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Formulation Development and Evaluation of Carprofen Microspheres

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Abstract: Carprofen is a generation of Non steroidal anti inflammatory agent which is widely used by veterinarians as a supportive treatment for the relief of arthritic symptoms in geriatric dogs. It can be used both short term, for joint pain or post-operative inflammation, and long term. Carprofen microspheres were prepared by emulsion solvent evaporation technique using cellulose acetate phthalate as the polymer. The choice of technique mainly depends on nature of polymer used, the drug and intended use. The prepared microspheres were performed in vitro drug release studies. The surface morphology and uniform coating of microspheres were characterized by scanning electron microscope. The drug content and drug entrapping efficiency of microspheres were also determined. The dissolution data registered a release of 88.39 % which complies with Indian pharmacopoeia official requirement.
Key words: Microspheres, carprofen, cellulose acetate phthalate, solvent evaporation technique.

Introduction

Microencapsulation is a process by which relatively thin coatings are applied to small particles of solids or droplets of liquids and dispersions. They usually have particle size ranging dimensionally from several tenths of a micron to 5000 micron. Contents of the capsules are contained within the wall until released by some means that serve to break, crush, melt, dissolve, rupture or remove the shell, or the internal phase diffuses through the capsule wall. The first research leading to the development of micro encapsulation procedures for Pharmaceuticals was published by Bungen burg de Jong and Kan in 1931 and dealt with the preparation of gelatin spheres and the use of a gelatin Coacervation process. Carprofen is a generation non-steroidal anti-inflammatory agent, which is widely used in the long-term therapy. The drug Carprofen suffers from severe drawbacks of gastric intestinal disturbance (including diarrhea) intestinal ulceration and bleeding. [1,2,3]

Materials

Carprofen pure drug procured from Pfizer pharmaceutical pvt Ltd, and dichloro methane used was HPLC grade supplied by M/S Nice chemicals Pvt

Ltd, Chocin 682024 and Tween 80 supplied by Johnsons Chemicals Laboratory Ltd, Mumbai. Cellulose acetate phthalate supplied by M/s SD. Fine Chemicals Mumbai.

Prerarration of Microspheres

The process is carried out in a liquid manufacturing vehicle. The microcapsule coating is dispersed in volatile solvents, which is immiscible with the liquid manufacturing vehicle phase. A core material to be microencapsulated is dissolved or dispersed in the coating polymer solution. With agitation, the core material mixture is dispersed in the liquid manufacturing vehicle phase to obtain the appropriate size microcapsule. The mixture is then heated if necessary to evaporate the solvent from the polymer,

in the case in which the core material is dispersed in the polymer solution, polymer shrinks around the core. In the case in which the core material is dissolved in the coating polymer solution, matrix type microcapsules are formed. [4,5] The solvent evaporation technique to produce microcapsules is applicable to a wide variety of core materials. The core material may be either water-soluble or water insoluble materials. Cellulose acetate phthalate is dissolved in dichloromethane (1.5%w/v) to get 20ml of the solution 100mg of Carprofen were dissolved in to the polymer solution. The drug polymer mixture is added to the aqueous phase (100ml of 0.3% tween80 solution) with vigorous stirring. The stirring is continued till all the organic phase evaporates. The microcapsules were recovered by filtration and dried.[6,7,8]

Physicochemical Evaluation of the Microsheres [9,10,11,12]

Morphology

For surface characteristics microspheres were vacuum coated with gold vapors and scanned under a Jeol Jsm 5610LV scanning electron microscope at different magnification. It was found that the microspheres were absolutely spherical. The coating uniformity was also achieved.

Size Analysis

The size of microspheres and size distribution of prepared microspheres were carried out using a set of standard sieves ranging from 10 to 120-mesh size. The sieves were arranged in the increasing order form top of bottom the microspheres were passed through the set of sieves and the amount retained on each sieves are collected.

Angle of Repose

A funnel was fixed in a stand in such a way that the tip of the funnel was at the height of 6cm from surface the microspheres of 30/40 mesh size were poured through the funnel so that they form a conical heap on the surface the height (h) and radius (r) of the heap were measured and the angle of repose was calculated.

Drug Content Determination

The microspheres of 50mg were crushed and 10ml of solvent was added from this 1ml was made up to 50ml and then filtered. From the filtrate 1ml was made with equal volume of methanol and phosphate buffer pH 7.2 to produce 100 ml. measured the absorbance of the resulting solution at 285nm in UV-Visible spectrophotometer (UV – 160 IPC, Shimadzu, Japan)

Percentage Encapsulation

Amount of the drug encapsulated in formulation has to be calculated by following formula

Weight of drug content in Percentage of drug Encapsulated ..

$$= \frac{\text{microspheres / g} \times 100}{\text{Weight of drug used /g}}$$

In-vitro drug release studies

The dissolution experiments (3replicates) for all the formulation were carried out using the rotating basket (USP 23Apparatas I, Electro lab, tablet dissolution tester TDT-06P, Mumbai) at 100rpm. Capsule in the basket were placed in 900ml dissolution medium equilibrated to 37 ± 0.5^0c. Samples were withdrawn from the dissolution vessel at 60min the samples filtered and analysed by UV-Visible spectro photometer.[13,14,15,16]

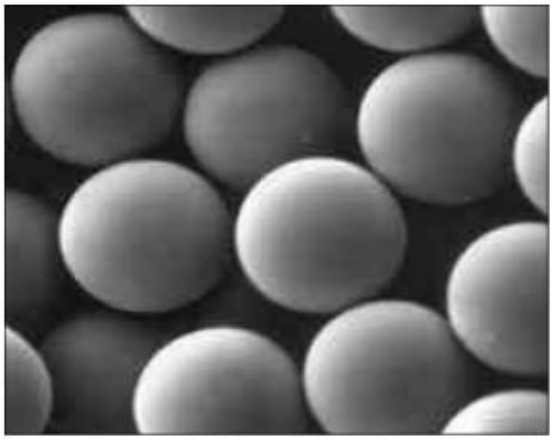
Results and Discussion

Carprofen microspheres were prepared by emulsion solvent evaporation method. The characteristics of microspheres viz morphology, size, flow property, drug content and release profile of the microspheres were investigated.

Scanning electron micrograph of carprofen microspheres revealed that the microspheres were absolutely spherical & coated uniformly (figure 1). Size analysis of microspheres showed that microspheres were uniform in size. Further angle of repose data confirmed good flow property.

The drug release profile and entrapment efficiency of the microspheres were experimentally determined. The results are summarized in (Table 1). The data confirmed good entrapment efficency and the dissolution rate revealed registered a release of 88.39% which complies with Indian Pharmacopoeia official standard.

Formulation	Time in minutes	Percentage of dissolution	Entrapment efficiency
1	60	88.60%	90.5
2	60	88.53%	91.2
3	60	88.25%	90.8



Conclusion

The obtained microspheres are fine, uniform, discrete, round and free flowing, the method followed is economical to get reproducible microspheres, and the amount of coating material influences the particle size and the release rate of the product. The drug content in the product was found 88.39% for all the batches, which gives us a clear indication that the reproducibility factor works very well the drug: polymer ratio has an impact on the drug encapsulation efficiency and *in vitro* release. In the present study an extensive attempt has been made to incorporate the maximum amount of drug in the microspheres.

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