diversity and bioactivity, are an important source of secondary metabolites. They have long been playing a key role in drug discovery and development, particularly in infectious diseases and cancer. Nowadays, most of the world's population still relies on plants as primary healthcare, particularly in developing countries. The discovery of lead compounds from medicinal plants based on their use in traditional medicine has been considered a promising approach. Currently, there is great interest in plant-derived as multidrug resistance (MDR) reversers in cancer. In fact, several classes of natural products have shown significant MDR-modulating properties.

In our search for bioactive compounds from African medicinal plants, aiming at obtaining compounds with anticancer activity for overcoming ABC transporter-mediated MDR, we have been carrying out the phytochemical study of the African medicinal plant *Tabernaemontana elegans* (Apocynaceae), by using both approaches isolation of monoterpene indole alkaloids and molecular derivatization of major compounds, for structure-activity relationship studies. The ability of compounds as inhibitors of P-glycoprotein (P-gp/ABCB1), multidrug resistance protein (MRP1/ABCC1) and breast cancer resistance protein (BCRP/ABCG2), the three main ABC transporters involved in MDR, has been evaluated in different resistant cell lines. Several indole alkaloid derivatives were found to be strong P-gp and MRP1 inhibitors and displayed selective antiproliferative activity to MRP1 overexpressing cells [1–4]. Using a different anti-MDR approach, we have also identified an indole alkaloid derivative as a new and effective inhibitor of homologous DNA repair, in triple-negative breast and ovarian cancers [5].

Acknowledgements: Fundação para a Ciência e a Tecnologia (FCT), Portugal (project PTDC/MED-QUI/30591/2017).

Funding: This work was supported by FCT UIDB/04567/2020 and UIDP/04567/2020.





PS-3

Optimizing the therapeutic potential of Nigerian medicinal plants

Prof. Akhere A. Omonkhua

Akhere A. Omonkhua

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Abstract:

While the folkloric efficacy of African medicinal plants has been known for centuries, the scientific validation of these remedies are relatively recent. The scientific enquiry of the Nigerian ethnobotanical space has contributed extensively to the establishment of the efficacy of numerous medicinal plants. At the beginning of my career as a medicinal plant scientist, my focus was on establishing the efficacy and safety of local medicinal plants used to treat diabetes and malaria by using animal experimental models. These studies revealed the efficacies, and sometimes superiority, of *Terminalia avicennioides*, *Anogeissus leiocarpus*, and *Momordica charantia* used locally to treat malaria. My study of the antidiabetic plants - *Irvingia gabonensis* bark, *Urena lobata* root, and *Carica papaya* leaves - showed that in addition to having sustained (24 weeks) antidiabetic, anti-obesity, antioxidant, and antihyperlipidaemic effects; they were relatively safe. My current studies revolve around understanding the molecular mechanisms of action of antidiabetic medicinal plants using gene expression and bioinformatics tools. In a recently concluded study, my research team examined the effect of *Tetrapleura tetraptera* saponins (TTS) on the expression of carbohydrate, lipid, and anti-/pro-inflammatory genes. Our findings showed that TTS modulate the diabetic derangement of carbohydrate and lipid metabolism in experimental models. In another current study, my team is evaluating the molecular mechanism of action of *I. gabonensis* as well as isolating and characterizing its active biomolecules. Finally, I am currently evaluating the effect of local diet on dizygotic twinning rates using animal models. Our results so far show a strong correlation between one of the plant food and multiple ovulations. Nigerian, and indeed the African herbal medicine space, can become more impactful if better collaborations are fostered and usable end products emerge from our studies.

Acknowledgements: I acknowledge the funding received from the Institutional Based Research (IBR) of the Nigeria Tertiary Education Trust Fund (TETFund), National Research Fund (NRF) TETFund, and the World Bank Supported African Centre of Excellence in Reproductive Health Innovation, CERHI, University of Benin, Nigeria.





PS-4

Are the fairy circles of Namibia the tombstones of dead succulent *Euphorbia* species?

Prof. Meyer Marion

Meyer Marion, Degashu Mmankeko, Potgieter Marie and Meyer Nicole

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Abstract:

Since they were described for the first time 50 years ago, many peer-reviewed papers as well as popular articles have been published on a number of extremely diverse theories as the cause of the formation of hundreds of thousands of nearly circular barren patches (fairy circles) found mainly in Namibia. However, scientists interested in finding an explanation for the cause of these fairy circles are still without agreement on the reason(s) behind this intriguing phenomenon. In this presentation we present soil chemical, phytochemical and GIS spatial patterning supporting evidence that the fairy circles are caused by the allelopathic effects of *Euphorbia* species. GC-MS analyses revealed that soil from fairy circles and from under decomposing *E. damarana* plants are very similar in phytochemistry. *E. damarana* and *E. gummifera* extracts have a detrimental effect on bacteria isolated from the rhizosphere of *Stipagrostis uniplumis* and inhibit grass seed germination. Several compounds previously identified with antimicrobial and phytotoxic activity were also identified in *E. gummifera*. It is therefore proposed that the allelopathic, adhesive, hydrophobic and toxic latex of *E. damarana*, *E. gummifera*, and possibly other species like *E. gregaria*, is the cause of the fairy circles of Namibia.

Keywords: Fairy circles, Namibia, Euphorbia, Phytochemistry





PS-5

Plectranthus spp. as an important source of lead molecules in Cancer Research"

Prof. Patricia Rijo

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Abstract:

Natural products obtained from medicinal plants are widely recognized as an important source of new therapeutic molecules with potential use in several serious diseases, including cancer. The *Plectranthus* genus is used in traditional medicine due to its potential to treat several illnesses. Diterpenoids are bioactive molecules widely found in *Plectranthus* spp., and have a broad spectrum of biological activity, namely anticancer properties. In this work, it will be described several approaches using these bioactive led molecules and examples such as Parvifloron D from *P. ecklonii*, dehydroroyleanone from *P. madagascariensis*, and *P. grandidentatus*, and, Coleon U from *P. mutabilis* will be described. These lead molecules can be further used for drug development and a hit molecule is the patented diterpenoid benzoylroyleanone (RoyBz). The RoyBz was prepared using Roy as starting material. RoyBz potently inhibited the proliferation of colon cancer cells by inducing a PKC δ -dependent mitochondrial apoptotic pathway involving caspase-3 activation. The results point to promising activators of PKCs with high potency and isoform-selectivity that may emerge from the exploitation of this new family of abietane diterpenoids.

Funding:

This work was supported by FCT UIDB/04567/2020 and UIDP/04567/2020.





KS-1

Oncogenic EGFR as a target for Medicinal plant derived compounds

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Prof. Sami Aifa

Abstract:

Since the discovery of the epidermal growth factor (EGF) leading to the isolation of its receptor (EGFR), their role in cancer development was early established. As a consequence, EGFR family receptors were shown to be the most occurring oncogenes in solid cancer which oriented many investigations to reveal the mechanism of their oncogenic activation and signaling. EGFR was particularly involved in non-small cell lung cancer (NSCLC) and was the preferred target for many monoclonal antibodies and chemicals inhibiting the EGFR tyrosine kinase (TKis). Interestingly the approved TKis in clinics are derived from plant natural products especially the quinazolines which belong to at least 4 generations of synthesized drugs showing interesting therapeutic results. In parallel, the screening of novel EGFR antagonists based on medicinal plant products is still a nonstop activity of many laboratories and interesting results are continuously published and/or patented. Meanwhile, treated tumor cells develop usually many mechanisms of resistance which make the battle against EGFR stimulated tumors very hard and costing. As a solution, healthy diets based on the inclusion of vegetal natural products could offer very valuable tools of prevention against cancer in association with other guidelines such as physical activity, limitation of meat, sugar, fast food consumption and others.





KS-2

Rooibos: a proudly South African herbal tea as a complementary approach to cardiometabolic health

Prof. Jeanine L Marnewick

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Abstract:

Non communicable diseases (NCDs), including cardiovascular diseases (CVD) disproportionately affect low- and middle-income countries. The burden of CVDs is shifting from developed to developing countries. The World Health Organisation estimates this burden to be two to three times higher in South Africa than in developed countries. Together with diabetes and stroke, heart disease contributes to the second most important cause of death in adult South Africans. This increasing burden in South Africa calls for innovative strategies to combat the trend. The realisation of using natural dietary components with relevant effectiveness offers an exciting prospect to reduce the national and global burden imposed by CVDs.

The focus on the health benefits from foods, beverages or specific dietary components has never been so strong and increasing the intake of these natural occurring components will help to ensure a healthier nation. The past decade has revealed evidence that a flavonoid-rich diet may offer a strategy for the prevention of important lifestyle diseases where oxidative stress and inflammation plays a role. Rooibos, a unique South African herbal tea, is becoming more popular because of its potential health benefits. It is naturally caffeine-free and low in tannins (when compared to *Camellia sinensis* teas - green and black teas) and a very good source of unique and beneficial bio-actives, different to those found in other teas, fruits and vegetables.

Rooibos research has attracted great interest since the 1990s due to growing anecdotal evidence of its beneficial effects to human health. There has been an exponential growth in the number of scientific articles reporting on various biological activities of rooibos since the 1990s with the past two decades yielding the most peer-reviewed articles and showing a growing demand for rooibos exports. Several studies have confirmed that traditional and "green" rooibos show good *in vitro* and *in vivo* bio-activities. Perhaps the strongest evidence to date derived from human data shows Rooibos to display good cardioprotective properties via the modulation of the lipid profile, an improved endogenous antioxidant defense system, decreased lipid peroxidation and inhibition of angiotensin-converting enzyme activity (important in blood pressure regulation), all very relevant in cardiovascular diseases.

This growing body of knowledge supports the use of Rooibos as a health promoting/beneficial beverage for the consumer and perhaps a good complementary approach when considering cardiometabolic health.

