HKUST Anti-Malarial Drug Discovery Hits Cover of Top Chemistry Journal

A new and highly cost-effective anti-malarial drug developed by scientists at the Hong Kong University of Science and Technology (HKUST) is featured as the cover story in the latest issue of *Angewandte Chemie*, the world’s most influential academic journal in the chemistry field.

The research was led by Prof Richard Haynes, Department of Chemistry, in collaboration with German chemical giant Bayer AG, and in later stages, with Bayer HealthCare AG. The new drug, called artemisone, was designed exclusively in Hong Kong at HKUST.

In the paper, which was published today (20 March 2006), Prof Haynes details the research carried out and how artemisone compares in terms of effectiveness and neurotoxicity with other artemisinin-type anti-malarial drugs commonly used today. The paper was commended for its excellence by the journal’s editorial board.

"The selection of our research as the cover story of *Angewandte Chemie* indicates our international competitiveness in drug development," Prof Haynes said.

Prof Haynes began his studies in 1995 and completed the development of the new drug in 1999. Artemisone is derived from artemisinin, whose other derivatives have been widely used as anti-malarial drugs since the early 1980s.

"We studied the molecular structure of artemisinins and the ways that cause neurotoxicity. We then chemically modified their structures and synthesized several hundred derivative compounds. Of all of these, artemisone was found to exhibit no neurotoxicity at all," explained Prof Haynes.

The high effectiveness of artemisone also means that patients require relatively low doses. The first phase of clinical trials completed last year in Thailand showed that there were no side effects at all. In one set of malaria patients, complete cure was achieved with only one third of the total dose level used with artesunate, the hitherto most active artemisinin derivative known to science.

The preclinical studies were carried out by the Bayer Pharma team within Bayer HealthCare AG in Germany, and other research groups in Australia, England and the US. Prof Haynes pointed out that the neurotoxicity evaluation conducted by Bayer’s Dr Gabriele Schmuck played a crucial importance in allowing the selection of artemisone as the drug candidate.

Another important contribution made by the HKUST team was the optimization of the chemical synthetic processes that can bring down production costs for artemisone, Prof Haynes added. As malaria is commonly found in developing countries, one of the critical challenges in developing new anti-malarial drugs is to ensure that production costs are significantly lower than for existing medications.

The team is currently seeking funds to support the second phase of clinical trials. Prof Haynes expected that artemisone will be available as a regular anti-malarial drug within a few years.
About Malaria

According to the *World Malaria Report* published by the World Health Organization (WHO) in 2005, 107 countries or territories are at risk of malaria transmission. The estimated number of cases range from 350 million to 500 million every year. WHO's studies also found that Southeast Asia has the highest rate of drug resistance in the world. Since conventional anti-malarial drugs have become ineffective because the parasites have developed drug resistance, medical practitioners now have to use a combination of one artemisinin derivative with another anti-malarial drug - the artemisinin-based combination therapy (ACT) - to cure the disease.