Utilizing bilosomes for buccal peptide delivery

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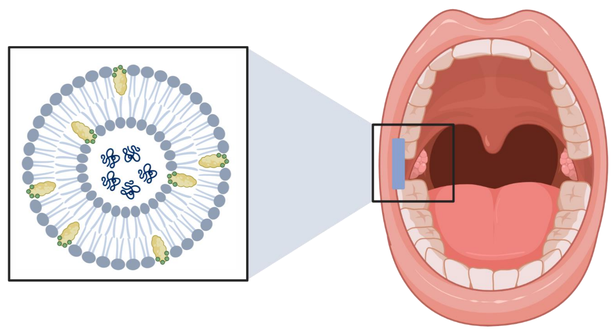
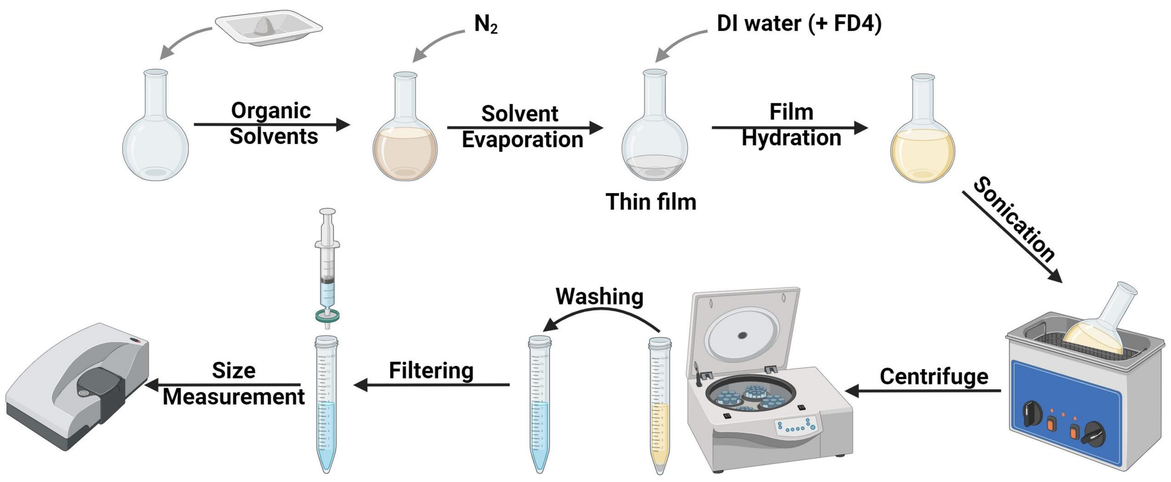
INTRODUCTION

- Therapeutic peptides face challenges in oral delivery due to degradation and poor absorption.
- Buccal drug administration bypasses degradation in the gastrointestinal tract and hepatic metabolism, improving patient compliance and enhancing peptide bioavailability.
- The study aims to develop bilosomes (vesicular delivery systems encapsulating drugs within bile salts) as carriers for peptides and explores the effects of different bile salts on particle properties and encapsulation efficiency.



