Study of *In Vitro* and *In Vivo* Extraction of Kavalactones of Pharmaceutical Form Containing Ground Plant Drug (*Piper methysticum* G. Forster)

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**SUMMARY.** An evaluation of the extraction of pharmacological markers (kavalactones) of the plant species *Piper methysticum* (kava-kava) was conducted. Capsules containing ground kava-kava were submitted to an *in vitro* method using a controlled dissolution system where the extractive mediums were a solution of 0.1M HCl, phosphate buffered solution (pH = 6.8) and distilled water, at 30 and 60 min, and *in vivo* that was based on the pylorus ligation method in rats. In the *in vitro* system starting from 6 capsules (3 g) containing the kava-kava powder, the following extractive concentrations of kavalactones were obtained: HCl (30 min.) = 0.93% (27.9 mg), HCl (60 min.) = 1.1% (33 mg), buff. (30 min) = 2.8% (84 mg), buff. (60 min.) = 0.7% (21 mg), water (30 min.) = 0.71% (21.3 mg) and water (60 min.) = 2.6% (78 mg), while in the *in vivo* method, 1 and 2 h after administration of 500 mg of the kava-kava powder through gavage, the extractive concentrations of total kavalactones were: 1h = 1.31% (6.55 mg) and 2h = 1.41 % (7.05 mg). In the *in vitro* system a slight difference was observed among the solutions, which were not statistically significant, and the same occurred with the *in vivo* experiment, although at the time of 2 h after administration it proved more effective in the extraction of kavalactones by the gastric juice, but below the dose recommended for therapeutic use.