Pharmacological in vivo test to evaluate the bioavailability of some St. John's wort innovative oral preparations

AR Bilia 1, MC Bergonzi 1, B Isacchi 1, N Galeotti 2, C Ghelardini 2, FF Vincieri 1

1 Department of Pharmaceutical Sciences, University of Florence, 50019 Sesto Fiorentino, Florence, Italy
2 Department of Preclinical & Clinical Pharmacology, University of Florence, 50139 Florence, Italy

Congress Abstract

Preparations based on extracts of St. John's wort are widely marketed for treating mild to moderately severe depressive disorders and other health conditions such as anxiety and sleep disorders [1]. Active principles are not yet discovered and flavonols, based on quercetin aglycone, naphthodianthrones (hypericin and pseudohypericin) and phloroglucinols such as hyperforin, adhyperforin seems to be related to this action. Thus, flavonols and naphthodianthrones are polyphenols, quite polar derivatives but their water solubility is very scarce; phloroglucinols are lipophilic and completely not water-soluble constituents. In addition, hypericins and hyperforins are not stable with regard to heat and light [2].

In this study the optimisation of technological and pharmaceutical aspects of dried commercial extract of St. John's wort were evaluated by the in vivo "Porsolt test". Solid dosage forms containing β-cyclodextrin and micellar systems (SDS, ASC-8) were compared in the "Porsolt test" with the extract alone. The extract showed the antidepressant activity in the mice after 60 minutes and with the dosage of 100mg/kg. The same antidepressant activity appeared in 30min with a micellar solution of SDS 40mM containing the same quantity of extract (100mg/kg), while with micelles of ASC-8 40 mM the effect appeared at 15min and with a dosage of 30mg/kg. In the case of colyophilized with β-cyclodextrin the best results were obtained at 30min, administering 60mg/kg of the extract.

Acknowledgements: The financial support of MIUR (PRIN 2004) and Ente Cassa di Risparmio di Firenze is gratefully acknowledged for financial support.