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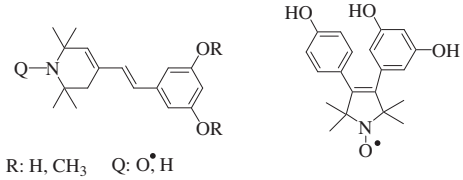
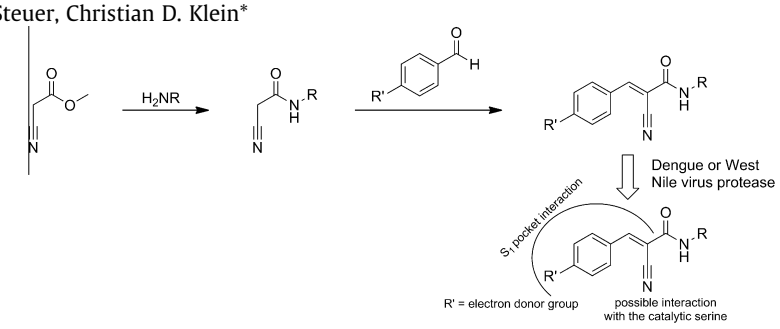
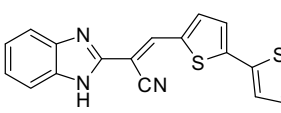
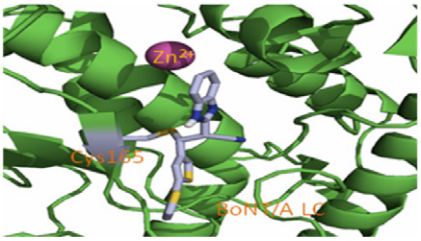
Contents

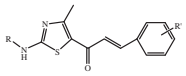
ARTICLES





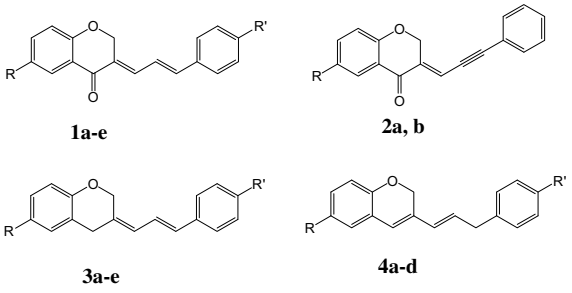


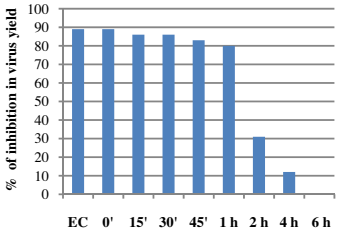


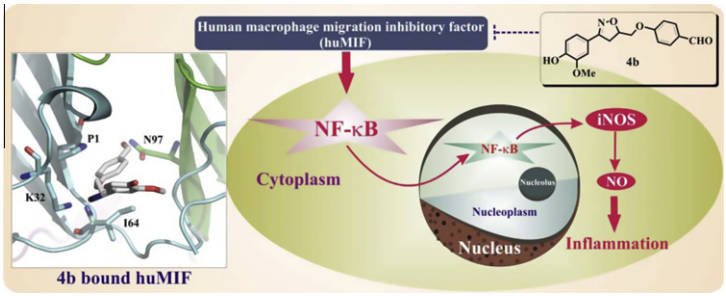


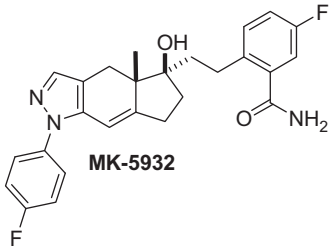
	R	R'
1.	Me	4-F
2.	Me	3-F
3.	Me	3-Br
4.	Me	3-Me
5.	Me	2,3-diCl
6.	Et	H
7.	Et	4-NO_2
8.	Et	3-NO_2
9.	Et	4-Cl
10.	Et	3-Cl
11.	Et	2-Cl
12.	Et	4-F
13.	Et	3-F
14.	Et	3-Br
15.	Et	4-OMe
16.	Et	2-OMe
17.	Prop	H

