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SUCCESS STORY

Long-Acting Peptide Formulation Advanced from Formulation Optimization to Phase I.

⚠ Problem

A partner developing a long-acting peptide therapy for a rare autoimmune disease faced significant formulation and scalability challenges that threatened progress toward clinical development.

Existing formulation approaches failed to meet loading, release, and manufacturability requirements needed for IND-enabling studies and clinical supply.

Solution

Phosphorex re-engineered the partner's formulation, resolving critical technical limitations and establishing a robust, scalable process. The optimized system achieved high peptide loading and encapsulation efficiency with minimal burst release.

Phosphorex successfully scaled the formulation and process to 300g scale, produced GLP preclinical batches to support IND-enabling studies, and executed seamless tech transfer to a GMP manufacturing site.

Outcome

In addition to the scaling accomplishments, we achieved a one-month release rate, 40% peptide loading, and 95% encapsulation efficiency.

Clinical-grade material was manufactured and released, enabling initiation of a Phase I clinical trial and positioning the program for continued clinical advancement.

At Phosphorex, we are committed to helping our partners navigate the complexities of precision drug delivery and advance their programs with confidence. We adapt to your modality, delivery target, and mission, bringing together comprehensive expertise through our Drug Delivery Engine to support every stage of development.

With payload-agnostic, non-viral delivery capabilities, deep experience, and an adaptive approach, we move at the pace of your program; iterating, refining, and aligning as needed. Through close collaboration and clear communication, we serve as a true extension of your team, focused on delivering meaningful progress.

Let's Solve Your Delivery Challenge ›

Particles Engineered for Drug Delivery

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Behind the Solution

Our partner needed a sustained-release subcutaneous formulation as part of a lifecycle management strategy for an existing daily injectable. As their competitors cut dosing frequency to biweekly, weekly, and monthly schedules, our client needed to develop a long-acting alternative to stay competitive. However, their attempts when working with other CDMOs failed to hit the drug loading target, largely due to the highly hydrophilic nature of the API.

We were hired to assess the feasibility of a sustained-release PLGA microsphere formulation capable of delivering the desired drug loading and release profile for once-monthly administration. Early feasibility work moved quickly, and we achieved peptide loadings exceeding 30%, high encapsulation efficiencies, and initial burst release below 5% by evaluating solid-in-oil-in-water (S/O/W), oil-in-water (O/W), and water-in-oil-in-water (W/O/W) emulsion systems alongside careful selection of PLGA polymer properties and systematic optimization of aqueous phase composition, pH, and osmolality.

Our team developed both real-time and accelerated *in vitro* release methods to support formulation optimization and product characterization, calibrating them to generate profiles predictive of *in vivo* performance in non-human primates (NHP). The relationship between microsphere attributes and release performance was characterized using laser diffraction, scanning electron microscopy (SEM), and Raman spectroscopy, which allowed us to identify critical quality attributes for the drug product.

Therapeutic administration performance was assessed using syringability, injectability, and deliverable-dose studies. We optimized aqueous and lipid-based diluents to maximize API dose within the target injection volume and evaluated multiple needle gauges and wall configurations to ensure reliable delivery without clogging or excessive injection force.

Phosphorex then developed a scalable manufacturing process and produced material for two NHP pharmacokinetic studies, which showed strong dose-response relationships and reproducible PK profiles. The partner advanced the lead formulation into clinical development, and we successfully scaled to Phase I clinical manufacturing before transferring the technology to a GMP CDMO, where the clinical batch was produced.

Theresa Logan

Head of Laboratory Operations

Theresa has over ten years of experience in formulation and process development of particulate-based drug delivery systems, project management, and laboratory operations.

> This program was essential to our client's ability to stay competitive in the market. Successfully formulating, then scaling a process that accomplished their objectives was incredibly rewarding.