

Excipient-Free Lyophilization of Aqueous Polymer Micelle Suspensions

Next-gen CDK2/CDK12 inhibitors with nanomolar potency for cancer, inflammation, and neurology.

Researchers at Purdue University have developed an excipient (cryoprotectants)-free method of lyophilization of aqueous polymer micelle suspensions. Lyophilization is a pharmaceutical manufacturing method that provides drugs with improved shelf lives and reconstitution characteristics. Excipients like binders and glidants are typically added to the active pharmaceutical ingredient to improve formulation or release properties of the drug, and cryoprotectants may be added for lyophilized drug forms. However, excipients can be determinantal to the pharmacological properties of a drug formulation in certain cases. In a formulation of polymer lung surfactants (PLS), excipients negatively impact the air-water surface-mechanical properties of the formulation. The Purdue researchers' method allows excipient-free production of a PLS comprised of poly(ethylene glycol) (PEG)-based block copolymer micelles, which can be used to treat acute respiratory distress syndrome (ARDS) and other respiratory problems. The Purdue researchers' method allows use of lyophilization for production of PLS, providing shelf-stable, easily reconstituted, and effective drugs.

Technology Validation: In vivo therapeutic efficacy of a lung surfactant (in improving the compliance of injured lungs) correlates with the high-surface-pressure-generating (surface-tension-reducing) capability of the surfactant. For this reason, the initial screening of candidate lung surfactant materials can be conveniently accomplished with in vitro evaluation of the surface pressure-area (π -A) isotherms of the materials. The researchers have confirmed that the surface pressure and also nanostructural characteristics of PLS are unchanged after the lyophilization treatment.

Related Publications: Seyoung Kim, Daniel J. Fesenmeier, Sungwan Park, Sandra E. Torregrosa-Allen, Bennett D. Elzey, and You-Yeon Won.

"Pulmonary Pharmacokinetics of Polymer Lung Surfactants Following Pharyngeal Administration in Mice", *Biomacromolecules* 23(6), 2471-2484,

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Category

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& Development

Pharmaceuticals/Pharmaceutical
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2022 (DOI: 10.1021/acs.biomac.2c00221).

H. C. Kim, M. V. Suresh, V. V. Singh, D. Q. Arick, D. A. Machado-Aranda, K. Raghavendran, Y.-Y. Won, "Polymer Lung Surfactants", ACS Applied Bio Materials 1(3), 581-592, 2018 (DOI: 10.1021/acsabm.8b00061).

Advantages:

- Drug can be administered as dry powder or liquid formulation for aerosolized delivery
- High shelf-life
- Low surface tension at the air-water interface that helps maintain the surfactant property of PLS
- Low/no toxicity

Applications:

- Manufacturing of drugs for treating acute respiratory distress syndrome (ARDS)
- Possible treatment for acute lung injury (ALI) and neonatal respiratory distress syndrome (NRDS)

TRL: Pharmaceuticals

Intellectual Property:

Provisional-Patent, 2022-10-05, United States

PCT-Patent, 2023-10-04, WO

NATL-Patent, 2025-04-04, United States

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