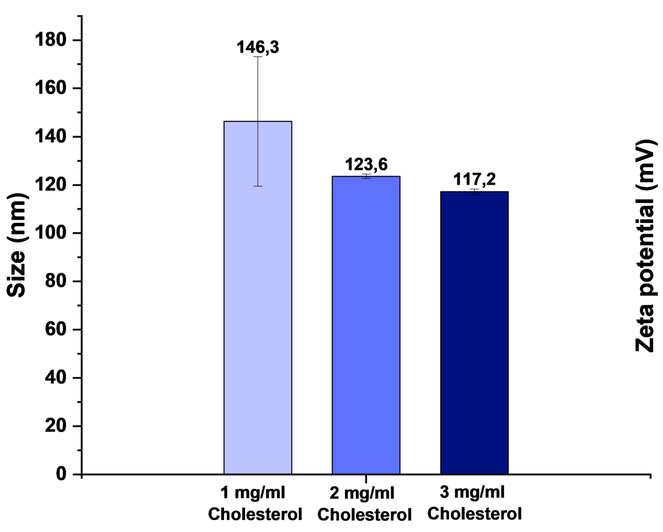
METHODS

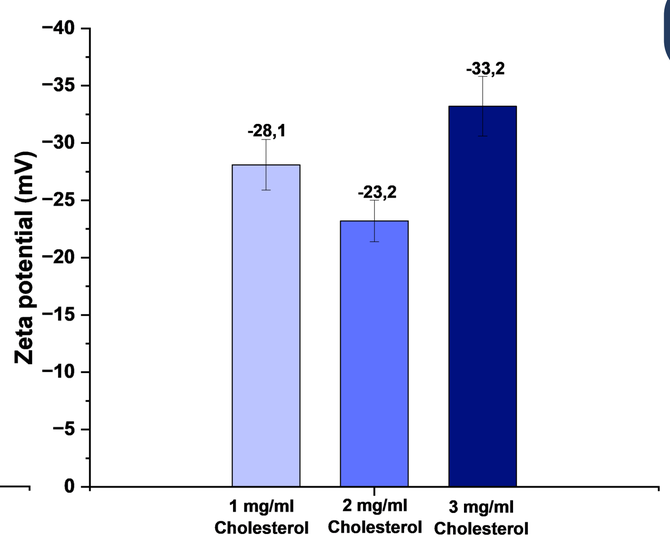
Bilosomes with bile salt 1 (BS1) or bile salt 2 (BS2) were synthesized using a thin film hydration method.



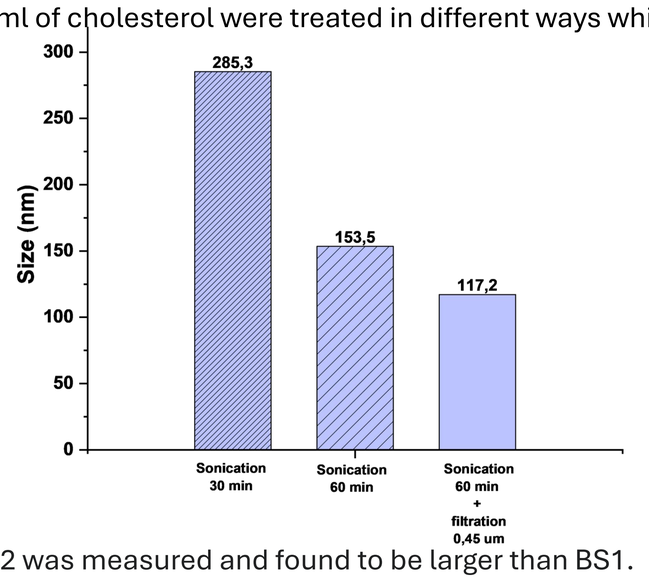
RESULTS

- The size of BS1 was measured, altering the concentration of cholesterol. Higher amounts led to smaller particles, with a lower polydispersity index (PDI).
- Fluorescein isothiocyanate–dextran 4000 (FD4) is a sugar molecule of similar molecular weight to many peptides and is used as a model for poorly permeable macromolecules, and as a model in this study.
- The encapsulation efficiency (EE%) was **53.40 ± 0.39 %** for BS1.

