A Novel Method for Measuring the Swelling Effect of Disintegrants in Tablets and its Swelling Speed

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INTRODUCTION

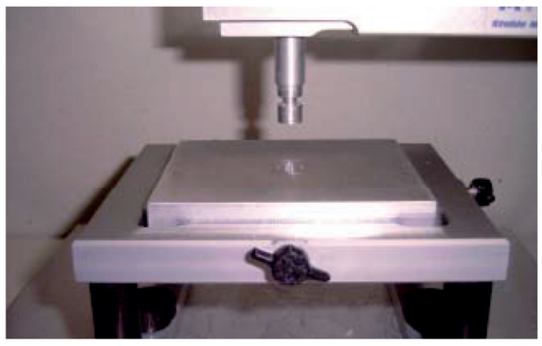
Tablet disintegration is a crucial requirement for its practical value. The usual pharmacopeial methods for tablet disintegration give only limited information about the action and the efficacy of different disintegrants. A complementary test has been developed for a better understanding of the action of disintegrants.

MATERIALS & METHODS

MATERIALS: Commercial excipients Dicalcium phosphate dihydrate (Emcompress®, JRS, Germany), commercial pea starch, potato starch, maize starch (Roquette Frères, France), Cross linked, PVP, (Kollidon® CL, BASF, Germany) and Magnesium stearate (Bärlocher GmbH, Germany) where used without further purifi cation. All excipients conform to the Pharm. Eur. monographs.

TABLETING AND TABLET ANALYSIS: Dicalcium phosphate with 5% of the selected disintegrant and 0.5% Magnesium stearate as lubricant were first blended for 2 min. in a Turbula mixer (Type T2C, Willy A. Bachofen AG, Switzerland). Bilpane tablets (diameter 10 mm) were produced on a pneumo-hydraulic press FlexiTab® 2080 (Röltgen GmbH, Solingen, Germany). The default compression force was 15 kN. Immediately after the compression process, the crushing strength of the produced tablets was in the range from 110-125 N (TBH 210WTD Erweka GmbH, Germany). The disintegration time according to the Pharm. Eur. methods was calcaluted as average of 8 measurements (Erweka ZT 72, Erweka GmbH, Germany).

TABLET DISINTEGRATION: A novel method, based on a commercially available texture analyzer TA HDi (Winopal GmbH, Germany), has been developed. The texture analyzer is equipped with a specific punch-die-combination. For injection of water the die (diameter: 11 mm, depth: 13 mm) is enlarged at two opposite positions with small 3 mm semicircled drills, the punch (Ø 10,2 mm) is perforated with three 1 mm drill holes for air evacuation. The design of this device allows easy wetting of the tablet while the tablet is held in the die by the punch. The displacement of the punch during the swelling process is recorded. Since the punch is in permanent contact with the tablet surface, the measurements become reproducible and significant. During the test, a 10 mm biplane tablet is fixed by the punch in the die with a constant force of 0.5 N. The movement (distance and time) of the punch is recorded after starting the trial by adding 2 x 30 µl water into the lateral extensions of the die. This method permits the quantification of speed and extent of the swelling process of tablets, when wetted with water. The results could be expressed as maximum of swelling or as mean swelling speed (displacement/ time [mm s-1]) or as maximum swelling yield against an imposed force.