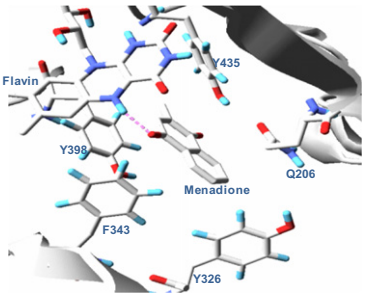
A series of novel (*E*)-1-(4-methyl-2-(alkylamino)thiazol-5-yl)-3-arylprop-2-en-1-ones were synthesized and evaluated for their antibacterial and antifungal activity.

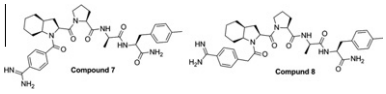


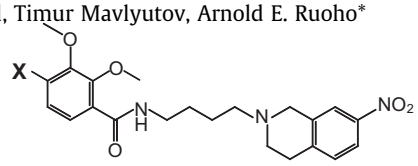




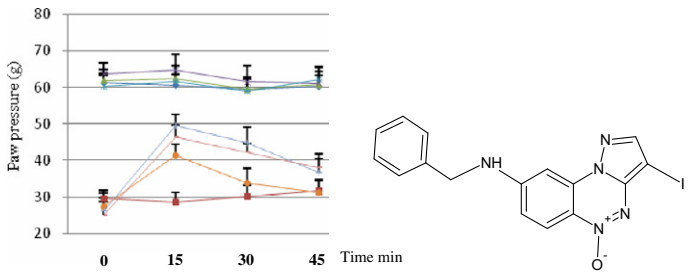








X = OCH_3	X = H
5e	5f
σ2 Ki = 26.78 nM	σ2 Ki = 12,930 nM
σ1 Ki = 10,320 nM	σ1 Ki = 7,870 nM
σ1/σ2 = 385	σ1/σ2 = 0.61



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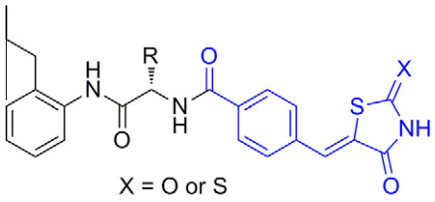
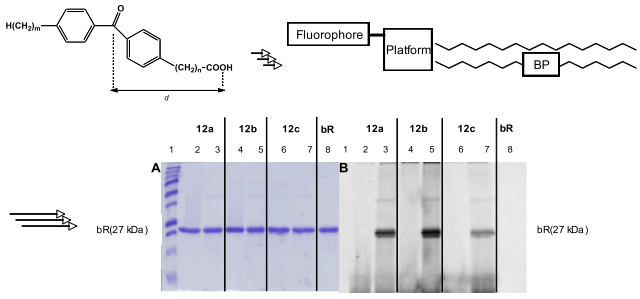
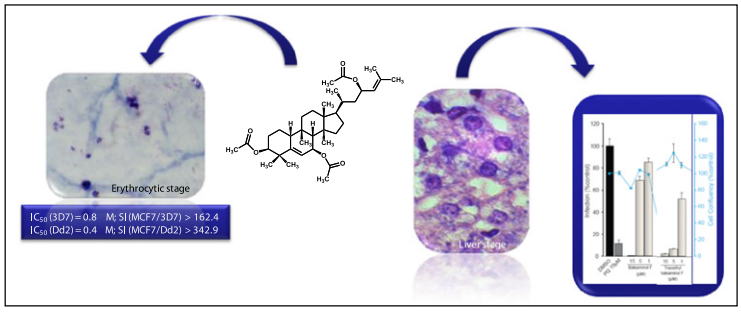
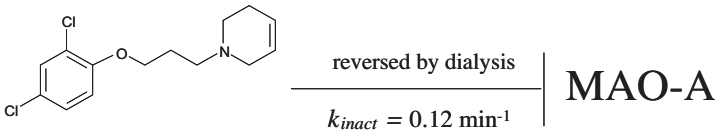
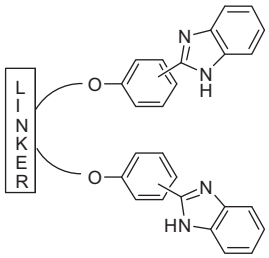
Antihyperalgesic at 3 mg/kg



