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Formulation Development and Evaluation of Floating Microsphere of Trazodone hydrochloride

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Abstract

Floating microspheres of trazodone hydrochloride were prepared by a solvent diffusion- evaporation method. The nature of polymer influenced the physical characteristics as well as floating behaviour of the microspheres. *In vitro* buoyancy *s*tudies confirmed the excellent floating properties. Floating microspheres of trazodone hydrochloride as gastro retentive dosage forms precisely control the release rate of target drug to a specific site and facilitate an enormous impact on health care. These systems also provide tremendous opportunities in the designing of new controlled and delayed release oral formulations, thus extending the frontier of futuristic pharmaceutical development. Furthermore, recent innovations in pharmaceutical investigation will surely provide real prospects for establishment of novel and effective means in the development of these promising drug delivery systems.

Key Words: Microsphere, Trazodone hydrochloride, Formulation

Introduction

Medication activity can be enhanced by growing new medication conveyance framework, for example, the microsphere sedate conveyance framework. These frameworks stay in close contact with the ingestion tissue, the mucous layer, discharging the medication at the activity site prompting a bioavailability increment and both nearby and foundational impacts (Carvalho *et al.,* 2010). The oral course of medication organization constitutes the most helpful and favored methods for sedate conveyance to foundational dissemination of body. However oral organization of the greater part of the medications in traditional measurements frames has here and now restrictions because of their failure to limit and confine the framework at gastro-intestinal tract.

Oral drug delivery system has been known for decades as the most widely used route of administration among all the routes that have been explored for systemic delivery of drugs through various pharmaceutical products of different dosage forms. Drugs that are easily absorbed from the gastrointestinal tract and having short half-life are quickly eliminated from the blood circulation. To avoid these problems oral controlled release formulations have been developed. These systems should be aimed at achieving more predict table and increased bioavailability of the drugs and it is necessary to optimize both the residence of the system within the gastrointestinal tract and the release rate of the drug from the system. [1-2]

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Trazodone Hydrochloride

A serotonin uptake inhibitor that is used as an antidepressive agent. It has been shown to be effective in patients with major depressive disorders and other subsets of depressive disorders. It is generally more useful in depressive disorders associated with insomnia and anxiety. This drug does not aggravate psychotic symptoms in patients with schizophrenia or schizoaffective disorders. [3-4]

Material and Methods [5-10]

Physiochemical Properties of Trazodone hydrochloride

Organoleptic evaluation

It refers to the evaluation by sensory characters- taste, appearance, odor etc.

Solubility (at room temp :) Solubility is determined in different solvents example – watermethanol, 0.1 N HCL, Ethyl Alcohol, and Chloroform.

Identification Test

FTIR Spectroscopy

Infra- red spectrum is an important record which gives sufficient information about the structure of a compound. This technique provides a spectrum containing a large number of absorption band from which a wealth of information can be derived about the structure of an organic compound.

The region from 0.8 μ to 2.5 μ is called Near Infra-red and that from 15 μ to 200 μ is called Far infra-red region.

Loss on drying:

Loss on drying directly measuring by IR moisture balance. Firstly calibrate the instrument by knob then take 5.000 gm sample (powder) and set the temp at 100°C to 105°C for 5 minutes and constant reading set the knob and check % moisture.

Determination of pH (1□ w/v solution in water)

pH was determined by digital pH meter. In this method 1gm of the powder was taken and dissolved in 100ml of distilled water with sonication and filtered, pH of the filtrate was checked with standard glass electrode.

Melting point:

A small quantity of Trazodone hydrochloride was placed into a fusion tube. That tube is placed in the melting point determining apparatus containing castor oil. The temperature of the castor oil was gradual increased automatically and read the temperature at which powder started to melt and the temperature when all the powder gets melted.

Formulation development

Preparation of Floating microsphere of Trazodone hydrochloride

Floating microspheres loaded with Trazodone hydrochloride were prepared using solvent diffusion-evaporation method using HPMC and EC in different ratio like 1:1, 1:1.5, 1:2 w/w. Drug and polymer in proportion of drug and polymers were dissolved in 1:2 mixture of solvent system of ethanol and dichloromethane. This clear solution was poured slowly in a thin stream into the aqueous solution of 1% polyvinyl alcohol. The emulsion was continuously stirred for 3 h at a speed of 500 rpm at 27±2°C. The floating microspheres were collected by decantation, while the non-floating microspheres were discarded. The microspheres were dried overnight at 40±2°C and stored in desicator (Kesavan *et al.,* 2010)

Table 1: Formulations of the floating microspheres prepared

Sr. No	ɒrmulationCode	Trazodone hydrochloride (mg)	HPMC (mg)	EC (mg)
1.	F1	50	50	50
2.	F2	50	50	75
3.	F3	50	50	100
4.	F4	50	100	50
5.	F5	50	100	75
6.	F6	50	100	100

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Evaluation of microspheres

The prepared formulation was evaluated as per standard procedure.

