Nanosized Crystalline and Amorphous APIs in Porous Excipient Materials
Technology #16528

Applications

The inventors have developed a method to obtain nanosized crystalline or amorphous active pharmaceutical ingredients (APIs) in a controlled solid state form in porous excipient materials. This technology is suitable to develop drug products with enhanced solubility and dissolution rates for improved bioavailability. This invention has the potential to bring new drug discoveries to market that would have otherwise been lost due to poor aqueous solubility or bioavailability.

Problem Addressed

Current formulations that attempt to improve the solubility rate or bioavailability of pharmaceutical compounds often use cosolvents or high surfactant concentrations with potential adverse side effects such as system toxicity. The formulation of lipophilic drugs using mixed micelles to produce microemulsions also requires use of high concentrations of surfactant. The Inventors circumvent these elaborate and more costly formulations with a simple method of formulating APIs directly within the nanosized pores of biocompatible materials, eliminating the need for additives and surfactants while still improving bioavailability.

Technology

Nanosized particles have significantly greater solubility and larger surface area compared to bulk-sized particles (>2 micron) and consequently exhibit increased solubility and dissolution rates. The Inventors leverage this property, directly impregnating API molecules within the nanosized pores of the excipient material to increase the solubility of the APIs while also eliminating the need for cosolvants or additional excipient materials, as the particles are contained directly within the nanopores of the formulating material. These API molecules are then induced to form a solid, resulting in the generation of nanosized crystalline or amorphous APIs confined within the pores of the material of interest.

The API is typically dissolved into an appropriate solvent generating a solution and the solution is then placed in contact with the porous material. The solution is allowed to impregnate the pores of the excipient material by an equilibration/diffusion process. The solution is removed from the surface of the particles by washing. The solution remaining in the pores is then brought into conditions of supersaturation via cooling, anti-solvent addition or evaporation in order to induce crystallization of the API confined within the pores of the material.

Advantages

- Potential to generate revenues from new drugs otherwise lost due to poor bioavailability
- Avoids use of cosolvents and other additives with potential adverse/toxic reactions
- Nanosized particles exhibit significantly improved solubility and dissolution rates
Method of crystallization under confinement may yield new solid forms (polymorphs) with greater intrinsic solubility that can be patented for exclusive use.

Categories For This Invention:
- Life Sciences
- Chemicals

Intellectual Property:
Porous materials containing compounds including pharmaceutically active species
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Inventors:
- Allan Myerson
- Samir Kulkarni
- Marcus O'Mahony
- Leia Dwyer
- Ben Yang

Publications:
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External Links:
- Myerson Research Group
  http://web.mit.edu/myersongroup/index.html

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