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Formulation and Evaluation of Floating Tablet of Tropisetron

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ABSTRACT

The purpose of this research was to develop a novel gastroretentive drug delivery system based on controlled delivery of active agent. Tropisetron is an indole derivative having the antiemetic activity. It's a selective serotonin receptor antagonist. Tropisetron blocks the action of serotonin at $5HT_3$ receptors. It also results in suppression of chemotherapy-and radiotherapy-induced nausea and vomiting. The incorporation of swellable and natural polymer for binding action and also good water solublility with high molecular weight such as carbopol present it in the gastro retentive floating tablets, which are designed to provide the desired controlled and complete release of drug for prolonged period of time. Lactose was used as filler. Buoyancy was achieved by adding an effervescent mixture of sodium bicarbonate and anhydrous citric acid. Floating tablets were prepared by direct compression method. All the required evaluation parameters such as hardness, friability, drug content uniformity and swelling index were performed and found within the acceptance limit. The optimized formulation (F7) exhibited 63.87% drug release in 12 hrs emerged as best formulation based on drug release characteristics.

Keywords: Tropisetron, Gastroretentive Drug Delivery System, Floating tablets

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INTRODUCTION

Floating systems tells that the system which are having low density having a greater property to buoyancy to float over the gastric fluids present in stomach and help in maintaining of longer action.[1] When this system floats on the gastric contents present in stomach the drug get release in constant manner and help to provide a better result and decrease in plasma fluctuation.[2] The category of drugs which are poses short biological half-life, they can be sustained by floating drug delivery system and there efficacy could be increased and help in decreasing the dosing frequency .This feature of feds is helping in a way to enhance the patient compliance and improve the therapy of drug . The fluctuations or no constant ratio in drug plasma concentration in plasma are minimized or maintained in constant and thus lead to release its drug contain in constant manner and help in its efficacy.[3] The need of prolonged gastric retention is to improve bioavailability of drug, reduces drug waste in stomach, and improves solubility of drugs that are having less soluble in a high pH in the environment of the stomach.[4] The vital need of gastro retention is to help with the better therapeutic value of the formulation for the patients and help in sustained drug release profile .

Objective

The purpose of modification of the gastrointestinal transit time of a drug delivery system through floating drug delivery system (FDDS), for providing gastrointestinal absorption of drugs for longer period of time and also at site specific delivery.

MATERIALS AND METHOD

Materials

Tropisetron, guar gum, Xanthan gum, Carbopol, sodium carbonate, magnesium stearate, citric acid, talc and lactose were used. The composition of Floating tablet was mentioned in Table 1.

Table 1: Composition of floating tablets

Ingredients	F1	F2	F3	F4	F5	F6	F7
Tropisetron	50	50	50	50	50	50	50
Guar gum	---	30	40	50	---	---	---
Xanthan gum	---	---	---	. ---	30	40	50
Carbopol	20	20	20	20	20	20	20
Sodium carbonate	30	30	30	30	30	30	30
Magnesium stearate	5	5	5	5	5	5	5
Talc	5	5	5	5	5	5	5
Citric acid	15	15	15	15	15	15	15
Lactose	75	45	35	25	45	35	25

Method

Melting point: Melting point was determined by capillary method. It was found to be 197-198°c.

Floating tablets of Tropisetron were prepared by direct compression method.

RESULTS AND DISCUSSION

Formulation of all the seven batches of floating tablets was prepared by changing the concentration of polymers. Hardness of tablets was in the range of 3.8- 5 kg/cm^2 and friability was in the limit of acceptance. Uniformity of weight of all the formulations was also within the range. , and content uniformity reported Results of pre-compression studies were mentioned in Table no.2. Results of post compression studies were mentioned in Table no.3 & 4. The *in vitro* drug release of tablets was determined and formulation F7 showed least cumulative percentage drug release in 60 min.

Table 2: Pre compression parameters

Formulation	Bulk Density G/ml	Tapped Density G/ml	Angle of Repose Angle	Carr's Index	Hauser's Ratio
F1	0.40	0.39	37	18.21	1.19
F2	0.37	0.47	25	13.54	1.15
F3	0.41	0.50	27	14.01	1.08
F4	0.43	0.51	30	17.04	1.13
F5	0.39	0.47	26	12.44	1.18
F6	0.41	0.54	39	14.85	1.15
F7	0.47	0.57	33	17.98	1.17

Table 3: Post compression parameters

Formulation	Hardness(kg/cm 2)	ickness (mm)	Friability %	Wt. Variation	Lag time (second)	Drug content	Floating Time (hr.)
F1	3.8	3.1	0.71	510	52	97.23	10-11
F2	4.0	3.1	0.91	524	53	98.10	15-16
F3	3.9	3.4	0.78	494	69	94.35	18-19
F4	4.2	3.5	0.86	506	71	96.52	19-20
F5	3.8	3.3	0.80	516	56	99.11	16-17
F6	4.1	3.6	0.81	502	59	102.4	19-20
F7	5.0	3.8	0.53	518	82	98.54	18-19

Table 4: *In vitro* drug release study

Time (Hr.)	F1 %CDR	F2 %CDR	F3 %CDR	F4 %CDR	F5 %CDR	F6% CDR	F7 %CDR
1	18.90	8.31	7.01	6.5	7.89	6.5	4.23
2	40.33	15.02	11.22	9.8	11.65	9.91	6.28
3	51.33	27.02	17.95	14.3	16.13	14.02	10.98
4	60.28	31.02	21.01	23.2	20.71	17.09	15.97
5	67.28	37.02	29.24	27.6	28.78	22.55	21.95
6	78.23	44.34	36.01	35.3	33.48	30.76	28.32
7	85.61	49.39	43.24	42.68	39.48	37.83	34.63
8	98.74	52.00	51.52	46.68	49.52	41.79	39.12
9	-	59.06	58.28	51.56	57.52	49.28	44.66
10	-	68.12	63.30	57.90	65.84	53.89	50.36
11	-	72.24	71.63	64.26	70.27	62.53	56.56
12	-	77.87	76.75	65.82	76.56	67.66	63.87

CONCLUSION

Floating tablets of Tropicetron were successfully prepared. From the study, it can be concluded that polymers used in different concentrations which provide longer buoyant property and prolonged drug release.

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