Acknowledgements

Funders: Cape Peninsula University of Technology, The South African Rooibos Council, The National Research Foundation





KS-3

Exploring the Amaryllidaceae plant species and isolated alkaloids for their neuroprotective potentials.

Dr. Sylvester I. Omoruyi

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Abstract:

Neurodegenerative diseases (NDDs) which include Alzheimer's disease and Parkinson's disease are progressive diseases which are age-dependent and are not only a major cause of global morbidity and mortality but are also becoming increasingly prevalent with limited treatment options. Plant species and natural products have been reported to play a role in halting the progression of NDDs and notable of which is the Amaryllidaceae plant family. Species of this plant family are well known for their alkaloids and till date over 630 alkaloids have been isolated from them and these alkaloids together with the plant materials possess numerous biological activities including antibacterial, anti-cancer and neuroprotective activities. Considering this, this study investigates the neuroprotective potentials of selected Amaryllidaceae plant species and their bioactive compounds in a neurodegenerative disease model of Parkinson's disease using the SH-SY5Y neuroblastoma cells and the 1-methyl-4-phenylpyridinium (MPP⁺) toxin. To achieve this, the effects of the plant extracts as well as their compounds on the viability of SH-SY5Y cells with or without MPP⁺ were evaluated and thereafter the mechanism of action including their impact on excessive reactive oxygen species (ROS) production triggered by the toxin, adenosine triphosphate (ATP) levels and apoptosis were investigated in the cells. The results show that the investigated Amaryllidaceae plant species and compounds protected SH-SY5Y cells from MPP⁺-induced toxicity by the inhibition of ROS and ATP degeneration as well as the prevention of apoptosis induction in the cells. These findings give insight into the biological activity of the bioactive constituents of Amaryllidaceae and supports the claim that the Amaryllidaceae plant family could be a potential reserve for the discovery of neuroprotective agents.





KS-4

Ethnobotanical study of medicinal plants by traditional healers to treat mental disorders in Kavango East and West regions, Namibia

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Abstract:

Mental disorders are increasing and becoming the main cause of disease burden globally [1]. In traditional settings, the diagnosis of mental disorders by traditional healers depend on the patients' self-reported symptoms and the traditional healers' personal interpretation of the described conditions [2]. Medicinal plants have been used in traditional medicine for thousands of years and are still considered as the backbone in pharmaceutical formulation and natural products development. In Namibia, there is a paucity of epidemiological data on conditions related to mental disorders and there are currently no reliable statistics related to its prevalence. However, it is assumed that the general state of mental health globally is fairly representative for Namibia as well. The aim of this study was to conduct ethnobotanical survey on the uses of medicinal plants to treat various mental disorders by traditional healers in Kavango East and West regions in Namibia. Using structured interviews and opened-end questionnaires, ethnobotanical data was documented and interviews were conducted in the four local languages spoken in the area namely, Rukwangali, Rushambyu, Thimbukushu and Rugciriku. Plant specimens were collected, voucher specimen number to each plant was assigned, and the collected specimens were sent to Namibia Botanical Research Institute (NBRI) for scientific identification. The ethnomedicinal data were analyzed using several quantitative indices including informant consensus factor (FIC), fidelity level (FL) and use value (UV). A total of 37 plant species belonging to 24 families were reported to be used traditionally to treat the five different categories of mental disorders prevalent in the regions. The most reported plants were *Albizia tanganyicensis*, *Ancylanthos rubiginosus*, *Bobgunnia madagascariensis*, *Dialium engleranum* *Diospyros virgata*, *Elaeodendron transvaalense* and *Guibourtia coleosperma*. Roots and leaves were the most frequent used parts in the treatment. Most of the remedies were prepared by boiling, while oral and steaming administration were the most common ways to be used. This study identified many potentially high value medicinal plants, indicating high ethnopharmacological value and potential for further economic development.





S-1

COVID-19 pandemic: time to revisit African Medicine Research?

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Abstract:

Since reporting its first infection in December 2019, novel coronavirus disease 2019 (COVID-19) has caused detrimental effects on the economic and health sector worldwide. Scientists across the world have been working on different approaches to find an effective cure for COVID-19. Among these, the main approaches are vaccine development, drug discovery, repurposing of drugs, and screening of medicinal plants for their anti-COVID-19 potential. All these approaches come with their advantages and disadvantages. The main challenge generally associated with the use of traditional plant-based medicines is the lack of scientific data to support their efficacy. Once supported by scientific data, traditional medicine can prove to be a rapid and more practical approach for finding new therapeutics with a favourable efficacy and tolerable toxicity.

The use of natural products as medicines has been used since ancient times for the treatment of many diseases and illnesses. Among these traditional systems of medicine Chinese traditional medicine, Indian Tradition Medicine (Ayurveda), traditional African medicine, ancient Iranian medicines, and Islamic medicines are some of the known traditional medicine systems. Some traditional medicine systems are well documented in the form of large volumes of literature and records of theoretical and practical skills. Others are passed down from one generation to the other through vocal teachings. Although 80% of the African population relies on traditional medicines, it has not enjoyed the same acceptance among Natural Product Medicine at the international level when compared to other traditional medicine systems. There exists a disparity between plant/species diversity and recognition/acceptance of African medicine. An overview of research and comparison of major traditional medicine systems and African medicine systems is done to address this issue. An attempt is made to search for possible interventions/recommendations for universal recognition of traditional African medicine. The major recommendations include the need for an African monograph of African medicines and formulations validated by scientific data and a translation of African traditional medicine to African Natural Product Drug Discovery. The current pandemic should be taken as a wake-up call to readdress African medicine research and a push for inventing its potential role in current as well as future pandemics.



S-2



Isolation of Bioactive Compounds from *Leucosidea sericea* (Rosaceae)

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Abstract:

Leucosidea sericea Eckl. & Zeyh is an evergreen plant that mainly grows in the highlands and mountainous areas in Southern Africa. It is traditionally used for various therapeutic purposes in humans and animals. Owing to its multiple uses and invasive nature, the plant is believed to hold great economic potential [1]. In this study, we investigated in detail the phytochemical composition of *L. sericea* leaf and stem extracts. Purification of the extracts by various chromatographic techniques resulted in the isolation of a new triterpenoid named leucosidic acid A. In addition, other known compounds that included an acetophenone derivative, a phloroglucinol derivative, chromones, pentacyclic triterpenoids, a phytosterol glucoside, a flavonoid, and flavonoid glycosides were isolated [2]. Some of the isolated triterpenoids were evaluated for inhibition of diabetes-related enzymes. The isolation of the different classes of compounds signifies that *L. sericea* is a rich source of bioactive compounds [2], and therefore, opens avenues for the investigations of further applications of the plant.

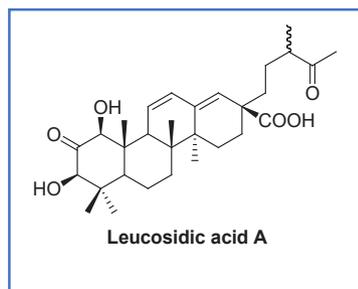


Figure 1: Structure of new compound leucosidic acid A isolated from *L. sericea*





S-3

Composition of phenolic compounds in South African *Schinus molle* L. berries

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Abstract:

Abstract

The *Schinus molle* tree is notoriously invasive in most parts of the world, and yet as a pseudospice, its berries potentially possess some significant health benefits which need to be explored [1,2]. Therefore, polar metabolome of seed + husks (SH), husks (H), and de-hulled (DH) berries were profiled and quantified by untargeted metabolomics approach using UPLC-QTOF-MS. A total of 13 gallotannins, three phenolic acids, a phenolic acid glucoside, three phenolic acid esters, an organic acid, a gallotannin derivative, and nine flavonoids were detected and quantified. Phenolic acids ranged between 12.2-295.7; 4.9-77; and 89.7-1613.1 mg/ kg in SH, DH seeds and H respectively. Flavonoids ranged between 1.8-267.5; 73.4-80.4; and 124-564.3 mg/ kg in SH, DH seeds and H respectively. Gallotannins ranged between 1.1-146.6; 14.8-21.8; and 48.1-664.8 mg/ kg in SH, DH seeds and H respectively. Feruloyltartaric A, quercetin 3-O-glucuronide, catechin digalloylshikimic acid B as well as digalloyl quinic acid were some of the dominant secondary metabolites revealed. These results indicate that *S. molle* berries are a rich source of secondary metabolites with elevated concentrations in the husks, while DH seeds possess lower concentrations to none. These findings open important insights into the potential of *S. molle* berries as a natural source of antioxidants for the food and pharmaceutical industries.

References

- [1] Asowata-Ayodele, A.M., Afolayan, A.J., & Otunola, G.A. 2016. Ethnobotanical survey of culinary herbs and spices used in the traditional medicinal system of Nkonkobe Municipality, Eastern Cape, South Africa. *South African Journal of Botany*, 104, 69–75.
- [2] Iponga, D.M., Milton, S.J., & Richardson, D.M. 2008. Superiority in competition for light: a crucial attribute defining the impact of the invasive alien tree *Schinus molle* (Anacardiaceae) in South African savanna. *Journal of Arid Environments*, 72, 612-623.

Acknowledgements

This research was funded by the Cape Peninsula University of Technology and the National Research Foundation of South Africa.





