A simple and scalable method for the efficient remote loading of hydrophilic drugs into liposomes

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Background

The encapsulation of hydrophilic drugs in liposomes remains challenging due to multistep preparation procedures, low loading efficiency, and drug leakage. Although remote loading improves encapsulation efficiency and stability, its application is limited to amphipathic ionizable drugs.

We developed a novel remote loading procedure in liposomes that may be applied to a wide variety of hydrophilic drug molecules, including peptides and small proteins.

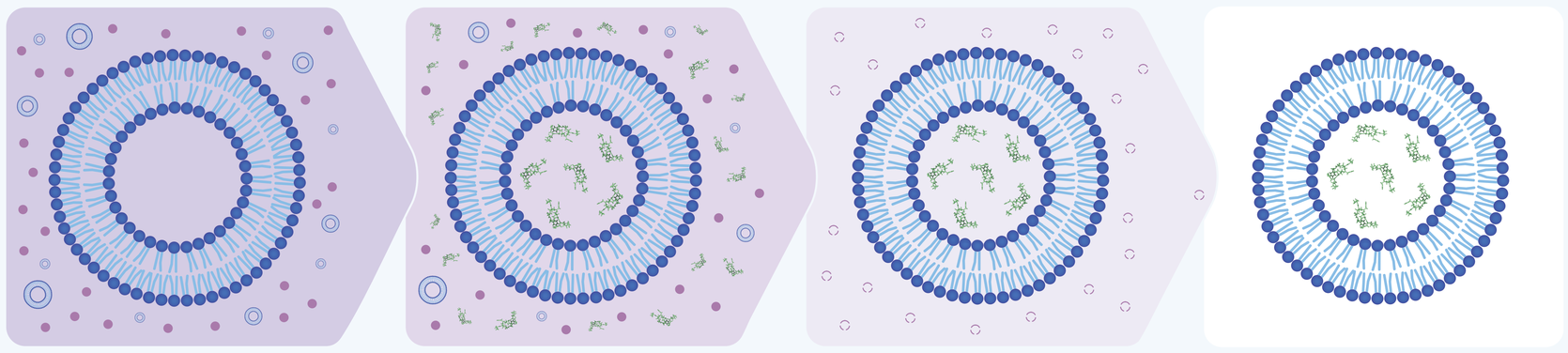
New remote loading strategy

1 Vesicle preparation
Preparation of bile-salt permeabilized liposomes

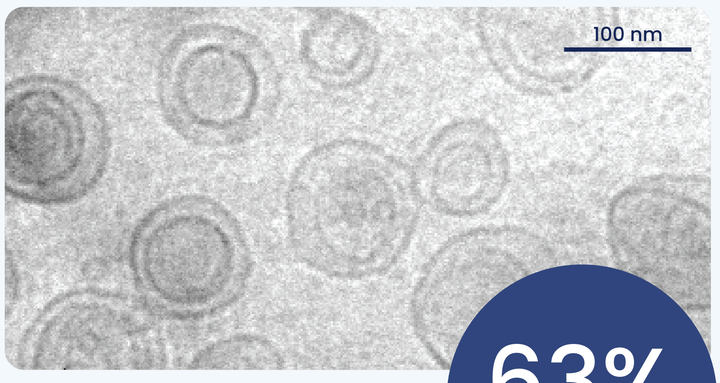
2 Drug loading
Addition of hydrophilic drug and incubation

3 Bile salt removal
Partial or complete removal of bile salt

4 Final liposomal formulation
Stable liposomes with high encapsulation efficiency

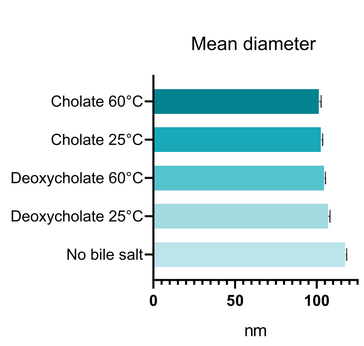


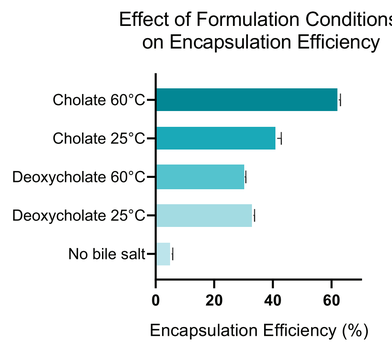
Cryo-TEM validation
Tecnai G2-12-FEI Spirit Biotwin 120 kV Microscopy Center (CM-UFMG)