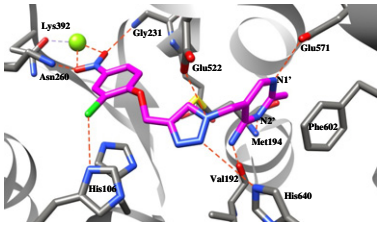






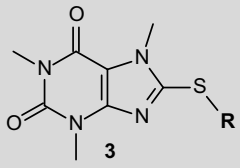


Eight derivatives out of a newly prepared small library of twenty-six bisbenzimidazoles emerged as promising effective agents against *Plasmodium falciparum*. They were characterized by IC_{50} values ranging from 190 to 450 nM and selectivity indexes ranging from 92 to more than 450.



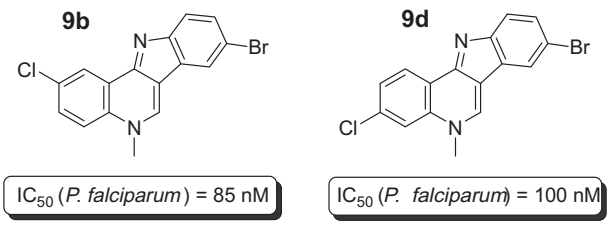
Four novel hit compounds with high inhibitory activity against PDHc-E1 from *Escherichia coli* have been designed, synthesized and tested, the best one of these compounds exhibit an IC_{50} value of 6.88 μM, the binding model of this compound was obtained by molecular docking, as shown in Figure 2.

The human MAO inhibition potencies of a synthetic series of sulfanylcaffeine (**3**) and aminocaffeine analogues are reported.

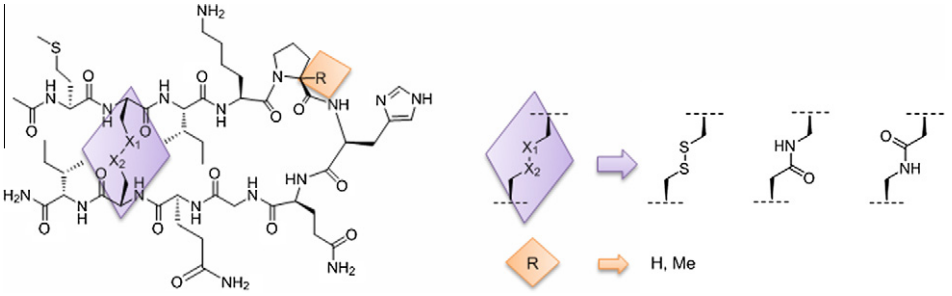


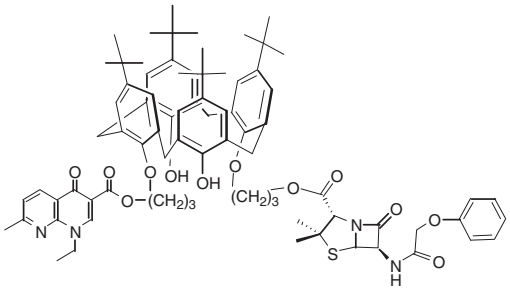
	R	IC_{50} MAO-A μM	IC_{50} MAO-B μM
3c	$-(CH_2)_2-C_6H_5$	20.5	0.223
3d	$-(CH_2)_2-O-C_6H_5$	15.5	0.332
3e	$-CH_2-(4-Cl-C_6H_4)$	2.77	0.192
3f	$-CH_2-(4-Br-C_6H_4)$	2.62	0.167

