

Preparation, optimization and evaluation of mucoadhesive microspheres of Stavudine

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Introduction:

Drug delivery systems (DDS) that can precisely control the release rate or target drug(s) to a specific body site had an enormous impact on the health care system. Microspheres constitute an important part of these particulate DDS by virtue of their small size and efficient carrier characteristics. However, the success of these novel DDS is limited due to their short residence time at the site of absorption. It would, therefore, be advantageous to have means for providing an intimate contact of the DDS with absorbing membranes.

Objectives:

It can be achieved by coupling mucoadhesion characteristics to microspheres and developing novel delivery systems as mucoadhesive microspheres. Stavudine, a nucleoside analogue of thymidine is used in the treatment of HIV. Stavudine has short half-life of 2.3 hours thereby requiring twice daily in large number of patients which leads to no patient compliance. Dosage forms that are retained in the stomach would increase the absorption, improve drug efficiency and decrease dose requirements. In the present study keeping an objective of dosage forms that are retained in the stomach, mucoadhesive microspheres of Stavudine were prepared by orifice-gelation method using as a coat, chitosan and natural mucoadhesive polymers i.e., black gram lentils. Thus the development of mucoadhesive microspheres for controlled release would be advantageous.

Results:

The mucoadhesive microspheres of Stavudine were conveniently prepared by orifice ionic gelation method using sodium alginate mucoadhesive polymers (synthetic/natural) and mucilage isolated from the black gram lentils. The mucoadhesive microspheres were prepared in 1:1, 1:2 and 1:3 cores: coat (coat composition was rate controlling polymer: mucoadhesive polymer at 1:1 weight ration). The polymer chitosan was selected to control the release rate as synthetic and chitosan, mucilages isolated from black gram lentils, were selected as natural mucoadhesive polymer. In first set three formulations viz., AF-1, AF-2 and AF-3 were formulated with chitosan and three formulations viz., AF-4, AF-5 and AF-6 formulated with black gram lentils. All the prepared mucoadhesive microspheres were subjected for characterization. The production yields were in the range of 84.51 ± 0.38 to 95.56 ± 0.31 and the percentage drug content were in the range of 95.21 ± 0.45 to 99.12 ± 0.45 with low SD and CV value indicating uniform distribution of drug within the various batches of microspheres prepared with negligible loss during the formulation stage.

Conclusion:

The percentage encapsulation efficiency was in the range of 95.21 ± 0.45 to 99.12 ± 0.45 and increased progressively with increase in the concentration of sodium alginate. The microspheres were distributed in the range of $928.95 \mu\text{m}$ to $932.071 \mu\text{m}$. The microspheres exhibited excellent mucoadhesive property and good drug entrapment. Formulations showed polymer concentration dependent drug release over a period of 12 hours. Microspheres were having good mucoadhesive property with good encapsulation capacity and a sustained release property. Formulations showed polymer concentration dependent drug release over a period of 12 hours. Microspheres were having good mucoadhesive property with good encapsulation capacity and a sustained release property.