ISSN: 2321-3760

Research Article

International Journal of Current Trends in Pharmaceutical Research

IJCTPR, 2014, Vol. 2(6): 657-661

www.pharmaresearchlibrary.com/ijctpr

In-Vitro Characterization of Amylodipine Besylate Floating Microspheres

Panga Latha[1]*, K. Umasankar[1], M. Alagusundaram[1], M. Srikanth Reddy[1], G. Devi Nagajyothi[1], M. Mallika[1], Jagadesh kumar yadav.K[2]

[1]*Department of pharmaceutics, Krishna Teja College of Pharmacy, Tirupathi, Andhra Pradesh, India*

[2]*Department of pharmaceutics, Sree Vidyanikethan College of Pharmacy, Tirupathi, Andhra Pradesh, India*

Received: 11 August 2014, Accepted: 29 October 2014, Published Online: 15 November 2014

Abstract

Amylodipine besylate is a anti-hypertensive drug (or) blood pressure reducer, having the 64% bio-availability .in the present study focus on the floating microspheres, they has been used for prolong release and rapid reaction in the stomach at a single dose frequency of administration. The present study was floating microspheres of amylodipine besylate were prepared by using solvent evaporation method with HPMC E-15. The prepared microspheres are evaluated for drug content, entrapment efficiency and *in-vitro* drug release. from the dissolution study F5 formulation shows more prolong drug release compare to other formulations and it showing buoyancy above 6 hrs from the results concluded that by increasing the polymer concentrations gives slower the drug release and higher the duration of drug release in stomach.

Keywords: floating microspheres, *in-vitro* drug release, HPMC E-15, solvent evaporation method.

Contents

***Corresponding author**
Panga Latha
Department of pharmaceutics,
Krishna Teja College of pharmacy,
Tirupathi, Andhra Pradesh, India
Manuscript ID: IJCTPR2241

PAPER-QR CODE

1. Introduction

Recent day's solubility is the major category to increase the drug release and bioavailability of drug .microspheres are prepared for increase the solubility of the low solubility drug. Here amylodipine besylate floating microspheres are increase the bioavailability by increasing the solubility of drug. Polymer gives the buoyancy in stomach. When microspheres are contact with water gives buoyancy to microspheres and drug release was based on the time of buoyancy. These are having density should be less than 1 gm/cm [3].These are called as hydro dynamically balanced system [1,2].

2. Materials and Methods

Amylodipine besylate was gift sample from A TO Z Pharmaceuticals, Chennai, India and HPMC E-15 was purchased from SD Fine chemicals and all other ingredients were used as analytical grades.

Pre-formulation studies:

1. **FT-IR Studies:** FT-IR Studies were conducted for measurement of drug interactions between the drug and polymer with compatability studies. And measured with peak values of stretching and bending.
2. **DSC Studies:** to know the compatability between the drug and polymer and to know the thermal difference between the drug and polymer. It will measure the thermal melting point of drug.

Method of Preparation [3, 4, 5]

Amylodipine floating microspheres are prepared by solvent evapouration method. The drug and HPMC E-15 are dissolved in 1:1 ratio of dichloromethane and ethanol in a separate beaker and then it was poured in to a another beaker containing 1% liquid paraffin solution containing span 80 with stirring at 1000 rpm .microspheres are formed, collected and washed with water dried in hot air oven and stored in a desiccator.

Table 1: Formulation of floating microspheres of Amylodipine besylate

Code	Drug(mg)	Polymers HPMCE-15:EC	Dichloromethane: ethanol(1:1)	1% liquid paraffin solution(ml)
F_1	100	500:500	Q.S	250
F_2	100	500:400	Q.S	250
F_3	100	500:300	Q.S	250
F_4	100	500:200	Q.S	250
F_5	100	200:500	Q.S	250
F_6	100	300:500	Q.S	250

Evaluation of microspheres [6-11]

1. **Drug content**:
   100 mg equivalent microspheres are weighed and dissolved in 100 ml standard flask with phosphate buffer 7.4 .from this solution 1ml was taken and make up volume up to 10 ml in 10 ml standard flask with pH 7.4 buffer, from this solution 0.2, 0.4, 0.6, 0.8 and 1.0 was taken made up to 10 ml and absorbance was measured at 284 nm in UV-Visible spectrophotometer. Same as done for drug in standard calibration of drug are considered as a standard values and here from microspheres absorbance's are consider as a test values. From this absorbance's calculate the drug content in microspheres.
2. **% practical yield**:
   % practical yield was measured from the final obtained formulation weight. Ratio between the total weight of all ingredients and total weight of obtained formulation.

