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EVALUATION OF MUSOL AS A DIRECT COMPRESSION EXCIPIENT IN OXYTETRACYCLINE HYDROCHLORIDE TABLET FORMULATIONS

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ABSTRACT

The physical properties of oxytetracycline hydrochloride tablets compressed with Musol, a new autocompressible vehicle obtained by chemical modification of an edible seed polysaccharide were studied. Avicel PH 101, Fast-flo lactose and Emcompress were used as basis for comparison.

With the exception of those tablets containing Emcompress, good disintegration and dissolution profiles were obtained in all the batches formulated. The dissolution characteristics of the tablets did not change significantly after storage in the dark at 30°C for 96 weeks.

MATERIALS

Oxytetracycline hydrochloride powder[1], Avicel PH 101[2], Ac-di-sol[2], Fast-flo lactose, FFL[3], Emcompress[4], and talc[5] were used as supplied by the manufacturers. Musol of 150 µm mean particle size was processed in our laboratory.

METHODS

Preparation of Tablets

The tablet formula consisted of 100mg oxytetracycline hydrochloride powder, 50%w/w Avicel PH 101, Fast-flo lactose, Emcompress or Musol; 5%w/w talc and 3%w/w Ac-di-sol. In each

batch, the powders were mixed and directly compressed using an F-3 tabletting machine[6] fitted with 9.5mm flat-faced punches. Blends of Avicel PH 101 and each of the other three vehicles at 50/50, 25/75 and 75/25 proportions were also prepared and compressed at the same pressure levels employed for mixes containing single vehicles.

Tablet characteristics

In order to allow for equilibration of the tablets, all tests were initiated 24h after compression. The uniformity of weight, friability, disintegration time and dissolution rate of the tablets were determined using standard procedures and equipment reported previously (1). The tensile strength was determined using the method reported by Fell and Newton (2). The dissolution test was repeated after storage in the dark at 30°C for 96 weeks.

RESULTS AND DISCUSSION

The effect of varying proportions of Avicel PH 101 on the physical properties of the various batches of tablets produced are shown in Table 1.

TABLE 1

Effect of proportion of Avicel PH 101 in the vehicle composition on the Physical properties of Oxytetracycline hydrochloride tablets containing 50% w/w Direct compression vehicles.

Proportion of Avicel PH 101 (%)	Tensile strength (MNm^{-2})			Friability(%)			Mean disintegration time (min).		
	Musol	FFL	*Emc.	Musol	FFL	Emc.	Musol	FFL	Emc.
0	134.60	72.20	108.34	1.04	1.13	1.42	6.60	2.40	-
25	119.21	77.41	106.92	0.75	0.83	1.21	5.51	2.28	35.00
50	109.83	79.20	89.00	0.69	0.84	1.00	3.46	1.87	32.60
75	92.30	76.15	80.00	0.92	0.81	0.95	1.63	1.30	31.71
100	86.80	86.80	86.80	0.78	0.78	0.78	0.71	0.71	0.71

*Emc = Emcompress.

It can be seen that tablets containing Musol as a single vehicle possessed the highest tensile strength of 134.6 MNm^{-2} followed by those containing Emcompress, Avicel PH 101 and FFL respectively. While increasing proportions of Avicel PH 101 in the binary blend decreased the strength of the compacts containing either Musol or Emcompress, a gradual increase in the strength of tablets containing FFL was observed. Depending on the proportion of excipients and the physico-chemical properties of a drug, tablet strength may be increased or decreased if the compaction pressure is kept constant (1,3,4,5).

From Table 1, it can be seen that tablets containing 50/50 blend of Avicel PH 101 and Musol had the least friability of 0.69% although these tablets did not possess the highest tensile strength. The indication is that tablets that possess higher tensile strength may not necessarily show higher resistance to abrasive forces.

Fast disintegration times were observed for all the batches of tablets except those containing Emcompress. Increasing propor-

TABLE 2

Dissolution characteristics of Oxytetracycline hydrochloride Tablets.