Results and Discussion

Table 1: Organoleptic property of Trazodone hydrochloride

Color	:	White powder
Odor	:	Odorless
Taste:	:	Bitter

Table 2: Solubility studies of Trazodone hydrochloride in different solvent

S. No.	Solvent used	Solubility
1.	Water	Soluble
2.	0.1 N HCL	Soluble
3.	Ethanol	Freely Soluble
4.	Methanol	Freely Soluble
5.	0.1N NaOH	Slightly Soluble

Fig. 1: FTIR of Sample

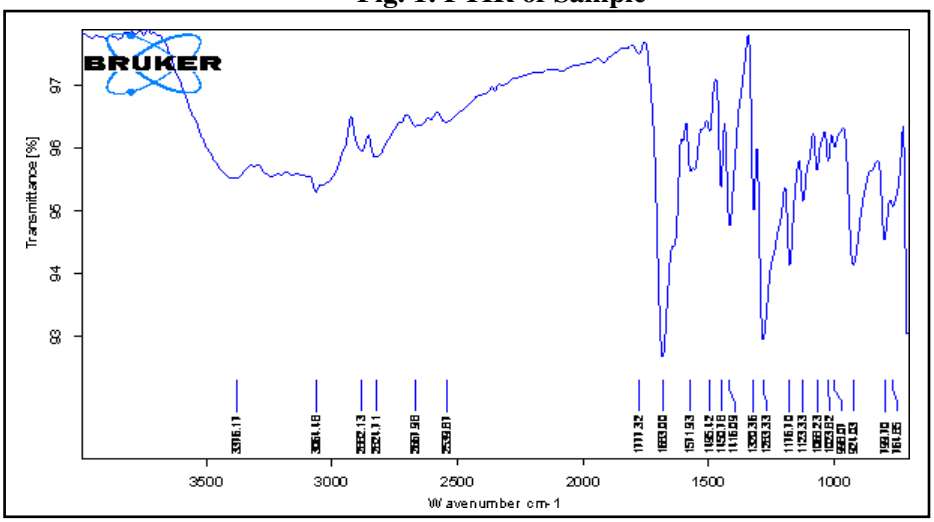

Table 3: Percentage Yield for Different Formulation

Formulation	Percentage Yield
F_1	80.25±0.25
F_2	85.65±0.62
F_3	83.25±0.32
F_4	79.98±0.14
F_5	83.32±0.25
F_6	82.25±0.18

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Table 4: Drug Entrapment for Different formulations

Formulation	Drug entrapment (% w/w) ofprepared microsphere
F1	72.25±0.45
F2	76.56±0.65
F3	69.98±0.32
F4	65.56±0.45
F5	63.23±0.65
F6	68.21±0.45

Table 5: Percentage Buoyancy and floating lag time of floating microsphere

Formulation	Floating Lag Time	Percentage Buoyancy
F1	30 Sec.	63.56±0.45
F2	25 Sec.	73.98±0.65
F3	33Sec.	65.23±0.25
F4	35Sec.	70.65±0.45
F5	33Sec.	69.12±0.65
F6	32Sec.	70.45±0.21

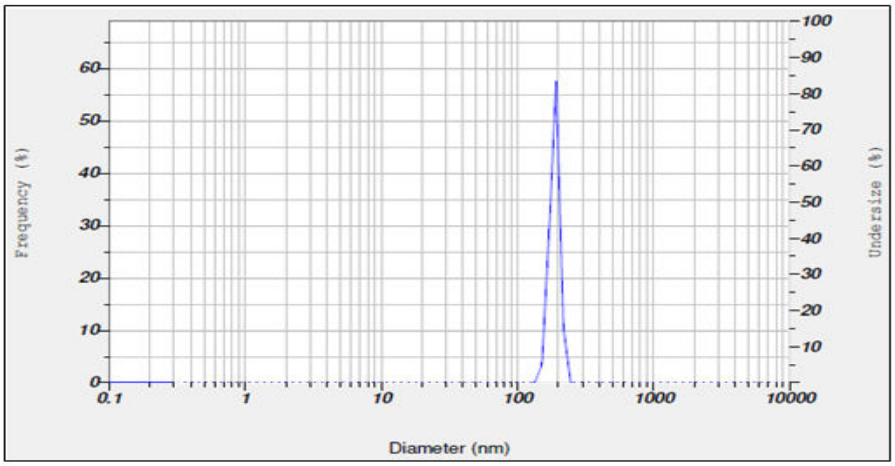

Figure 2: Particle size data of optimized microsphere formulation F2

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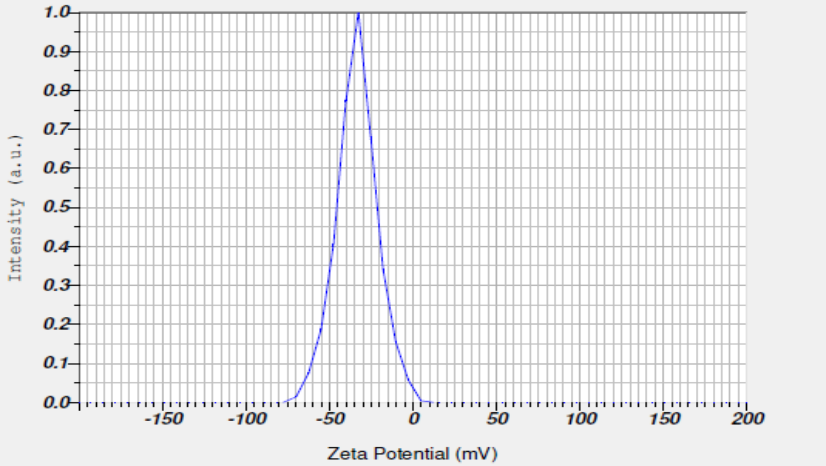

