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Research paper

Supersaturated Silica-Lipid Hybrids (Super-SLH): An Improved Solid-State Lipid-Based Oral Drug Delivery System with Enhanced Drug Loading

Hayley B. Schultz, Nicky Thomas, Shasha Rao, Clive A. Prestidge

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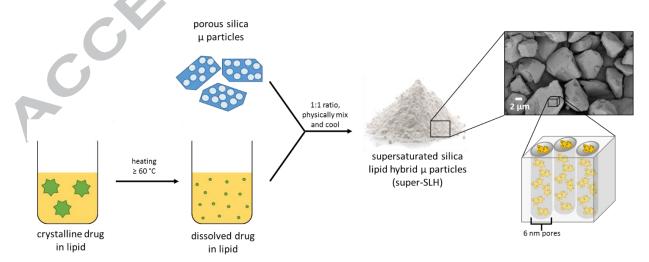
Hayley B. Schultz^{a,b}, Nicky Thomas^{a,b}, Shasha Rao^a and Clive A. Prestidge^{a,b*}

- ^a School of Pharmacy and Medical Sciences, University of South Australia, City East Campus, Adelaide, South Australia 5001, Australia
- ^b ARC Centre of Excellence in Convergent Bio-Nano Science & Technology
- *Corresponding Author Clive.Prestidge@unisa.edu.au

ABSTRACT:

The method of supersaturation for achieving high drug loads in lipid-based formulations is under exploited and relatively unexplored, especially in the case of solid-state lipid-based formulations. Silica-lipid hybrids are solid-state lipid-based formulations designed for improving the oral delivery of poorly water-soluble drugs. However, their application to compounds of low potency and requiring large doses is limited by their low drug loading capacity. Here, an innovative technique to fabricate supersaturated silica-lipid hybrid formulations (super-SLH) has been established and the relationship between drug load and performance investigated. Using the model poorly water-soluble drug, ibuprofen, super-SLH was fabricated possessing drug loads ranging from 8-44% w/w, i.e. greater than the previously developed standard ibuprofen silica-lipid hybrids (5.6% w/w). Drug crystallinity of the encapsulated ibuprofen ranged from non-crystalline to part-crystalline with an increase in drug load. Super-SLH achieved improved rates and extents of dissolution when compared to pure ibuprofen, regardless of the drug load. The percentage increase in dissolution extent at 60 min varied from 200-600%. The results of the current study indicate that supersaturation greatly improves drug loading and that 16-25 % w/w is the optimum loading level which retains optimal dissolution behaviour for the oral delivery of ibuprofen, which has the potential to be translated to other poorly water-soluble drugs.

GRAPHICAL ABSTRACT:



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