$$\% \text{ practical yield} = \frac{\text{practical weight of of formulation}}{\text{total weight of ingredients}} \times 100$$

3. **Angle of repose: angle:** One of flow property of angle of was measured from the height of the peak and measured as the tan ɵ value.
4. **Swelling index behavior of Floating microspheres:**
   The extent of swelling was measured in terms of percentage weight gain by the microspheres. The swelling behavior of all the formulations was studied. One microsphere from each formulation was kept in Petri dish containing phosphate buffer pH 6.8. At the end of 1, 4, 8, and 12hr microspheres were withdrawn, soaked on tissue paper and weighed, and then percentage weight gain by the microspheres was calculated using formula.

   Swelling Index = Wt –Wo/ Wo × 100

   Wt = Weight of microspheres at time„t" and Wo = Weight of microspheres at time "0".
5. **Total floating time of floating microspheres**
   Floating time of microspheres was determined before coating and after coating of microspheres. Floating lag time and total floating time was determined as per method described by Rosa et al. Microspheres were placed in a 100 ml beaker containing 0.1 N HCl. The time required for the microspheres to raise the surface and float was determined as floating lag time. The duration of time the dosage form constantly remained on the surface of medium was determined as the total floating time.

6. *In-vitro* drug release:

100 mg of microspheres were weighed and dissolved in 100 ml buffer pH 7.4 it was the 1000 µg/ml concentration from this solution 1ml solution was taken and make up with 10 ml of pH 7.4 it was 10µg/ml concentration from this 0.2, 0.4, 0.6, 0.8 and 1.0 were taken and diluted with buffer up to 10 ml it was 2,4,6,8 and 10 µg/ml concentration.. This was measured in UV-Visible spectrophotometer.

3. Results and Discussion

Amylodipine besylate was used to treat the high blood pressure .it was the anti-hypertensive drug it will control the angiotensin-renin release because it will decrease the cardiac output. in this present study prepared microspheres are evaluated for the characterization studies. Before that compatability studies are conducted, from the FT-IR Studies there is no interaction between drug and polymer, from the DSC studies there is no temperature difference between the drug and polymer. F_5 Showing the higher floating time swelling index of microspheres. F_5 was given more drug content as 89.43 and it was given more drug release compare to all formulations. Order of drug release 86.5>83.6>82.13>80.4>79.8>76.1>59.2 are F_5>F_6>F_3>F_2>F_1>F_4> Drug Respectively. From this my present study F_5 Formulation was best formulation compared to other formulations.

Table 2: Evaluation of floating microspheres of Amylodipine besylate

Code	%Drug content	% Practical yield	Angle of repose
F_1	63.53	94.13	22.86±0.098
F_2	71.09	95.43	20.17±0.321
F_3	76.87	87.76	23.54±0.132
F_4	85.23	90.19	21.82±0.221
F_5	89.43	92.54	19.02±0.123
F_6	81.65	89.63	21.20±1.236

Table 3: Evaluation of floating time of floating microspheres of Amylodipine besylate

Code	Floating time (hr)	Floating time(sec)
F_1	20±1.24	43±2
F_2	20±1.43	42±3
F_3	21±1.65	48±3
F_4	22±1.36	45±1
F_5	24±1.84	41±3
F_6	22±1.61	42±3

Table 4: Evaluation of swelling index of floating microspheres of Amylodipine besylate

Formulations	% swelling index of microspheres		
	Time (hr)		
	1-3	3-6	6-10
F_1	18	54	123
F_2	22	61	121
F_3	27	69	134
F_4	32	75	148
F_5	28	82	156
F_6	27	72	128

Table 5: In-vitro drug release of amylodipine besylate microspheres

Time(hr)	Drug	F_1	F_2	F_3	F_4	F_5	F_6
0	0	0	0	0	0	0	0
2	13.46	22.3	32.8	37.8	33.8	42.6	38.8
4	23.81	31.4	47.8	59.4	55.9	60.56	53.5
6	38.6	48.8	52.4	66.67	62.9	74.3	62.8
8	49.3	70.8	67.54	76.8	68.2	78.6	74.8
10	59.2	79.8	80.4	82.13	76.1	86.5	83.6