S-4

Costus afer modulates oxidative stress, improve glucose uptake in SW-872 and HEPG2 cells and inhibits adipocyte differentiation in SW-872 cell line

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Abstract:

Costus afer Ker Gawl (Zingiberaceae) is an herbal plant traditionally used by local population in Cameroon, to treat diabetes mellitus. There are few scientific reports on its mode of action. The aim of the present study was to determine the *in vitro* antidiabetic potential of the *C. afer* stem and leaf methanolic extracts. To study the *in vitro* antidiabetic activities of the extracts, they were subjected to insulin-like and insulin sensitizing assay using SW-872 cell line (preadipocytes and differentiated adipocytes) with Metformin as a reference antidiabetic agent, to fluorescence glucose (2-NBDG) uptake test using both HepG2 and SW-872 cells lines, and finally, on the inhibition of oxidative stress through intracellular ROS production and ORAC (Oxygen Radical Absorbance Capacity) were evaluated. Stem extract and leaf extract of *C. afer* were not toxic towards adipose SW-872 and liver HepG2 cells at 1 µg/mL but slightly toxic at 100 µg/mL. Both stem and leaf extract of *C. afer* extracts induced relatively high glucose uptake in liver and adipose cells, with stem extract showing the highest activity. In addition, both extracts also significantly ($P < 0.05$) reduced adipogenesis similar to metformin, implying their therapeutic role against type 2 diabetes. Stem extract of *C. afer* showed the highest antioxidant potential in ORAC assay and leaf extract alleviated H₂O₂-induced oxidative damage in the 2 cells at 20 µg/mL. The present findings revealed that *C. afer* improve insulin resistance and stimulate of glucose uptake, suggesting that it may represent a feasible therapeutic tool for the management of type2 DM.

Keywords: *C. afer*, insulin resistance, oxidative stress, adipogenesis.





S-5

Antioxidant potential of the Namibian *Myrothamnus flabellifolius* plant extracts

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Abstract:

Myrothamnus flabellifolius is a medicinal plant native to the mountainous regions of central and southern Africa. This plant has various traditional uses which includes treatment for scurvy and microbial infections. This study aimed to investigate the antioxidant potential of the Namibian *M. flabellifolius* plant extracts. The investigation of the antioxidant activity was done on dichloromethane/methanol (DCM-MeOH, 1:1 v/v) and aqueous (H₂O) extracts. The antioxidant activity of the compound was investigated using 2,2-diphenyl-1-picryl-hydrazyl radical (DPPH), reducing power and nitric oxide (NO) assay. Both extracts demonstrated excellent DPPH scavenging activity with IC₅₀ values of 3.27 ± 0.03 µg/mL for DCM-MeOH extract and 3.24 ± 0.02 µg/mL for the aqueous extract. The extracts demonstrated NO radical scavenging activity with IC₅₀ values of 619.70 ± 59.60 µg/mL for DCM-MeOH extract and 57.44 ± 6.69 µg/mL for aqueous extract. The reducing power of both extracts increased with an increase in concentration. The best reducing power was recorded with the H₂O extract with absorbance reading of +0.2 absorbance at lowest concentration of 6.25ug/ml. The results obtained in this study suggest that the Namibian *M. flabellifolius* plant has excellent *in vitro* antioxidant activity, making it a potential source of natural antioxidants.

Keywords: *Myrothamnus flabellifolius*, DPPH, Nitric oxide, Reducing power, Antioxidant activity





S-6

Polyphenols-rich extracts of Annonaceae and Zingiberaceae dietary plants show metabolic benefits by lowering lipid accumulation in high-fat-diet-induced obese C57BL/6 mice

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Abstract:

Metabolic syndrome is very complex and is associated with a series of pathologies, namely glucose intolerance, diabetes, high blood pressure, dyslipidemia, micro-albuminuria, overweight, and obesity. The overall prevalence of the metabolic syndrome is constantly increasing worldwide, and the initial management of this physiopathology involves lifestyle modifications, including changes in diet and exercise habits [1]. Besides, rather than conventional drugs like Orlistat, herbs/spices traditionally used to remedy these diseases have been systematically re-evaluated [2]. In the present work, we chemically characterized and investigated the in vivo beneficial effects of *Xylopia parviflora* (A. Rich.) Benth and *Aframomum citratum* (Pereira ex Oliv. et Hanb.) K. Shum extracts, focusing on Obesity-related lipid parameters in high fat-fed C57BL/6 mice. Hydro-ethanolic extracts were prepared and characterized by RP-HPLC-PDA and UPLC-Triple TOF-ESIMS/MS analysis. They were orally administrated for 30 days in different doses (100 mg.kg⁻¹ B.W and 200 mg.kg¹ B.W) to obese C57BL/6 mice. Food intake and body weight were recorded every day. Anthropometric, plasma biochemical parameters, and lipid content were estimated at the beginning and end of the experiment. Epididymal and inguinal adipose tissues, as well as liver tissue, were subjected to histological examinations and oxidative stress markers were estimated. Lipid content estimation and FAME analysis were performed in the fecal, liver, and adipose tissue samples. Oral administration of the extracts at 200 mg.kg⁻¹ B.W significantly reduced food intake, body weight. Decreased in liver and white adipose tissue (WAT) weight as well as lipid content in plasma were observed. Plasma enzyme (SGOT, SGPT, ALP) estimation showed there was no damage to vital organs. The chemical analysis suggested that phenolic acids and flavonoids identified in the extracts could potentially justify the biological properties observed. The main findings of this study showed that *Xylopia parviflora* (A. Rich.) Benth and *Aframomum citratum* (Pereira ex Oliv. et Hanb.) K. Shum decreased lipid accumulation in high-fat-diet-induced obese C57BL/6 mice and confirmed, at least in part, our previous in-vitro and ex-vivo analysis. However, deduction of molecular mechanisms underlying these effects will require further investigations.

Keywords: Polyphenols, High-fat diet, Obesity, C57BL/6 mice, Liver tissues, Lipid accumulation, botanicals.





S-7

Isolation and characterization of flavonoids from *Symphonia globulifera* (Clusiaceae)

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Abstract:

This work reports the research of flavonoids from *Symphonia globulifera* (Clusiaceae). A literature review revealed that the *Symphonia* genus is a rich source of flavonoids, terpenoids, benzophenones, xanthenes, fatty acids and phloroglucinols. *Symphonia globulifera* known in Cameroon as « Mekoa » in (beti), is used in center region of Cameroon for the treatment of tumors, cough, skin itching, and nosebleed [1]. Four extracts from this plant were submitted to phytochemical screening. After this screening, only acetone extract of the stem bark has shown the presence of flavonoids. The fractionation led to the isolation of two known flavanones identified respectively as naringinin (**1**) and angophorol (**2**) [2] by spectroscopic methods (UV, MS, NMR ¹H and ¹³C). The biological activities of the pure compounds and extract are ongoing.

Key words: *Symphonia globulifera*, Clusiaceae, flavanones, naringinin and angophorol

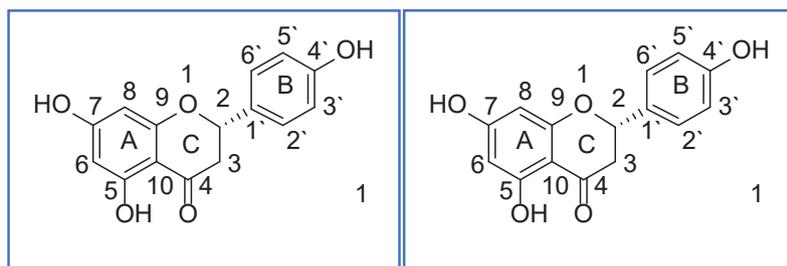


Figure 1: Chemical structure of isolated compounds





S-8

Protective Effects of Linearthin and Other Chalcone Derivatives Isolated from *Aspalathus linearis* (Rooibos) against UVB Induced Oxidative Stress and Toxicity in Human Skin Cells

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Abstract:

Skin cells suffer continuous damage from chronic exposure to ultraviolet light (UV) that may result in UV-induced oxidative stress and skin thinning. This has necessitated the formulation of cosmeceutical products rich in natural antioxidants and free radical scavengers. *Aspalathus linearis* (rooibos) is an endemic South African fynbos plant. The plant is rich in phenolics and other bioactives with a wide spectrum of health benefits. The chemical study of an acetonetic extract of green *A. linearis* afforded a novel compound named linearthin (1) and two known dihydrochalcones, aspalathin (2) and nothofagin (3). The chemical structure of the novel compound was elucidated based on spectroscopic data analysis. The bio-evaluation of the isolated chalcones *in vitro* for protection against UVB-induced oxidative stress were systematically assessed by examining cell viability, metabolic activity, apoptosis, and cytotoxicity using a HaCaT skin cell model. It was observed that pre-treatment with tested samples for 4h at low concentrations were sufficient to protect skin cells from UVB-induced damage *in vitro* as evidenced by higher cell viability and improved metabolic activity in keratinocytes (HaCaT). The results further show that the pre-treatment regimen employed by this study involved some degree of cellular adaptation as evidenced by higher levels of reduced glutathione with a concomitant decrease in lipid peroxidation and lowered caspase 3 activity. These results show that linearthin (1) and the two glycoside dihydrochalcones of *A. linearis* have the potential to be further developed as antioxidant cosmeceutical ingredients that may protect skin against UVB-induced damage.





S-9

Protective roles of *Aspalathus linearis* (Rooibos herbal-tea) against lead-induced toxicity in male Wistar Rats

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Abstract:

This study investigated the possible protective effect of *Aspalathus linearis* (Rooibos) against lead-induced toxicity in male Wistar rats.

Animals were randomised into four groups of eight rats each. Group A (10 ppm lead alone), Group B (10 ppm lead and 0.05 g/ml fermented Rooibos extract), Group C (Negative Control) and Group D (0.05g/ml fermented Rooibos extract only) treated for 21 days. Haematological indices and plasma gamma glutamyl transferase (GGT), alanine amino transferase (ALT), aspartate amino transferase (AST), alkaline phosphatase (ALP), bilirubin, Interleukin-1 β , triglyceride (TG), cholesterol (CHO), high density lipoprotein cholesterol (HDL-C) was studied. Hepatic, renal and testicular activities of superoxide dismutase (SOD), catalase (CAT), glutathione peroxidase (GPx), glutathione-S-transferase (GST), and glutathione (GSH) and malondialdehyde (MDA) levels were assessed. The tissues architectures using Hematoxylin and Eosin stains were also examined. Data were analyzed using ANOVA followed by Tukey's test using SPSS statistical software.

