Conference abstract PO-13

Bicyclic Amides and Esters of Dialkylamino Acids with Antiplasmodial Acitvity

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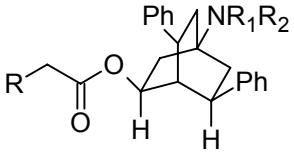
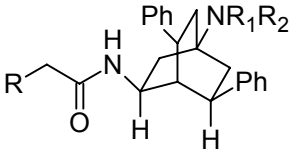
Malaria is one of the most dangerous diseases killing more than 880 000 people all over the world in 2006 [1]. Today the most effective drug against malaria is artemisinin which is seen as the last defence against this disease, because resistance to other malaria drugs is consistently increasing [2].

Several bicyclic esters **2** of 2-dialkylaminoacetic acids have been synthesized which proved to be far more active than previously prepared analogues **1** without amino substituent in the acid group [3]. The insertion of a piperazino group in the acid moiety resulted in new bicyclo[2.2.2]octyl esters **3**, which have shown very good in vitro activity against a multiresistant strain of *Plasmodium falciparum*. Consequently we also prepared some amide analogues **4** and **5** of bicyclo-octyl esters **2** and **3** to draw a comparison regarding their antiplasmodial activity.



1–3



4, 5

	R	R^1	R^2
1	alkyl, aryl, alkylaryl	alkyl	alkyl
2, 4	dialkylamino	alkyl	alkyl
3, 5	N-methylpiperazino	alkyl	alkyl

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[1] World Malaria Report 2008.

[2] Bohannon J. ARATA KOCHI PROFILE: Fighting Words From WHO´s New Malaria Chief. Science. 2006; 311: 599. doi:10.1126/science.311.5761.599

[3] Schlapper C, Seebacher W, Kaiser M, Brun R, Saf R, Weis R. Bicyclo[2.2.2]octyl esters of dialkylamino acids as antiprotozoals. Bioorg Med Chem. 2007; 15: 5543–5550. doi:10.1016/j.bmc.2007.05.042