

Short Communications

Mechanism of the tensid effect in tableting *

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As is well known, tensids decrease tablet strength. The effect correlates with tensid concentration. We have analyzed the cause of this phenomenon.

According to the activation theory of tablet forming (Hüttenrauch, 1977a) the hardness of comprimats is determined by the mechanical activation of the particles. Other factors of inter-particle bonding are less important in most cases. As already described, the influences of pressure (Hüttenrauch and Keiner, 1976c), particle size (Hüttenrauch, 1977b), lubricants (Hüttenrauch and Jacob, 1977a) and humidity (Hüttenrauch and Jacob, 1977b) are in accordance with the alteration of crystallinity respectively with the change in degree of order. First of all, tableting anomalies could be reduced to activation differences too (Hüttenrauch and Keiner, 1976d and 1977). We therefore supposed that the effect of tensids was based on the same mechanism.

To confirm this idea, we examined the system lactose–polysorbate 80. Different amounts of polysorbate 80 in carbon tetrachloride were added to lactose. After drying the material was screened (0.3 mm sieve), mixed with 2.5% talc and processed by 20 kN/cm² pressure into tablets of 11 mm diameter and 400 mg weight. The crystallinity of the tablets was determined densimetrically (Hüttenrauch and Keiner, 1976a and b). The deterioration of crystallinity during compression was defined as equivalent to mechanical activation. For measuring tablet strength the Erweka-tester was used. The results are illustrated in Fig. 1.

The curves show very clearly that in the case of increasing tensid concentrations the strength of the tablets and the activation of the solid (the rise in lattice defects) decrease correspondingly. In the presence of tensids the state of order is less influenced by tableting energy. Therefore, a less intensive activation and a less strong comprimant resulted; diminished hardness was a consequence of reduced activation.

These new findings confirm a correlation between the deranging of molecular order and the resistance of the resulting tablets. This is the essence of activation theory.

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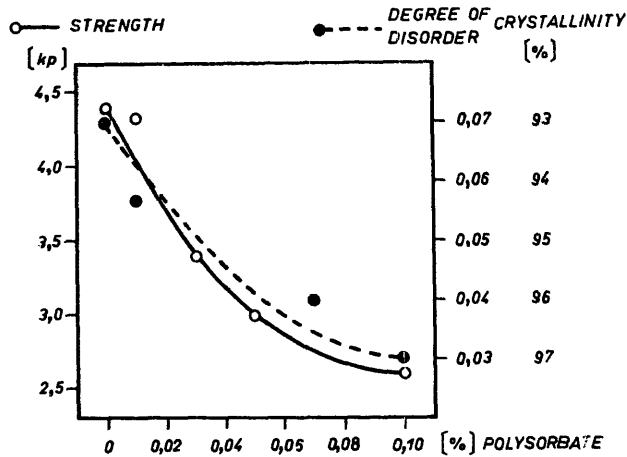


Fig. 1.

REFERENCES

- Hüttenrauch, R., The mechanism of tablet forming – a new conception. In 1st Intern. Conf. Pharmac. Technol., Vol. IV, Assoc. Pharmac. Galénique Indust., Paris, 1977a, p. 114.
- Hüttenrauch, R., Über den Mechanismus des Korngrösseneffekts in der Tablettierung. Pharmazie, 32 (1977b) 130.
- Hüttenrauch, R. und Jacob, J., Einfluss von Schmiermitteln auf die Pressdruckverteilung in Komprimaten. Pharmazie, 32 (1977a) 49.
- Hüttenrauch, R. und Jacob, J., Über einen neuen Zusammenhang zwischen Tablettenbildung und Feuchtigkeit der Ausgangsstoffe. Pharmazie, 32 (1977b) 241.
- Hüttenrauch, R. und Keiner, I., Wie kristallin sind mikrokristalline Cellulosen? Pharmazie, 31 (1976a) 183.
- Hüttenrauch, R. und Keiner, I., Mechanochemische Änderung des Ordnungsgrades der Lactose bei Mahlprozessen. Pharmazie, 31 (1976b) 229.
- Hüttenrauch, R. und Keiner, I., Zur kompressionsbedingten Änderung der Kristallinität bei Lactose. Pharmazie, 31 (1976c) 330.
- Hüttenrauch, R. und Keiner, I., Über ein festigkeitsbestimmendes Paradoxon in der Tablettenherstellung. Pharmazie, 31 (1976d) 576.
- Hüttenrauch, R. und Keiner, I., Zur Umkehr des Korngrösseneffekts in der Tablettierung durch Gleitmittel. Pharmazie, 32 (1977) 533.