Preparation of Sustained Release Supersaturating Solid Dispersions with Ethylene Vinyl Acetate Copolymers

Justin M. Keen¹, Justen R. Hughley¹, Ryan C. Bennett¹, Mark G. Gupta² and James W. McGinity¹
¹College of Pharmacy, The University of Texas at Austin, Austin, TX 78712
²Celanese EVA Performance Polymers, Dallas, Texas 75234

Introduction

- Fullerenol-based RBC drug delivery systems to amorphous solid dispersion systems can result in improved drug solubility and bioavailability via supersaturation of the drug following dissolution. 
- For RBC Class drugs with short half lives, it may be beneficial to prepare sustained release formulations, providing that supersaturation can be stabilized during the duration of release.
- Polymethyl methacrylate (PMMA) is a semi-crystalline thermoplastic copolymer traditionally used as an excipient in drug delivery.
- Polyethylene glycol (PEG) is an excipient that is commonly used to provide drug delivery systems with extended solubility.

Study Hypothesis

- Ethylene vinyl acetate may be an effective excipient for preparation of amorphous solid dispersions containing a model RBC class compound.

Materials

<table>
<thead>
<tr>
<th>Materials</th>
<th>EVA Grade</th>
<th>Melt Index (g/10 min)</th>
<th>Vinyl Acetate (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>EVA</td>
<td>160/60</td>
<td>0.7 18</td>
<td>26</td>
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<tr>
<td></td>
<td>26/41A</td>
<td>3.0 28</td>
<td>26</td>
</tr>
<tr>
<td></td>
<td>33/28A</td>
<td>4.0 33</td>
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</tr>
</tbody>
</table>

Methods

- Fullerenol (C₇₀H₁₄₄O₉) is a black, amorphous solid
- Polymethyl methacrylate (PMMA) is a semi-crystalline thermoplastic copolymer
- Polyethylene glycol (PEG) is an excipient that is commonly used as an excipient in drug delivery systems.

Results

- Differential Scanning Calorimetry
- Thermogravimetric Analysis
- Powder X-ray Diffraction

Discussion

- Ethylene vinyl acetate copolymers were found to be suitable for preparation of supersaturating solid dispersions which maintained drug solubility at low concentrations.
- The rate of supersaturation is affected by the ethylene vinyl acetate content in the solid dispersion and the molecular weight of the copolymer.

Conclusions

- Ethylene vinyl acetate copolymers were found to be suitable for preparation of sustained release supersaturating solid dispersions when evaluated at low concentrations.

References


Acknowledgments

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