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# Building a Microscale Parallel Synthesis (MPS) platform linked to phenotypic assays against a parasitic panel

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## ABSTRACT

A large number of new heterocyclic compounds having different ring systems were synthesized using condensation, Mannich and C−H activation reactions. Following, the fused rings were decorated with many functional groups, giving rise to a structurally diverse set of analogs, which demonstrate high antitrypanosomal activities on parasite cultures and show significant promise for trypanosomiases drug discovery.[1] However, guiding structural modification through structure-activity relationships (SARs) is essential, but laborious using conventional synthesis methods. A MPS approach allows rapid access to libraries of compounds. This platform linked with Medium-Throughput Screening (MTS) were explored using the urea bond formation reactions in a 96-well plate (**Figure 1**). To test whether unpurified reaction mixtures can give useful screening results against parasite panels, identified hits were resynthesized, purified and further characterized and retested. The implementation and validation of the MPS method shows that large compound libraries can be produced without purification to an initial biological screening.

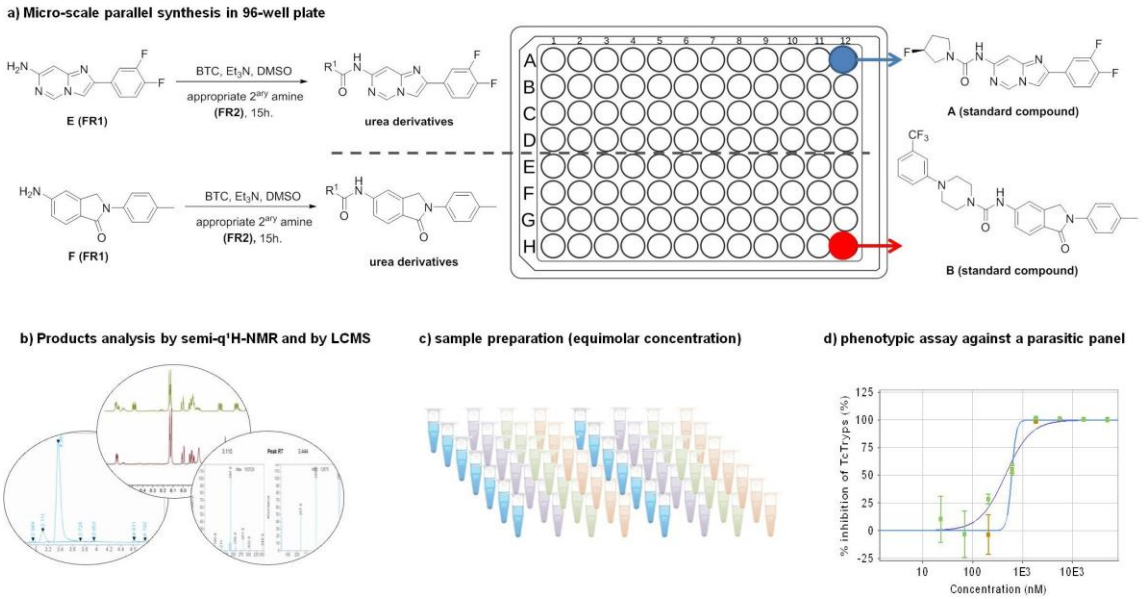


**Figure 1.** Overview of the overall workflow of the microscale parallel synthetic (MPS) approach combined with phenotypic assays against a parasitic panel. The core ring and the amine derivatives are denoted as FR1 and FR2, respectively.

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