World Journal of Pharmaceutical Sciences
ISSN (Print): 2321-3310; ISSN (Online): 2321-3086
Available online at: http://www.wjpsonline.org/
Original Article

Formulation development and *in-vitro* evaluation of saxagliptin loaded floating microspheres

Joynal Abedin, L. Jyothi Rani, G.S. Sharma, B. Rama

Malla Reddy Institute of Pharmaceutical Sciences, Maisammaguda, Hyderabad, Telangana-500014, India

Received: 11-11-2020 / Revised Accepted: 21-12-2020 / Published: 22-12-2020

ABSTRACT

The present study has been a satisfactory attempt to formulate floating microspheres of Saxagliptin, a novel anti- diabetic medicine charitable a CR of the drug. From the investigational consequences it can be completed that, FT-IR was exhibits there is no any important shifting of the peaks so it proven the small phrase constancy of the drug in the beads. Chitosan & albumins are used in microspheres preparation. Good% drug entrapment & % yields were attaining with together the polymers. Among all preparations were within the limits so, they are easily filled into capsules. % CDR significantly reduced with enhanced in polymer concentration. S7 formulation showing better drug release among remaining formulation drug release for 12 hours was obtained 83.91±3.16% follows First order kinetic model & Higuchi model.

Keywords: Saxagliptin, Chitosan, Albumin, Microspheres

INTRODUCTION

All the prepared pharmaceutical goods originated for systemic liberation through the oral cavity direction of admin, disregarding of the form of liberation such as IR, SR, & CR propose of measure form like solid /liquid dispersion, must be urbanized within the fundamental aspects of GI physiology.

The successful expansion of ODD's having of essential considerations such as:

(i) Physicochemical, pharmacokinetic & pharmacodynamic aspects of the drug.
(ii) The anatomic& physiologic aspects of the GIT.
(iii) Physicochemical aspects & liberation form of the dosage appearance to be considered.

Gastroretentive Drug Delivery Systems
Crucial GIT Physiology:

- **Phase I (basal phase)**
- **Phase II (preburst phase)**
- **Phase III (burst phase)**
- **Phase IV (transition period)**

Address for Correspondence: Joynal Abedin, Malla Reddy Institute of Pharmaceutical Sciences, Maisammaguda, Hyderabad, Telangana-500014, India; E-mail: ajoynal799@gmail.com

How to Cite this Article: Joynal Abedin, L. Jyothi Rani, G.S. Sharma, B. Rama. Formulation development and *in-vitro* evaluation of saxagliptin loaded floating microspheres. World J Pharm Sci 2021; 9(1): 1-4.

Advantages of FDDS:

Floating method is one of the new technique it plays a specific role & it having no. of benefits in drug dispatch.

1. Proscribed liberation of drugs.
2. Effortless & conservative apparatus for creation.
3. Trouble-free to swallow and better patient compliance.
4. Site-targeting dispatch.

Disadvantages of FDDS:

1. Gastric retention is determined by a lot ofcomponents. These components are never steady&therefore the buoyancy can't be expected.
2. In this floating DD Drugs may irritate gastric mucosa.
3. Moreunpredictability in gastric emptying time b/c of it's all/ non-emptying procedure.

MATERIALS AND METHODS

Saxagliptin was procured from C labs, Hyderabad. Xanthan gum, Carbopol, HPMC E15, Ethyl cellulose, Sodium alginate was supplied from standard chemicals, & Avantor chemicals. All other chemicals and reagent used were of analytical grade.

Saxagliptin Linearity Plot with 0.1N HCl

1. Stock Sample Preparation: Weigh 0.1 g of API and dissolved in few of 0.1N HCL in 100 mL of VF and make up to the mark to get a conc. of 1000 µg/mL (primary stock sol'n). 10 mL of 1st stock was pick out into 100 mL of VF & quantity was familiar with 0.1N HCL to acquire a conc. of 100µg/mL (secondary stock solution).

2. Sample Preparation: From the secondary stock solution pipette out 0.25, 0.5, 0.75, 1, 1.25 & 1.5 ml into 10ml of VF & volume made up to with 0.1N HCL to get required conc. such as 2.5, 5, 7.5, 10, 12.5, 15 µg/mL were prepared for linearity. UV double beam spectrophotometer was at 278nm.

METHOD OF PREPARATION

Heat stabilization technique [47]

Drug is dispersed in mixture of 5ml of 1%w/v albumin solution, 5ml of 2%w/v chitosan in 2% CH_3COOH & dispense into 5ml of 15% w/v gelatin solution such as water containing 1.5% w/v $CaCO_3$ and syringe in to 25ml of Glutaraldehyde containing 20ml Tween 80 1ml gently stirred for 10min at 60-70^{0}c and 1000rpm (w/o emulsion is formed) then it is cooled at 50 ^{0}c for 30min , bathe with petroleum ether and dried at 45^0 c.

Post compression parameters:

Percentage yield

Percentage practical yield of saxagliptin floating microspheres is calculated to know about percentage yield or efficiency of any method, thus it helps in selection of appropriate method of production. Practical yield was calculated as the weight of saxagliptin floating microspheres recovered from each batch in relation to the sum of starting material.

