A novel pH-sensitive anti-inflammatory oral delivery system using electrospray/spin - A Chemical Investigation

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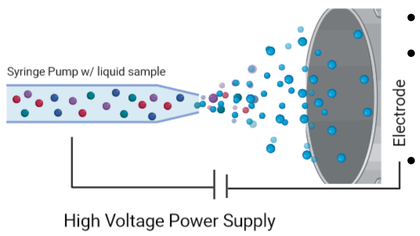
Abstract & Aims

1. Making the medication into an oral dosage form instead of intravenous
2. Use the electrospray instrumentation to make the polymers nanosized to increase the surface area of uniform release of the drug
3. Maintain site directed/sustained release of the drug-polymer complex (DPC) over an extended period of time

Methodology



Why nanofibers for delivery mode?

- *Increased surface area*
- *Introduce ideal physical properties for site-specific release*
- *Simple manufacturing*

How? → ELECTROSPRAY

Figure 1: SILS100-Electrofiber Complex, SEM

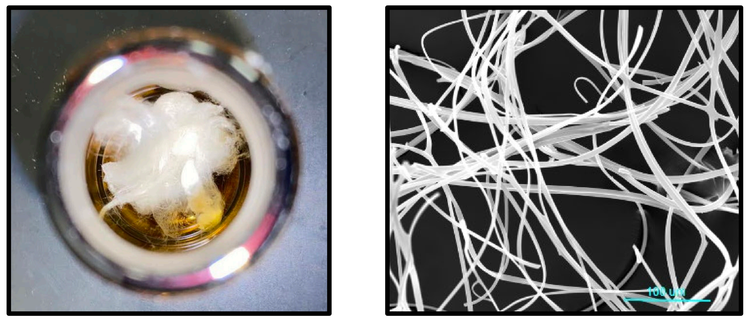
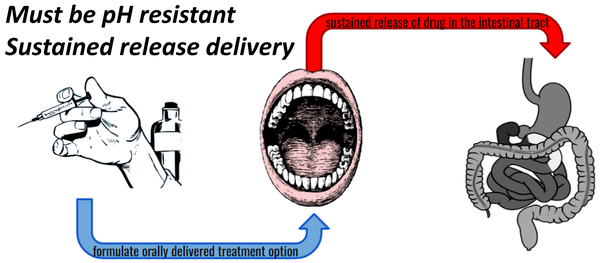


Figure 1 scanning electron microscopy (SEM) images depict a fiber diameter of 5-7 micrometers and fibrous entanglement of the SILS100-Electrofiber Complex.

Considerations for Oral Delivery Mechanisms:

1) ***Must be pH resistant***
2) ***Sustained release delivery***



Test Principle

Figure 2: FTIR Analysis - Integrity of DPC structure

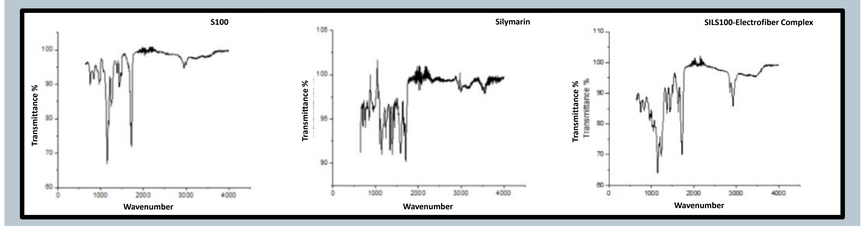


Figure 2 confirms that silymarin retains its chemical composition in the production process. Moreover, the electrospray procedure maintains the composition of the polymer, as evidenced by the similar peaks at these wave numbers for both the SILS-100 Electrofiber Complex and S100.

Figure 3: Assessment of DPC using SpinX Tubes

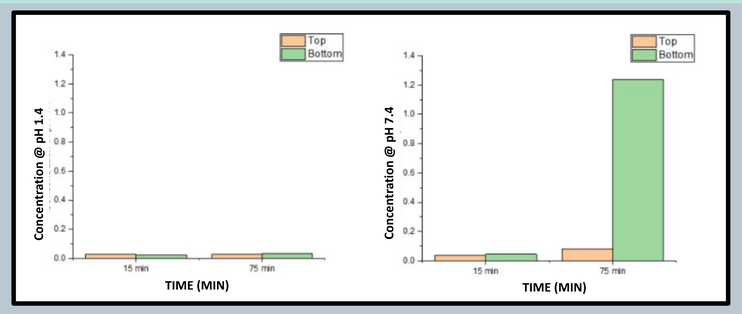


Figure 3 demonstrates that the SILS100-Electrofiber Complex exhibits a slow-release profile in acidic conditions (similar to the stomach) and a significantly higher release profile in pH conditions resembling those of the large intestine and colon. This highlights the targeted delivery capabilities of the SILS100-Electrofiber Complex in the large intestine and colon

