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Chaw, Cheng and Zhenli, C. (2013) Production of pH Sensitive Microparticles by Coacervation Technique: Effect of Isopropanol. In: 2013 AAPS Annual Meeting and Exposition, 10-14 Nov 2013, Henry B Gonzalez Convention Centre, San Antonio.

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Production of pH Sensitive Microparticles by Coacervation Technique: Effect of Isopropanol

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Purpose

The pH sensitive polymers are commonly used for enteric coating. They are also promising carriers for lipophilic drugs. Ethanol is a common solvent added for the production of enteric microparticles by simple coacervation technique. This study investigated the effect of isopropanol as solvent to produce cinnarizine loaded Eudragit L100-55 microparticles by the same technique.

Methods

To produce microparticles, an aqueous HPMC solution (2.5 to 8.0 % w/w) was added to a solution (made of isopropanol) consisting of cinnarizine (15 % w/w) and Eudragit L100-55 (8 to 16 % w/w). Microparticles formed after addition of water. Different ratios of Eudragit to HPMC (between 4.5:1 and 1:1) were studied. The microparticles obtained were evaluated for particle sizes, encapsulation efficiencies, yields, dissolution profiles and etc.

Results

HPMC ratio of 4.5:1, were produced. Satisfactory yields (75-87%) were obtained with reasonably high drug encapsulation efficiencies (84-95%). No visible cinnarizine crystals were detected from SEM. The endothermic peak related to melting temperature of cinnarizine disappeared and there was shift to a lower value for the transitional peak of Eudragit in the DSC analysis. This result indicated that cinnarizine interacted with the enteric polymer. It exerted a plasticizing effect on the enteric polymer chains. The drug also transformed into amorphous form by coacervation technique. The microparticles exhibited pH responsive characteristics and at the ratios of Eudragit: HPMC between 2:1 and 4:1, over 85% suppression of drug release in HCl was seen. These particles were least 10 μm in diameter.

Conclusion

When isopropanol was used as solvent, the ratios of Eudragit® L100-55: HPMC required to form stable coacervate droplets with particle size of over 10 μm was lower than those produced from ethanol according to previous work, i.e. isopropanol (2:1 to 4:1) vs. ethanol (3.75:1 and 4.8:1). Nonetheless, to produce similar degree of suppression for release in HCl. The particle size should be over 10 μm .