The percentage yield of prepared saxagliptin floating microspheres was determined by using the formula.

$$\text{Percentage yield} = \frac{\text{Practical yield}}{\text{Theoretical yield}} \times 100$$

Drug Entrapment Efficiency: Floating Microspheres of S1 to S9 formulations in each formulation equivalent to 5 mg of the drug were taken for evaluation. The amount of drug entrapped was estimated by crushing the microspheres and extracting with aliquots of pH 1.2 buffer repeatedly. The extract was transferred to a 100ml volumetric flask and the volume was made up using pH6.8 Phosphate buffer. The solution was filtered and the absorbance was measured after suitable dilution spectrophotometrically (UV 1700, Shimadzu, Japan) at 278 nm against appropriate blank. The amount of drug loaded and entrapped in the microspheres was calculated by the following formulas:

$$\text{Drug entrapment efficiency (\%)} = \frac{\text{Amount of acutal drug present}}{\text{Theoretical drug loaded expected}} \times 100$$

Swelling Index: Swelling property of prepared floating microspheres was studied by weighed known quantity of floating microspheres were soaked at 37±0.5 °C in phosphate buffer (pH 1.2) solution. After a certain time, period microspheres were and excess media was removed by blotting with suitable media. Swollen microspheres were weighed by using electronic balance. The degree of swelling (α) was calculated by using following equation .

$$\alpha = \frac{\mathbf{Wg - Wo}}{\mathbf{Wo}} \times 100$$

Where, Wg is the weight of micropsheres after swelling & Wo is the initial weight of microspheres.

Invitro drug release studies:
DISSOLUTION equipment type:
USP type – I rotating basket
MEDIUM: 0.1N HCL
VOLUME: 900ml
BOWL TEMPARATURE: 37 ± 0.5^{0}C
RPM: 100 rpm
Time points : 0, 2, 4, 6, 8, 10, 12 hrs

RESULTS AND DISCUSSION

Saxagliptin Linearity Plot: Calibration curve of Saxagliptin was constructed in 0.1 N HCl at maximum wavelength of 278 nm and analysed for regression analysis. Regression analysis was selected because it minimize the deviation and correct the variance heterogeneity. The regression line was defined by its slope (m) and its intercept (C) for normal regression analysis was found as 0.054 and 0.010, respectively, with regression coefficient of 0.998 respectively.

Percentage yield: The percentage yield of prepared floating microspheres was found in the range of 80.16 % to 88.2% & the results were shown in table no.2.

% Drug entrapment efficiency: The percentage drug entrapment efficiency of the formulated saxagliptin loaded floating microspheres was found in the range of 62.24% - 87.24 % & the results was shown in table no.2.

Invitro drug release studies of saxagliptin loaded floating microspheres: Total nine formulations was formulated using albumin, chitosan, glutaraldehyde & tween 80 in various ratios. Among all the formulations F7 formulation shows maximum drug release of 83.91% at the end of 12th hour & the results was shown in fig no.3.From the kinetic studies it revealed that F7 formulations follows first order kinetic model.

CONCLUSION

The present study has been a satisfactory attempt to formulate floating microspheres of Saxagliptin, a novel anti- diabetic medicine charitable a CR of the drug. From the investigational consequences it can be completed that, FT-IR was exhibits there is no any important shifting of the peaks so it proven the small phrase constancy of the drug in the beads. Chitosan & albumins are used in microspheres preparation. Good% drug entrapment & % yields were attaining with together the polymers. Among all preparations were within the limits so, they are easily filled into capsules. %CDR significantly reduced with enhanced in polymer concentration. The S7preparation is best fitted into First order kinetic model & Higuchi model.

Table 1: Prepared formulation of Floating Beads

S.No.	Formulation code	Drug:polymer ratio	Polymer ratio (Albumin: chitosan)
1	S1	1:1	1:1
2	S2	1:1.5	1:2
3	S3	1:2	1:3
4	S4	1:1.5	2:1
5	S5	1:2	1:1
6	S6	1:2.5	2:3
7	S7	1:2	3:1
8	S8	1:2.5	3:2
9	S9	1:3	1:1

Table 2: % yield &% entrapment efficiency of drug prepared Microspheres

S.No.	Formulation code	% yield	Drug Content (mg)	% Drug entrapment efficiency	Swelling Index (%)
1	S_1	81	79.6	62.24	30.32
2	S_2	82.11	78.68	64.16	33.66
3	S_3	84.8	78.9	65.62	39.91
4	S_4	85.7	79.2	71.18	42.33
5	S_5	82.28	71.7	73.21	33.11
6	S_6	81	72.4	76.17	35.18
7	S_7	88.2	85.9	87.24	45.57
8	S_8	87.14	83.7	86.19	46.62
9	S_9	80.16	83.14	83.48	42.75

