A novel treatment tool for PLA-based encapsulation systems

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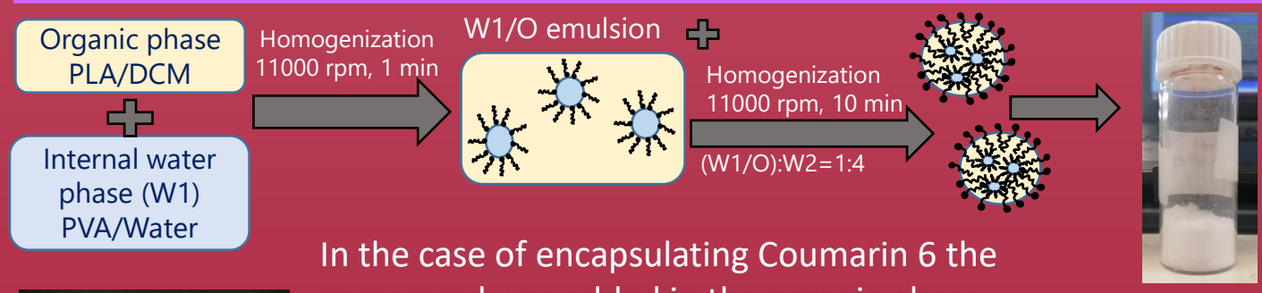
INTRODUCTION

Active compounds encapsulation in polymeric carriers is a technology widely used as it protects and improves the physical characteristics of the active compound and controls its delivery. The effectiveness of polymeric microcapsules (MCs) depends on the barrier properties of the polymeric shell which are correlated to its molecular weight (MW) and crystallinity (x_c). The aim of this study was to modify MCs MW and x_c *via* solid state polymerization (SSP). SSP is a polymerization technique which occurs in the amorphous regions of the polymer via heating at temperatures higher than the glass transition point (T_g), but lower than the onset of melting (T_m).

Poly(lactic acid) (PLA) was chosen as the polymeric carrier and coumarin 6 as the encapsulated compound. PLA is a biobased and biodegradable polymer widely used in drug loading systems, and coumarin 6 is a fluorescent hydrophobic drug that can be used as model compound.

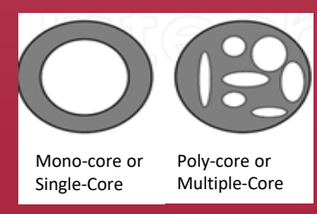
EXPERIMENTAL PROCEDURE



In the case of encapsulating Coumarin 6 the compound was added in the organic phase.

SSP was performed:

- Fix bed reactors
- At 130 °C for 0, 4, 16, 24 h
- Under Nitrogen Flow
- With a pre-crystallization step



RESULTS AND DISCUSSION

SSP Experiments

SSP effectiveness as a post-encapsulation tool was proven for blank PLA MCs of two molecular weights (MW= 50000 g mol^{-1} and 20000 g mol^{-1}).