Lead elicited an increase in white blood cell (WBC), platelet, ALT, AST, ALP interleukin-1 β , urea, bilirubin, creatinine and TG levels. In addition, decreased levels of blood cells (RBC), packed cell volume, haemoglobin, plasma CHO and HDL-C were also observed. With the addition of Rooibos, the lead-induced increase in WBC, platelet, ALT, AST and ALP levels were decreased to similar levels as the negative control rats. The extract also increased the lead-induced decrease of RBC and plasma HDL-C. As expected, the lead destabilized the hepatic redox status as supported by the reduced GST activity, GSH levels and the increased MDA levels. The renal and testis redox status were not significantly ($P > 0.05$) affected by the lead administration. Consuming Rooibos protected ($P < 0.05$) against the lead-induced dysregulation of the hepatic redox status and oxidative lipid damage (MDA level). Lead administration induced austere tissue architecture distortions (liver, kidney and testis) that were mild in the presence of the Rooibos extract. The Rooibos bio-actives, such as the polyphenolic constituents are proposed to be responsible for the observed protection against the lead-induced toxicity in the liver.

Keywords: *Aspalathus linearis*, polyphenolic constituents, lead, toxicity, redox status



S-10

Potential Neuroprotective Effects of Aspalathin and Linearthin from *Aspalathus linearis* (Rooibos) in MPP⁺-Induced Neuronal Toxicity

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Abstract:

Aspalathus linearis is a plant that is endemic to the Western Cape province of South Africa and is widely consumed as a beverage due to its numerous health benefits. It has antioxidant properties and is rich in several bioactive polyphenolic compounds including aspalathin and the recently reported linearthin. In view of the role of oxidative stress in neurodegenerative diseases, this study was done to investigate the potential neuroprotective effects of the total extract *A. linearis* (RB), and its bioactive ingredient, aspalathin (ASP) and linearthin (LIN) in an *in vitro* model of Parkinson's disease, using SH-SY5Y neuroblastoma cells and the 1-methyl-4-phenylpyridinium (MPP⁺) neurotoxin. The effect of increasing concentrations (12.5, 25, and 50 µg/mL) of RB as well as 2.5, 5, and 10 µg/mL of ASP and LIN respectively, were investigated for their effects on cell viability as well as the mechanisms of neuroprotection, including reactive oxygen species production, ATP levels, and caspase 3/7 activities in the cells. Results show that the deleterious effects of MPP⁺ observed in the control cells were attenuated by pre-treatment of both RB and the bioactive compounds ASP and LIN as evidenced by increased cell viability, reduced ROS accumulation, increased ATP levels, as well as the inhibition of apoptosis. Findings from this study suggest that rooibos and its bioactive compounds are potential nutraceuticals that could enhance brain function and delay the onset of neurodegeneration.





S-11

Synergistic effect between amoxicillin and biosynthesized zinc oxide nanoparticles based on *Quercus infectoria* galls against *Helicobacter pylori*

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Abstract:

Background: *Helicobacter pylori* (*H. pylori*) is a spiral gram negative bacteria that colonizes the human gastric mucosa and infected more than 50 % of all world populations. *H. pylori* resistant to the conventional antibiotic therapy, reported from all over the world. Nanoparticles have long been known for their antimicrobial properties. U.S. Food and Drug Administration has approved zinc oxide nanoparticle as safe materials.

Methods: Green synthesis of zinc oxide nanoparticles (Qi-ZnONPs) were performed by reduction of zinc sulphate by *Quercus infectoria* galls extract. The galls extract was characterized by LC-MS/MS while zinc oxide nanoparticles were characterized by UV, IR, DLS and TEM measurements. The synergistic effects of the Both *Q. infectoria* extract, its biosynthesized zinc oxide nanoparticles and their combinations with amoxicillin were evaluated against *H. pylori* ATCC- 43526 using the checkerboard assay.

Results: LC-MS/MS analysis led to identification of 20 compounds. Most of them are gallic acid conjugates. 2-O-galloyl hydroxymalonic acid and galloyl glyceride were tentatively identified for the first time. Uncalcinated zinc oxide nanoparticles showed UV λ_{max} at 278 and 358 nm and amorphous shapes of particle size with average 6 nm. (DLS and TEM measurements). Both extract and Qi-ZnONPs were showed moderate anti *H. pylori* activity. Amoxicillin and Qi-ZnONPs nanoparticles combinations are significantly decreased the MIC₉₀ by two fold from 18.75 to 9.38 $\mu\text{g/ml}$ and by four folds from 18.75 to 4.69 $\mu\text{g/mL}$ for amoxicillin : Qi-ZnONPs (1:2 , 1:4) respectively, and the FIC values become more significantly than *Q. infectoria* extract by decreasing of the FIC values eight folds from 2.25 (Indifference) to 0.282 (synergy) for amoxicillin: extract (1:1) and amoxicillin:Qi-ZnONPs (1:4); respectively.

Conclusions: *Q. infectoria* ethanolic extract is rich of phenolic metabolites which are capable of production of Qi-ZnONPs by reduction of zinc sulphate. Qi-ZnONPs have a significant dose dependent anti *H. pylori* activity. The synergism between Qi-ZnONPs and amoxicillin is a possible candidate to provide an alternative safe agent of low cost to combat *H. Pylori* infection.

Keywords: zinc oxide nanoparticles; green synthesis; *Quercus infectoria*, LC-MS/MS; *Helicobacter pylori*, checkerboard assay.





S-12

PKC modulation with royleanone derivatives to target breast cancer

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Abstract:

Protein kinase C (PKC) is a family of proteins associated with tumor progression, thus are attractive targets for cancer therapy. PKC α and δ deserve special attention in breast cancer research.¹ *Plectranthus* spp. (Lamiaceae) are a well-known source of bioactive compounds, such as the diterpenoid 7 α -acetoxy-6 β -hydroxyroyleanone (Roy). Previous studies reported that Roy can be obtained in high amounts from *P. grandidentatus* and that this royleanone is cytotoxic against several cancer cell lines.²

The aim of this study was to obtain Roy and use it as lead to prepare new derivatives with improved cytotoxic activities, focusing on PKC modulation for breast cancer. Hence, the reactional conditions to prepare ester derivatives were investigated. Furthermore, molecular docking was used to predict the interaction of new theoretical 12-OH Roy ester derivatives with PKC α and δ .

Molecular docking screening presented some promising derivatives, to be further prepared from Roy. Roy was obtained from the acetonic extract of *P. grandidentatus* (extraction yield of 2.3 %, w/w). Reactivity study of Roy pointed to the 12-OH position as the most reactive for esterification reactions. Roy-12-ester derivatives were obtained using mild conditions, with overall good yields (33 - 86 %). For both positions derivatization, excess of reagents, high temperature (50 °C), and higher reaction time are recommended. New ester hit derivatives are currently in preparation based on the docking predictions to be further evaluated as PKC modulators.





S-13

Cheminformatics identification of secondary metabolites from *Crescentia cujete* as promising antibacterial therapeutics targeting type 2A topoisomerases

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Abstract:

The potential of fluoroquinolones as remarkable antibacterial agents evolved from their ability to generate 'poison' complexes between type IIA topoisomerases [topo2As (DNA gyrases and topoisomerases IV)] and DNA. However, the overuse of fluoroquinolones coupled with chromosomal mutations in topo2As has increased incidence of resistance and consequently undermined the application of the currently available fluoroquinolones in clinical practice. In this study, the molecular mechanism of interaction between the secondary metabolites of *Crescentia cujete* (an underutilized plant with proven anti-bacterial activity) and topo2As was investigated using computational methods. Through molecular docking, the top five compounds with the best affinity for each topo2A were identified and subjected to molecular dynamics simulation over a period of 100 ns. The results revealed that the identified compounds had higher binding energy values than the reference standards against the topo2As except for topoisomerase IV ParC, and this was consistent with the results of the structural stability and compactness of the resulting complexes. Specifically, cistanoside D (-49.18 kcal/mol), chlorogenic acid (-55.55 kcal/mol), xylocaine (-33.08 kcal/mol), and naringenin (-35.48 kcal/mol) had the best affinity for DNA gyrase A, gyrase B, topoisomerase IV ParC, and topoisomerase IV ParE, respectively. Of the constituents of *C. cujete* evaluated, only apigenin and luteolin had affinity for all the four targets. These observations are indicative of the identified compounds as potential inhibitors of topo2As as evidenced from the molecular interactions including hydrogen bonds established with the active site amino acids of the respective targets. This is the first *in silico* report on the antibacterial effect of *C. cujete* and the findings would guide structural modification of the identified compounds as novel inhibitors of topo2As for further *in vitro* and *in vivo* assessments.



S-14



Using Extract Enrichment to Source Potential Antimalarial Lead Compounds from *Sarcocaulon Marlothii* Engl.

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Abstract:

Malaria and tuberculosis (TB) continue to pose major health problems globally and exacerbated by the development of resistance against clinically used drugs. A modified method developed by Camp and coworkers, which involves the use of log P as a filter to yield lead-like enhanced extracts, was used in this study. According to reports, this method can expedite the discovery of new lead compounds for TB and malaria. *Sarcocaulon marlothii* (Geraniaceae) is endemic to Namibia and the stems are used ethnomedicinally by the Daure Daman community for the treatment of TB and related symptoms. The aim of the study was therefore to correlate the ethnomedicinal use of the stems of *S. marlothii* and to identify potential lead compounds. The crude organic extract displayed weak antimycobacterial activity ($MIC_{90} > 100 \mu\text{g/mL}$), against *Mycobacterium tuberculosis* H37Rv-GFP, but showed moderate antiplasmodial activity (IC_{50} value of $8.8 \mu\text{g/mL}$), against the chloroquine-sensitive *Plasmodium falciparum* NF54 strain. Solid phase extraction of the crude organic extract yielded the lead like enhanced (LLE) extract and MeOH fraction, which both displayed antiplasmodial activity. The $^1\text{H-NMR}$ profile of the active MeOH fraction (IC_{50} $4.3 \mu\text{g/mL}$), showed the presence of an unidentified trisubstituted cinnamic acid derivative as the major aromatic compound, which was supported by UPLC-MS/MS data. The study revealed that the ethnomedicinal use of *S. marlothii* do not correlate with activities recorded. It is currently undergoing phytochemical analysis for the unequivocal characterization of a potential antiplasmodial lead compound.

