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Short Communication

Producing lattice defects by drying processes *

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As noticed formerly, lattice defects may be caused by mechanical processes like grinding and pressing. We have been engaged in the quantification of these effects. (Hüttenrauch and Keiner, 1976a–d). Besides this, some data are known about reducing the degree of order by drying processes (Meyer, 1968). In the case of inorganic substances especially, the removal of crystal water is assumed to result in a higher disorder. During this operation, compounds with coordinate water (in which aqueous ions occupy lattice positions) are disturbed more intensively than those containing structural water. The stoichiometric-bound water often exists in a transition form between coordination and structural water.

We were interested in the crystalline variation on drying of pharmaceutically-used organic substances and, above all, in the quantitative extent of this phenomenon. As drying processes perform an important part in pharmaceutical technology, the activation effect was to be expected frequently. On the other hand, most of the solid properties depend on the degree of order; a relation between drying, disordering and stimulation of behaviour (of hydrate) would be of common importance.

Model substance of the experiments was lactose, considering the usual appearance as monohydrate. The thermal dehydration was accomplished in vacuum (drying pistol with P_2O_5) at 125–126°C (butylacetate). The loss on drying in the treated substance was measured by drying 16 h at 125–130°C. The degree of order was determined densimetically (X-ray density of α-lactose-monohydrate 1520 and of α-lactose 1547 g/cm^3). The results are illustrated in Fig. 1.

In progressive drying the degree of order decrease continuously. Corresponding with the loss of water the amount of lattice defects increases linearly. The thereby caused activation is considerable. The crystallinity diminishes to nearly 50%.

Therefore, in solid technology, drying operations can sometimes be used as a method of forming crystal defects. Vice versa, the possibility of defect formation is to be taken into consideration in cases of drying. Dried substances may differ from undried ones not only in their water content; the structural symptoms of drying may be of more technological and biopharmaceutical importance than the loss of water. Comparing the compression properties of two forms like α-lactose and α-lactose-monohydrate, the method of

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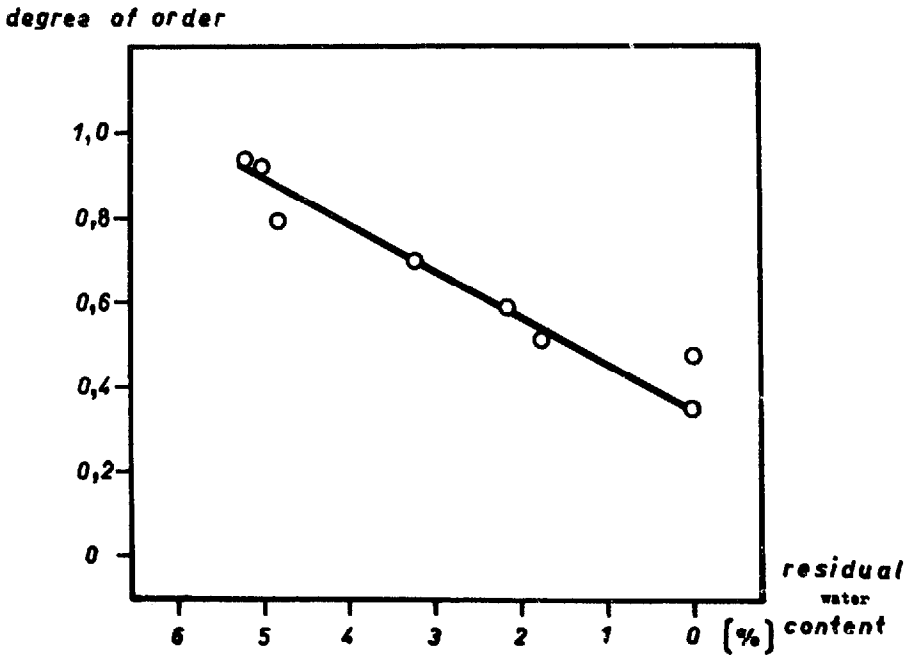

producing the water-free substance determines the result (Hüttenrauch and Keiner, 1976e, f).

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