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COMMUNICATIONS

Xylan—a possible filler and disintegrant for tablets

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Xylan, a novel possible adjuvant for tablets was tested and compared with modified starch, Sta-Rx 1500, for weight variation, strength and disintegration of tablets. There was no remarkable difference in weight variation between the tablets of the corresponding compositions. The tablets containing xylan were stronger and disintegrated more rapidly than those containing modified starch.

Xylan, a polymerization product of the pentose sugar xylose, is obtained as a by-product from manufacturing xylitol. As far as we know, this material has not been tested as a pharmaceutical adjuvant. The purpose of this study was to determine its filler and disintegrant properties in tablets.

Method

The xylan used was industrial grade manufactured by Kemi Oy (Kemi, Finland) using the method described in Finnish patent No. 55516. Its filler and disintegrant properties were compared with the properties of modified starch, Sta-Rx 1500 (Staley Mfg. Co., Illinois). According to the physical characteristics of these materials (Table 1), xylan closely resembles Sta-Rx 1500.

The combination of Avicel PH 101 (FMC Corporation, Philadelphia) and Sta-Rx 1500 is widely used in pharmaceutical tablets. Firstly, we studied the possibility of replacing Sta-Rx 1500 with xylan in this mixture. Secondly, we compared the disintegration properties of xylan and Sta-Rx 1500 in tablets containing spray-dried lactose (D.M.V., Veghel, Holland) as the base material. Nitrazepam (nitrazepamum, Ph. Eur.) at a concentration of 4·6% was the drug used (Table 2). These materials, 300 g total weight, were mixed with nitrazepam for 15 min using a Turbula 2P apparatus. An 8:2 mixture of talc and magnesium stearate, making up 2% of the weight of drug-adjuvants mixture, was carefully added as a lubricant.

Lightly concave tablets, weighing 300 mg, were compressed using a rotary tablet machine (Fette Perfecta 1)

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Table 1. Physical characteristics of xylan and Sta-Rx 1500.

	Water[1] content (%)	Mean[2] particle size (μm)	Loose density ($g\,ml^{-1}$)	Effective[3] particle density ($g\,ml^{-1}$)
Xylan	11·7	19·8	0·733	1·503
Sta-Rx 1500	10·1	18·7	0·610	1·491

[1] Mettler drying unit LP 12.
[2] Measured microscopically (number distribution, n = 400).
[3] Beckman air comparison pycnometer.

Table 2. Compositions of masses for nitrazepam tablets. Drug concentration was 4·6% and the 8:2 mixture of talc and magnesium stearate added as a lubricant was 2% of the weight of the drug-adjuvants mixture. Weight variation of tablets compressed using the rotary tablet machine and theoretical pressure needed to produce tablets 5 kg in strength using a single punch machine are presented.

Composition of the masses (%)		Weight variation of tablets (r.s.d., %)	Pressure needed to produce tablets 5 kg in strength (MPa)
1. Avicel PH 101	60·2	9·1	52
Sta-Rx 1500	35·2		
2. Avicel PH 101	60·2	8·8	41
Xylan	35·2		
3. Avicel PH 101	60·2	5·7	36
Spray-dried lactose	35·2		
4. Avicel PH 101	60·2	4·6	40
Spray-dried lactose	26·4		
Sta-Rx 1500	8·8		
5. Avicel PH 101	60·2	4·6	41
Spray-dried lactose	26·4		
Xylan	8·8		
6. Spray-dried lactose	95·4	5·1	126
7. Spray-dried lactose	60·2	4·9	214
Sta-Rx 1500	35·2		
8. Spray-dried lactose	60·2	3·4	169
Xylan	35·2		
9. Spray-dried lactose	91·0	7·6	147
Sta-Rx 1500	4·4		
10. Spray-dried lactose	91·0	5·9	133
Xylan	4·4		

