

252c Encapsulation of Fine Pharmaceuticals by an All-Dry Coating Process

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Current technologies to encapsulate pharmaceutical particles, such as the Würster spray coating process, rely on putting down a layer of the coating material solution followed by evaporation of the solvent. These methods, however, suffer from agglomeration of the pharmaceutical particles due to strong liquid surface tension forces during the drying out of the coating solution. As a result, particles less than 100 μm in size cannot be coated effectively without resulting in severe particle binding, which prevents complete and uniform coating coverage of each particle, and destroys any advantage of enhanced surface area with smaller particles. Various methods have been proposed to overcome this size limitation, most focus on using colloidal solutions or supercritical carbon dioxide as solvent systems to reduce liquid binding forces.

We propose a completely dry coating process that circumvents the particle size barrier by forming the coating material on the surface of each particle directly from the vapor phase. This process, termed iCVD or initiated chemical vapor deposition, relies on the polymerization of activated monomer and initiator vapors adsorbed directly onto a particle surface that is kept relatively cold. Without utilizing any liquid phase or heating of the particles, iCVD is ideal in encapsulating fine pharmaceutical particles with polymer materials. Optical microscopy, SEM and TEM images show that iCVD conformally coats fine particles below 100 μm in size and down to the nanoscale dimension without particle agglomeration, and with tunable coating thicknesses that range from 10^1 - 10^3 nm. FTIR, XPS and NMR spectra reveal that the polymer materials made through iCVD are identical to bulk materials made by conventional means, including the methacrylic acid copolymers commonly applied as enteric release coatings (e.g., Eudragit[®]) for pharmaceuticals. Release studies of model drug systems confirm the enteric function of the iCVD coatings.

However, the utility of iCVD lies in its ability to impart intelligent materials design. With its direct surface polymerization methodology, iCVD is able to add desired functionalities to the coating material simply by selecting the appropriate monomer chemical functionalities, functionalities that can further be modified to attach bioactive ligands. Kinetic studies indicate that iCVD follows simple, well-defined rules, yielding predictable behavior that makes such design implementation possible and facile. By using an active functionality, such as a glycidyl group, ligands can be attached to the coating. Ultimately, we envision iCVD to be a powerful tool for the pharmaceutical industry in the design and application of smart encapsulation coatings.