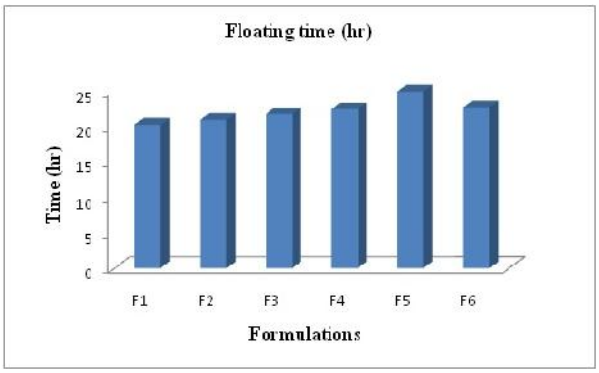

Figure 1: Evaluation of floating time of floating microspheres of Amylodipine besylate

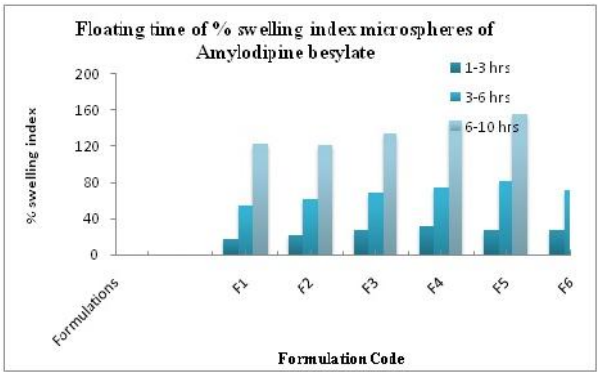

Figure 2: Evaluation of swelling index of floating microspheres of Amylodipine besylate

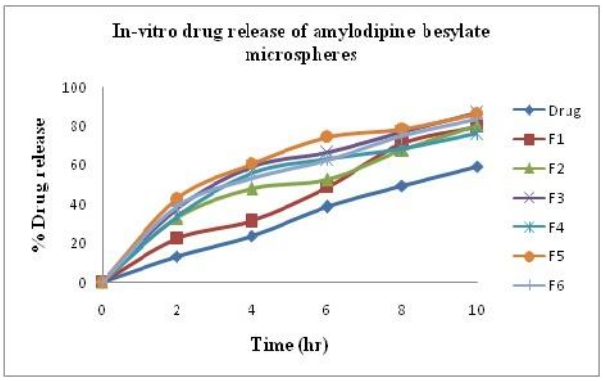

Figure 3: In-vitro drug release of amylodipine besylate microspheres

4. Conclusion

Amylodipine besylate floating microspheres are given rapid release of drug from the microspheres in the GI Fluid at pH 7.4. F_5 formulation was shows highest drug release from the buoyancy microspheres up to 5-6 hrs in GIT. Here higher the polymer concentration gives slower the drug release of drug.

5. References

1. Jimenez-Martinez I, Quirino-Barreda T, Villafuerte-Robles L. Sustained delivery of captopril from floating matrix microspheres. *Int. J. Pharm*, 362(1-2), **2008**, 37-43.
2. Boldhane SP, Kuchekar BS. Development and optimization of metoprolol succinate gastroretentive drug delivery system. *Acta Pharm*, 60, **2010**, 415-25.
3. Mishra DN, Vijay KSG. Rapidly disintegrating microspheres of Meloxicam. *Indian Drugs*, 43(2), **2006**, 118.
4. Government of India. Ministry of health and welfare Indian Pharmacopoeia. Delhi: Controller of Publications. 2, **1996**, A-80, 736.
5. Mishra DN, Vijay KSG. Rapidly disintegrating microspheres of Meloxicam. *Indian Drugs*, 43(2), **2006**, 118.

6. Banker GS, Anderson NR. Microspheres In; The Theory and Practice of Industrial Pharmacy. Lachman L., Lieberman H. A., Kanig JL. Edn 3rd, Vargese Pub. House Bombay, **2003**, 297-299.
7. Dayakar B, Sriram N, Eswar Kumar A, Saikishoreji D, Design and *In Vitro* dissolution of metoprolol succinate floating microspheres. *Asian J. Pharm. Res*, 3(1), **2013**, 1-8
8. Rosa M, Zia H, Rhodes T. Design and Testing *in vitro* of a Bioadhesive and Floating Drug delivery system for oral Application. *Int J Pharm*, 105, **1994**, 65-70.
9. Patel SS, Ray S and Thakur RS. Formulation and Evaluation of floating Drug Delivery System Containing Clarithromycin for Helicobacter Pylori. *Acta Poloniac Pharma. Drug Research*, 63(1), **2006**, 53-61.
10. Davis SS. Formulation Strategies for absorption Windows. *DDT*, **2005,** 10, pp. 4.
11. Chien YW. Novel drug delivery system, Marcel Dekker, 2nd Edi. Rev. Expand., **1992**, pp.139-196.