ACRYLIC POLY ELECTROLYTES AS TASTE MASKING AGENTS IN ORAL SOLUTION DOSAGE FORMS

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INTRODUCTION
Masking of undesirable taste in oral pharmaceuticals is one of the key aspects for patient compliance, especially for the pediatric population. The most widely used approaches for taste masking are the addition of flavors or sweeteners (1), sometimes ineffective for very bitter compounds. Other approaches such as the use of lipophilic vehicles or coating with hydrophilic vehicles, could impair drug dissolution and bioavailability. One interesting technique is the use of polyelectrolytes to form ionic complexes. In this work we tested the feasibility of achieving taste masking of the model drug ranitidine by the use of acrylic anionic polymers belonging to the Eudragit family.

MATERIALS AND METHODS
Solutions of ranitidine and the acrylic polymers were prepared. Three factors were evaluated:
- The molar ratio polymer:ranitidine were varied between 1:1 and 30:1, at a fixed pH of 6.5 adjusted by means of NaCO\textsubscript{3}.
- The pH was varied between 6-9 at a fixed polymer:ranitidine ratio of 1:10.
- The use of Eudragit L100, L100-55 or S100.

A single blind study was designed for the taste masking test. Six volunteers participated in the test. They rated the bitter taste of the different formulations using a scale of 0–5. When the score was 1 or less, the taste was considered as acceptable. Scores above 1 were considered as unacceptable (2).

RESULTS
The higher the concentration of polymer employed, the lower the rate of bitterness determined by the taste panel. At ratios of 10:1 or higher the score was 0-1 for all the volunteers. Higher ratios did not improve taste masking and presented difficulty in the dissolution of the polymer. The order of effectiveness for the Eudragit polymers was L100-55≥S100>L100. For the formation of ionic complexes the polymer as well as the drug must present opposite charges; as a result, the optimum pH range was limited by the neutralization of the carboxylic groups generating an insoluble polymer at low pHs, and the pKa of the drug that turns ranitidine neutral at high pHs.

CONCLUSIONS
Acrylic polymers were effective in masking the unpleasant bitter taste of ranitidine. Unlike resins of drugs, ionic complexes with Eudragit are soluble in water allowing the formulation of solutions such as syrups, instead of suspensions. Besides the formation of the complexes, the rheological modification of the solutions caused by the presence of the polymer, could improve the masking effect. Studies by infrared spectroscopy and RMN will be presented at the RICiFa meeting.

REFERENCES

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