Pharmaceutical P.I.N. POINTS
Patent Innovation News

A number of patents are issued every month to pharmaceutical companies. The purpose of this column is to highlight and summarize key patents issued in the last quarter by the US Patent Office (www.uspto.gov).

Harshada Sant, MS
and Hemant N. Joshi, PhD, MBA

Physically/Molecularly Distributed and/or Chemically Bound Medicaments in Empty, Hard Capsule Shells.

Hemant N. Joshi;
US Patent # 8,728,521.
May 20, 2014.

Delivering drugs via capsules is one of the most commonly used drug delivery system (DDS). Drug granules/powder/pellets are filled in empty capsule shells. This patent proposes to load the drug in a capsule matrix during the manufacture of empty capsule shells. The drug can be distributed physically or bound chemically in the capsule shell matrix. These drug-loaded empty capsule shells are then filled with granules/powder/pellets of another drug. Thus, one can develop a combination DDS but prevent drug-drug interaction in the dosage form.

Water-soluble Carbon Nanotube Compositions for Drug Delivery and Medicinal Applications.

J.M. Tour et al;
US Patent # 8,784,866.
July 22, 2014.

Many of the drug molecules are hydrophobic and this property poses difficulties in developing aqueous-based injectable formulations. Various cosolvents and surfactants are used in such cases. Functionalized carbon nanotubes are used in this patent to make them water soluble. Such carbon nanotubes contain solubilizing groups, and tissue-targeting moieties. The patent describes an extended release formulation of paclitaxel using functionalized carbon nanotubes.

Oral Insulin Therapies and Protocol.

Ehud Arbit, Michael Goldberg, and Shingai Majuru;
US Patent # 8,729,016.
May 20, 2014.

This patent covers an insulin treatment to patients with impaired glucose tolerance or a treatment to patients with early stage or late stage type 2 diabetes. The insulin regimen provides a rapid insulin peak followed by a longer duration peak at a later time. The method provides an improved glycemic control and lowers the risk of hypoglycemia and weight gain. The intermediate- or long-acting-insulin is administered once daily either orally or subcutaneously. The inventors used 4-[(4-chloro, 2-hydroxybenzoyl) amino] butanoic acid as a delivery agent.

Diltiazem Controlled Release Formulation and Method of Manufacture.

Xiu Xiu Cheng, Xiaohong Qi, Guohua Zhang, and Manesh Dixit; US Patent # 8,778,395. July 15, 2014.

The invention describes a modified-release formulation of diltiazem. The product is administered before bedtime and the maximum drug concentration is achieved in the early morning hours. The formulation contains a plurality of diltiazem pellets and a gel-forming material such as polyethylene oxide. The extended release diltiazem pellets consisted of a core comprising diltiazem and an extended release coating showing different dissolution profiles such as 0 to 30% is released after 2 hours; 30% to 85% is released after 8 hours; and not less than 70% is released after 20 hours.

Process for the Preparation of a Medicament Comprising Vardenafil Hydrochloride Trihydrate.

Yogesh S. Deshpande, Sandra Brueck, Julia Schulze Nahrup, Birgit Schnitter, Ganesh Gat, and Javed Hussain; US Patent # 8,772,292. June 8, 2014

Vardenafil trihydrate is not stable during the manufacturing and coating of tablets. A loss of hydrate leads to irregular distribution of hydrate in the tablets. Hence the tablets are required to be treated with atmospheric moisture several hours after film coating. This increases the total time for preparation of a batch. The patent describes a process of preparation of vardenafil hydrochloride trihydrate solid dosage form at a temperature from ~20°C to ~45°C. The relative humidity during this process is between 30% and 90%. This process helps to avoid the loss of hydrate and the additional rehydration step can be omitted.

Spray Dried Compositions and Methods of Use.

Bobby Gene Poe III and Jared Randall Huisinga; US Patent # 8,753,643. June 17, 2014.

This spray drying technique is used to convert soluble polypeptide to an insoluble form after its incorporation in particles using a spray drying process. The particle containing a biocompatible degradable matrix such as alginates, may include a polysaccharide, which is insoluble. The polypeptides are comprised of a microbial outer membrane polypeptide and a microbial porin polypeptide. The method helps to store immunologically active antigens in a solid dosage form. The immunogenicity of the polypeptides present in the particles is greater than the immunogenicity of the same polypeptides that are not present in the particles.

Silk Nanospheres and Microspheres; Methods of Making Same.

Xiaoqin Wang and David L. Kaplan; US Patent # 8,715,740. May 6, 2014.

This patent describes a method to prepare nanoparticle or micro particles of silk. It involves dissolving a silk/polyvinyl alcohol (PVA) blend film in water and removing at least a portion of the PVA, thereby forming silk spheres having a size in a range of nanometers to micrometers. Various types of active drug moieties can be incorporated in these porous nanoparticles of silk. One of the claims describes stretching the silk/PVA blend film before dissolving it in water, which helps to form spindle-shaped silk spheres.