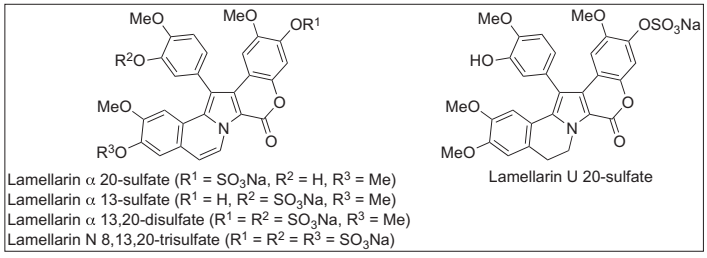
The human MAO inhibition potencies of a synthetic series of sulfanylcaffeine (**3**) and aminocaffeine analogues are reported.

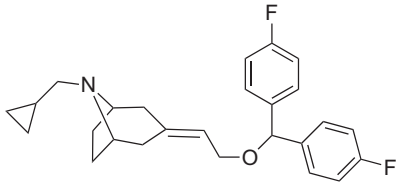


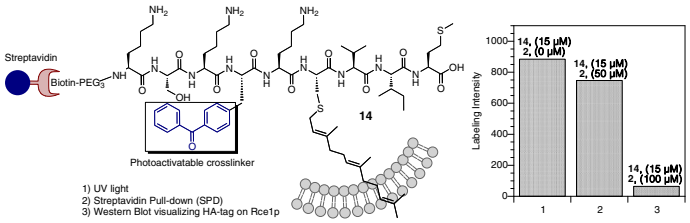
A novel series of mono- and di-substituted analogues of isocryptolepine have been synthesized and evaluated for in vitro antimalarial activity and cytotoxicity.



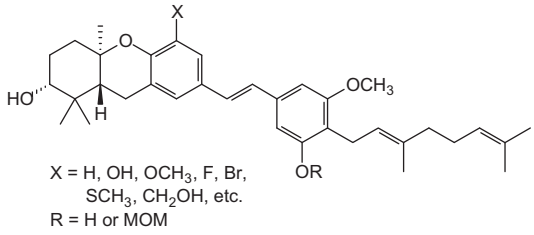




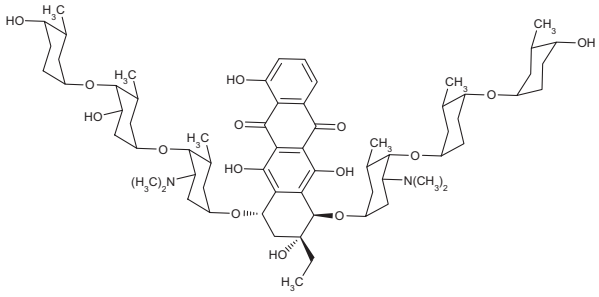




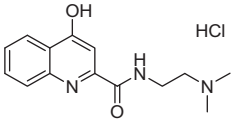
14: Above compound, **2**: Farnesylated competitor without photoactive group.



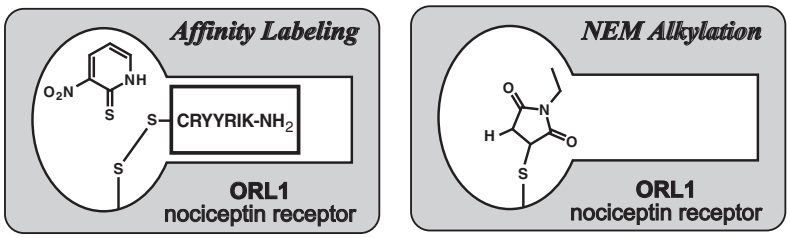
Cosmomycin C inhibited phosphorylation of STAT3 activity and down-regulated expression of STAT3 target genes. And cosmomycin C induced apoptosis of the cells by down regulation of STAT3 target genes.