Figure 4: pH-dependent drug release kinetics

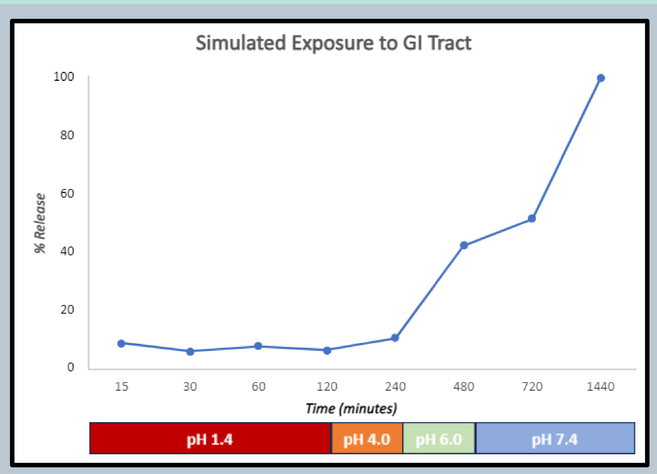


Figure 4 shows the release of the SILS100-Electrofiber Complex at various pHs. It is observed that the release of silymarin at pH 1.4 (SGF) was minimal, and at pH 4.0 was twice as much as at pH 1.4. The release of silymarin at pH 6 was 4x higher than pH 1.4, and at pH 7.4 (SIF), the release was observed 9x higher than pH 1.4.

Results and Discussion

Figure 5: Apparent solubility of SILS100-EC

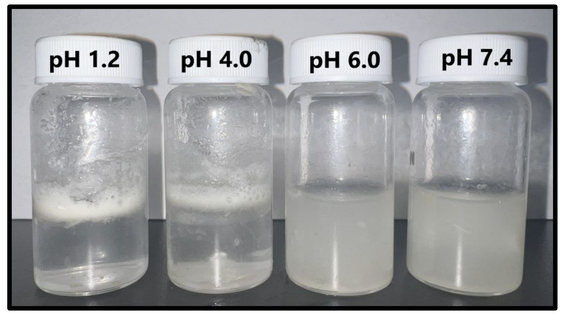
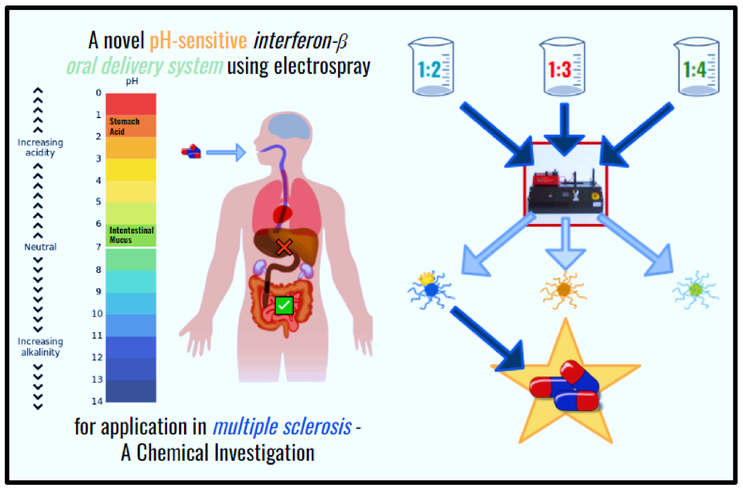


Figure 5 shows in pH 1.2 and 4.0, the SILS100-Electrofibers did not dissolve, but were rather pulled apart, causing the white film on top of the solution. Only at the higher physiological pH are the SILS100-Electrofibers soluble.

Future Directions

The aforementioned study provided the PI with the skills necessary to continue investigating the use of nonmaterial for applications in drug delivery. Experimentation has begun on the next project, supported by an Ignite Grant



This research will support current scientific efforts to identify a "best-practice" method for preventing the regression of multiple sclerosis.

Ignite 2023 Recipient

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