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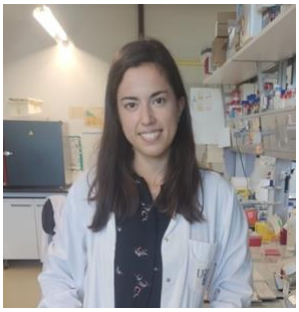
Pollen-based microcapsules for pulmonary delivery of anti-tuberculotic drugs



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Lung defense systems and mucociliary clearance pose a challenge to successful pulmonary delivery of antituberculosis drugs. To overcome these barriers, our group has developed pollen-based platforms, taking advantage of their special 3D structure which confers resistance and bioadhesion to mucosal surfaces.

Chamomile (Matricaria chamomilla) pollen grains were treated for the obtention of hollow sporopollenin microcapsules (1). Their in vitro distribution profile showed a mass aerodynamic diameter (MMAD) of 8 µm and a fine particle fraction (FPF) of around 30%. Stable and spherical 200 nm blank and 1, 2.5 and 5% rifabutin (RFB) loaded protamine nanocapsules (NCs) were prepared (2), achieving a RFB association of 54, 46 and 42%, respectively. Their diffusion in simulated lung media was progressive with a linear and sustained RFB-release pattern. RFB NCs were microencapsulated into chamomile sporopollenin microcapsules, obtaining an encapsulation efficiency and loading capacity >50%. Aerodynamic distribution showed a MMAD between 10-14 µm and a FPF within 17-24%.

Chamomile pollen microcapsules presented a natural microneedle-like design with a reasonable aerodynamic profile to reach alveolar macrophages. Further, the developed protamine nanocapsules revealed considerable entrapment efficiencies of lipophilic rifabutin and satisfactory physical and biological stability. The developed platform combined the benefits of nanotechnology and the capacity of pollen grains to be anchored to the mucosa for obtaining a multi-step delivery platform. Future studies will be carried out coating pollen grains with excipients to improve flow properties.

References

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