J. Pharm. Pharmacol. 1998, 50 (Supplement): 150

Effect of hydrophilic excipients and compression pressure on physical properties and release behaviour of aspirin-tableted microcapsules

D. FARID, N. BOLOURTCHIAN* AND A. NOKHODCHI

*Department of Pharmaceutics, School of Pharmacy, Tabriz University of Medical Sciences, Tabriz, Iran, P.O.Box 14155-6451 and *Department of Pharmaceutics, School of Pharmacy, Shaheed Beheshti University of Medical Sciences, Tehran, Iran, P.O. Box 14155-6153*

Acceptable tablets containing microcapsules should exhibit sufficient physical integrity to withstand handling, while maintaining a drug release profile similar to the uncompressed microcapsule. Drug release after compression of microcapsules may be faster or slower depending on the effect of compression on the porosity and microcapsule integrity (Valleri & Mura 1995; Lin 1988; Nixon et al. 1978). In the present study, the influence of hydrophilic excipients and compression pressure on the tablet properties and release behaviour of tablets made from aspirin ethylcellulose microcapsules has been investigated.

Tableted microcapsules (500 mg) containing both microcapsules and excipients (lactose or PVP) were prepared by compression. Aspirin microcapsules (88-385 μm) and excipients were uniformly mixed and compressed. Compression was carried out using an IR spectrophotometric tableting machine with a pressure gauge under different pressures ranging from 15-60 MPa for 1 min. To determine the effect of percentages of hydrophilic excipients, two concentrations (20 and 40% w/w) of each excipient were used. To investigate the effect of microcapsule particle size on the release rate of aspirin, microencapsulated aspirin tablets without excipients and with different particle size fractions of aspirin microcapsules were used. Tablet crushing strength, porosity and release rate of made tablets were evaluated.

Results showed that the release rate of aspirin from microcapsules containing lactose or PVP was independent of the compression pressure with the exception of tablets containing 40% w/w lactose. This indicates the good binding ability of lactose. In vitro release profiles of aspirin from tableted microcapsules containing lactose or PVP showed that increasing the concentration of excipients resulted in an increase in the release rate of aspirin. Table 1 shows an increase in compression pressure from 15 to 60 MPa resulted in an increase in the crushing strengths of tablets containing 20 or 40% w/w lactose. On the other hand, the crushing strength of tableted microcapsules containing 20 or 40% PVP was decreased with an increase in compression pressure from 15 to 60 MPa. This is due to an increase in porosity of PVP tablets with increasing compression pressure or high elastic recovery of PVP tablets at higher pressures. The crushing strength of tablets containing PVP was higher than that of tablets with or without lactose at low pressures (15 and 30 MPa), whereas the hardness of tablets containing lactose was higher than that of tablets with or without PVP at higher pressures (45 and 60 MPa). In conclusion the results obtained in this study confirmed that the compression pressure and the use of lactose or PVP in the formulation of aspirin microcapsules could alter the mechanical properties and release rate of tableted microcapsules.

Table 1. Effects of compression pressure and excipients on the hardness (kP) of tableted aspirin microcapsules

Composition	Compression Pressure (MPa)			
	15	30	45	60
Microcapsule (MCP)	9.1	9.3	9.1	8.6
MCP +Lactose 20%	8.3	10.0	10.6	11.2
MCP +Lactose 40%	9.7	11.1	12.9	12.4
MCP +PVP 20%	10.7	10.5	10.2	9.5
MCP +PVP 40%	11.2	11.8	11.6	9.8

Lin, S. Y. (1988) J. Pharm. Sci. 77: 229-232

Nixon, J. R., Jalsenjak, I., Nicolaidou, C. F., Harris, M. (1978) Drug Dev. Ind. Pharm. 4: 117-129

Valleri, M., Mura, P. (1995) Drug Dev. Ind. Pharm. 21: 2139-2146