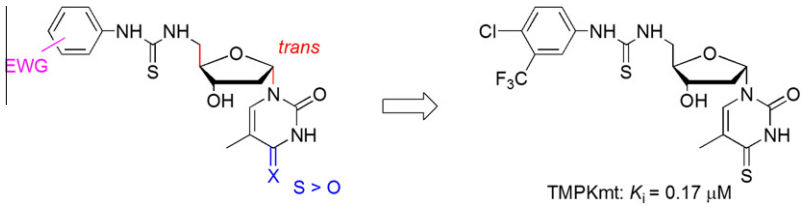
KYNA-1

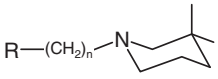


This paper reports the synthesis of 10 new KYNA amides (KYNA-1–KYNA10) and on the effectiveness of this molecule as an inhibitor of excitatory synaptic transmission in the CA1 region of the hippocampus. The most effected molecule is newly synthetized KYNA-analogue (KYNA-1).

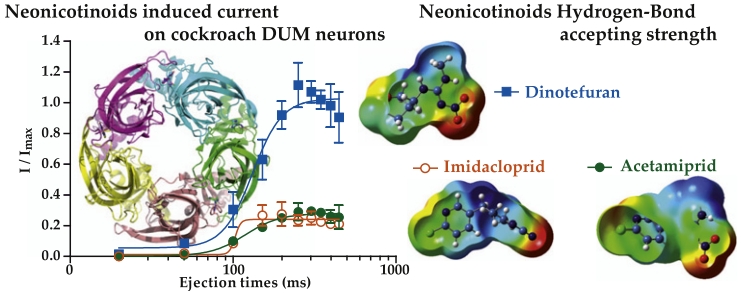


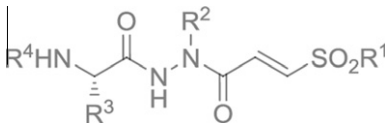
The ORL1 nociceptin receptor was found to consist of a free Cys-β-thiol group by affinity labeling with Cys(Npys)-RYYRIK-NH_2, an SNpys-containing antagonist peptide, and also by C–S thioether-forming alkylation with *N*-ethylmaleimide.



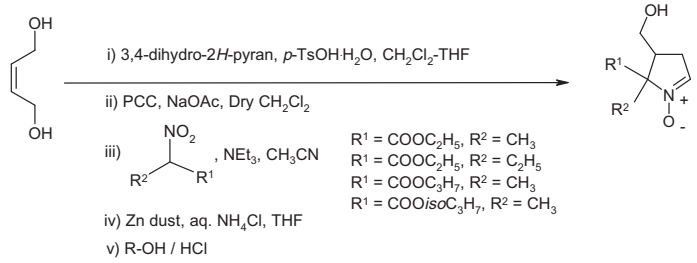


R = Heterocyclic or bicyclic nuclei
n = 1–5

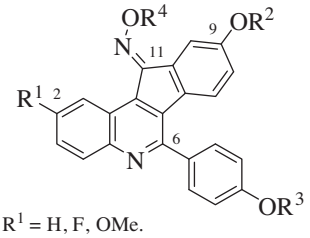




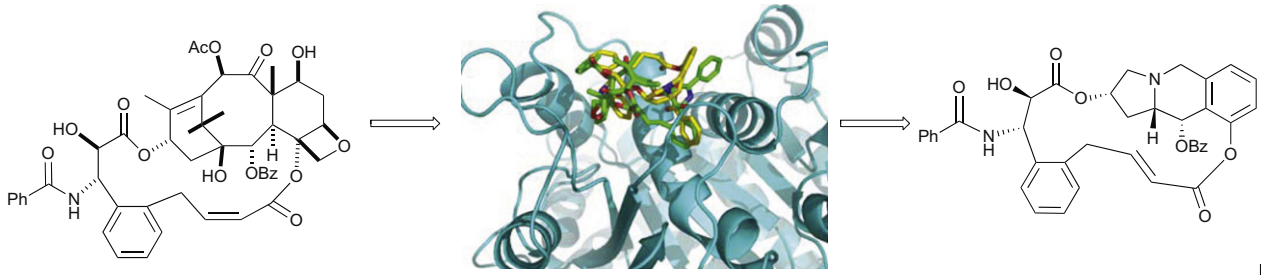
The design, synthesis and evaluation of aza vinyl sulfones as antiplasmodial agents is described. A number of the new compounds effectively inhibited the *in vitro* development of *Plasmodium falciparum*. Compounds containing a squaramide group were the most active, with IC_{50} values between 0.95 and 4.5 μM, suggesting that these are potential lead compounds for the development of new antimalarial agents.