Keywords: Namibia, *Sarcocaulon marlothii*, antiplasmodial, malaria, lead compounds, LLE



S-15



Potential inhibitor of HIV-1 replication and antiplasmodial effects induced by new biflavonoids from *Ochna rhizomatosa*

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Abstract:

Malaria and HIV are among the two most important health problems of our time in Sub-Saharan Africa. In regions where both diseases are endemic like Cameroon, HIV infection may increase the burden of malaria by increasing the susceptibility to infection [1]. Due to these constraints, some communities use medicinal plants which are claimed to have therapeutic properties against HIV-virus including the management of HIV/AIDS related opportunistic infections. Biflavonoids naturally consist of two identical or nonidentical flavonoid moieties [2]. Their broad biological activity, such as antiplasmodial effects, has sparked the interest of many researchers [3]. To the best of our knowledge, the chemical study of *O. rhizomatoza* and the evaluation of the inhibitory effects on HIV-1 integrase replication enzyme of biflavonoids have not previously been investigated. In this study, the chemical constituents of the rootbark of *O. rhizomatoza* were investigated to find a potential inhibitor of HIV1-integrase and antiplasmodial lead compounds. As a result, three new uncommon C-C type biflavonoids formed through rare types of Ca₁-Ca₂ and C₁'-C_{b2} bonds (**1-3**) which were described for the first time in *O. rhizomatoza* along with four known compounds (**4-7**). Structures of the isolated compounds were established mainly using 1D and 2D NMR data. The absolute configuration at (Ca₂) of the new biflavonoids was established by the CD spectroscopic data for the first time. Compound **1** exhibited noteworthy inhibition of HIV-1 replication (IC₅₀ = 0.047 μM), whereas compound **2** displayed the highest antiplasmodial activity (IC₅₀ = 4.60 μM). Simultaneously, a structure-activity relationship was established.

Keywords: *Ochna rhizomatoza*, Biflavonoids, HIV-1 replication, *Plasmodium falciparum* NF54, structure-activity relationships.

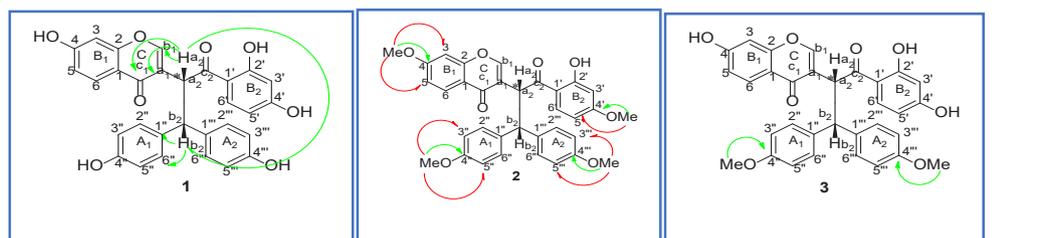


Figure 1: Key HMBC and ROESY correlations of (**1-3**) → HMBC → ROESY





S-16

Antimalarial properties of *Diospyros chamaethamnus* and *Guibourtia coleosperma* crude extracts in *Plasmodium berghei* infected mice

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Abstract:

Malaria is an infectious disease caused by Plasmodia parasites, which claims millions of lives in Africa each year. Plant-based traditional medicines are used in many parts of Africa to manage either the disease or the symptoms of the disease. This study aimed to provide a scientific basis for the use of two such plants namely *Diospyros chamaethamnus* and *Guibourtia coleosperma*. The plants were investigated for their suppressive and prophylactic activities using a small animal model for malaria. *Plasmodium berghei*-infected Swiss albino mice weighing 20 ± 4 g were treated with *D. chamaethamnus* and *G. coleosperma* extracts pre- and post-infection. This was done to determine the growth inhibition of *Plasmodium berghei* and the survival rates in the mice. The plant extracts were also evaluated for their toxicity in healthy mice using dose escalation with a starting dose of 300 mgkg^{-1} . The results show that the plant extracts had suppressive antiplasmodial activity of which the organic extracts had the highest activity. At a dose of 800 mgkg^{-1} a 44.66 and 29.59 % growth inhibition for *D. chamaethamnus* and *G. coleosperma*, respectively was observed. This dose prolonged the survival of the mice post infection by 50 and 58 %, respectively compared to control untreated mice. The plant extracts also exhibited prophylactic activities with the aqueous extracts (800 mgkg^{-1}) of *D. chamaethamnus* and *G. coleosperma* reducing the parasite load by 56.13 and 55.48 %. In addition, no mortalities or evidence of adverse effects were observed in the mice, an indication that the extracts were nontoxic. The plants have potential as alternative or complementary treatment for malaria, however, more clinical research has to be done to confirm this.





S-17

Antimycobacterial, Cytotoxic, and Antioxidant Activities of Abietane Diterpenoids Isolated from *Plectranthus madagascariensis*

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Abstract:

Medicinal plants of the *Plectranthus* genus (Lamiaceae) are well known for their ethnomedicinal applications. *Plectranthus madagascariensis*, which is native to South Africa, is traditionally used in the treatment of respiratory conditions, scabies, and cutaneous wounds. The phytochemical studies of *P. madagascariensis* led to the isolation of five known royleanone abietanes, namely, 6 β ,7 α adihydroxyroyleanone (**1**), 7 α -acetoxy-6 β -hydroxyroyleanone (**2**), horminone (**3**), coleon U quinone (**4**), and carnosolon (**5**). The relative configuration of compound **2** was established by X-ray analysis. Compounds **1–4** showed antimycobacterial activity (MIC₉₀ = 5.61–179.60 μ M) against *Mycobacterium tuberculosis* H₃₇Rv. Compounds **4** and **5** showed comparable toxicity (IC₅₀ 98.49 μ M and 79.77 μ M) to tamoxifen (IC₅₀ 59.30 μ g/mL) against HaCaT cells. Compounds **1–5** showed antioxidant activity through single-electron transfer (SET) and/or hydrogen-atom transfer (HAT) with compound **5** being the most active antioxidant agent. Compounds **3** and **5** were isolated for the first time from *P. madagascariensis*. The observed results suggest *P. madagascariensis* as an important ethnomedicinal plant and as a promising source of diterpenoids with potential use in the treatment of tuberculosis and psoriasis.

Keywords: *Plectranthus madagascariensis*; abietane diterpenoids; tuberculosis; antioxidant; cytotoxicity

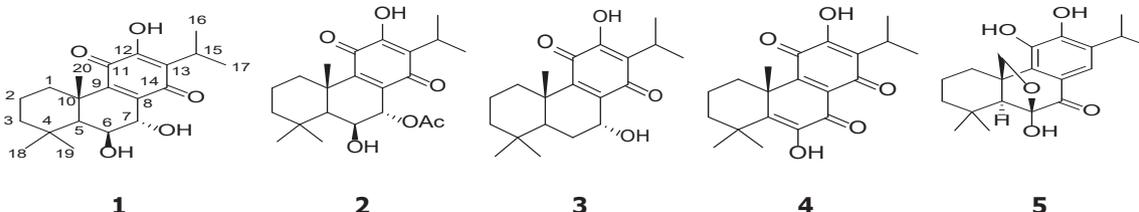


Figure 1: Chemical structure of compounds 1-5



S-18

Research of bioactive molecules with antimicrobial properties from *Leucas martinicensis* (Lamiaceae) from South-Kivu (DR Congo).

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Abstract:

The research of biologically active compounds from medicinal plants of Democratic Republic of Congo (DRC) led us within this study to the chemical study and evaluation of the antimicrobial properties of *Leucas martinicensis* (Lamiaceae). In Congolese traditional medicine, this plant is commonly used to treat infected wounds, tuberculosis, whitlows and furuncles [1].

Successive silica gel and Sephadex column chromatography of the *n*-butanol and ethyl acetate fractions of the aerial part of *Leucas martinicensis* led to the isolation of four compounds. The structures of the isolated compounds have been determined based on their spectroscopic data (NMR 1D and 2D) as well as by comparing their data with those from the literature [2]. The isolated compounds are: kaempferol, quercetin-3-*O*- β -D-galactopyranoside; β -sitosterol 3-*O*- β -D-glucopyranoside and the mixture of β -sitosterol and stigmasterol.

For the antimicrobial activity test, the ethanol extract, the *n*-hexane, ethyl acetate, and *n*-butanol fractions were evaluated on 10 microbial strains: *Enterococcus faecalis* ATCC25922, *Escherichia coli* ATCC25322, *Klebsiella Pneumoniae* clinical isolate, *Proteus mirabilis*, *Pseudomonas aeruginosa* HM801, *Pseudomonas aeruginosa* QC76110, *Salmonella typhi* clinical isolate, *Staphylococcus aureus* ATCC29213, *Staphylococcus aureus* ATCC43300 and *Streptococcus pneumoniae* ATCC461916. Ciprofloxacin and gentamycin were used as reference antibiotics. *Proteus mirabilis*, *Pseudomonas aeruginosa* QC76110, *Salmonella typhi* and *Staphylococcus aureus* ATCC29213 developed resistance to the ethanol extract and the different fractions used. The same extract and fractions were more active on *Escherichia coli* ATCC25322, *Staphylococcus aureus* ATCC43300, *Streptococcus pneumoniae* TCC461916 and *Klebsiella Pneumoniae* with MICs ranging from 31.25 μ g/mL (*n*-butanol fraction) for *S. aureus* ATCC43300 to 1000 μ g/mL for *Escherichia coli* ATCC25322 5 (*n*-butanol fraction).





