

**A013 Investigation of potential anti-inflammatory properties of *Solanum dulcamara* extracts by measuring cyclooxygenase inhibition *in vitro***

R. Jäggi<sup>a</sup>, U. Simmen<sup>b</sup>, U. Würzler<sup>a</sup>, M. Borner<sup>c</sup>, I. Rasel<sup>c</sup> and M. Weiser<sup>c</sup>

<sup>a</sup> Vitaplant AG, Benkenstrasse 254, CH-4108 Witterswil, Switzerland, <sup>b</sup> Institut für Pharmazeutische Biologie, Universität Basel, Benkenstrasse 254, CH-4108 Witterswil, Switzerland, <sup>c</sup> Biologische Heilmittel Heel GmbH, Dr.-Reckeweg Strasse 2-4, D-76532 Baden-Baden, Germany

The pharmacological action of many non-steroidal anti-inflammatory drugs is mediated by inhibiting the activity of cyclooxygenase 1 (COX 1) and 2 (COX 2). These key enzymes in the biosynthesis of pro-inflammatory prostaglandins also represent attractive targets for the *in vitro* screening of potential anti-inflammatory properties of plants. Purified COX-1 from ram seminal vesicle and COX-2 from sheep placenta were used in *in vitro* assays to study the effect of plant preparations on COX activity (1). The inhibitory potential of *Solanum dulcamara* was evaluated by determining the IC<sub>50</sub> values (extract concentration that reduces the maximal enzyme activity by 50 %). Based on their different steroidal alkaloid content (as judged by TLC analysis) 3 ethanolic *Solanum dulcamara* extracts (Biologische Heilmittel Heel GmbH, Baden-Baden, Germany) were selected and tested. All extracts produced a concentration dependent reduction of the prostaglandin E<sub>2</sub> synthesis and showed an identical ranking of their inhibitory potentials for both COX isozymes (IC<sub>50</sub> values ranging from 20 to 150 µg/ml for COX 1, and 100 to 700 µg/ml for COX 2). This method represents a convenient *in vitro* model for the rapid screening of the anti-inflammatory potential of plants of different origins. Furthermore, monitoring the COX inhibitory activity allows optimising extraction methods for the production of anti-inflammatory plant products.

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**A014 Bioassay-oriented studies of *Vernonia colorata***

G. Cioffi<sup>a</sup>, C. Pizza<sup>a</sup>, R. Sanogo<sup>b</sup>, F. Venturilla<sup>c</sup>, D. Diallo<sup>b</sup> and N. De Tommasi<sup>a</sup>

<sup>a</sup> Dipartimento di Scienze Farmaceutiche, Università di Salerno, Via Ponte Don Melillo, 84084 Fisciano (SA), Italy. <sup>b</sup> Department Medicine Traditionelle, B.P. 1746, Bamako, Mali. <sup>c</sup> Dipartimento di Scienze Botaniche, Università di Palermo, Via Archirafi 20, 90123 Palermo, Italy.

In the search for bioactive principles from African medicinal plants, we examined *Vernonia colorata* (Willd) Drake (Asteraceae), a plant used in African folk medicine as a herbal remedy against dermatosis, fever, rheumatism, and liver diseases (1, 2). Previous pharmacological and phytochemical studies of the extracts of the drug showed the presence of antiparasitic and antibacterial sesquiterpene lactones (3, 4).

To evaluate the anti-inflammatory activity of *V. colorata*, a bioassay-oriented fractionation has been carried out. The petroleum ether, CHCl<sub>3</sub>, CHCl<sub>3</sub>-MeOH, and MeOH extracts of *V. colorata* aerial parts were evaluated for their ability to inhibit the carrageenin-induced oedema in rat paw (5). The highest activity was exerted by the chloroform-methanol extract. The bioactive chloroform-methanol extract was fractionated with chromatographic methods such as Sephadex LH-20, DCCC, HPLC to yield 5 fractions (I-V). The most active fractions were II (4.0 mg/kg po) and III (3.2 mg/kg po), both inhibiting the oedema by 50.5 and 42.7% (3h), respectively. Fractions II and III were further fractionated to give three new polyhydroxylated stigmastane-type having a <sup>8(9)</sup>, <sup>14(15)</sup>-steroid cyclic system and two androstane-type steroids. The structural elucidation of all compounds was based on spectroscopic techniques, mainly through high resolution NMR (DQF-COSY, HSQC, HMBC, 1D-TOCSY, 1D-ROESY) experiments. All the isolated compounds were tested for evaluating their anti-inflammatory activity: two polyhydroxylated stigmastane steroids showed a good activity in comparison with indomethacin used as reference compound.

**References:** 1. De Simone, F. et al. (2001) "Anti-HIV Aromatic Compounds from Higher Plants". In: *Bioactive Compounds from Natural Sources, Isolation Characterization and biological properties*, C. Tringali ed., Taylor & Francis, London, 305-35. 2. Sanogo, R., et al. (1997) *Phytochemistry*, 47: 73-8. 3. Igile, G. et al. (1995) *J. Nat. Prod.* 58: 1438-43. 4. Rabe, T., et al. (2002) *J. of Ethnopharmacol.* 80: 91-4. 5. Gasquet, M. et al. (1985) *Eur. J. Med. Chem-Chim. Ther.* 20: 111-15.