Srpsko hemijsko društvo
Serbian Chemical Society

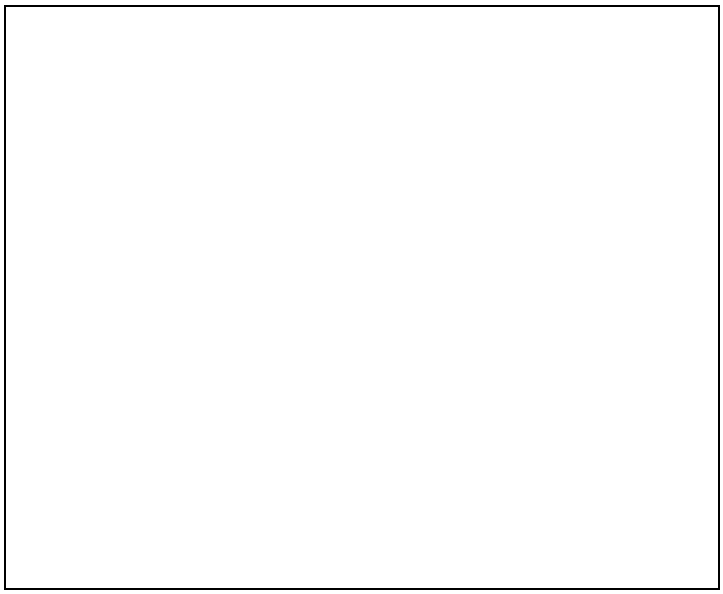

# XLIX SAVETOVANJE SRPSKOG HEMIJSKOG DRUŠTVA

## PROGRAM
## I
# KRATKI IZVODI RADOVA

## 49th Meeting of the Serbian Chemical Society

**Programme**
**&**
## Book of Abstracts

**Kragujevac, 13-14. maj 2011.**
**Kragujevac Serbia, May 13-14, 2010**



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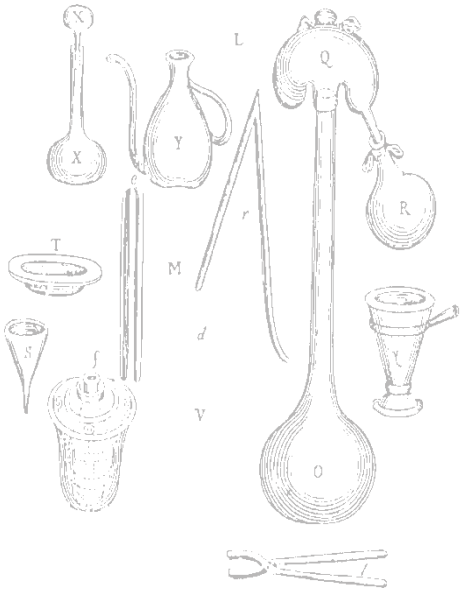

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**OH25-P**

## Nova generacija steroidnih 4-aminohinolina kao potencijalnih antimalarika

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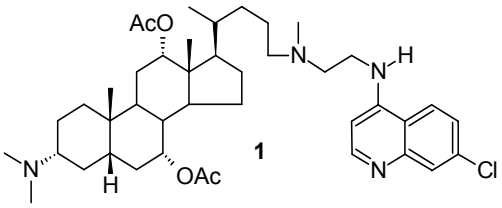
Malarija je infektivna bolest koju izaziva parazit iz roda *Plasmodium*. Od malarije godišnje oboli 250 miliona ljudi, sa smrtnim ishodom kod oko milion pacijenata, usled razvoja rezistencije parazita prema postojećim antimalaricima. U nastavku naših istraživanja u ovoj oblasti[1] sintetisani su novi steroidni 4-amino-7-hlorohinolinski derivati i ispitana je njihova *in vitro* antimalarijska aktivnost prema CQ-rezistentnim (W2 i TM91C235) i CQ-osetljivim (D6) sojevima *P. falciparum*. Kod ispitanih jedinjenja uočena je zavisnost antimalarijske aktivnosti od supstituenata na atomima C3 i C24 steroidnog jezgra i dužine alkil linkera. Biće pokazano da tercijarna amino-grupa značajno povećava antimalarijsku aktivnost.




**1**

| *P. falciparum* IC90 (nM) | | | |
|---|---|---|---|
| | **W2** | **D6** | **TM91C235** |
| **1** | 1.35 | 2.63 | 3.32 |
| **CQ** | 864.42 | 8.57 | 363.14 |
| **ART** | 11.50 | 12.80 | 17.40 |

## New generation of steroidal 4-aminoquinolines as potent antimalarials

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Malaria is an infectious disease caused by parasite *Plasmodia.* It is estimated that malaria causes 250 milion cases of fever and approximately one milion deaths annually, because of development of parasite resistance to standard antimalarial drugs. Here we present synthesis of new steroidal 4-amino-7-chloroquinolines and discuss their *in vitro* antimalarial activities against CQ-resistant (W2 i TM91C235) and CQ-susceptible (D6) *P. falciparum* strains. Compounds showed strong dependence of C3 and C24 substitution pattern and length of alkyl linker on antimalarial activity. It will be shown that tertiary amine groups significantly increase the antimalarial activity.

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1. Šolaja, B. A.; Opsenica, D.; Smith, K. S.; Milhous, W. K.; Terzić, N.; Opsenica, I.; Burnett, J. C.; Nuss, J.; Gussio, R.; Bavari, S. *J. Med. Chem.*, **51** (2008) 4388–4391.