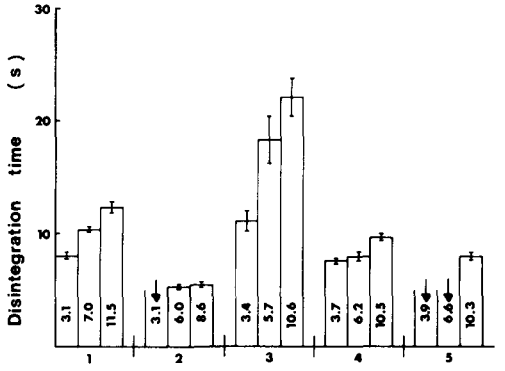

FIG. 1. Disintegration time with standard error measured using the method of European Pharmacopoeia for nitrazepam tablets containing Avicel PH 101 as the base material. The numbers under the columns refer to the compositions in Table 2. The breaking strengths of the tablets are inside the columns. The arrows indicate disintegration times of less than five seconds.

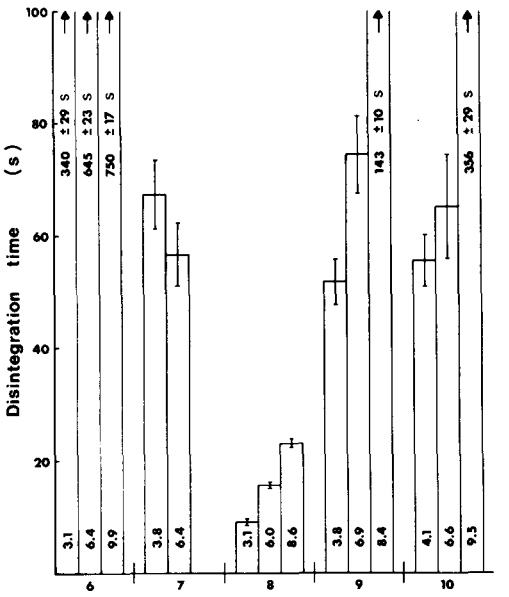

FIG. 2. Disintegration time for nitrazepam tablets containing spray-dried lactose as the base material. The arrows indicate disintegration times longer than 100 s.

with an 8 mm punch-die set. The compressional pressure was adjusted to produce tablets of about 3, 6 and 10 kg in breaking strength (Schleuniger 2E-apparatus, n = 12). The weight variation among tablets was measured by weighing three hundred tablets separately. The disintegration time of the tablets in purified water at 37 °C was measured with a USP-apparatus (Erweka ZT 2) using the method of European Pharmacopoeia. Twelve tablets were used for determination of disintegration time.

Tablets for determining the binding properties were compressed using an instrumented Korsch EK-O single punch machine (Puumalainen et al 1978; Juslin & Paronen 1980). Flat-faced tablets, 200 mg and 9 mm diameter, were compressed using four different pressures so that the strength of the tablets was between 2 and 10 kg, as measured by a Schleuniger apparatus. The relation between compressional pressure and tablet strength was assumed to be linear. The constants of these lines were determined by the method of least squares. The coefficient of determination (r^2) was over 0·948 for all determinations. The calculated theoretical pressure needed to produce tablets 5 kg in strength was used for comparison.

Results

All tablets containing Avicel PH 101 as a base material disintegrated rapidly (Figs 1 and 2). Tablets containing xylan both in concentrations of 35·2% (mass 2) and 8·8% (mass 5) disintegrated slightly faster than tablets containing Sta-Rx 1500 (masses 1 and 4). The difference between materials was clearer for tablets containing spray-dried lactose as the base material. Xylan in a concentration of 35·2% (mass 8) was clearly a more effective disintegrant than Sta-Rx 1500 (mass 7). Because of capping, tablets over 6 kg in strength could not be compressed using the mass containing Sta-Rx 1500 at a concentration of 35·2%. At a concentration of 4·4%, xylan (mass 10) was as effective a disintegrant as Sta-Rx 1500 (mass 9).

The weight variations of tablets (Table 2) show that in the tableting process tablet masses containing xylan flowed at least as well as those containing Sta-Rx 1500.

The pressures used to produce tablets 5 kg in strength (Table 2) indicated that even lower compressional pressures can be used to form good tablets with masses containing xylan than with masses containing Sta-Rx 1500.

In conclusion, xylan seems to be a possible filler and disintegrant for pharmaceutical tablets.

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