A Novel Dry Polymer Coating Technique as an Alternative for Taste Masking Small Multi-Particulate Dosage Forms in the 50-300 Micron Size Range

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INTRODUCTION

For many multi-particulate applications, the required particle size to achieve the proper drug load or to avoid poor mouth-feel has become very small, oftentimes in the 50-300 micron range. When these particles need to be taste masked, the amount of coating required can exceed 400% weight gain to properly taste mask the particles. Those high coating weight gains can lead to several processing problems, including extremely long processing times, agglomeration issues and large amounts of organic solvents. This study focused on an alternative method for taste masking small particles, utilizing a dry polymer coating technique.

METHODS

Quinine was used as the model drug in this study. Two methods were used to taste mask 120 micron particles containing 15% quinine. In the first method, 1 Kg of quinine pellets were loaded into a Freund-Vector VFC-3 fluid bed equipped with an 8" Wurster Column. The particles were coated to a 50% coating level (200% weight gain) using a 6.7% Eudragit® E-100 in an Acetone/IPA solution. The solution contained 50% talc based on the polymer solids (3.3% solid content). In the second method, 1 Kg of quinine pellets were loaded into a Freund-Vector Granurex® GXR-35 rotor insert. The particles were coated to a 50% (200% w.g.) level by applying Eudragit® E PO blended with micronized talc in dry form via a K-Tron powder feeder. A 10% DBS suspension was used to plasticize and adhere the E PO to the quinine particles.

EQUIPMENT

Freund-Vector VFC-3 Fluid Bed with Granurex® GXR-35 insert

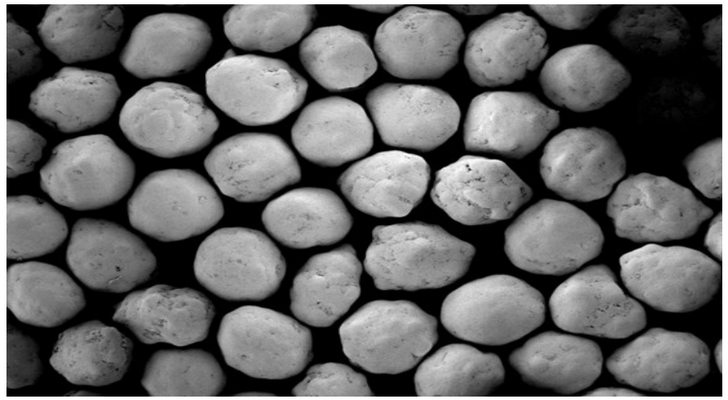
RESULTS

RESULTS

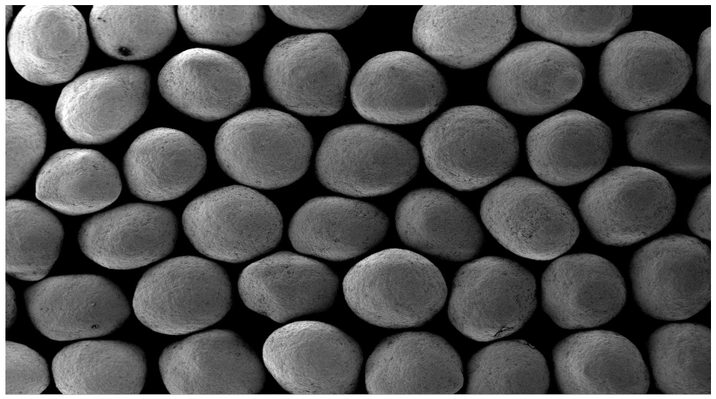
A taste panel determined that both the Wurster and rotor methods produced completely taste masked particles. The Wurster process took 14 hours of process time to complete, using 30 Kg of organic solvent. There was 11% agglomeration in the Wurster process and a final usable yield of 80%. The rotor method took 1.75 hours of process time to complete, using no organic solvent. There was 0.7% agglomeration and a final usable yield of 96% in the rotor process. Both processes had finished particle size D50's of 265 microns. Dissolution showed that particles from both methods released 100% of the quinine within 5 minutes in pH1.2 solution.

Process Results

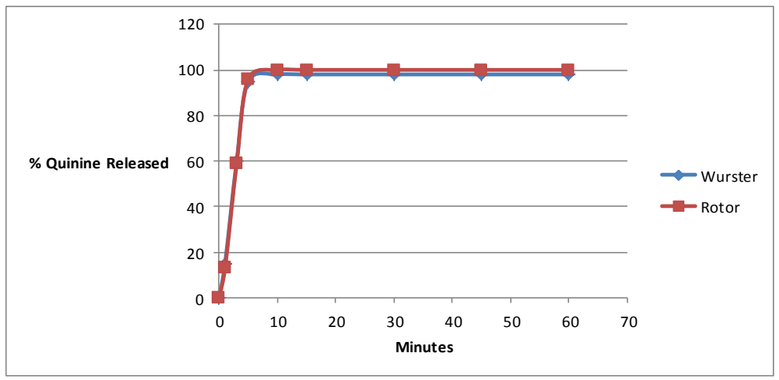
Process	Process Time	Agglomeration %	Final Particle Size (D50)	Batch Size (kg)	Yield (%)	Polymer Addition Rate (g/min)
Wurster Spray Coating	14.0 hrs	11.71	265u	3.0	80.1	2.38
Dry Polymer Coating	1.75 hrs	0.71	265u	3.0	96.0	19.04



Wurster Coated Beads



Dry Polymer Coated Beads



CONCLUSIONS

Utilizing the dry polymer layering method for applying taste masking polymers to very small API particles is a viable alternative to the traditional Wurster coating technique. Large time-savings as well as reduction in agglomeration rates and solvent usage make this an attractive process for the coating of small particles.

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