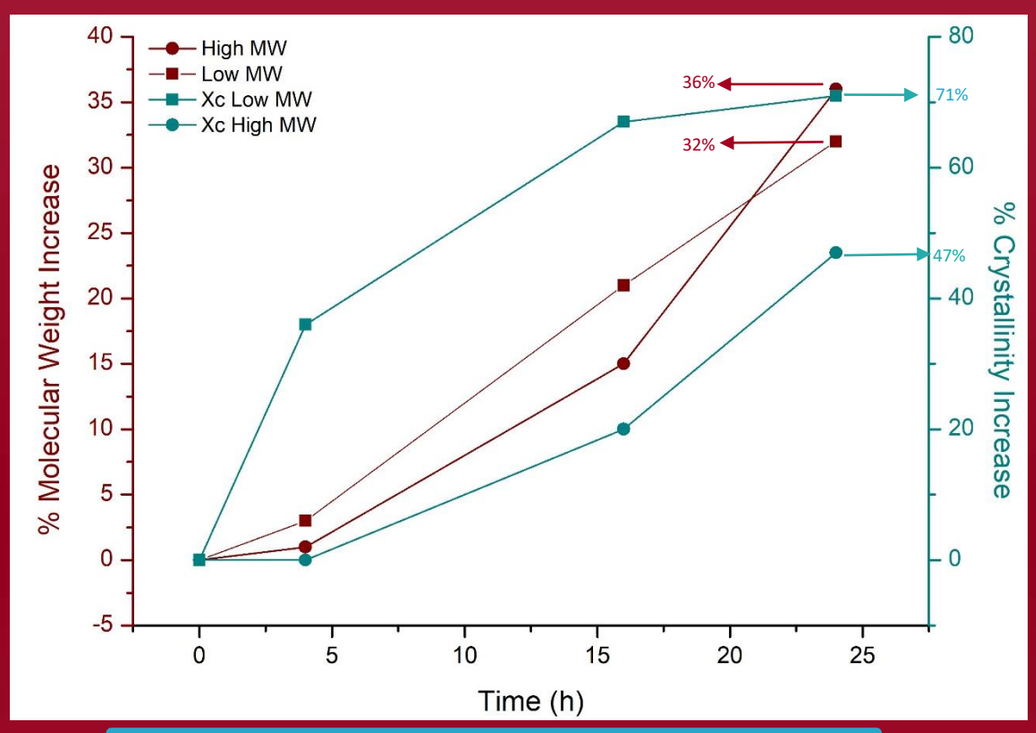


Figure 1: Molecular Weight and Crystallinity Increase During SSP

Solid state maintenance was evaluated by SEM analysis where no melting in the surface of the capsules was noted even after 24 h of post polymerization.

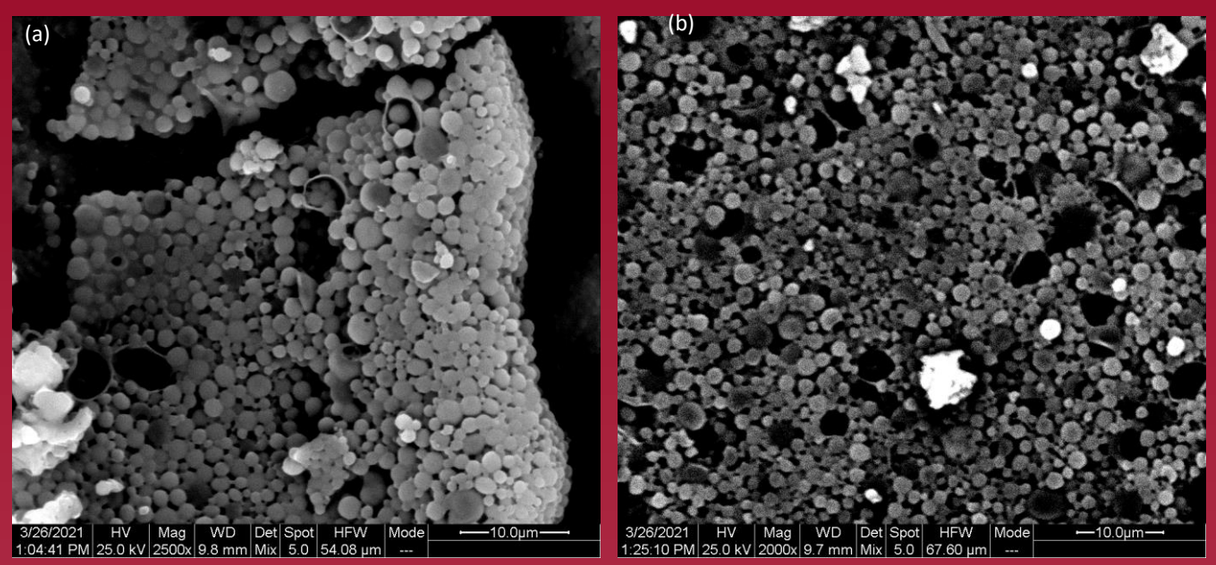


Figure 2: SEM analysis of the Low Molecular Weight (a) 0h of SSP (b) 24h of SSP

Coumarin 6 Encapsulation

Coumarin 6 was successfully encapsulated in PLA MCs of 20000 g mol^{-1} molecular weight with an encapsulation efficiency of 15% for a drug load of 10%.

