Physicochemical Properties of Ciprofloxacin Formulations

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Introduction

Tablets are produced from formulations, which generally consist of an active ingredient and a number of excipients. The functions of excipients are various and include fillers, used to add adhesion between powder particles, glidants, used to lubricate the powder and aid flow by reducing interpaticulate friction and cohesion, and lubricants, used to aid the release of tablets from high speed tableting presses.[1] The importance of achieving optimal flowability is that many stages of the manufacturing process are affected by this property, including the transfer of powder through large equipment, blending, compaction and fluidization.[2] The objective of this study was to investigate the physicochemical properties of a number of ciprofloxacin hydrochloride formulations.

Methodology

The formulations consisted of the active ingredient ciprofloxacin hydrochloride and the excipients microcrystalline cellulose as a binder (three different grades, Vivapur 12, 101 and 103), magnesium stearate or talc as lubricants, and colloidal silica as a glidant. The first investigation consisted of twenty-seven formulations containing 340.4 g of ciprofloxacin hydrochloride, 4, 40 or 60 g of talc, and 40, 50 or 60 g of microcrystalline cellulose. The second investigation consisted of six formulations with 340.4 g of ciprofloxacin hydrochloride, 3.2 g of colloidal silica, 5.2 g of either magnesium stearate or talc, and 51.2 g of microcrystalline cellulose. All formulations were mixed for 4 minutes, and their properties studied by measuring their angle of repose, ability to settle and compressibility index.

Results

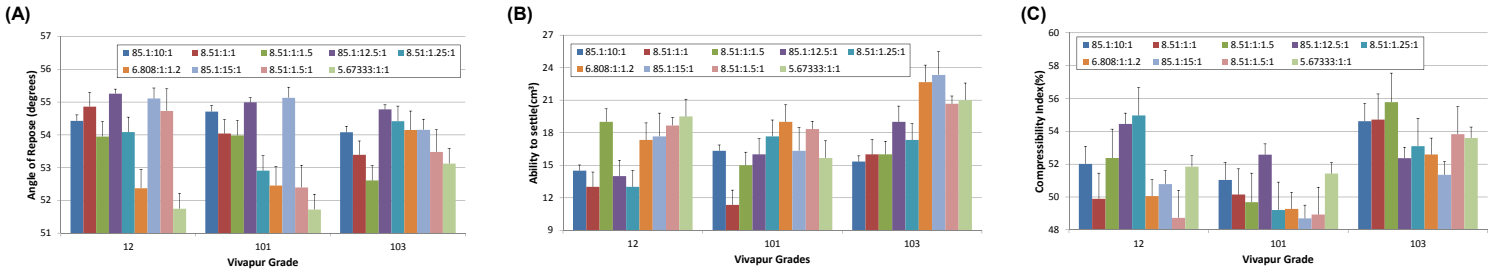

Figure 1: Change in the angle of repose (A), ability to settle (B), and compressibility index (C) [mean ± SEM, n=3] with change in the Vivapur grade and ciprofloxacin hydrochloride : microcrystalline cellulose : talc weight ratios.

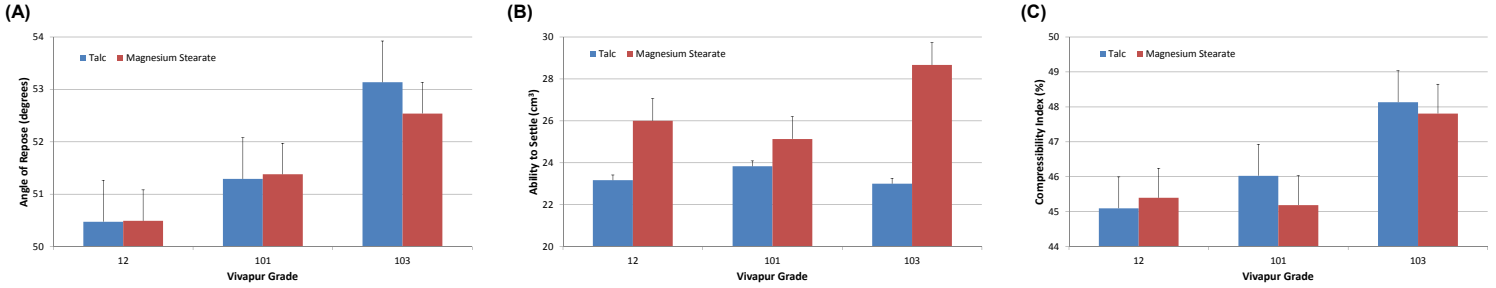

Figure 2: Change in the angle of repose (A), ability to settle (B), and compressibility index (C) [mean ± SEM, n=3] with change in the Vivapur grade and change in lubricant between magnesium stearate and talc.

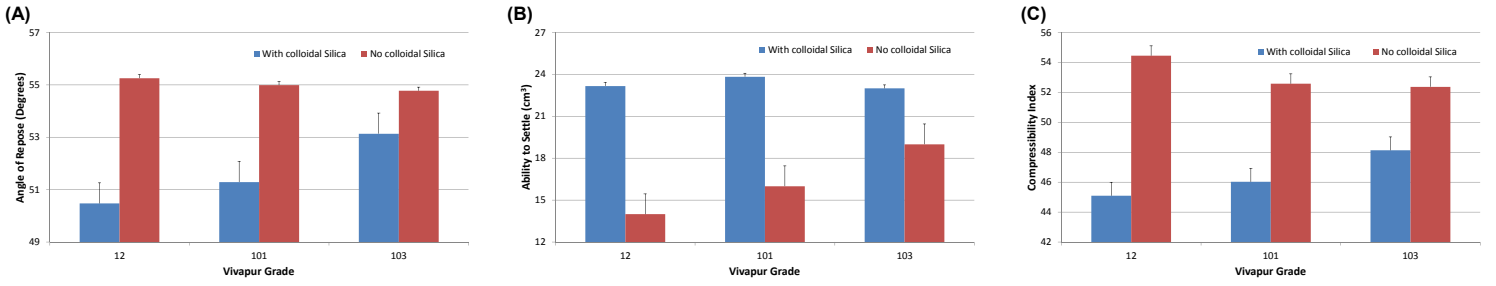

Figure 3: Change in the angle of repose (A), ability to settle (B), and compressibility index (C) [mean ± SEM, n=3] with change in the Vivapur grade and absence or presence of colloidal silica as a glidant.

Conclusions

Vivapur 12 and Vivapur 101 formulations show better flow properties than Vivapur 103 formulations, as evidenced by their lower angle of repose values, arising primarily from their larger particle sizes. As the talc weight ratio is increased in the formulation, better flow properties are obtained, as expected due to its lubricant properties. Using magnesium stearate as a lubricant instead of talc results in better flow properties for Vivapur 101 and Vivapur 103 formulations. Colloidal silica formulations show better flow properties than the formulations that do not contain this glidant, probably due to the interposition of glidant particles between other particles in the formulation, lowering overall interparticular friction.

References

[1] Prescott, J.; Barnum, R., On Powder Flowability. *Pharmaceutical Technology* October 2000, pp 60 - 84.

[2] Tousey, M. D. The Granulation Process 101 - Basic Technologies for Tablet Making Pharmaceutical Technology [Online], 2002, p. 8 - 13.

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