Importance of thermal analysis in pharmaceutical technology

Géza Regdon jr.[1]

[1]*University of Szeged, Department of Pharmaceutical Technology*
H-6720 Szeged, Eötvös u. 6., Hungary
Email: geza.regdon@pharm.u-szeged.hu

Dosage forms are essentially complex systems carrying active agents. These systems are indispensable to successful medicinal treatment as active agents – with a few exceptions – cannot be used or administered directly into the organism.

Physics, physical-chemistry and colloid chemistry have a prominent role in the scientific bases of the formulation of dosage forms. When dosage forms are planned, the physical properties and the physical-chemical characteristics of the active agents have to be taken as the starting point, and the carrier system which is the most suitable for the application of the active agent has to be created with the consideration of the so-called pre-formulation work.

The thermal investigation of components is used for the formulation of dosage forms, thus thermal investigation of active ingredients and excipients (melting point, decomposition processes, interactions, polymorphic modifications, verification of the amorphous form, determination of the degree of crystallinity, etc.)

Besides studying individual components, it is equally important to know the thermal behaviour of the intermediate products produced from them, as during drug formulation they are exposed to a heat effect for shorter or longer periods, thus it is essential to be familiar with these.

Polymorphism is of great importance in pharmaceutical industry because it basically determines solubility and the rate of dissolution, chemical and physical stability. For this reason polymorphism influences the absorption and biological effect of the preparation, the processibility of the base material. Recently, in addition to polymorphous modifications, the role of amorphous products has also received great attention. An extremely promising way of improving the quality of pharmaceutical base materials in terms of physical-chemistry is the transformation of crystalline materials into an amorphous form, with all the advantages and disadvantages of the amorphous state.

In addition to material characterization, in most of the cases the thermal behaviour of binary, or rather complex systems has to be observed. The formation of cyclodextrin complexes can actually be considered as the formation of a special binary system. In the case of drugs with poor solubility, particularly great benefits can be derived from the formation of special complexes, which help to improve solubility significantly, and thereby the considerable increase of the bioavailability of the active agent can be achieved.

The examination of the thermal behaviour of complex drug systems is a particularly exciting area. Various methods of thermal analysis can be used for investigating not only semi-solid dosage forms (ointments, suppositories) but also various solid systems (pellets, granules, tablets), although coupled techniques and other investigation methods are often needed, too [1].

Macromolecules are drug components which are used frequently and for different purposes, a major field of their use in solid dosage forms is the production of film coatings, where e.g. it is essential to know the glass transition temperature of the film-forming polymer. Moreover, the examination of their thermal behavior also provides information about the structural changes occurring during storage, which ultimately lead to the change in the release of the active agent, and thereby to the modification of the effect.

[1] G. Regdon jr.: The Role of Thermal Investigations in Drug Formulation (2011) 219-235, In: D. Lőrinczy: Thermal Analysis in Medical Application. Akadémiai Kiadó, Budapest, Hungary, 2011.