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Determination of Physical Characteristics of Nifedipine Microsphere

P PARIDA[1] and S C MISHRA[2]

[1]University Department of Pharmaceutical Science, Utkal University, Bhubaneswar, 751004 Orissa, INDIA.
[2]Dept. of Metallurgical and Materials Engg. National Institute of Technology, Rourkela 769008, Orissa, INDIA.
[1]Corresponding author: patitapabana.pharma@gmail.com

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Abstract. We performed the quantitative physical identification of Nifedipine microsphere which shows sustained release action for the Hypertensive patients. The drug used as calcium channel blocker. Here the process of Analytical developmental study helps quantify the content in raw materials and in formulation of test samples. Nifedipine microsphere was prepared by solvent evaporation technique. Spherical microspheres having good entrapment efficiency surface characteristics. From SEM study it was found the image of the formulation. The properties of microspheres were found to be changed by various processing parameters to give microspheres of better flow property. The goal of this work was to investigate the influence of some process parameters on physical characteristic of microsphere. From the DSC analysis it was found that the transition temperature of Nifedipine microsphere remains nearly to Nifedipine pure drug which determine the significant parameter and efficient in swelling property. The data related with dissolution behavior of polymer exhibits sustained release property.

Keywords: Nifedipine, Microsphere, Polymer, SEM, DSC

1. Introduction

Nifedipine (Nif.) Is a prototype Dihydropyridine calcium channel blocker with a rapid unset and short duration of action. Inhibiting passage of calcium through the voltage gated L-type (for Large/Long-lasting current) calcium channel on vascular smooth muscle cells and cardiac myocytes, reducing calcium availability for muscle contraction.[1] The dihydropyridines have much less effect on the cardiac tissues and higher specificity for the arteriolar vascular bed. [2] Microsphere system is one of the most efficient techniques to improve the dissolution rate of poorly water soluble drugs, leading to an improvement in the relative bioavailability of their formulations. At present the solvent evaporation

method are widely used in the preparation of microsphere. [3] Microspheres are one of the particulate delivery systems used to achieve sustained or controlled drug delivery, improve bioavailability and stability and target drug to specific sites. Thus, a stable microsphere can be formed without compromising the activity of the drugs.[5] Nifedipine microspheres show amorphous state to improve their dissolution. The emulsion formation, solvent evaporation and solid dispersion technique has often proved to be the most successful in improving the dissolution and bioavailability of poorly water soluble active pharmaceutical ingredients because it is simple, economic, and advantageous technique. [6]

2. Materials and Methods

Table 1

Sl.No	**Materials Used**	**Sources**	1	**Instrument Used**	**Source**
1.	Nifedipine	J.B Pharma [USP]	2	Distilled water	Shineltsu LTD [IP] Japan
2.	Ethyl Cellulose	Merch [USP]	3	Mechanical Stirrer	Remi
3.	Polyvinyl alcohol	S.D. fine [IP]	4	Digital Weighing Balance	Ohaus Corp.Pine Brook, NJ
4	Dichloro methane	Finar [IP]		DSC	

Formulation

Ethyl cellulose Microspheres were prepared by solvent evaporation method. Nifedipine and ethyl cellulose with a total weight of 1000 mg were dissolved in 10 ml Methylene chloride (dichloromethane) as the internal phase. Microspheres were prepared with three different drugs to polymer ratios: 10%, 20% and 30%. The internal phase was then added drop-wise to a 0.5% w/v solution of poly vinyl alcohol (PVA) in water. The mixture was constantly stirred at 500 rpm using an overhead stirrer (Remi) up to 5 hours for complete evaporation of Methylene chloride. Microspheres were then filtered and rinsed three times with distilled water and dried at room temperature [7 to 11].

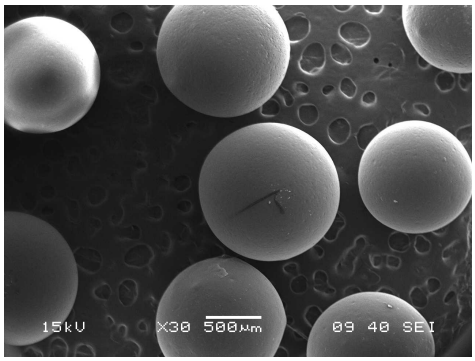

Fig. 1 : Nifedipine Microsphere

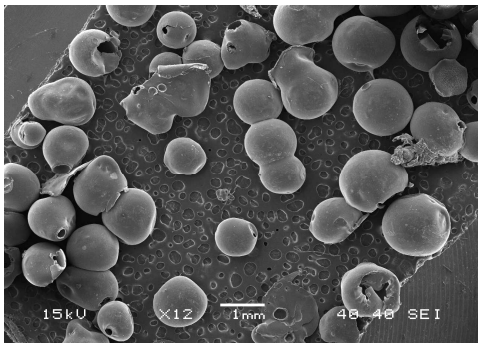

Fig. 2 : Perforated Nifedipine Microsphere

Differential scanning calorimetry (DSC)

Differential scanning calorimetric analysis Differential scanning calorimeter (DSC) analysis was Undertaken to characterize the changes, if any, during thermal exposure of samples. The test was carried out using a thermal analysis system (Mettler DSC 822 model). Calibration with the standard (indium) was undertaken prior to subjecting the samples for study (between 30-400° C), which were heated at 10° C/min in an aluminum pan under a nitrogen atmosphere while using an empty pan as the reference in this instrument. The instrument automatically calculated onsets of melting point and enthalpy of fusion.

3. Results & Discussion

Data found from Differential Scanning Colorimeter

Table 2: Result and Discussion with the help of Differential Scanning Colorimeter

Sample/Properties	Nifedipine pure	Nifedipine microsphere
Quantity	11.90000 mg	10.5000 mg
Sample purge flow	40 ml/min	40 ml/min
Temperature range	35-200 ^{0}C	35 ^{0}-250^{0}C
Time of running	17 min	21.5 min
Heat flow		
Min.	47. 35 mw at 173.86 ^{0}C	2.78 mw AT 172.86 ^{0}C
Max.	0. 66 mw at 31.19 ^{0}C	0.27 mw AT 36.01 ^{0}C
Heating rate	10 ^{0}C /min	10 ^{0}C /min
Glass transition		
Onset	172.68 ^{0}C	171.77 ^{0}C
Mid Point	171.56 ^{0}C	171.68 ^{0}C

Differential scanning calorimetric studies

It illustrates the DSC thermogram of Nifedipine, physical mixture of Nifedipine with ethyl cellulose microsphere. The DSC thermograms of Nifedipine on the one hand & the microsphere formulation on the other, produced almost similar melting endotherms of pure drug at 172.68 ^{o}C and 171.68 ^{o}C, respectively. However, the intensity of the drug fusion peak for the microsphere formulation was lower than that of the pure drug. lower glass transition for glassy formulation of microsphere value can be attributed to the lower intermolecular hydrogen bonding resulting in restricted chain mobility.

4. Conclusions

Whatever the microspheres formulation, release patterns didn't exhibit any burst effect indicating the absence of free Nifedipine or crystals on the surface of the microspheres. Differential scanning calorimetry thermograms indicated that Nifedipine was incorporated in an amorphous state in the Microspheres. Microspheres formulations exhibited slow release profiles with poor dissolution efficiency. Physical characterization shows that the drug is homogeneously dispersed in an amorphous state inside the Microspheres.

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