P 087

In vitro antiplasmodial activity of *Tithonia diversifolia* and identification of its active constituent : tagitinin C

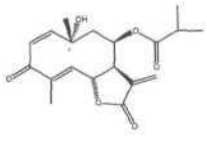
Eric Goffin[a], Michel Frederich[a], Geneviève Philippe[a], Eric Ziemons[b], Patrick De Mol[c], Antonio Proença da Cunha[d], Monique Tits[a] and Luc Angenot[a]

[a]Laboratory of Pharmacognosy, University of Liège, CHU, Sart Tilman, B-4000 Liège,
[b]Laboratory of Analytical Chemistry, University of Liège, CHU, Sart Tilman, B-4000 Liège,
[c]Laboratory of Medical Microbiology, University of Liège, CHU Sart Tilman, B-4000 Liège, Belgium,
[d]Laboratory of Pharmacognosy, Faculty of Pharmacy, University of Coimbra, Rua do Norte, P-3000 Coimbra, Portugal

Tithonia diversifolia (Hemsley) A. Gray (Asteraceae) is a shrub that occurs throughout Middle America and the West Indies and has become naturalized around the tropics.
The aerial parts of this plant are traditionnally used for the treatment of malaria in São Tomé e Príncipe; so we have examined extracts of *Tithonia diversifolia* collected in São Tomé e Príncipe for *in vitro* activity against *Plasmodium falciparum*.

After drying and powdering, the aerial parts were successivelly extracted with ether, methanol and water and showed the following IC_{50} values on *Plasmodium falciparum* chloroquine-sensitive strain (FCA) : 0.74 µg/ml (ether), 2.16 µg/ml (methanol) and >10 µg/ml (water).

A bioassay guided fractionation of *Tithonia diversifolia* ether extract by MPLC-Silicagel with an hexane/ethyl acetate gradient and preparative TLC led to the isolation of a main bioactive compound. Thanks to 1D and 2D NMR (^1H, ^{13}C, COSY), UV, IR, ESI mass data and comparison with the literature [1,2], this compound was identified as tagitinin C. This sesquiterpene lactone has been already found in *Tithonia diversifolia* [1] but had been originally described in *Tithonia tagitiflora* [2].



Tagitinin C

Tagitinin C exhibited IC_{50} values of 0.35 µg/ml on *Plasmodium falciparum* chloroquine-sensitive strain (FCA) and 0.25 µg/ml on chloroquine-resistant strain (W2).

[1] Baruah, N.C. ; Sharma, R.P. ; Madhusudanan, K.P. ; Thyagaraian, G. ; Herz, W. ; Murari, R., (1979) J.Org.Chem., 44 : 1831-1835.
[2] Pal, R. ; Kulshreshta, D.K. ; Rastogi, R.P., (1977) Indian J. Chem. 15B : 208-211
Acknowledgements : We want to thank the Science and Technology Ministry of Portugal for supporting this research (PRAXIS / PSAU / 38/96).