e-ISSN: 2349-2678, p-ISSN:2961-6050

available online on www.ijpba.in

International Journal of Pharmaceutical and Biological Science Archive

NLM (National Library of Medicine ID: 101732687)

Index Copernicus Value 2022: 72.59

Volume 12 Issue 4; 2024; Page No. 1-4

Design Development and Evaluation of Floating Tablets of Betahistine HCL

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Article Info: Received: 27-04-2024 / Revised: 29-05-2024 / Accepted: 30-06-2024

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Conflict of interest statement: No conflict of interest

Abstract

Floating drug delivery system is also known as hydro dynamically balanced system. While the system is detached on the gastric contents, the drug is unconfined slowly at the desired rate from the system. After discharge of drug, the residual system is emptied from the stomach. This results in an increased GRT and a better control of the fluctuations in plasma drug concentration. Floating drug delivery system could basically float in the gastric fluid and prolong GRT to obtain sufficient drug bioavailability, because of their inferior bulk density compared to that of the aqueous medium. The prime objective of the current work is to developing and formulating floating tablets of Betahistine using suitable material showing the excellent sustained drug release.

Keywords: Floating, Formulation, Development.

1. Introduction

The oral route is increasingly being used for the delivery of therapeutic agents because the low cost of the therapy and ease of administration lead to high level s of patient compliance. More than 50% of the drug delivery systems available i n the market are oral drug delivery systems. The oral route is the predominant and most preferable route for drug delivery, but drug absorption is unsatisfactory and highly variable in the individuals despite excellent in vitro release patterns. Drug delivery to the upper small intestine window using gastro retentive technologies. The major problem is in the physiological variability such as gastrointestinal transit as well as GRT (gastric residence time); the later plays a dominating role in overall transit of the dosage forms. Various drugs have their greatest therapeutic effect when released in the stomach, particularly when the release is prolonged in a continuous, controlled manner. Drugs delivered in this manner have a lower level of side effects and provide their therapeutic effects without the need for repeated dosages or with a low dosage frequency. [1,2] Sustained release in the stomach is also useful for therapeutic agents that the stomach does not readily absorb, since sustained release prolongs the contact time of the agent in the stomach or in the upper part of the small intestine, which is where absorption occurs and contact time is limited. Floating drug delivery system is also known as hydro dynamically balanced system (HBS). While the system is floating on the gastric contents, the drug is released slowly at the desired rate from the system. After release of drug, the residual system is emptied from the stomach. This results in an increased GRT and a better control of the fluctuations in plasma drug concentration. Floating drug delivery system (FDDS) could basically float in the gastric fluid and prolong GRT to obtain sufficient drug bioavailability, because of their lower bulk density compared to that of the aqueous medium. [3,4] The prime objectives of the

current work is to Developing and formulating floating tablets of betahistine using suitable material showing the excellent sustained drug release.

2. Experimental Work

2.1 Pre-formulation Study [5, 6]

2.1.1 Organoleptic Properties

Oganoleptic properties of drug were determined by direct observation of drug sample under optical microscope for its appearance color and crystal morphology.

2.1.2 Solubility Study

The drug sample was qualitatively tested for its solubility in various polar, semi polar and non polar solvents**.** Solubility of the drug was determined by taking about 10 mg of drug sample in a test tube containing 2.0 mL of solvent and shaking for 10 min at room temperature and observed for its solubility.

2.1.3 Melting point

It is one of the parameters to judge the purity of drugs. In case of pure chemicals, melting points are very sharp and constant. Since the drugs contain the mixed chemicals, they are described with certain range of melting point. The melting point was determined by capillary tube method in which small quantity of finely powder drug was placed into a capillary tube (closed at one end) and placed in the melting point determining apparatus containing castor oil. The temperature of the castor oil was gradual rise automatically upon increasing the temperature. The temperature was noted down at which powder started to melt and the temperature at which the drug powder was melted completely.

2.1.4 Method for preparation of Betahistine floating tablet

Direct compression was taken after to manufacture the gas generating floating tablets of Betahistine. Nine different formulations (F1, F2, F3, F4, F5, F6, F7, F8, and F9) were set up by direct compression. Every one of the polymers chose, drug and excipients were gone through strainer no. 40 preceding utilizing into plan. [7] The sum and proportion of drug and polymers were weighed according to given in table No. 1.1

Table 1.1: various formulations of Betahistine Gastro Retentive tablets

Excipients(mg)	F1	F2	F3	F4	F5	F6	F7	F8	F9
Betahistine	14	14	14	14	14	14	14	14	14
HPMC K 15	120	140	160	-	-	-	50	60	70
HPMC K 4	-	-	-	100	120	140	60	70	80
PVP K30	15	15	15	15	15	15	15	15	15
Citric acid	5	5	5	5	5	5	5	5	5
$NaHCO_3$	20	20	20	20	20	20	20	20	20
$Mg(C_{18}H_{35}O_2)_2$	5	5	5	5	5	5	5	5	5
Talc	5	5	5	5	5	5	5	5	5
Lactose	74	64	54	84	64	44	84	64	44

3. Results and Discussion

3.1 Organoleptic Properties

Organoleptic Properties was assessed and the findings were given in table No. 1.2

Table 1.2: Organoleptic Properties

S. No.	Organoleptic Properties	Result
1.	Colour	Pale Yellow
2.	Odor	Odorless

3.2 Solubility Study

Solubility was assessed and the findings were given in table No. 1.3

Table 1.3: Solubility of Betahistine

S. No.	Solvent used	Observation
1	Distilled Water	+++-
2	0.1 N Hydrochloric acid	+++-
3	Ethanol	++++
4	Methanol	++++
5	0.1 N NaOH	++--

++++= freely soluble; +++- = Soluble; ++ - - = sparingly soluble; + - - - = Slightly Soluble; = Insoluble

3.3 Melting point

Melting point was assessed and the findings were given in table No. 1.4

Table 1.4: Melting point of the Betahistine

S.N.	Melting Point of Standard Drug	Melting Point of Sample Drug	Average Melting Point of Sample Drug
1.		84ºC-97ºC	
2.	91-93ºC	85ºC-97ºC	88ºC-92ºC

3.4 Pre-compression properties of Betahistine

Pre-compression properties of Betahistine was assessed and the findings were reported in table no. 1.5

Table 1.5: Result of pre-compression properties of Betahistine FGR tablets

Material	Bulk density(gm/ml)	Tapped density(gm/ml)	Compressibility index	Hausner ratio
F1	0.225±0.20	0.287±0.20	10.73±0.32	1.25±0.32
F2	0.232±0.32	0.285±0.32	10.92±0.21	1.10±0.31
F3	0.236±0.21	0.289±0.14	10.83±0.25	1.12±0.36
F4	0.425±0.25	0.282±0.50	11.82±0.65	1.13±0.35
F5	0.223±0.30	0.285±0.54	10.78±0.45	1.14±0.56
F6	0.225±0.18	0.281±0.47	11.64±0.45	1.10±0.47
F7	0.226±0.25	0.285±0.87	12.16±0.78	1.13±0.54
F8	0.232±0.65	0.286±0.98	11.11±0.78	1.12±0.65
F9	0.231±0.32	0.285±0.45	11.13±0.32	1.12±0.32

Average of three determinations (±SD)

4. Conclusion

The floating tablets of Betahistine were successfully prepared by direct compression method and confirmed that it is a best method for preparing Betahistine tablets. The formulation F-6 of gastro retentive tablets showed better release rate compare to other formulations. The *in vitro* dissolution studies showed that Betahistine tablets formulation F6 showed better sustained effect over a period of 12 hours than floating formulation.

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