(a) 50% w/w single vehicles

	Avicel PH 101	Musol	FFL	Emcompress
t_{50} (min)	1.75	6.10	4.00	14.50
t_{90} (min)	4.60	13.50	14.80	30.00
D.E. (15 min) %	88.40	69.26	72.22	50.93

(b) 50% w/w binary blend of named vehicles in 50/50 proportions

	Avicel-Musol	Avicel-FFL	Avicel-Emcompress
t_{50} (min)	7.00	7.00	11.60
t_{90} (min)	18.00	17.50	25.75
D.E. (25 min) %	71.21	69.60	58.33

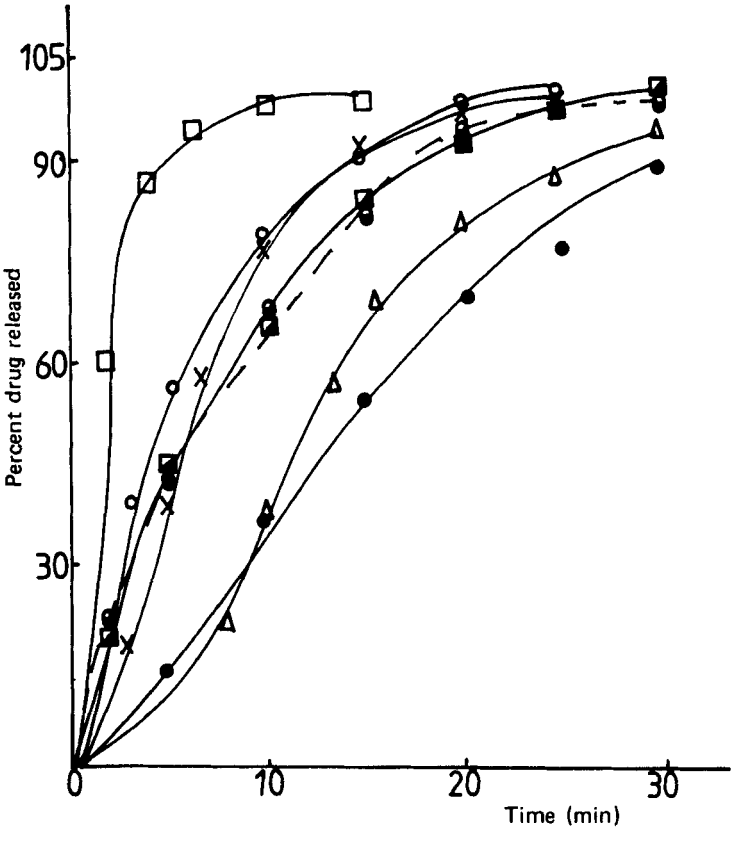

FIGURE I

Dissolution profile of oxytetracycline hydrochloride tablets containing 50% w/w direct compression vehicles. □ Avicel PH 101; X Musol; ● Emcompress; O Fast-flo lactose; ◐ 50/50 Avicel-Fast-flo lactose, ◪ 50/50 Avicel-Musol, Δ 50/50 Avicel-Emcompress.

tions of Avicel PH 101 caused faster disintegration in all the blends.

The dissolution profile of the drug from indicated batches of tablets is shown in Figure I. The t_{50}, t_{90} and the dissolution efficiency, D.E., at appropriate time intervals are shown in Table 2a and b respectively. Table 2a shows that fastest release of the drug was obtained in those tablets containing Avicel PH 101 as a single vehicle. This is attributed to the very fast disintegration of these tablets. Tablets formulated with Emcompress did not release the drug fast. The non-disintegrating nature of these compacts is likely responsible for the very slow release observed. Table 2b shows that blending Avicel PH 101 with Emcompress enhanced the release of the drug from the tablets containing Emcompress. After storage at 30°C for 96 weeks, no significant changes were noted in the dissolution characteristics of all the batches of tablets tested.

CONCLUSION

Musol may be used as a single vehicle or binary blend with Avicel PH 101 to directly compress oxytetracycline hydrochloride powder into tablets.

FOOTNOTES

1. Pfizer
2. FMC Corp.
3. Selectchemie, AG
4. Mendel Co. Inc.
5. Merck
6. Manesty Ltd.

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