EVALUATION OF A PROCESS TO QUICKLY AND EFFICIENTLY APPLY DRY POLYMER TO ACETAMINOPHEN BEADS USING A ROTOR PROCESSOR

Shawn Engels, Larry Maher, Tim Smith, Brian Jensen
Vector Corporation: Marion, IA United States

PURPOSE

To develop a method for quickly and efficiently coating dry polymer onto beads using a rotor processor without the use of organic solvents.

METHODS

20-25 mesh sugar/starch beads were coated to a 60 mg/g active content with acetaminophen to act as a marker drug for dissolution testing. Dry polymer was layered onto the active loaded beads using a K-Tron T20 powder feeder and a Vector Granurex GX-40 rotor processor.

Granurex GX-40

One lot of active beads were coated with Ethocel Premium 10 FP (Dow Chemical), a sustained release polymer. A second lot was coated with HPMC AS 55 (Shinetsu), an enteric release polymer. The polymers were adhered to the beads and plasticized using a suspension of triethyl citrate (TEC) emulsified in water using Tween 80. Beads were coated to a target polymer content of 30% w/w. Application efficiency was calculated and dissolution testing was done to verify that proper release profiles were achieved.

FORMULATION AND PROCESS CONDITIONS

Formulation	Enteric Release	Sustained Release
Acetaminophen Beads (g)	2000	2000
Polymer Type	HPMC-AS 55	Ethocel Premium 10 FP
Weight (g)	857	857
Size, X_{50} (μ)	16.2	8.2
Suspension Amount (g)	1012	975
Triethyl Citrate (g)	330	290
Tween 80 (g)	2	2
Process		
Final Batch Size (g)	3189	3149
Product Temperature (^{o}C)	18	18
Air Volume (CFM)	10	10
Powder Feed Rate (g/min)	10	8
Total Process Time (min)	**82**	**100**

RESULTS

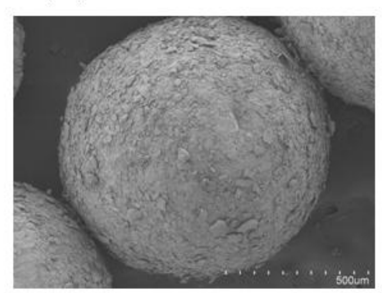
Both trials produced finished beads that were uniform and smooth in appearance. The addition of the plasticizer throughout the powder layering process aided in formation of a uniform film on each bead. By eliminating the need to dissolve the polymers in a solvent and spray them onto the beads, significant time savings was achieved compared to previously reported conventional methods.

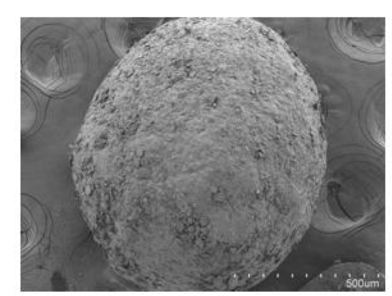
Precise control of the low airflow used in the process allowed the finely divided polymer to remain in the product bed and produced extremely high application efficiency.

Dissolution testing showed that the release of the active was delayed as expected.

FINAL PRODUCT CHARACTERISTICS

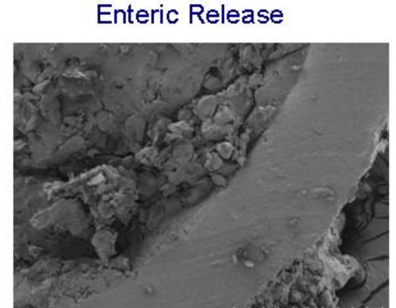
	Enteric Release	Sustained Release
Mean Particle Size, X_{50} (μ)	858.4	862.2
Film Thickness (μ)	58	50
Density (g/cc)	0.671	0.652
Sphericity	92	92
Coating Percentage (%)	30.0	30.0
Active Loading (mg/g)	59	61
Yield (%)	97.2	96.1

