Microcin Compressed Tablets for Colon Delivery

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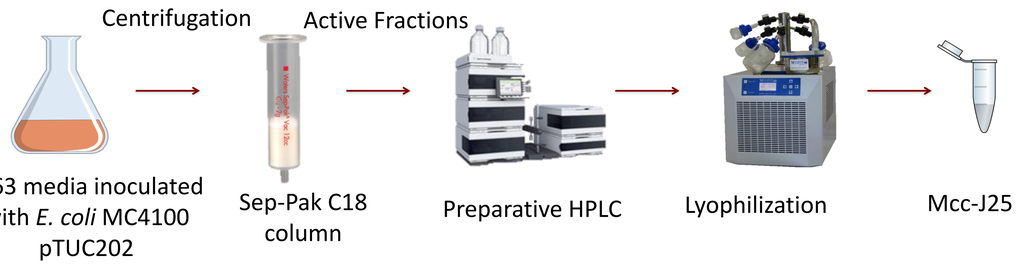
Introduction

Colonic drug delivery has gained increased interest in the delivery of drugs for the treatment of diseases located in the colon and also for the delivery of proteins and peptides that are sensitive to the enzymes and pH of the stomach and intestines[1]. Microcin MccJ25 is a stable anti-microbial peptide that is promising as an alternative to classic antibiotics[2]. On the other hand, delivery systems can bypass the undesirable conditions in the stomach and small intestine allowing the release in the colon. In this study, the potential of different compressed tablets encapsulating MccJ25 targeting the colon has been investigated for the first time under both simulated gastric fluid (SGF, pH 1.2) and simulated intestinal fluid (SIF, pH 6.8).

Methods

1) Large Scale preparation of microcin J25 (mcc-J25):



2. Preparation and compression of MccJ25 tablets

Five different tablet formulations were prepared from different polymers including:
1) Sodium alginate (SA) and hydroxypropyl methyl cellulose (HPMC), 2) pectin and HPMC, 3) pectin, polylactic acid (PLA) and HPMC, 4) Pectin and β-lactoglobulin and 5) Sodium alginate and β-lactoglobulin.

3. Compression of MccJ25 tablets

MccJ25 formulations were compressed using a Carver press equipped with 13mm diameter flat-faced punches (Autopellet Laboratory press, Carver Incorporation, Wabash, IN, USA).

3. Tablets characterization

Hardness & crushing strength & USP dissolution studies

Results & Discussion

Table 1: Physical properties of MccJ25 tablets

Matrix combination	Weight (mg)	Crushing Force (g)	Thickness (mm)
Sodium Alginate/HPMC	444 ± 4.2	9,806 ± 620	2.48 ±0.02
Pectin/HPMC	503 ± 7.8	16,560 ± 1,972	2.87 ±0.04
Pectin/HPMC/PLA	497 ± 7.2	15,603 ± 2,634	2.84 ±0.03
Pectin/β-lg	493 ± 8.5	15,568 ± 4,196	2.80 ±0.04
Sodium Alginate/ β-lg	500 ± 4.2	20,565 ± 2,368	2.77 ± 0.04

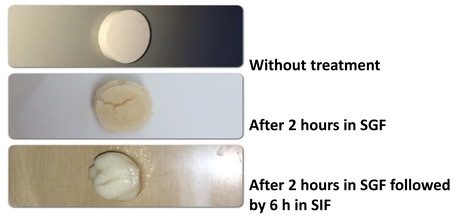


Figure 1: Appearance of Sodium alginate (SA)+ HPMC tablets.

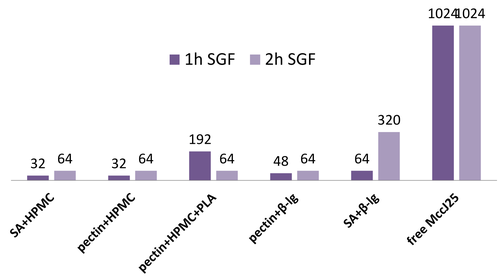


Figure 2: Anti-bacterial activity (AU/ml) in SGF at T= 1 h, 2h

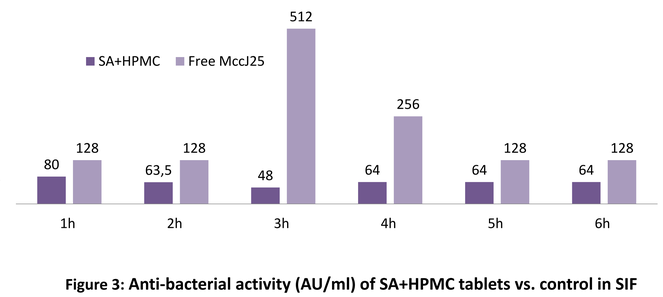


Figure 3: Anti-bacterial activity (AU/ml) of SA+HPMC tablets vs. control in SIF

Conclusion

Purifying MccJ25 in large scale was achieved. Five tablet formulations with different polymers combinations were investigated to develop a tablet formula as an anti-microbial peptide delivery system targeting colon. Tablet formula prepared from SA and HPMC in 80:20 ratio yielded the best results as they were able to retard the release of MccJ25 in the physiological environment of the stomach and the small intestine.

References

1. Pinto, J. F. (2010). Site-specific drug delivery systems within the gastro-intestinal tract: from the mouth to the colon. *Int. J. Pharma.* **395**, 1-2.
2. Hammami, R., Fernandez, B., Fliss, I., & Lacroix, C. (2013). Anti-infective properties of bacteriocins: An update. *Cell. Molec. Life Sci.* **70**, 2947-2967.

Acknowledgment

Novalait Catalyseur de recherche · Cascades · Groupe Sani Marc · La Coop fédérée · Olymel On nourrit le monde depuis 25 ans · Biena · Grizzly · CRIBIQ · STELA · INAF