Department of Chemistry

Departmental Seminar Series: Biodiscovery Month

You are cordially invited to a face-to-face lecture presented by

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Date: Friday, 18 November 2022
Time: 11:30
Venue: The Orbital (Room 3-1 Chemistry Building)

Antiplasmodial potential of South African medicinal plants and their phytochemical investigation for identification of the active compounds

The great breakthroughs in modern malaria drug discovery and development were a result of studies of medicinal plants used to treat malaria such as Cinchona by the Incas and *Artemisia annua* by the Chinese. South Africa is ranked as the third most biodiverse Country. While malaria transmission in South Africa has been restricted to three provinces, many plants have been used traditionally by local populations to treat this disease. A subset of plants used in South African traditional medicine was selected from the University of Pretoria Plant Repository (Biodiscovery Lab). These were extracted with organic solvents and fractionated using a ppSPE workstation following a protocol adopted from National Cancer Institute (USA). Generated extracts and fractions were formatted into 96 deep well plates at a concentration of 5 mg/mL in 100% DMSO using a liquid handler and stored in a robotic freezer as part of the natural product library. Copies of plates (extracts and fractions) were made and screened *in vitro* against the asexual *Plasmodium falciparum* NF54 parasites at dual point concentrations of 10 and 20 µg/mL. The screening results indicated that the fractionation was successful in concentrating active compounds into one or sometimes two fractions. Among fractions which displayed good activity ($IC_{50} \leq 10$ µg/mL) were fractions from *Aloe marlothii*, *Turraea obtusifolia* and *Artemisia afra*. These were analysed using UPLC-QTOF-MS for tentative identification of compounds. Using mass-directed purification (HPLC), pure compounds were isolated from the three afore mentioned species and their structure were elucidated using NMR and MS. These were anthraquinones, sesquiterpernes, limonoids, pregnane steroids, flavonoids, prostaglandin-like fatty acids. The pure compounds were screened against *P. falciparum* parasites. Of all screened compounds from *A. marlothii*, aloesaponarin I displayed good equipotent activity against the asexual *P. falciparum* NF54 (drug-sensitive) and K1 (multidrug-resistant) strains with IC_{50} values of 1.58 µg/mL and 1.54 µg/mL, respectively. Aloesaponol IV exhibited pronounced activity against late-stage gametocytes (IC_{50} = 6.53 µg/mL) demonstrating a 3-fold selective potency towards these sexual stages compared to asexual

forms of the parasite. Rubralin B (limonoid from *T. obtusifolia*) displayed a good activity against the asexual *P. falciparum* NF54 with an IC_{50} value of 3.47 µg/mL. As for compounds from *A. afra*, 1-dehydroartemorin, acacetin and retusin demonstrated good activities against the asexual *P. falciparum* NF54 with IC_{50} values of 3.95, 4.18 and 6.03 µg/mL, respectively.

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