PROBLEMS OF ASSESSING THE EFFECT OF A POLYMER SURFACE COATING ON THE WETTING OF PARACETAMOL

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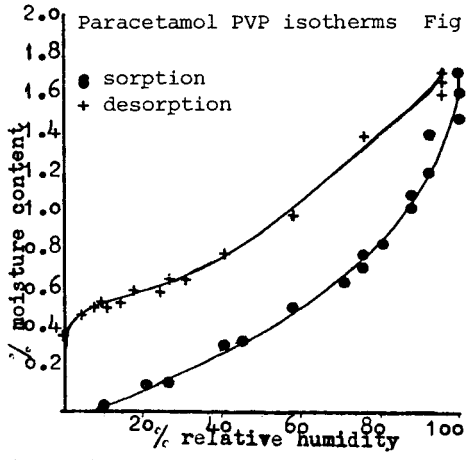
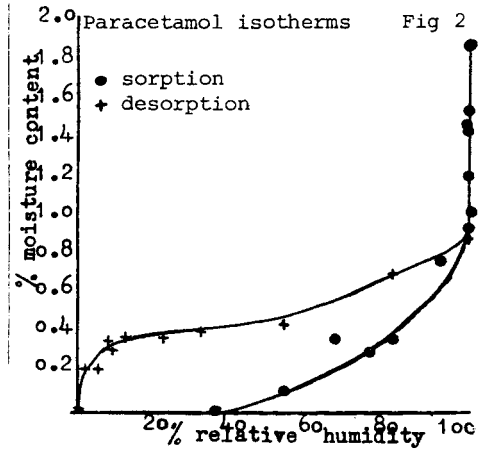
There are many reports of how excipients have been used to aid the initial wetting, and hence dissolution, of hydrophobic drugs. These reports cover a variety of techniques for adaptation of the original drug surface, such as milling, crystallisation, and adsorption (Rowley et al 1985). However, it is not only for the purpose of aiding wettability that drugs are pretreated with excipients. Paracetamol, for example, has very poor compression properties and thus is often spray coated with polyvinylpyrrolidone (PVP) in order to permit direct compression tabletting. PVP coating is certain to alter the wettability of the powder and as it is a hydrophilic polymer it might be assumed that such pretreatment would aid wetting as well as tabletting. Contact angles have genarally been accepted as an assessment of the effect of surface adaptation (eg Rowley et al 1985).

The contact angles of water on samples of paracetamol and paracetamol coated with PVP (Hartington, Chesterfield) were assessed by a liquid penetration technique (see Buckton and Newton 1985 for method and significance of choice of "perfectly wettiing liquid"), using a toluene as the "perfectly wetting liquid" and by measuring the angle formed by a drop on a disc of powder, compressed at 51.0×10^5 kNm^{-2}, using an eyepiece protractor. The mean values obtained were 59.0° and 50.0° for paracetamol and 85.5° and 75.0° for the coated sample by liquid penetration and direct measurement on compressed discs respectively. Thus conventional contact angle data demonstrates that wetting is hindered by the coating of the surface with PVP.

Adsorption and desorption isotherms were obtained for both samples using a vacuum microbalance system operating at 10^{-5} to 10^{-6} mbar (Figs. 1 and 2). The PVP coated sample clearly adsorbs greater amounts of vapour, per unit weight, at lower pressures of water and equally desorption is slower than for the pure paracetamol. This effect is probably due to the prevalance of the pore structure in the coated samples. This data seems to contradict the contact angle data, by suggesting a greater affinity between water and the coated sample. It is, threfore, possible that simple contact angle measurements may not be sufficient to assess the effect of surface treatment of powders.





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