S-19

Quantitative structure property relationship study of plant-based *Neisseria gonorrhoeae* carbonic anhydrase inhibitor, xerantholide

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Abstract:

Neisseria gonorrhoeae, a causative agent of the sexually transmitted infection gonorrhoea encodes an α -class of carbonic anhydrase (CA), *Neisseria gonorrhoeae* carbonic anhydrase (NgCA) [1]. This enzyme plays an essential role in the bacteria's physiological process to support growth and possibly its virulence. Since these bacteria pose a challenge of drug resistance, the search for effective, cheaper, and readily available drugs to manage or treat gonorrhoea continues. Using plants containing compounds with CAs inhibitors as alternative medicine could be the answer to the problem of *N. gonorrhoeae* drug resistance. A sesquiterpene lactone (SL), xerantholide, isolated from a plant species, *Pechuel-loeschea leubnitziae*, was recently confirmed to have anti-gonococcal activity in a study that validates the use of this plant for treating gonorrhoea [2]. However, toxicity has also been reported for this compound [3]. As a first step towards finding active xerantholide analogues with low toxicity, this study therefore aimed to modify the chemical structure of the lead compound, compute the interaction (binding) energies between the analogues and a model of NgCA active site, and analyse the relationship between the computed binding affinities and the physicochemical properties of the analogues. The B3LYP/6-311G++(d,p) variant of density functional theory (DFT) was used to optimize the molecular geometry of 83 analogues. A multi-varied model with six descriptors was developed by multiple linear regression analysis. The model proved to have good predictive ability with R^2 of 0.623 and low residuals activity that resulted in calculating dissociation constant, K_d that correlated well with the values observed experimentally. The model that was developed showed that K_d was highly influenced by properties such as the minimum electrostatic potential (MinElPot), hydrogen bond donor (HBD), highest occupied molecular orbital (HOMO), lowest unoccupied molecular orbital (LUMO), logS and the polar area (P-Area), with MinElPot being the most correlated descriptor. The results in this study can be a basis for selecting xerantholide analogues to be optimized as new anti-gonococcal agents, thereby reducing the time and cost of experimental work.





S-20

Phytochemical analysis and *in vitro* antioxidant potential of aqueous and ethanol extracts of *Irvingia gabonensis* stem bark

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Abstract:

Irvingia gabonensis extracts are documented to possess antidiabetic, hypocholesterolaemic, anti-inflammatory, and antioxidant properties. This study was designed to quantitatively assess the phytochemicals and the *in vitro* antioxidant activities of *I. gabonensis* stem bark extracts. Phenols, flavonoids, tannins, saponins, steroids, and alkaloids were quantitatively determined in the extracts using standard methods. The total antioxidant power (TAP), and the free radical scavenging activities of the extracts against ABTS, DPPH, nitric oxide, superoxide, β -carotene, and hydrogen peroxide radicals were also assessed. Finally, the ferric reducing potential (FRAP) of the extracts were determined. The ethanol extract had significantly ($P < 0.05$) higher concentrations of all the phytochemicals measured as well as higher TAP compared to the aqueous extracts. The IC_{50} values for DPPH \cdot , nitric oxide, H_2O_2 , and superoxide radical scavenging activities of the ethanol extract were similar to the reference standards. These findings clearly show the potential of *I. gabonensis* stem bark as excellent reservoir of bioactive compounds and scavengers of deleterious oxidants; properties which could be explored therapeutically.





S-21

Medicinal plants as a source of bacterial biofilm inhibitors

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Abstract:

Infectious diseases are typically treated with antibiotics that kill or inhibit bacterial growth. Nowadays, the antimicrobial resistance has become a serious public health concern. The discovery of Quorum sensing, a regulation system in bacteria, using pheromones molecules called auto-inducers which are secreted by bacteria to regulate some functions including virulence factor production and biofilms formation afforded new therapeutic agents. This therapeutic target is well-studied worldwide, nevertheless the scientific data are not updated, and only recent research begun to explore its potential as a new target to fight against infectious diseases, especially biofilm-based infections. As a way for bacteria to evade antibiotics, biofilm state is a major problem worldwide. Biofilm-forming bacteria have been found to colonize medical devices and are considered as a first source of nosocomial infections. There was accumulating evidence that medicinal plants may have antimicrobial and chemo-preventive properties in modulating biofilm formation in the last decades. In this context, recent studies on the discovery of natural anti-biofilm agents from plants with known or clearly identified mechanisms, as well as some extracts with unknown mechanisms or unidentified bioactive ingredients are presented. A focus on the progression of techniques on the extraction and identification of natural anti-biofilm substances is also highlighted. Besides, anti-biofilm therapeutics undergoing clinical trials are discussed. These newly discovered natural anti-biofilm agents from plants are promising candidates that could provide novel approaches or strategies for biofilm-associated infections.





S-22

Real-time assessment of *Candida* biofilm disruption by *Galenia Africana*

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Abstract:

Candida species often cause opportunistic infections in immunocompromised patients and are able to form highly structured biofilms that protect the yeast cells from the external environment and the action of antimicrobials. The use of fluconazole, a routinely dispensed antifungal in the treatment of localised and systemic *Candida* infections, often leads to treatment failure due to drug resistance. This increases patient morbidity and mortality and justifies the need for effective and accessible treatment alternatives. *Galenia africana* is an indigenous South African plant with proven antifungal properties and no toxicity to mammalian cells. In this study the activity of a *G. africana* aqueous extract against *C. albicans* and *C. glabrata* biofilms before and after biofilm formation was tested using the xCELLigence impedance-based real-time biofilm monitoring system. The presence of *G. africana* resulted in a dose-dependent decrease in biofilm formation in both *Candida* species and was found to be effective in preventing *Candida* biofilm formation and disrupting existing *Candida* biofilms. This is the first reported study to use an impedance-based system to monitor the realtime biofilm formation of *Candida* species in the presence of a medicinal plant extract.



S-23



Two new phenolic glycosides from the leaves of *Garcinia epunctata* Stapf

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Abstract:

The leaves of *Garcinia epunctata* Stapf have furnished two new phenolic glucosides, epunctoside A (**1**) and epunctoside B (**2**), along with 13 known secondary metabolites identified as lanceoloside A, betulinic acid, lupeol, stigmasterol, β -sitosterol, β -sitosterol-3-O- β -dglucopyranoside, stigmasterol-3-O- β -d-glucopyranoside, luteolin-7-O-glucoside, quercetin-7-O-glucoside, amentoflavone, robustaflavone, 4'-O-methyl-amentoflavone and 4'-O-methyl-robustaflavone. All structures were established from chemical and spectroscopic evidence including 1D and 2D NMR data as well as by comparing the obtained spectroscopic data with literature. This is the first report of the presence of phenolic glucosides in the genus *Garcinia*. In addition, Epunctoside A and B were tested for their antibacterial activities against five bacterial strains.

Keywords: Chemotaxonomy; Clusiaceae; *Garcinia epunctata* (syns: *G. cereoflava* Engl. *G. nyangensis* Pellegr.; *G. kuluensis* Spirlet); phenolic glycosides.





S-24

Phytochemical study and evaluation of Antibacterial activity of *Psorospermum aurantiacum* Engl. (Hypericaceae)

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Abstract:

Even though traditional medicine is very successful in the fight against several diseases, accidents have occurred in some case. This is due to side effects of healing potions, the composition of which are badly know scientifically. In that case, a knowledge of chemical composition, biological properties of medicinal plants extracts and constituents will help introduce scientific bases and advice in the practice of traditional medicine. With this aim in view, we have undertaken phytochemical and biological studies of medicinal plant: stems of *Psorospermum aurantiacum*. It's go in potions destined to cure gastrointestinal, urinary, dermatological infections, venereal diseases, sterility and epilepsy [1]. After collection the stems, she was dried powdered and extracted by the maceration at room temperature with methanol. The crude extract obtained was subjected for their antibacterial activities against wide range of microorganisms using microdilution method.

From the ethyl acetate fraction, we have some isolated compounds using chromatographic methods to afford six pure compounds including one new named xanthonolignoid (cadensin H) and five known among which, two xanthenes (1,5,6-trihydroxy-7-methoxyxanthone and 1,7-dihydroxyxanthone) and three lupane-type triterpenes skeleton (betulin, lupeol and betulinic acid). The methanolic extract and ethyl acetate fraction showed a moderate antibacterial activity against *Shigella flexneri*, *Staphylococcus aureus*, *Salmonella typhi*, *Escherichia coli* and *Klebsiella pneumonia* with an MIC value ranging of 250 to 1000 µg/mL. Among all tested compounds, only one, 1,5,6-trihydroxy-7-methoxyxanthone displayed a significant antibacterial activity against some bacteria strains tested above with MIC value ranging of 12.5 to 50 µg/mL.

Methanolic extract, ethyl acetate fraction and pure compounds from *Psorospermum aurantiacum* exhibited a broad-spectrum of antibacterial activity. These findings could be exploited to justify the use of this plant in the treatment of infectious diseases in traditional medicine.

Keywords: *Psorospermum aurantiacum*; stems; xanthonolignoid; antibacterial activity.





S-25

Phytochemical study of acetone extract of *Garcinia mannii* Oliv. (CLUSIACEAE)

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Abstract:

Garcinia mannii Oliv. (Clusiaceae) is a tropical rain forest tree habitat in the southern part of Cameroon where indigenes use the leaves, stem bark and roots in folk medicine. The leaves are used by Baka Pygmies to remedy gastrointestinal infections [1].

