PRESS RELEASE

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New research identifies promising leads to follow in search for medicines to fight malaria

- Study published in *Nature* suggests kinase inhibitors and targets within human blood cells offer promising options to explore

New research conducted by GlaxoSmithKline (GSK) was today published in *Nature*[1] identifying promising potential leads to develop new medicines to treat malaria. The research comes from a year-long screening of more than 2 million compounds in GSK's chemical library to seek out those that could inhibit the malaria parasite, *P. falciparum,* and reports on an analysis of the more than 13,500 compounds, or hits, that showed greatest activity.

The largest group of compounds where their mode of action is understood were kinase inhibitors and the authors suggest that further exploration of these compounds might lead to novel anti-malarial therapeutic strategies. In an accompanying opinion piece in *Nature*[2], David A. Fidock from the Departments of Microbiology & Immunology and of Medicine (Infectious Diseases), Columbia University Medical Center, New York commented: "This <prediction> would constitute an important new direction for antimalarial drug development — one that might cross paths with the vast chemical repositories developed to target kinases in other disorders.'

The study also identified compounds that may be inhibiting processes in human red blood cells which could be necessary for the parasite's survival. This opens up a novel possibility of fighting infection by looking to halt these processes in human red blood cells, rather than stopping the malaria parasite itself.

GSK is committed to stimulating new research into neglected tropical diseases such as malaria, which blight developing countries. In January 2010, as part of the company's commitment to open innovation in this area, GSK announced its intention to share data and chemical structures identified in its screening of the 2 million compounds from its library against parasite that causes malaria using on-line resources.

As of today, the 13,533 'hits' are accessible on public websites, marking the first time that a pharmaceutical company has made universally available the structures of so many compounds. More than 80% of these molecules are proprietary to GSK, and are therefore the information will be new to the research community.

All these data are available online through the European Bioinformatics Institute (EMBL-EBI) and Collaborative Drug Discovery. Together with the added intelligence in the *Nature* publication, scientists globally have been given thousands of chemical starting points to stimulate their research into this deadly disease which kills one child in Africa every 30 seconds.

"The world desperately needs new medicines to fight malaria." said Dr Patrick Vallance, head of Drug Discovery at GSK. This data provides us and other researchers around the world with several new leads to follow. We hope this information will drive further studies into the disease, and we call for all researchers to add their findings back to the EBI to create an open worldwide collaboration to expand our collective knowledge and make new medicines."

About the data

The data contains the 'hits' or results from a screening of the 2 million compounds in GSK's compound library to determine the effect of these compounds on the malaria parasite. The screening project identified ~13,500 compounds that showed strong inhibition on the parasite.

Kinase inhibitors constituted a large proportion of the molecules with previously known activity and now identified as antimalarial hits. The data includes the chemical families that GSK is currently researching for this indication and the 'mechanisms of action' for those compounds which the company has previously tested for other indications.

Most of the compound structures identified have been classified as capable of being converted into medicine .

The current microbiological information for the compounds and the structures have been put on online resources that are easily accessed by researchers. The EBI site has been constructed so that scientists globally can add their data to the information there, with access free to all. The value of the release of information is enhanced by the collaboration of the web hosts and the specialist research tools on the site, that are being made available to researchers at no cost to them.

GSK gratefully recognises the support of Medicines for Malaria Venture, which contributed funding for this project.

Full information can be viewed online at:

www.ebi.ac.uk/chembl/
http://pubchem.ncbi.nlm.nih.gov/
www.collaborativedrug.com/

About malaria

Malaria is a life-threatening disease caused by parasites that are transmitted to people through the bites of infected mosquitoes. A child dies of malaria every 30 seconds. There were 243 million cases of malaria in 2009, causing nearly one million deaths, mostly among African children.

The best available treatment for malaria - particularly the most deadly strain *P. falciparum* - is a combination of drugs known as artemisinin-based combination therapies (ACTs). However, parasite resistance is an issue and is undermining malaria control efforts. There are no effective alternatives to artemisinins for the treatment of malaria either on the market or nearing the end of the drug development process.

GlaxoSmithKline – one of the world's leading research-based pharmaceutical and healthcare companies – is committed to improving the quality of human life by enabling people to do more, feel better and live longer. For further information please visit www.gsk.com

References

1. Francisco-Javier Gamo et al Thousands of chemical starting points for antimalarial lead identification**. Nature** Vol. 465, issue 7296, pp 305-310, DOI: 10.1038/nature09107
2. Fidock, D. Priming the antimalaria pipeline. **Nature** Vol. 465, issue 7296, pp 297-298.

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