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Effect of lubricants on the properties of tablets compressed from varied size granules

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SUMMARY

Magnesium stearate (MgSt) is one of the most widely used solid lubricants in oral solid dosage forms. However, MgSt can negatively impact the tablets, decreasing their mechanical properties and lengthening disintegration/dissolution times. The aim of the present study was to compare the effect of MgSt and Sodium Stearyl Fumarate (SSF) lubricants on the physical characteristics of immediate release caffeine tablets compressed using granules of different sizes. Overall, the results demonstrate that using SSF as a lubricant significantly enhances tablet's mechanical strength and reduces disintegration/wetting times for all granule sizes used to compress tablets. With smaller granules, SSF tends to be more effective. Over-lubrication with SSF leads to a decrease in tablet hardness as well, though to a significantly lesser extent than over-lubrication with MgSt.

INTRODUCTION

Magnesium stearate (MgSt) is one of the most widely used solid lubricants in oral solid dosage forms due to its excellent lubrication efficiency and antiadherent properties. However, MgSt may be incompatible with certain active pharmaceutical ingredients (APIs). The presence of MgSt can also decrease the mechanical strength of tablets and impede the rate of tablet dissolution and disintegration, impede their dissolution and disintegration rates, which could have a negative impact on drug bioavailability.

Sodium Stearyl Fumarate (SSF) is an excipient widely used as a lubricant and anti-adherent. It demonstrates a better compatibility compared to MgSt with some APIs that are sensitive to acidic or alkaline conditions [1]. SSF is more hydrophilic than MgSt enhancing tablet wettability and resulting in faster tablet disintegration and dissolution. The aim of the present study was to compare the effect of MgSt and SSF lubricants on the physical characteristics of caffeine tablets compressed using granules of different sizes.

MATERIALS AND METHODS

Granules of different sizes (180-355 µm, 355-500 µm, 500-710 µm and 710-1000 µm) containing caffeine (20 wt%), Pharmatose 150M, Avicel PH 102, Polyplasdone XL-10, and Hydroxypropyl Methyl Cellulose E5 LV were prepared using the wet granulation method. Granules were separated according to the size, then mixed with a lubricant (MgSt (SIGMA-ALDRICH) or SSF (PRUV SSF, JRS Pharma, Germany)) in a tumble mixer. Tablets were compressed using a single-punch tableting press Riva Minipress MII at a constant compression force. The lubricant concentrations varied from 1% to 6%, and the mixing time ranged from 2 min to 10 min. The quality control tests for immediate release caffeine tablets (uniformity of weight and content, friability, disintegration and dissolution tests) were performed

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according to the methods described in the British Pharmacopoeia (B.P.) 2023. The maximal diametral crushing force F (in N) for each tablet was measured using a Copley electronic hardness tester TH3 and the tensile strength σ (in MPa) was calculated according to $\sigma = \frac{2 \times F}{\pi \times D \times H}$, where D and H are the diameter and thickness of the tablet, respectively. The morphology of lubricated and over-lubricated granules was visualised using SEM. The wetting time was assessed by placing a tablet in a weighing boat containing 2 ml of water with a blue dye, and the wetting time was recorded using a stopwatch.

RESULTS AND DISCUSSION

All tablet batches produced complied with the compendial specifications for the uniformity of weight and content tests. Granule lubrication with SSF resulted in stronger tablets compared to lubrication with MgSt (Fig. 1). The lubricant's effect on tablet hardness was preserved even after long-term storage (3 years) of the tablets.

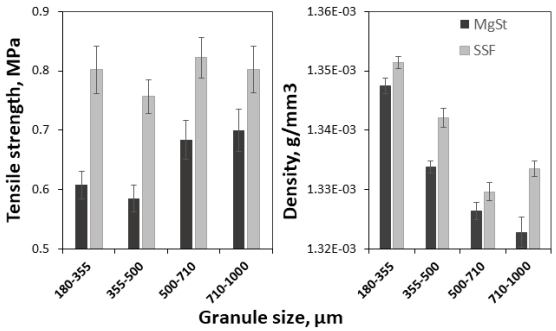

Fig. 1. *Tensile strength (left) and density (right) of caffeine tablets compressed using granules of different sizes. Lubricant (either MgSt or SSF) concentration – 2 wt%.*

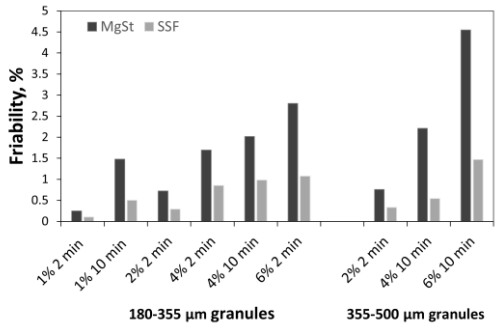

Fig. 2. *Friability of caffeine tablets compressed using granules of different sizes. Lubricant (either MgSt or SSF) concentrations and mixing times are indicated for each batch.*

Over-lubrication (increased lubricant concentration and/or extended mixing time) significantly weakened tablets, reducing hardness and increasing friability when using MgSt (Fig. 2). In contrast, over-lubrication had a lesser impact on the hardness of SSF-containing tablets.

As expected, the disintegration and wetting times of MgSt-tablets were higher than those for SSF-tablets due to the hydrophobic nature of MgSt (Fig. 3). The wettability tests for over-lubricated tablets showed an even more substantial difference between SSF-tablets (ca. 20-30 min) and MgSt-tablets (ca. 4-6 hours depending on the over-lubrication conditions). Lubricant type had no significant effect on the dissolution profiles of caffeine tablets.

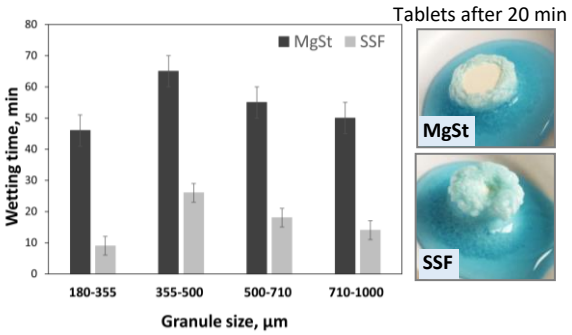

Fig. 3. *Wetting times of caffeine tablets compressed using granules of different sizes. Lubricant (either MgSt or SSF) concentration – 2 wt%.*

CONCLUSIONS

Overall, the results demonstrate that using SSF as a lubricant significantly enhances tablet mechanical strength and reduces disintegration/wetting times for all granule sizes used to compress tablets. With smaller granules, SSF tends to be more effective. Over-lubrication with SSF leads to a decrease in tablet hardness as well, though to a significantly lesser extent than over-lubrication with MgSt.

ACKNOWLEDGEMENTS

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