



Use of TMA for the Characterization of Pharmaceutical Coatings

W.J. Sichina

Problem

A thermal analytical scientist working for a pharmaceutical R&D center has a need to characterize the properties of the outer coatings as applied to tablets. The outer coating serves a number of purposes:

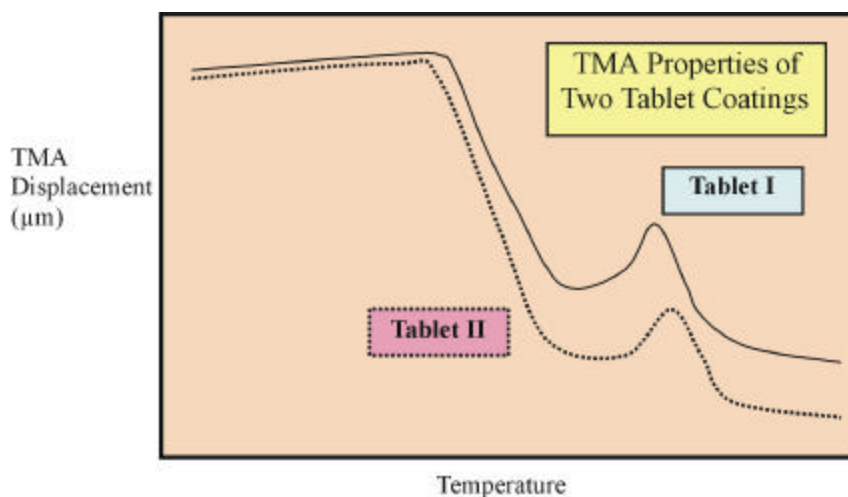
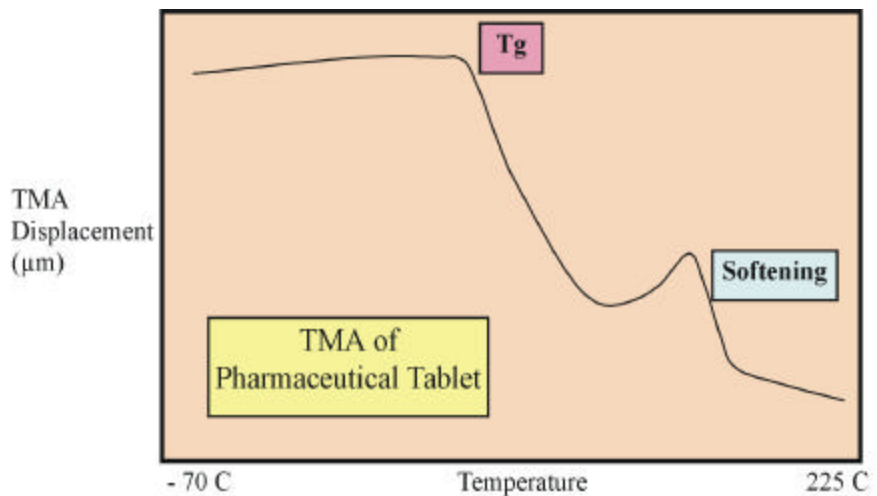
- protects the tablet from damage
- helps to maintain shape of tablet
- functions as time-release agent

The coating can be specially formulated to regulate how fast a tablet and its contained active drug(s) will dissolve or be absorbed into the body after ingestion.

Many factors can affect the end use properties of pharmaceutical tablets such as chemical composition, processing, drying time and thickness. It is desired to have an easy to use, but yet sensitive technique for the characterization of the properties of tablets.

Solution

Thermomechanical analysis (TMA) is a very powerful tool for the characterization of tablet coatings given its high sensitivity to detect small dimensional changes. It can be particularly helpful for the measurement of the glass transition (T_g) temperature of the coating as well as its softening and melting temperatures. These particular quantities are very important in



determining end use properties such as the time release characteristics of the tablet. TMA is also ideally suited for performing quality

assurance or uniformity monitoring of the coating process.

The PerkinElmer TMA 7 provides an ideal means of characterizing the properties of tablet coatings as the

instrument offers the following features:

- high sensitivity
- large number of test probes and configurations
- large dimensional travel
- ease of use with Pyris Software for Windows
- electronic sample force loading

The properties of tablet coatings are best analyzed using the TMA quartz penetration probe. The probe gently pushes through the coating under the application of a low loading force while heating. The tablet is carefully cut with a knife so that it can be inserted into the TMA stage. The probe is placed in contact with the tablet and the specimen is cooled to approximately -50 C. The tablet is then heated at a rate of 5 C/min from -50 to 250 C under a loading of 5 g force.

The figure above represents the dimensional data obtained from a pharmaceutical tablet with a 10 percent coating weight.

In the TMA results shown above, the tablet and coating undergo a gradual expansion with increasing temperature up to approximately 50 C where the probe then moves down through the coating as it passes

through its Tg. At 150 C, the movement of the probe through the coating ceases and the tablet undergoes some expansion before exhibiting a rapid penetration of the probe due to additional softening of the coating. The temperature at which Tg occurs and the thickness of the coating (total change in TMA displacement) are related to the end use characteristics of the tablet coating.

A second tablet, with similar coating chemistry but a greater thickness, was analyzed using the TMA. A comparison of the TMA displacements for the two tablets is represented in the following figure.

These TMA results were contrary to what was expected as it was anticipated that tablet II, with its heavier weight coating, would yield a higher Tg. The thicker coated tablet, with its higher Tg, would then provide a slower release of the active ingredient, which was desired. However, the TMA data revealed that the thicker coating actually had a lower Tg.

Further investigation of the processing conditions used to generate tablets I and II showed that the conditions were identical, including the drying times. The particular coating formulation

undergoes crosslinking as it dries and the Tg of the coating is a direct function of the degree of crosslinking achieved by the coating. It was found that the drying time for the heavier coating on tablet II was not sufficient to drive off all of the water and that the time needed to be significantly extended. It was observed that increasing the drying time of the heavier coating on tablet II increased the Tg since more crosslinking occurred. The heavier coating weight coupled with its higher Tg then provided the desired longer release time associated with active ingredient contained in the tablet.

Summary

TMA provides valuable characterization information on the end use properties of the pharmaceutical tablet coatings. The TMA measurements provides data on the Tg, crosslink density and dimensional properties of the coatings which are related to important end use characteristics such as the time release of the tablet and active ingredients. The PerkinElmer TMA 7 provides a sensitive tool for the measurement of the thin coatings.



Visit our website at www.perkinelmer.com.

PerkinElmer Instruments
761 Main Avenue
Norwalk, CT 06859-0010 USA
Tel: 800-762-4000 or
(1+) 203-762-4000
Fax: (1+) 203-762-4228

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