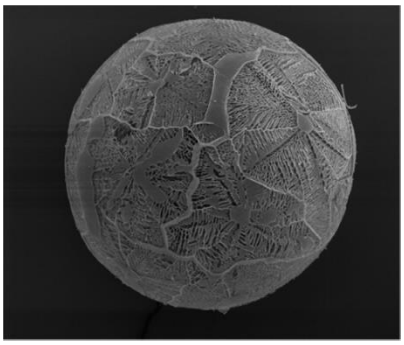
Spray freeze-drying

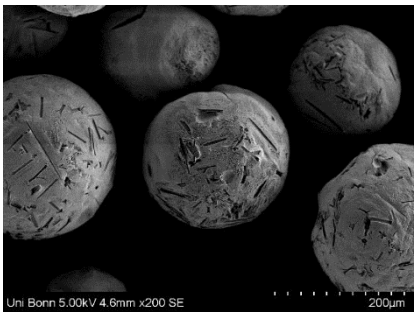
A process for the spray freeze-drying of lipophilic compounds

Invention

Spray freeze-drying combines the advantageous properties of the well-established techniques spray drying and freeze-drying. Thermolabile materials are dried gently due to the low temperatures, and at the same time porous, spherical microparticles with good flow properties can be produced. However, spray freeze-drying of lipophilic and poorly water-soluble compounds remains a challenge in the preparation of spray freeze-dried pharmaceutical particles. Lyophilizates produced by a classical process are often ground to powder which in turn do not exhibit good flow properties. Therefore, there is still interest in improved processes for spray freeze-drying of active pharmaceutical ingredients, in particular lipophilic compounds.



Scanning electron microscope images of a spray-freeze dried particle containing a model protein drug and mannitol as stabilizer.



Particles prepared with a non-aqueous spray freeze-drying technique containing celecoxib as pharmacological active.

Commercial Opportunities

The inventors of the present invention developed protocols for the spray freeze-drying of comparatively lipophilic compounds like Celecoxib, Fenofibrat, Chloramphenicol or Clotrimazol. The powder obtained by this method of spray freeze-drying is characterized by high volume, stability and sphericity of the compound particles. Therefore, the powders obtained by the process exhibit an excellent flowability and the high porosity allows for immediate dissolution when coming in contact with water. The actives are preferentially present in the spray freeze dried particles in their respective amorphous form which further increases the dissolution and can provide increased oral bioavailability.

A particular aspect of the invention is that the compound may be spray freeze-dried using simple solvent systems even without stabilizers. Yet, stabilizer our cryo-protectants may be added to the solvent system.

Besides, the adjustable low density combined with the very high sphericity is a major advantage for processing and application of the compound powder in the formulation of drugs and allows a variety of uses, such a bulk ware of actives, but also leads to the use of flowable lyophilizates applicable for drug delivery to the nose or to the deep lung.

Current Status

The invention is offered for further co-development and licensing.

Relevant Publications

Lucas et al., in preparation

An invention of University of Bonn.

Competitive Advantages

- Versatile Spray freeze-drying of lipophilic and hydrophilic compounds
- Powder of high sphericity with adjustable particle density in view of mechanical requirements of a powder mixture
- Excellent flowability at an adjustable particle size, suitable for bulk material of actives

Technology Readiness Level

1 2 3 **4** 5 6 7 8 9

Technology validated in lab

Industries

- Pharma

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