

B187 Structure-citotoxicity relationships of 6-methoxy flavonols isolated from *Paepalanthus hilairei* Koern (Eriocaulaceae)V.C. Soares^a, M.S.G. Raddi^a, L.C. Santos^b, W. Vilegas^b^a Faculdade de Ciências Farmacêuticas-UNESP, CP 502, 14801-902 – Araraquara, SP, Brazil. ^b Instituto de Química de Araraquara-UNESP, CP 355,14801-970- Araraquara, SP, Brazil.

It is well known that some structural features are important determinants for medical properties of flavonoids. Although potential protective effects have been attributed to phenolic compounds they also were reported to be toxic to eukaryotic cells. In this study we investigated *in vitro* structural parameters that could affect the cytotoxicity of four 6-methoxy flavonols (1) isolated from *Paepalanthus hilairei* on viability of McCoy cell line by the neutral red assay (2). The cytotoxic potential of the studied flavonols was, in order of decreasing effectiveness, 6-methoxyquercetin ($538.48 \pm 106.74 \mu\text{g/ml}$) > 3-O- β -D-glucopyranosyl-6-methoxyquercetin ($336.31 \pm 41.40 \mu\text{g/ml}$) > 6-methoxykaempferol ($223.21 \pm 8.48 \mu\text{g/ml}$) > 3-O- β -D-glucopyranosyl-6-methoxykaempferol ($139.09 \pm 2.46 \mu\text{g/ml}$). The results showed that the presence of cathecolic structure (3',4'-di-OH) in the quercetin derivatives reduce the toxic activity of these compounds. On the other hand, substitution of position 3 by a sugar increased the toxic activity of both quercetin and kaempferol derivatives.

Acknowledgements: CNPq, PADCF/FCF-UNESP.

References: 1. Santos, L.C. (2001) Chemical investigation of Eriocaulaceae, Ph D thesis. 2. Borenfreund E. and Puerner J.A. (1985) Toxicol Letters. 24: 119-124.**B188 Antimicrobial, cytotoxicity and immunoeffects of vioxanthin from *Paepalanthus bromelioides***K.F. Devienne^a, M.S.G. Raddi^b, I.Z. Carlos^b and W. Vilegas^a^a Instituto de Química de Araraquara-UNESP, CP 355,14801-970, Araraquara, SP, Brazil. ^b Faculdade de Ciências Farmacêuticas de Araraquara- UNESP, CP 502,14801-902, Araraquara, SP, Brazil.

Chemical substances obtained from plants have been for the pharmaceutical industry one of the most important sources of new products. Actually, there is strong tendency to screen natural products for antimicrobial properties and their involvement in immunological system. Appropriate elimination of bacteria requires both the effectiveness of antimicrobial drug against microorganisms and a very well functioning defense system of host. Macrophages are the first cells to participate in the immunological response and they can be activated by a variety of stimuli. The hydrogen peroxide (H_2O_2), nitric oxide (NO) and tumoral necrosis factor (TNF- α) are effector molecules for the microbicidal and cytotoxic response of macrophages. In this study, we evaluate *in vitro* the antimicrobial activity (1) of vioxanthin, an isocoumarin isolated from *P. bromelioides*, and its cytotoxicity (2) and some immunoeffects on murine peritoneal macrophages (3, 4, 5). The results showed that the vioxanthin exhibited a strong activity against some gram-positive bacteria ($0.98\text{-}1.98 \mu\text{g/ml}$). On the other hand, this compound was inactive against *E. coli* at $500 \mu\text{g/ml}$. Viioxanthin demonstrated to be toxic to macrophages displaying cytotoxic index of $44.68 \pm 3.42 \mu\text{g/ml}$ and it is not been able to stimulate H_2O_2 and NO production by macrophages. The macrophages treated with $40 \mu\text{g/ml}$ of viioxanthin significantly increased TNF- α production ($323.9 \pm 94.0 \text{ U/ml}$).

Acknowledgements: FAPESP.

References: 1. Chand S. et al. (1994) J. Antibiotics. 47: 1295-304, modified. 2. Borenfreund E. & Puerner J.Á. (1985) Toxicol. Letters 24: 119-24. 3. Pick E. & Mizel D. (1981) J. Immunol. Methods 46: 211-26. 4. Green L.C. et al. (1982) Anal. Biochem, 126: 131-8. 5. Kirikae T. et al. (1996) Biochem. Biophys. Res. Commun. 227: 227-35.