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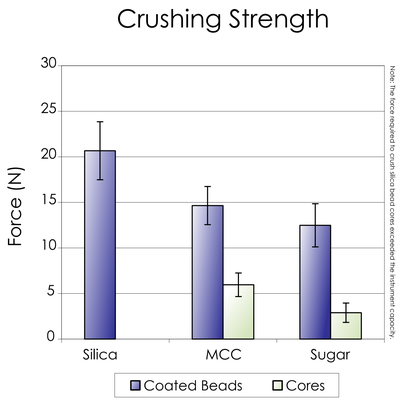
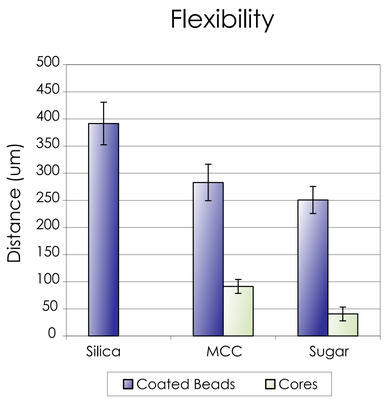
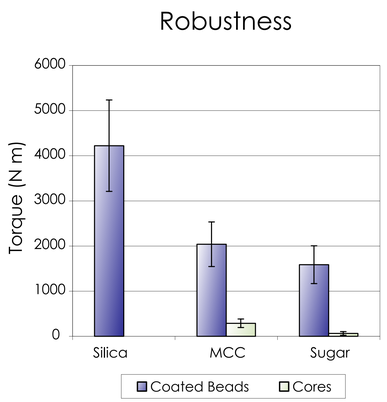
Evaluation of Various Drug-Layering Substrates for Extended Release Tablets

PURPOSE

To evaluate suitability of various drug-layering substrates for controlled release delivery in tablets. This work attempts to determine the robustness of core materials, so as to minimize the damage to film coatings during compression. (Films are more prone to cracking, and therefore drug dumping, if the core is too weak). Silica, microcrystalline cellulose, and sugar spheres were evaluated.







METHODS

Coating Process

A subcoat, acetaminophen drug-layer, and ethylcellulose extended-release layer was each applied to silica, microcrystalline cellulose (MCC), and sugar spheres utilizing a bottom-spray fluid bed coating process. All beads were sized 25/35 mesh (500-710 micron).

Physical Evaluation

Physical evaluation: Crushing strength (force required to break), flexibility (distance compressed prior to break), and overall robustness (force x distance) of the beads were made using a TA.XT2 Texture

Dissolution

Bead prototypes were evaluated in 900 mL of deionized water for 12 hours with dissolution apparatus 2 at 50 rpm.

RESULTS

Coating silica beads required an organic solvent-based subcoat in order to allow the drug-layer to adhere to the beads. Silica beads, which are approximately 75% denser than MCC or sugar beads, required increased air volumes. Sugar beads required reduced air volumes to prevent attrition.

Coated silica beads have a 40% and 65% increase in crushing strength, a 40% and 55% increase in flexibility, and a 100% and 170% increase in robustness over coated MCC and sugar beads.

No change in release profile was seen as a result of the core substrate type.

CONCLUSIONS

All three types of core materials were successfully coated. While all bead prototypes had the same dissolution profile, those based on more robust core materials (silica > MCC > sugar) would be more likely to withstand the tableting process.

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