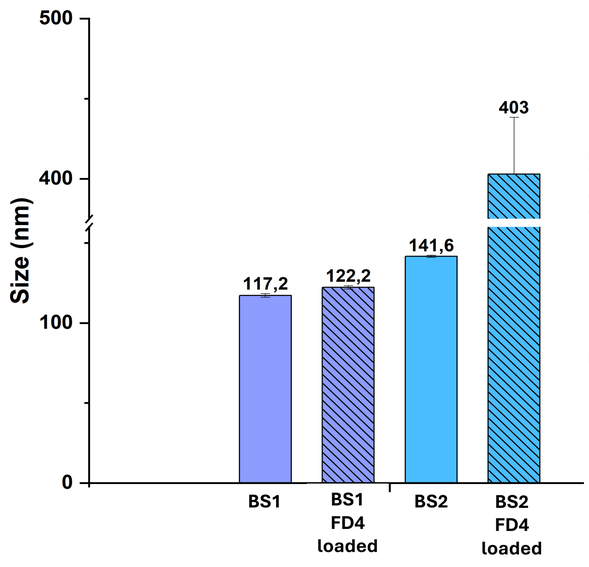


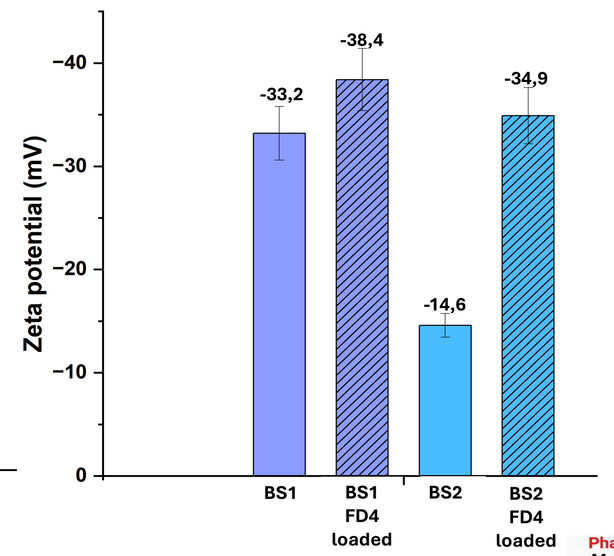


- BS1 with 3 mg/ml of cholesterol were treated in different ways which resulted to particles of different size.

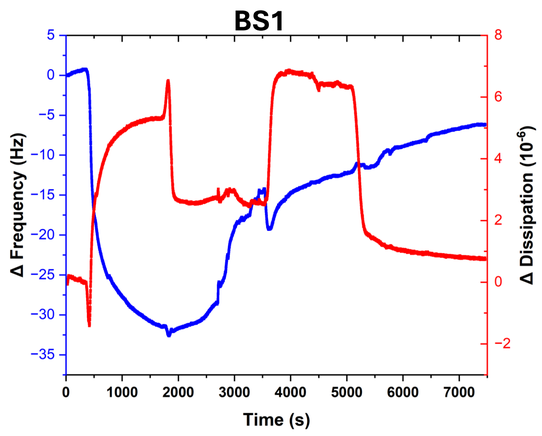


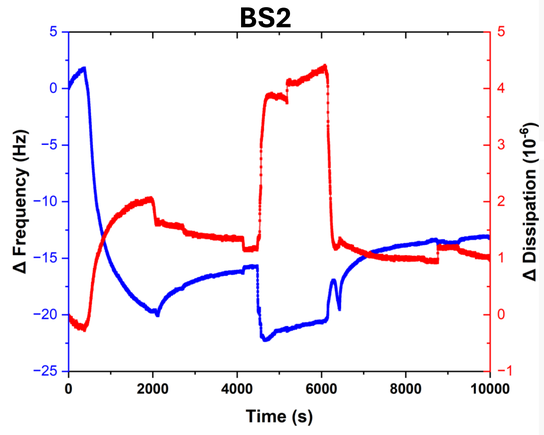
- The size of BS2 was measured and found to be larger than BS1.
- FD4 was used as well in this study and the encapsulation efficiency (EE%) was **46.90 ± 2.06 %** for BS2.

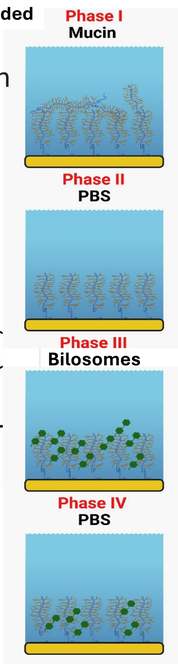




- Adhesion measurements between the bilosomes and porcine gastric mucin were performed using QCM-D.
- Mucoadhesion was observed only for BS1, shown by the change in frequency.







CONCLUSIONS

- Bilosomes with bile salt 1 (BS1) and bile salt 2 (BS2) were successfully synthesized using a thin film hydration method.
- BS1 were smaller in size than BS2, and with a higher encapsulation efficiency of FD4.
- BS1 exhibited mucoadhesive behavior, whereas BS2 did not.

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