

## Publication

## Aminoalkyl derivatives of guanidine di-aromatic minor groove binders with antiprotozoal activity

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Considering the strong DNA minor-groove binding observed for our previous series of di-aromatic symmetric and asymmetric guanidinium and 2-aminoimidazolinium derivatives, we report now the synthesis of new aminoalkyl derivatives of di-aromatic guanidines with potential as DNA minor groove binders and antiprotozoal activity. The preparation of these aminoalkyl derivatives (12a-e; 13a-e; 14a-c,e; 15a-e; 16a-e) is presented as well as their affinity for DNA which was evaluated by means of DNA thermal denaturation experiments. Finally, the antiprotozoal activity of most of these aminoalkyl-minor groove binders was evaluated in vitro against *Trypanosoma brucei rhodesiense* (8 compounds) and *Plasmodium falciparum* (18 compounds). The O-linked derivatives 13c and 14c showed 100nanomolar activities against *P. falciparum*, whereas for *T. b. rhodesiense* all compounds tested showed micromolar activity. Some of the derivatives prepared seem to exert the antimalarial activity by binding to the DNA minor groove whereas other set of compounds could exert this antimalarial activity by inhibiting the parasite dihydrofolate reductase, for example

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