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## 9 December 2011

# **UCT Chemistry PhD researchers do their bit to fight malaria**

According to the World Health Organisation, a child dies from malaria every 30 seconds. Malaria accounts for about 1 million deaths every year, most of them in sub-Saharan Africa. Two Science graduands at the University of Cape Town – one of them from Kenya – devoted their doctoral research to the development of anti-malarial treatments.

## Addressing the problem of drug-resistant malaria parasites

In Chemistry, **Warren Andrew Andayi** addresses the problem of drug-resistant malaria parasites in PhD thesis, *Synthesis, antimalarial evaluation,*  $\beta$ -hematin inhibition, and in silico and in vitro ADMET profiling of 4-aminoquinoline-hydroxypyridinone hybrids. His work involves the application of medicinal and computational chemistry in the design and synthesis of potential anti-malarial hybrid molecules based on a class of iron chelators (a binding agent that reduces chemical activity) referred to as hydroxypyridinones, and chloroquine-like molecules known as aminoquinolines.

The first part of his research involves the synthesis of hydroxypyridinones and the evaluation of their potential to overcome drug-resistant malaria parasites when combined with chloroquine – a drug that prevents the development of malaria parasites in the blood.

Positive results from phase one led to the design and synthesis of two series of the aminoquinoline-hydroxypyridinone hybrid molecules. Physicochemical and absorption, distribution, metabolism, excretion and toxicity properties of the hybrids were determined experimentally and computationally and their anti-malarial mode of action with respect to haemozoin (a product that is formed from the digestion of blood by malaria parasites) inhibition was confirmed. Heamozoin formation is an excellent drug target, since it is a process that is essential to the survival of the malaria parasite, and the information derived from Andayi's research can be used to inform future design of safer drugs.

Andayi has a BScEd degree from Kenyatta University and an MSc degree from Maseno University, both in Kenya. Before joining UCT's Department of Chemistry in July 2007, he was a chemistry and mathematics teacher. His supervisor is Professor Kelly Chibale of the Department of Chemistry.

#### Guide to future search for new metal-based anti-malarials

Also in chemistry, **Emma Brenda Hager's** thesis, *The design and synthesis of new transition metal coordination complexes as potential anti-malarial agents*, describes the synthesis of a series of mainly square-planar rhodium, iridium and palladium complexes with potential anti-malarial activity in chloroquine-sensitive and chloroquine-resistant strains of the malaria parasite. Her research also looked at the toxic effect of several of the compounds against human cancer cells.

The findings suggested that activity resides primarily in the diimine ligands (important in the catalysis of a chemical reaction) of these complexes, with little effect of overall charge of the metal bonded to the ligand (the molecule that binds to the central metal atom). The work serves as a significant guide to the future search for new metal-based anti-malarials.

Hager was awarded her BSc, BSc (Hons) and MSc degrees in Chemistry at UCT. In 2007 she began full-time study towards a PhD degree. She is supervised by Professor Tim Egan of the Department of Chemistry.

**ENDS** 

**Please note:** Information in this release is based on the supervisors' citations for the PhD theses. UCT advises journalists to obtain a copy of the relevant thesis and/or interview the PhD graduate to verify and expand on this information.

**Issued by: UCT Communication and Marketing Department** 

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