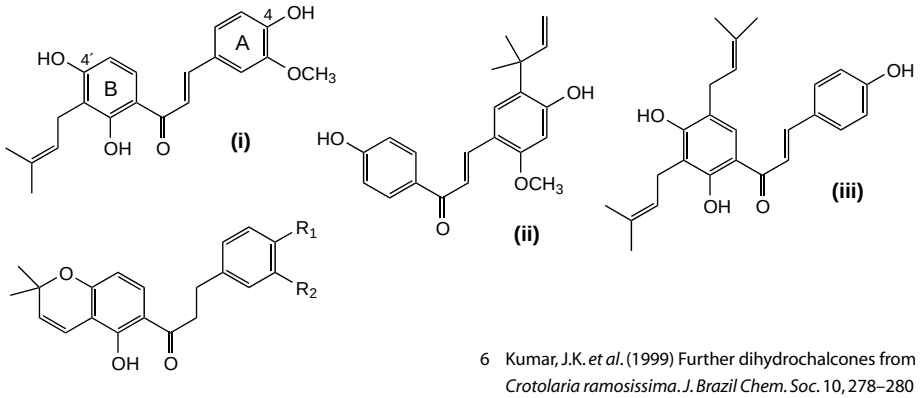
Monitor Editor: Matthew Thorne
m.thorne@elsevier.com

monitor

MOLECULES

Novel inhibitors of *Plasmodium falciparum* from the *Crotolaria* genus

The phytochemistry of the *Crotolaria* genus has been thoroughly investigated due to its importance in Indian traditional medicine [1, 2]. As a continuation of their efforts in this field, Narender and collaborators have recently reported the structure of a chalcone (compound **(i)**, isolated from the aerial parts of the *Crotolaria orixensis* [3]. Given its similarity with Licochalcone A **(ii)**, which was reported to possess antimalarial activity [4], compound 1, together with the previously isolated calchones 3-6 [5, 6] were evaluated *in vitro* for their antimalarial properties [3]. In particular, compounds were tested at three concentrations (50, 10 and 2 μg/ml) against *Plasmodium falciparum* (Strain NF-54). The most potent derivative was **iii**, which showed 100% inhibition of maturation of parasites from ring stage to schizont at the lowest tested concentration. Complete inhibition was also shown by **i**, but at higher concentrations (50 and 10 μg/ml). Compounds **iv**–**vi** exhibited lower activity. These results clearly suggest that substitution at the 4′-hydroxyl group in ring B



(iv) R_1 = OH, R_2 = H
(v) R_1 = OCH_3, R_2 = H
(vi) R_1 = R_2 = OH

(iv–vi) and 4-hydroxyl group **(v)**, decrease activity. By contrast, prenylation with free 4,4′-dihydroxy system led to the best activity **(iii)**. Chloroquine, which was used as reference drug, exhibited 100% inhibition at 0.25 μg/ml concentration in the same test system. On these bases, prenylated chalcones can be considered as a new class of lead compounds from which potent synthetic antimalarial drugs could be derived.

1 Rao, M.S. *et al.* (1998) A revised structure for Crotaramosmin from *Crotolaria ramosissima*. *J. Nat. Prod.* 61, 1148–1149
2 Khalilullah, M.D. *et al.* (1992) Crotaramosmin, a new prenylated flavanone from *Crotalaria ramosissima*. *J. Nat. Prod.* 55, 229–231
3 Narender, T. *et al.* (2005) Prenylated chalcones isolated from *Crotolaria* genus inhibits *in vitro* growth of the human malaria parasite *Plasmodium falciparum*. *Bioorg. Med. Chem. Lett.* 15, 2453–2455
4 Chen, M. *et al.* (1994) Licochalcone A, a new antimalarial agent, inhibits *in vitro* growth of the human malaria parasite *Plasmodium falciparum* and protects mice from *P. yoelii* infection. *Antimicrob. Agents Chemother.* 138, 1470-1475
5 Ranga Rao, G.V. *et al.* (1987) A prenylated chalcone from *Crotalaria medicaginea*. *Phytochemistry* 26, 2866–2868
6 Kumar, J.K. *et al.* (1999) Further dihydrochalcones from *Crotolaria ramosissima*. *J. Brazil Chem. Soc.* 10, 278–280

Daniela Barlocco
daniela.barlocco@unimi.it

NEUROBIOLOGY

Ischemia induces redistribution of NMDA receptors

The *N*-methyl-D-aspartate (NMDA) receptor calcium ion channel is implicated in neuronal injury caused by cerebral ischemia. The NMDA receptor and its associated proteins are major constituents of the postsynaptic density (PSD), at which a neuron processes synaptic signals.

1359-6446/05/$ – see front matter PII: S1359-6446(05)03531-2