In our search of the phytochemical studies of local plants used in folk medicine, we have investigated the acetone extract of *Garcinia mannii* Oliv. Stem bark was chromatographed over a silica gel and sephadex LH20 column to afford genistein and isoformononetin the characterization of these compounds were carried by the means of HRESI and NMR spectroscopy and compared with previously reported data.

Keywords: Clusiaceae, *Garcinia mannii* Oliv, Isoformononetin and genistein.

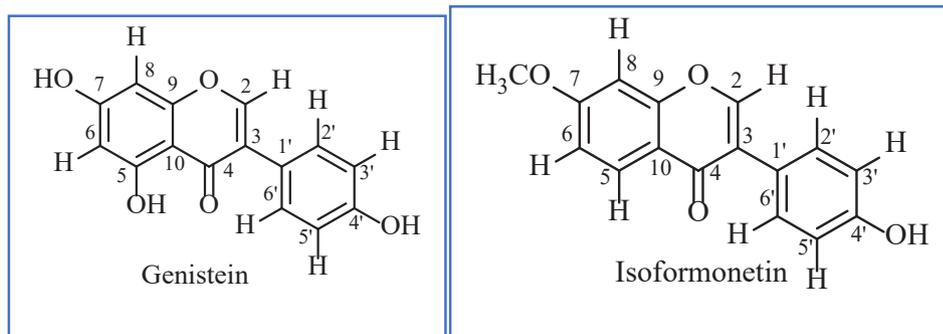


Figure 1. Chemical structure of isolated compounds





S-26

Investigation of the anti-sickling and anti-hemolytic properties of the aqueous extract of *Spirulina platensis* (Oscillatoriaceae)

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Abstract:

Background: Sickle-cell anaemia is a widespread genetic disease in Africa, which is associated with chronic haemolytic anaemia and vaso-occlusive and infectious complications. This research study aim to determine the antifalcemic and antihemolytic activity of aqueous extracts of *Spirulina platensis* from Cameroon.

Material and methods: *Spirulina platensis* harvested in Nomayos-Yaoundé was dried, crushed and macerated for 24 hours in distilled water and the filtrate was freeze-dried. Determination of the inhibition rates of falciformation induced by sodium metabisulfite (MBS) 2% and the reversibility rate was carried out at concentrations of 100, 200, 400, 800 and 1600µg/mL of spirulina extract and at different times (2h, 4h and 24h). The antihemolytic activity of the extract was studied at 800µg/mL and 1600µg/mL concentration using several inducers of hemolysis (aspirin, hypotonic solution, triton X-100 and hydrogen peroxide).

Results: The extraction yield was 14.015%. The maximum duration of induced falciformation was 2h30 and the percentage of falciformation increased from 27.99±3.15% (at the initial time) to 91.44±3.70%, giving a falciformation induction rate of 69.3%. The falciformation inhibition rate after 2h30 ranges from 15.10±0.60% to 66.09±4.69% for the concentration of 100µg/mL to 1600µg/mL of spirulina extract. This rate of inhibition of falciformation was found to be dose-dependent. The best concentration of the extract is 1600µg/mL. The results for the reversibility rate of falciformation at concentrations of 800µg/mL and 1600µg/mL varied from 37.54±6.35% to 82.34±5.63% as a function of time. The 1600µg/mL concentration of spirulina extract was the most active after 24h. According to each inducer, we obtained respectively for the concentrations 800µg/mL and 1600µg/mL of spirulina extract the following rates of inhibition of hemolysis: 53.03±9.46% and 96.67±5.77% (the aspirin); 80±8.66% and 71.25% (the hypotonic solution); 36.56±9.53% and 45.67±22.55% (the triton X-100); 24.26±9.55% and 36.76±1.27% (the hydrogen peroxide).

Conclusion: At the end of this study, the best activities was obtained at the concentrations 800µg / mL and 1600µg/mL. It also has antihemolytic properties on various hemolysis inducers at concentrations 800µg / mL and 1600µg / mL with inhibition rates varying from 36% to 96%.

Key words: Sickle cell disease, *Spirulina platensis*, antifalcemic activity, antihemolytic activity





S-27

Ethnobotanical survey of plants used as traditional herbs and spices from Kabbe constituencies in Zambezi region, Namibia

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Abstract:

Research on indigenous knowledge as an alternative potential to unlock the power of health benefits has gained much interest in recent years [1]. However, there is a lack of documented information about the usage of indigenous plants especially, traditional herbs and spices and their contributions toward health benefits [2]. The aim of this study was to conduct an ethnobotanical survey of indigenous knowledge of plants used as culinary herbs and spices in Kabbe constituencies of the Zambezi region, Namibia. Using semi-structured interviews and opened-end questionnaires, ethnobotanical data was collected from selected informants in the Kabbe North and Kabbe South constituencies between December 2018 and April 2019 without following a specific order. Plant parts and photographs of each species mentioned by at least three independent participants were collected and taken for further identification. Twenty-three (23) plant species belonging to 16 plant families were collected. Furthermore, the largest proportion of plants used as traditional herbs and spices documented belong to the family Malvaceae. Leaves were the most commonly used part in food preparations mainly as leafy vegetable, seasoning, preservation, flavouring, and traditional medicines followed by roots. Our results serve as a baseline data, which document and preserve the indigenous knowledge of plants used as culinary herbs and spices in Kabbe constituencies, Zambezi region, Namibia. Further studies are needed to extract chemical compounds and determine their biological activities and toxicity to support the safe usage of these plants and their potential uses as food additives and natural preservatives.



S-28



Isolation and Characterization of a New Glycoside and an Iridoid Glycoside (7-Epiloganin) From *Rauwolfia Vomitoria* (Afzel.)

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Abstract:

Rauwolfia is a genus in the plant family Apocynaceae. *Rauwolfia vomitoria* is an important species endemic in Africa. A new glycoside (**1**) and an iridoid glycoside (**2**) were isolated from the stem bark of *R. vomitoria*. Isolation of the glycosides was achieved through chromatographic techniques while structures of the isolated compounds were elucidated using Fourier Transform Infrared (FT-IR), 1D- (¹H, ¹³C and DEPT) and 2D - (COSY, NOESY, HSQC and HMBC) Nuclear Magnetic Resonance (NMR) spectroscopic analyses. Search for the existence of similar compounds revealed that **1** is a new glycoside and **2** is 7-epiloganin already obtained from *R. serpentina* as the first natural source in 2005. Therapeutically, glycosides are purely bitter principles found in plants. Cardiac, anthracene, chalcone and xanthone glycosides have been reported for the treatment of congestive heart failure, as purgatives, anticancers, and neuroprotective agents respectively. Iridoid glycosides are scarcely studied as an entity, plants rich in iridoid glycosides are feeding stimulants to some butterflies larvae. The proposed structures of the two glycosides reported in this work may guide in subjecting plants rich in iridoids to appropriate bioassays and proposing a novel area of applications.

Keywords: *R. vomitoria*, isolation, chromatographic techniques, spectroscopic data, new glycoside, 7-epiloganin

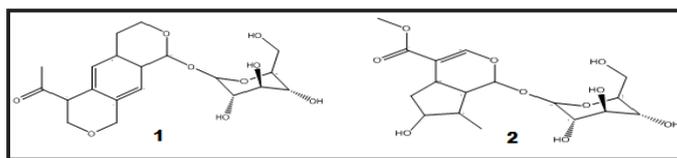


Figure 1: Proposed chemical structures of **1** and **2** (7-epiloganin)

Acknowledgements

We sincerely acknowledged the financial role played by the Tertiary Education Trusts Fund (TETFund), Nigeria, as the sponsor of this research work, and the Ibrahim Badamasi Babangida University, Lapai, Nigeria, as the facilitator. We are grateful to the Cape Peninsula University of Technology and University of the Western Cape, South Africa for providing bench space for the Isolation and spectroscopic analyses, respectively.



S-29

A review on phytochemicals and pharmacological activities of *Hyptis suaveleons*

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Abstract:

The prevalent non-contagious but deadly human diseases that are around have been reported to have their routes from human exposure to synthetic chemicals, in order to search for greener alternative chemicals of biological importance; *Hyptis suaveleons* from Lamiaceae family was considered. The plant grows widely in tropical regions of the world mainly in Africa. The ability of this plant to survive in these environments is attributed to various chemical constituents that are found in its parts. It was reviewed that its essential oils contained monoterpeneoid and sesquiterpenoid components; sabinene, β -caryophyllene, 1,8-cineole and limonene. Non-volatile secondary metabolites such as flavonoids, alkaloids, tannins and saponins were also reported to be present in its crude extracts. These compounds may account for antioxidant, antifungal, antibacterial, antiviral, antidiabetic, anticancer and pesticidal activities in the traditional medicine. The aforementioned biological activities of the plant parts call for deep laboratory analysis of the plant extracts to isolate bioactive compounds, determine their cytotoxicities and environmental impacts.





P-1

Antioxidant Essential Oils impregnated in Novel Gelatin- based film Masks

Ms. Márcia Santos Filipe

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Abstract:

Aromatic plants had been used since ancient times for their preservative and medicinal properties. Essential oils (E.O.) are complex mixtures of products obtained from aromatic plants that consisting of various components with hydrophobic characteristics and high volatility that make them special^[1]. *Lavandula angustifolia* (Lavender) belongs to *Lamiaceae* family. *Spirostachys africana* Sond. (African sandal wood), belongs to the family *Euphorbiaceae*^[2]. *Chrysopogon zizanioides* (Vetiver) belongs to the family *Poaceae*^[3]. *Corymbia citriodora* (Hook.) (Eucalytus citriodora) belongs to *Myrtaceae* family has been used as an antibacterial, antifungal, anticandidal, antioxidant, analgesic, and anti-inflammatory activities^[4].

