

SPRAY-DRIED GLUCAN-PARTICLE COMPOSITES

CHALLENGE

About 90% of drugs in the discovery pipeline and more than 40% with market approval are insoluble or poorly soluble in water, constituting one of the major challenges that the pharmaceutical industry faces. Poor solubility of drugs, and their associated low dissolution rate in aqueous gastrointestinal fluids, is one of the most frequent causes of low bioavailability, mainly in the case of oral dosage forms, which are the most commonly employed and convenient route of administration.

DESCRIPTION

Yeast-derived beta glucan particles are hollow and porous microspheres obtained from the cell wall of *Saccharomyces cerevisiae* (baker's yeast). These yeast glucan particles are used as carriers for the encapsulation and amorphization of insoluble or poorly-water soluble drugs. Amorphous formulations exhibit faster dissolution rates, and consequently, enhanced drug bioavailability. The composites are prepared by spray drying, which is also advantageous as described next.

Advantages of the composites are:

- It is possible to produce completely amorphous composites with high encapsulation efficiency, and exhibiting faster dissolution kinetics.
- The composites can exhibit different crystallinity degrees depending on the spray drying method and, consequently, dissolution kinetics can be controlled.
- The method of preparation is advantageous since spray drying is an easily scalable and widely used technique and promotes the improvement of the composite's properties (e.g. dispersibility).
- The composites exhibit particle size favorable for phagocytosis, unlike beta glucans spray dried from water.
- The composites exhibit better dispersibility, which contributes to better dissolution kinetics, and makes it suitable also for formulations of different forms such as gels and creams.
- The composites exhibit better flowability, which allows for easier processability with the use of fewer excipients. This leads to lower manufacturing cost (formulation versatility).
- It is possible to load 2 or more different active compounds as well as create composites using different solvents and combinations of them.

COMMERCIAL OPPORTUNITY

We developed spray-dried glucan-particle composites, containing poorly soluble drugs that show improved properties, such as faster dissolution kinetics, improved dispersibility and flowability. So far we have tested glucan-particle composites with ibuprofen, curcumin, atorvastatin, diploacene, artemisinin, morusin, epigallocatechin gallate, resveratrol, acetylsalicylic acid, nilotinib, ellagic acid, acetyl-boswellic acid and amlodipine. Currently, we look for a business partner, who might be interested in the collaborative commercial exploitation of the assay.

IP PROTECTION STATUS

CZE patent application filed 2019, granted 05/2020,
PCT application filed 2020

DEVELOPMENT STATUS

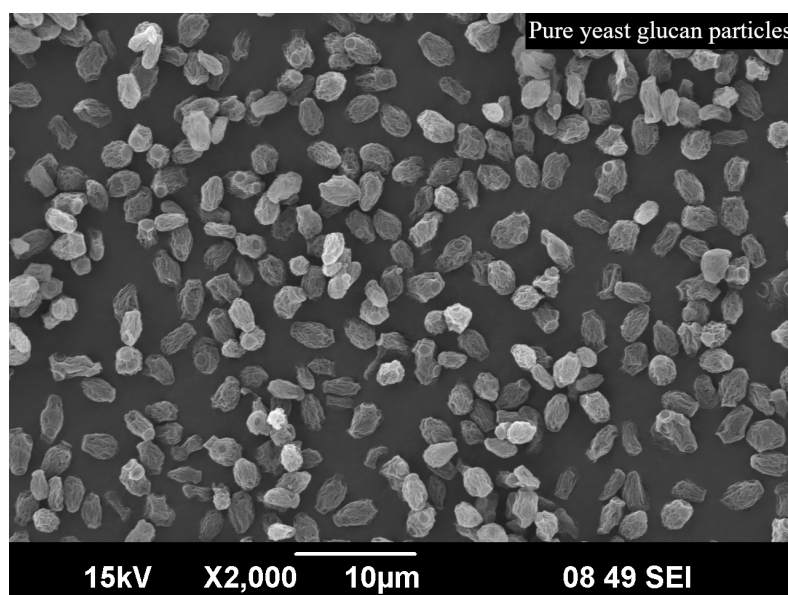
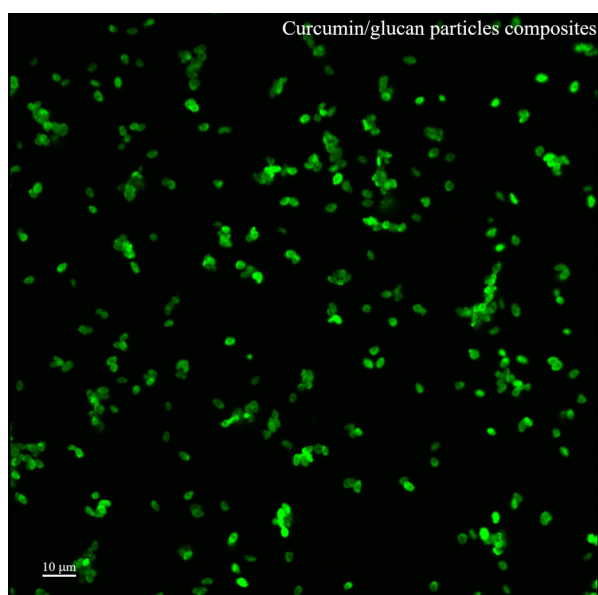
Phase 2

Corresponds with TRL 3 and TRL 4

Feasibility study. There is a realistic design of the technology and the initial tests in the laboratory are leading to the specification of the technology requirements and its capabilities.

- **TRL 3** represents a complete idea of a technology with the design of concrete steps, tests and experiments that will need to be carried out to verify that the idea is realistic
- **TRL 4** is a verification of functionality in the laboratory. The result of TRL 4 is the so-called Proof-of-Concept. Technology is therefore designed and tested for its functionality under limited conditions

IMAGES



MORE INFORMATION

Ruphuy G., Saloň I., Tomas J., Šalamúnová P., Hanuš J., Štěpánek F., “Encapsulation of poorly soluble drugs in yeast glucan particles by spray drying improves dispersion and dissolution properties”, Int. J. Pharm. 576, 118990 (2020)