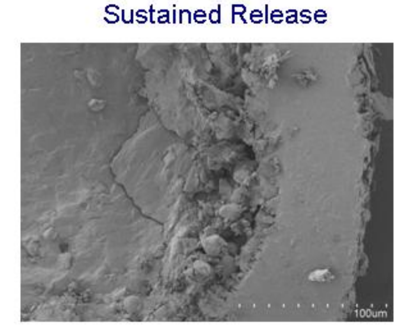




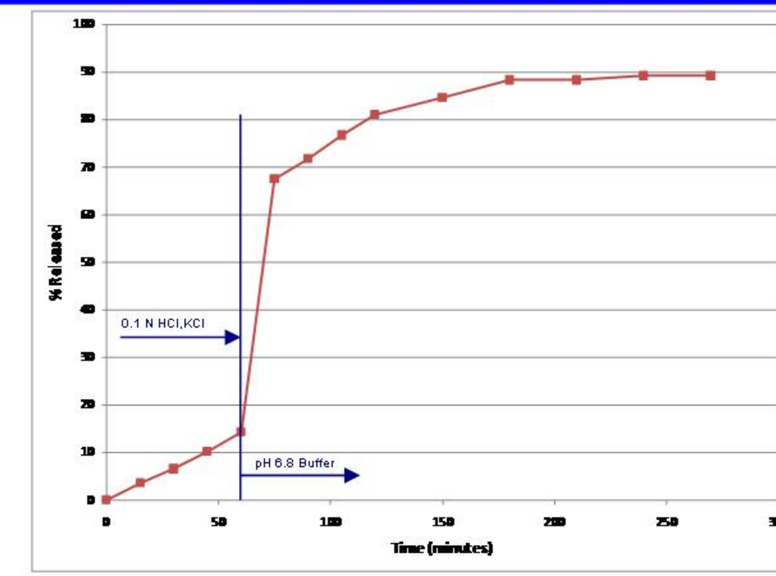
Enteric Release

Sustained Release

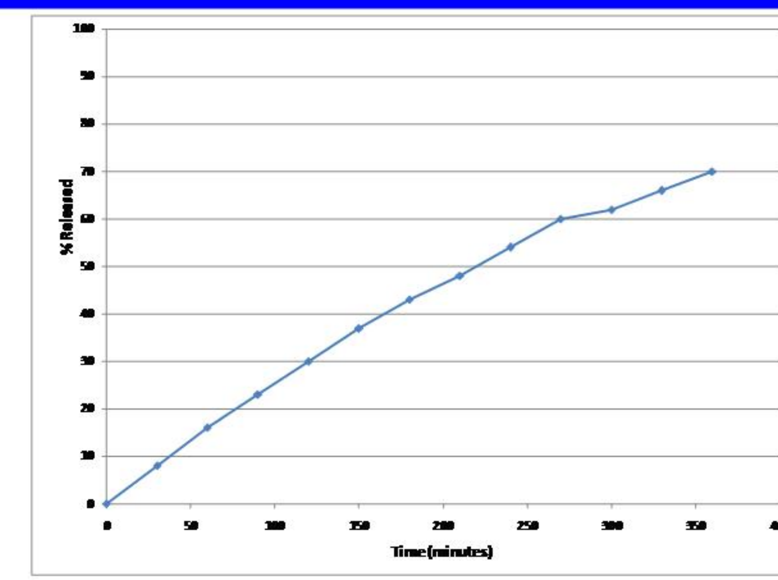




ENTERIC RELEASE DISSOLUTION PROFILE



SUSTAINED RELEASE DISSOLUTION PROFILE



CONCLUSIONS

Efficient application of dry polymer powders can be achieved without the use of organic solvents in a rotor processor and still provide desired sustained release properties.

Further study is needed to optimize the polymer/ plasticizer ratio to achieve more complete gastric resistance for the enteric polymer.