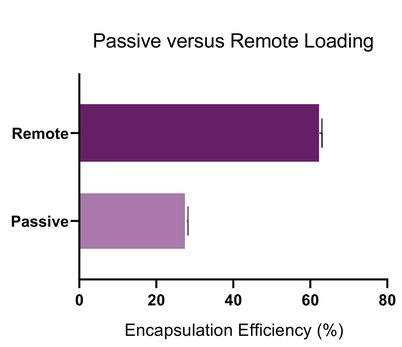


63%
Encapsulation Efficiency

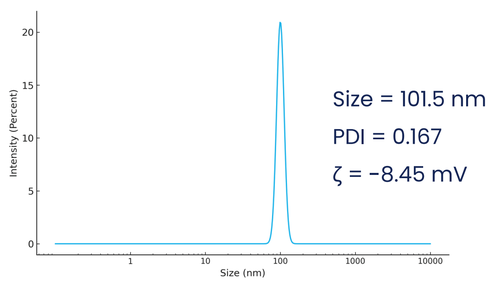
Optimization of formulation parameters

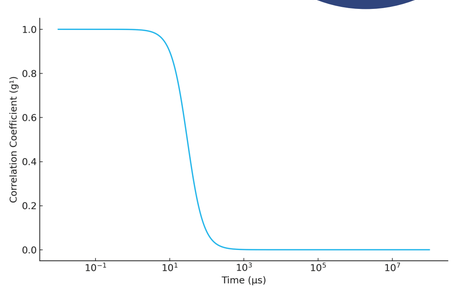






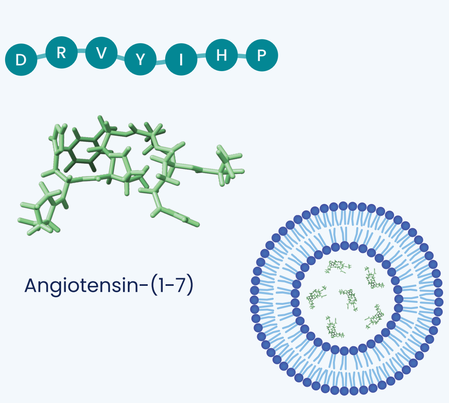
Our validated platform

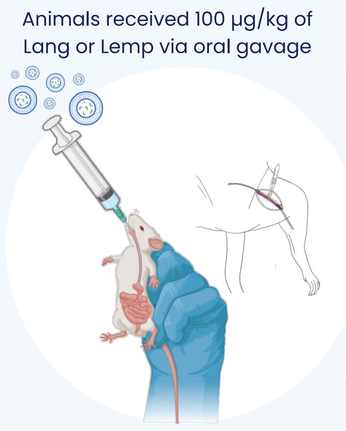


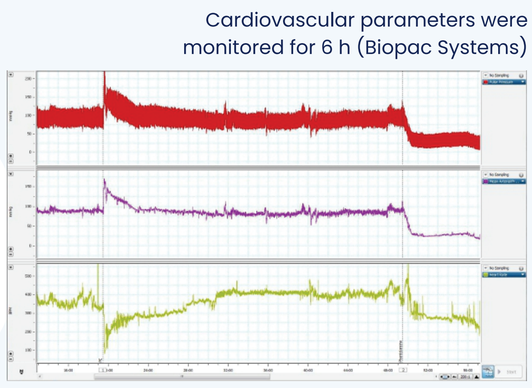


Proof of concept

Validation with Angiotensin-(1-7)







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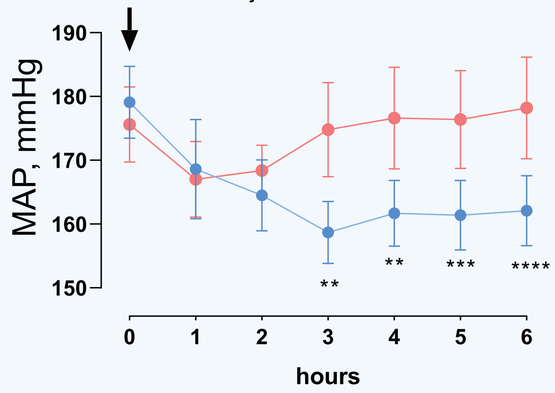

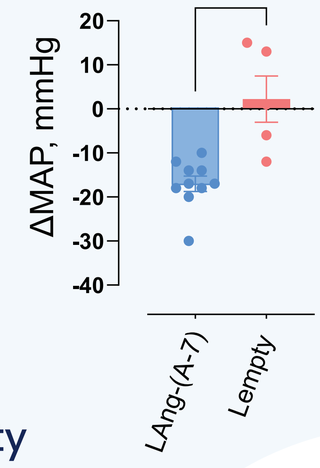

- Hydrophilic vasoactive peptide
- Challenging to encapsulate
- Requires protection proteolytic enzymes

Efficient oral delivery enabled in vivo antihypertensive activity

Impacts and perspectives

Our platform overcomes key limitations in liposomal loading, achieving encapsulation efficiencies up to **63%** for hydrophilic and non-ionizable compounds.

Scan for patent details

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