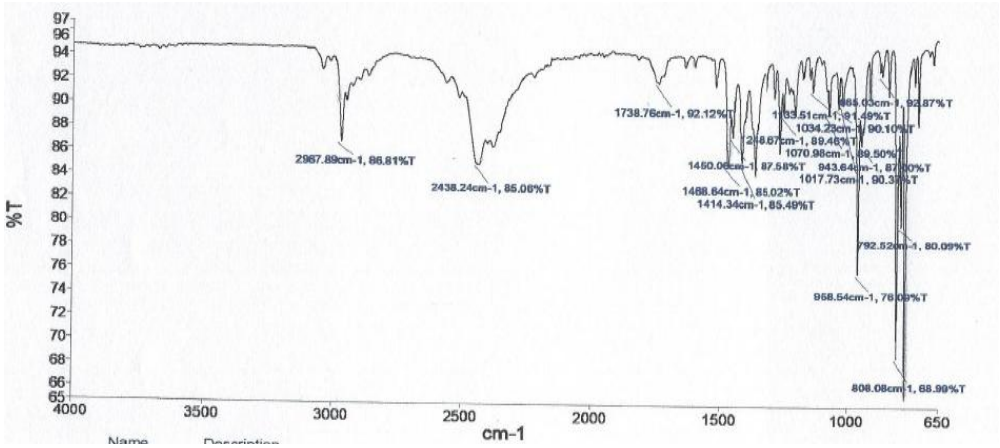

Fig No 1: FTIR Spectra of Saxagliptin pure drug

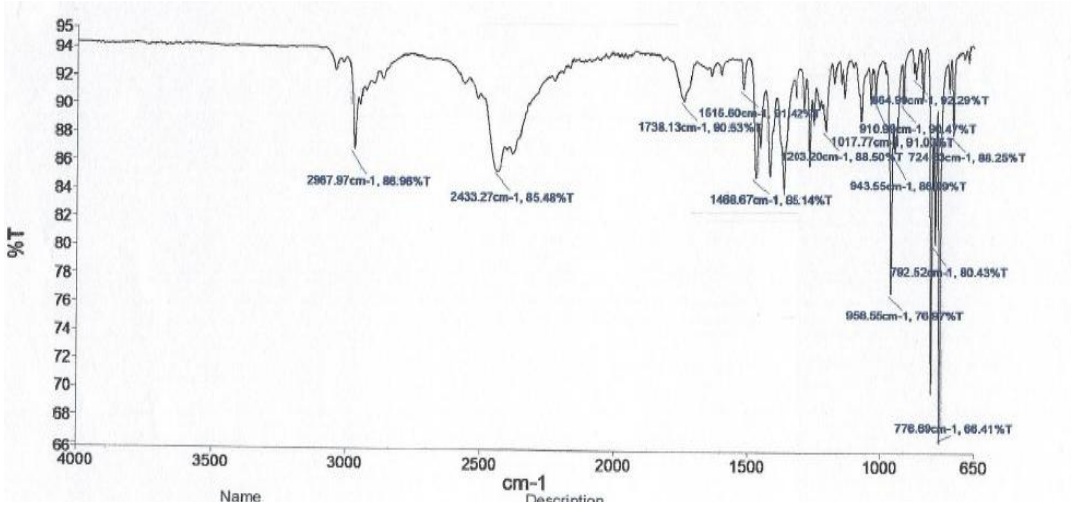

Fig No 2: FTIR Spectra of Saxagliptin final Formulation

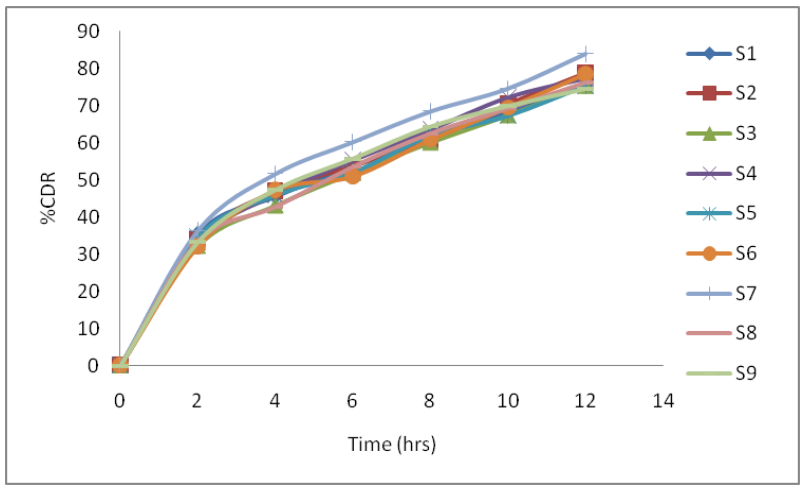

Fig 3: Dissolution profile of Saxagliptin Microspheres (S1 – S9) formulations

REFERENCES

1. Thomas Wai-Yip Lee and Joseph R. Robinson, "Controlled / Release Drug-Delivery Systems", 20th Edition, Mack Publishing Company, Volume-I, 2000; 903-929.
2. Herberrt., pharmacy dosage forms II nd Edition Volume-I.
3. Edith M., Chowdary K.P.R., Rajesh, K.S. The pharmacological basis of therapeutics, 10thEdn., McGraw-Hill, Newyork, 2001; 1686-1705.
4. https://www.drugbank.ca/drugs/DB06335.