RESULTS & DISCUSSION

First studies based on tablets with an insoluble filler/binder confirm the suitability of this analytical method.
If water is added to the test system, all chosen disintegrants work very rapidly (**Figure 2**). The swelling process is always completed within one minute. The punch rose between 3 and 5 mm.
The fast disintegration of tablets containing native starch as disintegrant is a well known process and has been described by [1] and others. The disintegration depends on the water absorption capacity and the degree of swelling of the used excipient.
Colombo et al [2] demonstrated that the pressure of swelling is one of the key parameters concerning the tablet disintegration.
The described method allows characterizing the swelling and disintegration behaviour of a disintegrant in a finished tablet. Differences between the super disintegrant PVP CL and several tested native starches could not be observed.
These results are quite similar to those obtained with the Ph. Eur. disintegration test (see **table 1**).
The slightly longer disintegration time of Emcompress tablets containing potato starch as disintegrant compared to those with corn starch (see **Figure 2** and **Table 1**), has always been reported in previous studies [1]. The reason for this may be the formation of water soluble structures inside the starch granules by a partial gelatinization during tabletting.
Between corn starch and pea starch no significant differences could be detected. Both are suitable disintegrants. However, because of the larger grains, potato starch shows a higher swelling pressure, a higher swelling volume and also a higher swelling speed (see **table 2**). Potato starch is not as well accepted as corn starch world-wide.

Figure 2. Distance-time-diagram: The diagram shows the displacement of the punch by different disintegrants.

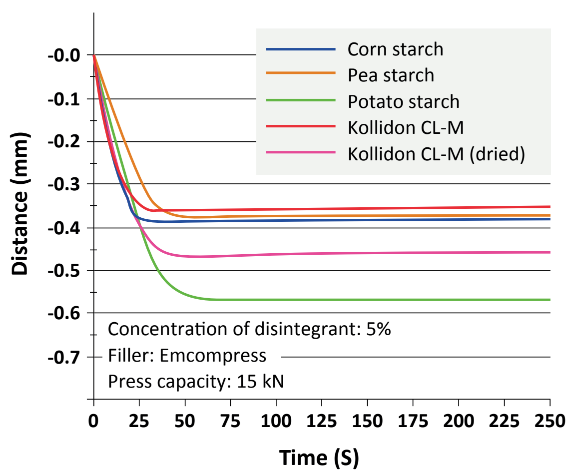


Figure 3. Mean swelling speed of different disintegrants (average within the first 20 seconds).

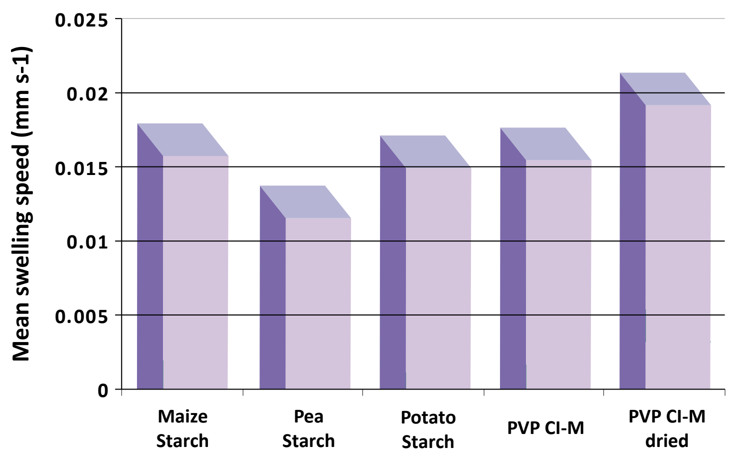


Table 1. Tablet disintegration according to the EP method.

	Fresh tablets	After 3 months (25°C, 60% RH)
5% maize starch	14.9s	21.7s
5% pea starch	18.8s	27.7s
5% potato starch	26.0s	34.5s

Table 2. Maximum swelling speed.

Maize starch - tablets	0.014 mm s^{-1}
Pea starch - tablets	0.014 mm s^{-1}
Potato starch - tablets	0.014 mm s^{-1}
PVP CL-M - tablets	0.014 mm s^{-1}
PVP CL-M, dried - tablets	0.014 mm s^{-1}

REFERENCE

1. Gissinger, D., A. Stamm; Drug Development and Industrial Pharmacy (6), 511-536 (1980).
2. Colombo, P., et al, Journal of Pharm. Sciences (73) No 5, 701- 705 (1984).