THE EFFECT OF METHOD OF SURFACE-ACTIVE AGENT INCORPORATION ON COMPACTS CONTAINING 5% SULPHANILAMIDE

MBANG N. FEMI-OYEWO
Department of Pharmaceutics
Obafemi Awolowo University, Ile-Ife, Nigeria

The effects of surfactant on tablet properties have been studied by a number of workers using different methods of surfactant incorporation. Both Duchene et al (1969) and Huttenrauch and Jacob (1978) applied the surfactant in a volatile solvent to pre-prepared granules. While Femi-Oyewo and Spring (1982) using the various classes of surfactants, dissolved the surfactant in the binder solution. Both methods have earlier been used by Cooper and Brecht (1957).

Another method which mey be useful for drugs that cannot be wet granulated and possibly heat sensitive has been considered by adding surfactant as dry powder to pre-prepared granules. The effects of the two earlier described methods are now compared with this third dry method.

Granules containing 5% w/w sulphanilamide were prepared by the wet massing and screening technique as earlier reported (Femi-Oyewo and Spring, 1982) while the surfactants, sodium lauryl sulphate (NaLS) and Tween 40 at low compact concentration of $1.5 \times 10^{-3} - 30 \times 10^{-3}$% w/w were used.

In the alcoholic spray method (SM), the surfactants were dissolved in alcoholic solution — Tween 40 in methanol while water: methanol (1:4) mixture was used for NaLS because of the solubility in methanol. The alcoholic-surfactant solution was used as a 10% w/v of the granules to be sprayed, and the control (without surfactant) was also treatedwith the alcoholic solution without surfactant in a rotating coating pan before drying in an oven at 50°C for one hour. In the binder method (BM), the required amount of surfactant solution was added to the binder solution and made up to volume. In the dry method (DM), the required amount of surfactant was added to fines ($\leq$ 75 um) of the pre-prepared granules, this was then sieved onto the granules and mixed thoroughly

Compacts were prepared at 40 kN load with 19.2mm punches and die using hydraulic press (compact weight : 1g). Hardness was measured using a Schleuniger Hardness Tester and disintegration was measured following the British Pharmacopoeia 1980 method. The results are expressed as the mean of five determinations.

Stronger compacts are produced when the surfactant is added as an alcoholic solution than as a dry powder or in the binder solution (Fig. 1). On spraying, the resulting granules

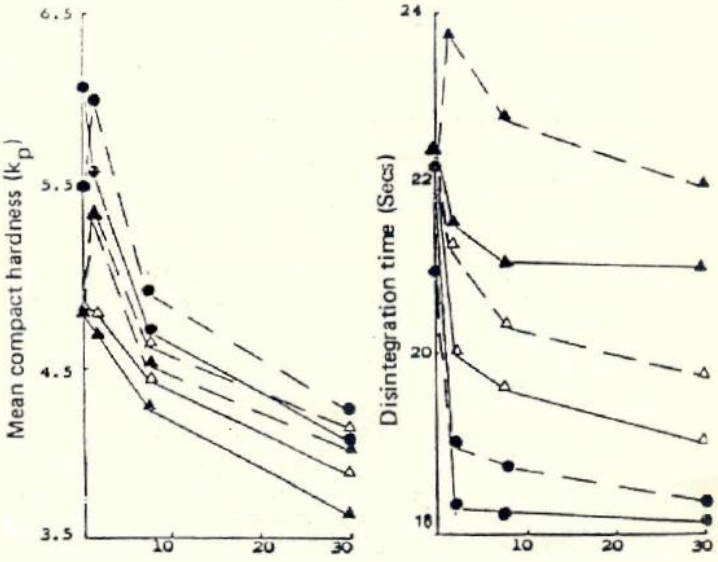

FIGURE I: THE EFFECT OF METHOD OF SURFACTANT INCORPORATION ON COMPACT PROPERTIES

● SM
▲ BM
△ DM
—— —— NaLS
———— Tween 40

tended to show increased electrostatic effects with some glossy surfaces. It was noted that the mean compact harness of the prepared granules without surfactant caused a difference from 5.9 to 5.5 k_p with and without tumbling (2 minutes) respectively.

It is conceivable that the strong compacts can be due to both the tumbling effect and the change in granule surface characteristics. Despite this increase in hardness, the SM compacts provided the most rapid disintegration closely followed by those of the DM (Fig. 1).

Thus, for improved compact properties, hardness and disintegration rate, it is better to add the surfactant as an alcoholic spray solution onto pre-prepared granules, the dry powder method can however be preferred for heat-sensitive drugs. In the case of the binder solution method, the size of the granules will have to be taken into consideration as surfactant significantly affects such granule sizes (Femi-Oyewo and Spring, 1982; Aulton et al, 1977).

The effect of inclusion of surfactant in the compact formulation is to produce a general fall in compact hardness for the three methods used (Fig. 1). The slight increase in hardness seen with the lowest surfactant concentration (1.5×10^{-3} w/w) for both surfactants used is lost when compacts are made from granules of the same size fractions indicating a size distribution effect. However much weaker compacts are produced with Tween 40. Disintegration appears to be generally improved with Tween 40 providing much better disintegration.

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