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Melt Granulation as a Modern Technological Procedure

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The majority of active agents used in medicinal therapy belong to category BCS II, which means that they have poor dissolution and good absorption properties, thus their absorption can be controlled and promoted first of all with various formulation technologies. Melt granulation, which is a thermomechanical technology, is used more and more frequently for the formulation of these poorly soluble active agents.

It can be considered as a possible technological operation only if the active agent(s) and excipients to be used are not heat-sensitive, and if the binding material has a solid state at room temperature but can be melted between about 30-90 °C. During the operation the active agent is either melted or is aggregated with the melt of the excipient.

Our aim was to create an excipient system with melt granulation the thermoanalytical and physical properties of which correspond to the values required for further processing (tableting, encapsulation), and in which active agents belonging to category BCS II can also be processed well.

Melt granulation was performed with the hydrophilic Gelucire 44/14 (Gattefossé) lipid system, Mg-Al-silicate (Neusilin US2, Fuji Chem. Ind.) was used as a vehicle. Granulates were made with ProCepT high sheer granulator. The particle size distribution and the sphericity of the granulates was examined with Camsizer (Retsch Technology), their flowability with Erweka GT, the physical parameters of the tablets (breaking hardness, friability, disintegration) with Pharmatest equipment, while the dissolution studies were made with a Hanson apparatus. The changes in the thermoanalytical properties of the granulates were followed with DSC and TG (Perkin Elmer). A drug reducing appetite was used as an active agent.

During our work we were successful in formulating melt granulates which contained a sufficient quantity of adsorbed lipids to enhance the solubility of BCS II active agents and also had appropriate physical properties necessary for tableting.

It was found that the yield of the granulate increases with the increase in the concentration of Gelucire, in addition to which its density also increases and its thermal stability improves.