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Abstract

Cell-Based Medicinal Chemistry Optimization of High Throughput Screening Hits towards Orally Active Antimalarial and Antituberculosis Agents †

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† Presented at the 1st Molecules Medicinal Chemistry Symposium, Barcelona, Spain, 8 September 2017.

Published: 4 December 2017

It has recently been demonstrated, from a number of antimalarial and antituberculosis drug discovery programmes, that phenotypic whole cell screening can uncover cell permeable and active drug leads with potentially novel modes of action. In this regard, several series of antiplasmodial and antimycobacterial actives were identified by phenotypic whole cell high-throughput screening of small molecule libraries. Following validation, hit molecules demonstrating good in vitro antiplasmodial and antimycobacterial activity against the respective causative agents, *Plasmodium falciparum* and *Mycobacterium tuberculosis*, with low cytotoxicity were prioritized for hit to lead and lead optimization medicinal chemistry progression.

This talk will describe the drug discovery process that led to the identification of lead candidates with good oral in vivo pharmacokinetics. Target identification aspects will also be presented.

Conflicts of Interest: The authors declare no conflict of interest.