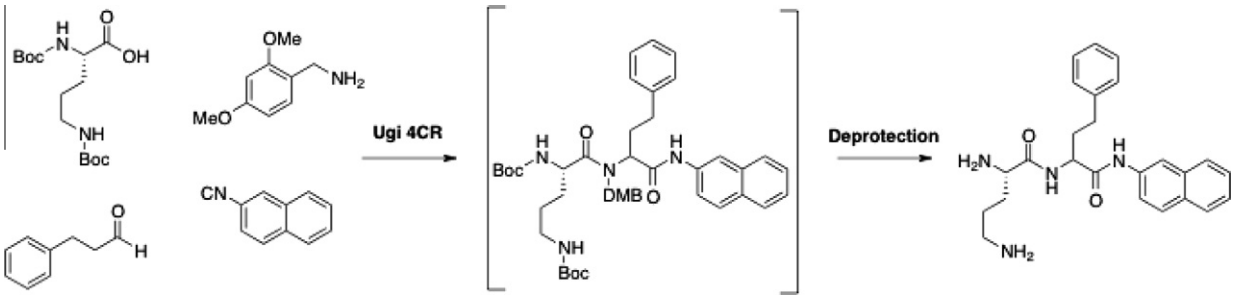


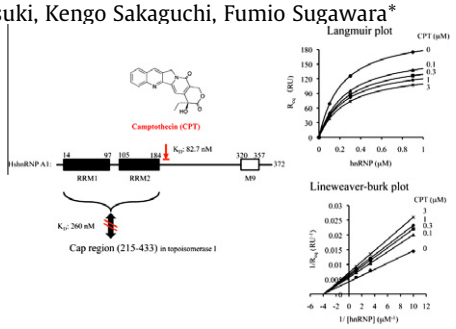
Syntheses, analytical data, and spin trapping properties of 5-ethoxycarbonyl-4-hydroxymethyl-5-methylpyrroline N-oxide and three of its homologues are reported.



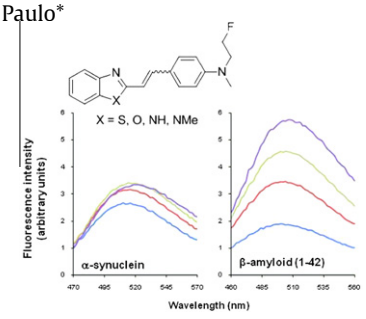
R^1 = H, F, OMe.
R^2, R^3, R^4 = H, Me, or aminoalkyl groups.

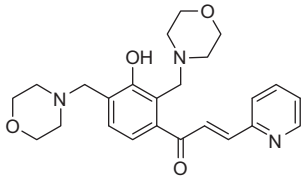






Novel fluorinated styryl benzazoles have been synthesized and evaluated in vitro as amyloid-probing agents. The compounds interact with fibrillary species with a red-shift in the excitation wavelenghts along with an increase in the fluorescence emission intensity, appearing as good candidates to design ^{18}F-labelled amyloid radioprobes.





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*Corresponding author

Supplementary data available via SciVerse ScienceDirect

COVER

The known veterinary anthelmintic and proton ionophore, closantel, was recently discovered to also exhibit potent chitinase inhibition activity and inhibit molting in the parasitic nematode, *Onchocerca volvulus*, the causative agent of the neglected tropical disease onchocerciasis. [C. Gloeckner, A. L. Garner, F. Mersha, Y. Oksov, N. Tricoche, L. M. Eubanks, S. Lustigman, G. F. Kaufmann, K. D. Janda, Repositioning of an existing drug for the neglected tropical disease Onchocerciasis, *Proc. Natl. Acad. Sci., U.S.A.* **2010**, *107*, 3424.]

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