Figure 3: Emulsion of Coumarin 6 loaded PLA MCs

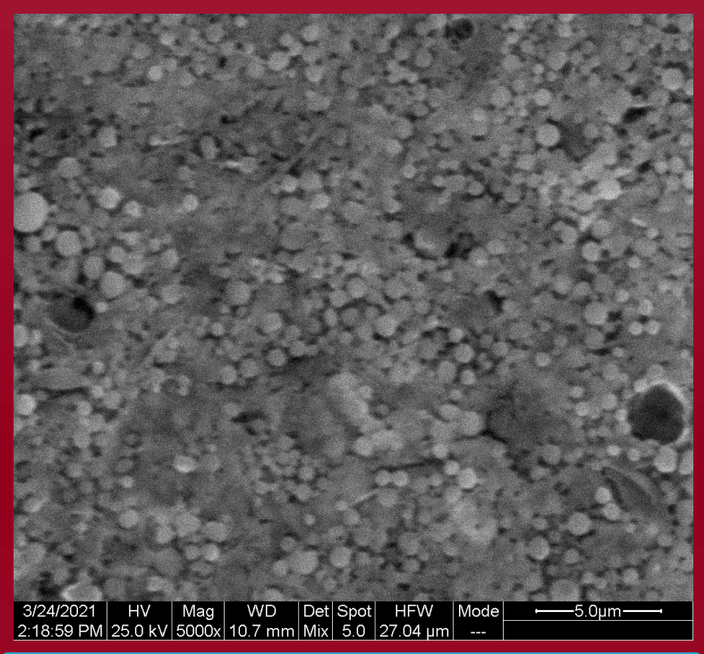


Figure 4: SEM analysis of PLA MCs loaded with Coumarin 6

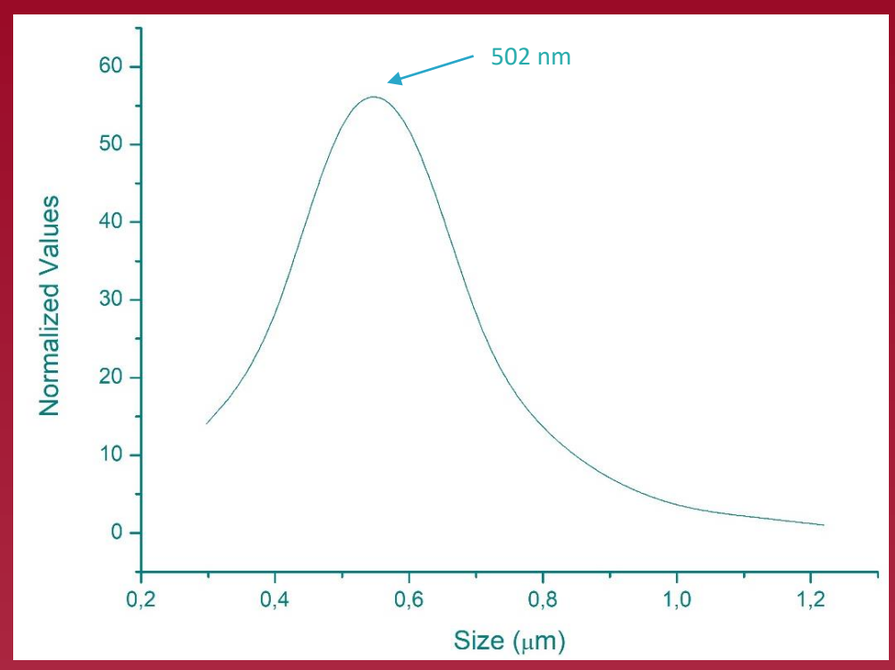


Figure 5: Size distribution of PLA MCs Loaded with Coumarin 6

CONCLUSIONS

Solid State Polymerization can be efficiently applied for the annealing of the polymeric shell of PLA micro-capsules.

Encapsulation of Coumarin 6 as an active compound in PLA is feasible but an alteration of the procedure is need in order to achieve higher encapsulation efficiency.

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Agknowlegment

Funding: This research is co-financed by Greece and the European Union (European Social Fund- ESF) through the Operational Programme «Human Resources Development, Education and Life-long Learning 2014- 2020» in the context of the project “Encapsulation of active compounds in polymeric microcapsules: Modifying properties via Solid State Polymerization” (MIS 5049531).

European Union
European Social Fund
Operational Programme
Human Resources Development, Education and Lifelong Learning
Co-financed by Greece and the European Union
ΕΣΠΑ 2014-2020
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