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Ibuprofen Loaded Avicel CL611 Pellets: Effect of Liquid Binder

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Purpose

To study the effect of two liquid binders on the characteristics of pellet produced by extrusion/spheronization technique.

Methods

Eight batches of pellets containing a fixed ratio of Ibuprofen: Avicel CL611 (8:2) was produced by extrusion/spheronization method using either water or glycerol 25% as liquid binder. Different levels of liquid binder were used (35-50 %w/w). The pellets were characterized for particle size distribution, morphology, mechanical properties and dissolution profiles.

Results

At the optimal levels for both liquid binders which were ranging 40- 45 % w/w, the yields of over 88% (in the sieve fractions of 0.71-1.4 mm) and aspect ratios of less than 1.2 were obtained. The level of liquid binder required was less than those using moderately water soluble compound. The densities of pellets were 1.2-1.3g/ml and gastric emptying rate of pellets was not expected to be delayed. Similar surface texture and porosity (4-7 %) were observed for all pellets. Nonetheless, a lower surface tensile strength was determined in pellets produced with glycerol 25% compared to those using water (0.48 vs. 1.07 MPa), this can affect the pellets in further processing such as tableting or coating. Glycerol is used pharmaceutically as a wetting agent and a solvent. Low mechanical property was a consequence of the plasticizing effect of glycerol on Avicel CL611. The pellets exhibited non- linear dissolution profiles (release faster initially) with over 75% drug being released in an hour. The release profiles of the pellets were not affected by the type of liquid binders. Therefore, 25% glycerol was not sufficient to alter the dissolution rate of ibuprofen. Low porosity of the pellets contributed partly to the observed outcome.

Conclusion

A higher level of liquid binder was required to form pellets when a hydrophobic drug such as ibuprofen was used. The level of liquid binder was at least 1.5 times greater compared to incorporation of moderately water soluble compound. Pellets were ductile with weaker mechanical strength when 25% glycerol was used as liquid binder which can impact on the further processing of pellets.