CASE STUDY

IamFluidics

Solubilization & long-acting release of curcumin

Key words: hydrophobic API, antioxidant, PLGA, long-acting injectable, microencapsulation, curcumin, solubilization.

MARKET NEED

Enhanced solubility and long-acting release of curcumin by microencapsulation in PLGA.

BACKGROUND

Microencapsulation of curcumin for long-acting injectable applications holds significant promise in revolutionizing drug delivery systems, particularly in the pharmaceutical field. Curcumin, a naturally occurring polyphenolic compound found in turmeric, boasts a plethora of therapeutic properties, including anti-inflammatory, antioxidant, and anticancer effects. However, its clinical translation has been hindered by challenges related to poor solubility, low bioavailability, and rapid degradation in physiological conditions.[1]

Microencapsulation offers a potential solution by entrapping curcumin within a protective matrix, shielding it from degradation while enhancing its solubility and stability. This approach extends the drug's release profile, ensuring sustained therapeutic effects over an extended period following injection. Furthermore, microencapsulation facilitates bio-availability and targeted delivery, allowing curcumin to reach specific sites of action within the body, thereby enhancing its efficacy while minimizing systemic side effects.

THE CHALLENGE

Despite its promise, the microencapsulation of curcumin for long-acting injectable applications faces significant challenges in the pharmaceutical field. One of the main hurdles lies in achieving optimal encapsulation efficiency and stability while maintaining microparticle quality and production scalability.

OUR SOLUTION

Our patented IN-AIR MICROFLUIDICS™ technology enables effective encapsulation of curcumin into high-quality monodispersed sub-100 µm bioresorbable injectable particles. Furthermore, the curcumin-loaded particles are characterized by a sustained release profile and maintained antioxidant activity, thereby empowering curcumin as long-acting injectable therapeutic agent.

COLLABORATION

In collaboration with Corbion, our preferred supplier for bioresorbable polymers.

Corbion

[1] https://www.cancer.gov/about-cancer/treatment/cam/hp/curcumin-pdq

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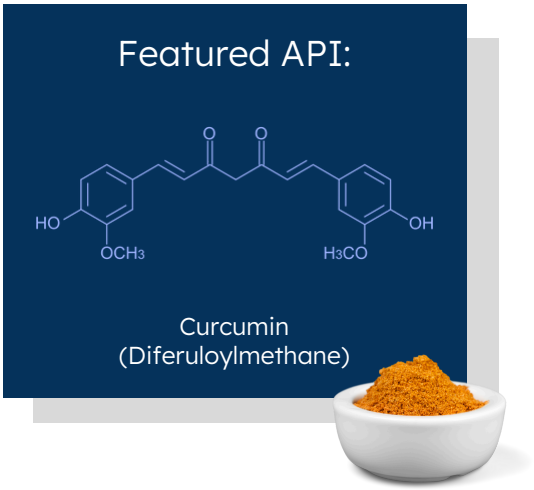
THE METHOD

Using IN-AIR MICROFLUIDICS™ (IAMF) we combined liquid jets containing (i) 7.5 g/l curcumin and 20 wt% poly(lactic-co-glycolic acid) (PLGA; Purasorb® PDLG 5002, Corbion) in ethyl acetate, and (ii) an aqueous solution as non-solvent. The formed dispersions were collected, dried, and analyzed using brightfield microscopy. Dried particles were subjected to a rapid release test in DMSO, or sustained release medium consisting of phosphate-buffered saline with 0.02% (v/v) Tween 20 and 0.02% (w/v) sodium azide under continuous mixing at 37 °C. API content and anti-oxidant activity was measured using a DPPH-assay and UV/VIS.

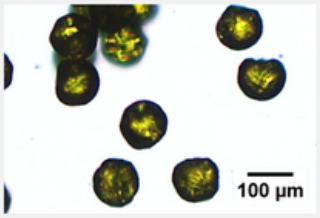


THE RESULTS

Encapsulation

We used IAMF to produce a free-flowing powder of monodispersed (i.e., CV<5%) matrix-type PLGA microparticles that were efficiently loaded with curcumin.

Size (µm)	104.6 ± 4.1
DL (%)	3.7 ± 0.1
EE (%)	72.8 ± 2.4

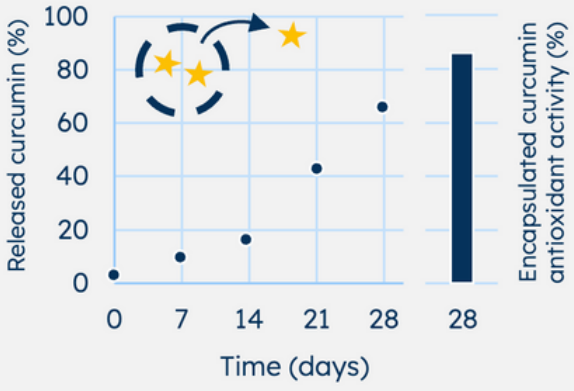


Solubilization

The encapsulated curcumin was significantly easier to disperse in a water-based solution as compared to 'free' curcumin, which indicated the potential to enhance solubilization of hydrophobic APIs into aqueous formulations through microencapsulation.

Release and activity

Furthermore, incubating the curcumin-loaded PLGA particles in release medium showed a sustained delivery of curcumin to the supernatant over the course of at least 4 weeks. More than 80% of the encapsulated (i.e., non-released) curcumin was still active after 4 weeks of incubation under challenging physiological conditions as measured using an antioxidant assay, corroborating the long-acting nature of the API-loaded microparticles.



IN CONCLUSION

We successfully demonstrated the application of IAMF for stable encapsulation, solubilization, long-acting release of curcumin as hydrophobic model API.

Learn more at: **www.IamFluidics.com**

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