Figure 3: Zeta potential data of floating microsphere F2

Table 6: Release Study data of formulation F1-F6

Time	% of Drug Release						
(hr)	F1	F2	F3	F4	F5	F6	Marketed Formulation
0.5	29.98	22.21	18.98	11.25	13.24	14.45	36.65
1	36.65	33.25	30.25	25.56	22.12	19.98	55.65
2	55.65	49.98	42.25	38.78	30.15	28.78	88.5
4	68.98	60.25	58.98	49.98	45.58	42.15	98.98
6	85.56	69.98	60.25	55.45	51.15	48.45	-
8	98.98	82.25	76.65	62.45	60.12	55.65	-
10	98.99	93.45	89.98	73.12	69.78	60.54	-
12	99.01	99.89	91.25	85.65	83.25	79.98	-

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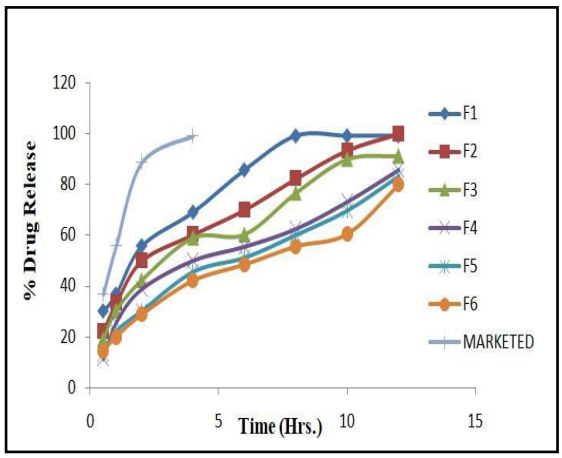

Figure 4: Graph of release study of formulation F1-F6

Conclusion

Drug absorption in the gastrointestinal tract is a highly variable process. Floating microspheres are promises to be a potential approach for gastric retention enhances the bioavailability and controlled delivery of various therapeutic agents. Significant attempts have been made worldwide to explore these systems according to patient requirements, both in terms of therapeutic efficacy and compliance.

Floating microspheres of trazodone hydrochloride were prepared by a solvent diffusion- evaporation method. The nature of polymer influenced the physical characteristics as well as floating behaviour of the microspheres. *In vitro* buoyancy *s*tudies confirmed the excellent floating properties. Floating microspheres of trazodone hydrochloride as gastro retentive dosage forms precisely control the release rate of target drug to a specific site and facilitate an enormous impact on health care. These systems also provide tremendous opportunities in the designing of new controlled and delayed release oral formulations, thus extending the frontier of futuristic pharmaceutical development. Furthermore, recent innovations in pharmaceutical investigation will surely provide real prospects for establishment of novel and effective means in the development of these promising drug delivery systems.

Solubility studies of Trazodone hydrochloride have been done in various solvent such as water, 0.1N NaOH, Ethanol, Methanol, and 0.1N HCL solution. The percentage of loss on drying of Trazodone hydrochloride was found to be 0.486 % w/w respectively. The pH of Trazodone hydrochloride was determined by Digital pH meter and found to be 5.59. The melting point of Trazodone hydrochloride range found to be 223-226ºC. Bulk density of powder was found to be 0.454 g/ml. Tapped density of powder was found to be 0.526 g/ ml. The compressibility index of Trazodone hydrochloride was found to be 13.68%.

Percentage yield of different formulation was determined by weighing the Microspheres after drying. The percentage yield of different formulation was in range of 79.98±0.14 – 85.65±0.62%. The maximum Percentage Yield was found in formulation F2, 85.65±0.62 as compare to all formulation.

The drug entrapment efficacies of different formulations were in range of 63.23±0.65-76.56±0.65% w/w. The maximum Percentage Yield, Drug Entrapment, Percentage Buoyancy and floating lag time was found to be formulation F2 in floating microsphere. The optimized formulation of both batches subjected to further studies.

The mean size of the microspheres was determined by photo correlation spectroscopy (PCS) on a submicron particle size analyzer (Horiba Instruments) at a scattering angle of 90°. A sample (0.5mg) of the microspheres suspended in 5 ml of distilled water was used for the measurement. The results of measurement of mean particle size of optimized formulation F4 of floatingmicrosphere was found to be 178.5 nm.

The zeta potential of the drug-loaded microspheres was measured on a zeta sizer (Malvern Instruments) by determining the electrophoretic mobility in a micro electrophoresis flow cell. Allthe samples were measured in water at 25°C in triplicate. Results of zeta potential of optimized formulation F4 of floating microsphere was found -33.6 mV.

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