In this study, the antioxidant activity (A.A) of the E.O. was evaluated by the DPPH method. The results showed high A.A., after 24 hours, for all the E.O. studied (A.A. of 80 % - 83 %). In addition, we prepared gelatin-based films bearing the E.O., that can be used as masks. An optimization of the composition of gelatin-based films with water and ethanol was performed. The results showed that when the amount of water and ethanol is decreased, the texture and flexibility changed consequently. On the other hand, when the concentration of E.O. is higher two phases are formed, decreasing the flexibility of the film. An optimal flexibility and texture of the films was achieved, and further studies are ongoing for the application of these results on antioxidant E.O. impregnated masks.





P-2

Evaluation of Acute Toxicity Profile of Ethanolic Extract of *Cassipourea Flanaganii* Stem Bark in Wistar Rats

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Abstract:

Introduction: Melasma is one of the most common hyperpigmentation skin disorders seen more frequently in pigmented skin individuals. Due to its complex pathogenesis, it is difficult to treat and it remains a huge medical burden. The disorder has a severe impact on quality of life due to its disfigurement. In rural arrears, black African women use, among others, indigenous plants, for example, *Cassipourea flanaganii* in an attempt to treat hyperpigmentation disorders. However, *C. flanaganii* is rapidly becoming extinct as it is highly sought after by the communities. Although the bark is widely used as a pigment reducer and complexion enhancer, little is known about the systematic toxicity.

Aim of the study: This study was carried out to evaluate acute toxicity of the hydro-ethanolic extract obtained from crude bark of *C. flanaganii* in Wistar rats.

Material and methods: Female rats were randomly put into 4 groups with 3 rats each. The rats were divided into three treatment groups (50, 300 and 2000 mg/kg body weight) and a control group. A 500µL once-off pre-dose blood sample was collected from the tail vein using a 25G needle and stored at 4 °C before centrifuging. The rats were fasted for 4 hours prior to once off dosing and weighed before test compound administered (oral gavage) with each rat receiving at most 10ml/kg volume of the plant extract. After the plant extract administration, food was withheld for 30 minutes. At the end of the study, the rats were euthanized with an anaesthetic overdose of isoflurane and organs (kidney, liver, lungs, heart) as well as blood samples collected for analysis.

Results: No clinical or behavioural signs of toxicity were observed in the rats after the single oral gavage with the plant extract both at the highest and lowest doses. Necropsy examination of the carcasses on day 14 revealed no treatment related gross pathological changes.

Conclusions: No clinical or behavioural signs of toxicity were observed in the rats after the single oral gavage with the plant extract both at the highest and lowest doses. Necropsy examination of the carcasses on day 14 revealed no treatment related gross pathological changes. However, other toxicological studies are necessary to evaluate the total safety of this plant.





P-3

Applicability of lipid extracts from *Hermetia illucens* larvae in antiageing cosmetic formulations

Ms. Márcia Santos Filipe

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Abstract:

There is a growing trend for new cosmetic products based on natural ingredients, since they can act to improve the biocompatibility of formulations and can be produced in a sustainable way. The *Hermetia illucens* larvae biomass has promising applications as a source of value-added products to be used in health and cosmetic products due to its high content in mono and polyunsaturated fatty acids (mainly lauric acid)¹.

The present work aimed to evaluate the chemical composition of the extracts obtained from *H. illucens* larvae biomass and to conduct a preliminary screening of enzymatic activities, envisioning a cosmetic application in antiageing products.

Different extraction techniques were compared (decoction, microwaves, ultrasound and maceration) using different solvents. In addition, the characterization and quantification of lipid fractions was performed and the assays for enzymatic inhibition were carried out with collagenase enzyme to assess the extract applicability as a potential anti-aging ingredient.

The results showed that, despite employing different extraction techniques and solvents, similar fatty acids composition profiles were obtained. Higher concentrations of lauric acid were achieved and this fatty acid amounted to 41 % to 62 % of the extract. Significant amounts of palmitic, oleic and linoleic acids were also obtained. Despite having low yields when compared to those obtained using organic solvents (4 % from decoction against 39 % using *n*-hexane), the aqueous extraction provided slightly higher concentrations of lauric acid and PUFA, which have great potential in skincare cosmetics. The different lipid extracts achieved collagenase inhibition activities between 47-68 %.

The collagenase inhibitory activity of the extracts suggests the viability of their applicability in antiageing products. Results have also shown that with different extraction techniques it is possible to extract the same profile of fatty acids from the larvae biomass, with slight concentrations variations according to the technique and solvent used. This blend of fatty acids (FA) can be further explored for its potential applicability as antiageing cosmetic ingredients, since several FA are already known for their positive effects in the skin hydration and barrier. It should also be highlighted that this preliminary work indicates that this ingredient can be obtained by a relatively economical, simple and sustainable technique. Other studies are ongoing to ascertain the safety and efficacy of the larvae lipid extracts.



Neuroprotection effect of *Glycyrrhiza glabra* total extract and isolated compounds

Ali OE Eltahir

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Abstract:

There is a growing trend for new cosmetic products based on natural ingredients, since they can act to improve the biocompatibility of formulations and can be produced in a sustainable way. The *Hermetia illucens* larvae biomass has promising applications as a source of value-added products to be used in health and cosmetic products due to its high content in mono and polyunsaturated fatty acids (mainly lauric acid)¹.

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P-5

Royleanone ester derivatives from *Plectranthus* spp. demonstrate P-glycoprotein inhibition

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Abstract:

The global number of multidrug resistant cancer (MDR) cases are continuing to rise, such that the search for novel anti-cancer therapeutics is essential. However, in MDR cancers, the overexpression of membrane transport proteins, like P-glycoprotein (P-gp), continues to be a major impediment to achieving effective therapy. Renowned for their medicinal and therapeutic properties, species from *Plectranthus* have reported cytotoxicity against various cancer cell lines, ^[1] due to diterpenes, such as the 7 α -acetoxy-6 β -hydroxyroyleanone (Roy). Based on molecular docking simulations ^[2], 10 semi-synthetic derivatives of Roy that displayed strong P-gp interactions *in silico* were prepared. The antitumoral activity of the compounds 1-10 were assessed in resistant human cancer cell lines NCI-H460/R and DLD1-TxR. Cell viability was assessed using MTT assay and cell death induction by Annexin V/PI. The results showed that derivatives **2**, **3** and **4** have the most prominent selectivity (2.7, 2.3 and 2.6 times, respectively) towards cancer cells, compared to normal lung fibroblasts MRC5. Moreover, derivatives **2**, **3** and **4** also showed a reduction in P-gp activity in Rho123 accumulation assay and indicated P-gp inhibition in the DOX accumulation assay in resistant cell lines NCI-H460/R and DLD1-TxR. Overall, it was demonstrated that three abietane diterpenoid derivatives induced P-gp inhibition in MDR cancer cell lines, presenting novel selective compounds for the possible treatment of lung and colon cancer. Further investigations are ongoing to prepare analogues of other biological active diterpenoids to obtain hit P-gp modulators.





P-6

Enzymatic inhibition of methanolic *Plectranthus* spp. Extracts with skin traditional use

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Abstract:

The search for natural products as active ingredients in cosmetics products has gained increased interest among the scientific community in recent years. *Plectranthus* spp. is a well-known genus used in traditional medicine for skin conditions. It belongs to the *Lamiaceae* family and is distributed in tropical areas of the globe^{[1][2]}.

The aim of this work was to scientifically validate the uses of these species in skin disorders and to probe potential applications in cosmetic formulations. Therefore, we assessed and evaluated the biological activity of the eight spp. of *Plectranthus* (*P. ambigerus*, *P. barbatus*, *P. cylindraceus*, *P. ecklonii*, *P. fruticosus*, *P. grandidentatus*, *P. hadiensis*, *P. madagascariensis*) cited as traditional used for skin conditions.

All species were previously collected and dried at room temperature and methanolic ultrasound-assisted extracts were prepared (10 %, w/v). The enzymatic activity was performed using three different enzymes: collagenase and tyrosinase. All the three enzymes were tested *in vitro* for the eight *Plectranthus* extracts.

Collagenase inhibition activity of *P. fruticosus* and *P. cylindraceus* was significant, with inhibition zones of 91.0 % and 95.3 %, respectively. *P. ecklonii* and *P. cylindraceus*, 47,10 % and 45,26 %, shown high tyrosinase inhibition activity comparing with the positive control, kojic acid with inhibition of 53,25 %.

Overall, these skin traditional used *Plectranthus* extracts seem to be promising raw material for use in the development of dermocosmetic formulations, such as those with antiageing activity. More studies are ongoing to probe other relevant biological activities and to further ascertain the safety of the extracts.





P-7

Phytochemical study and cytotoxic activity assessment of *Plectranthus ecklonii* Benth.

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Abstract:

The *Plectranthus* genus belongs to the Lamiaceae family and consists of around 300 species distributed from Africa to Asia and Australia. Several studies have reported that *Plectranthus* species are rich in abietane-type diterpenes, such as royleanones, which are of interest in the treatment of various diseases. One of such species is *Plectranthus ecklonii* Benth., which has been documented to be useful in several types of cancer (1). Therefore, the aim of this work is to present the preliminary results concerning the extraction, fractionation and compound isolation from *P. ecklonii*, and the *in vitro* anti-glioblastoma activity. The data indicates that the royleanone Parviflorone D (ParvD) has a high activity against glioblastoma cell lines. Currently, the phytochemical study is being completed and bioactivity studies of these constituents are being performed.

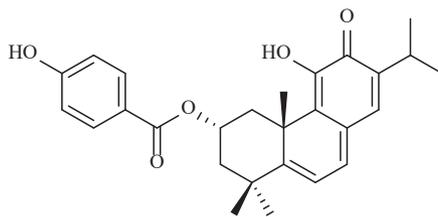


Figure 1. Parviflorone D

