



# Formulation And Evaluation Of Floating Tablet Of Granisetron Hydrochloride

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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. Granisetron is an indole derivative having the antiemetic activity. It's a selective serotonin receptor antagonist. Granisetron blocks the action of serotonin at 5HT<sub>3</sub> 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 solubility with high molecular weight such as carbopol present 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.

**Keywords:** Granisetron, Gastroretentive Drug Delivery System, Floating tablets

## 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. 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. 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. 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. 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 (FDSS), for providing gastrointestinal absorption of drugs for longer period of time and also at site specific delivery.

## MATERIALS AND METHOD

**Materials** Granisetron, guar gum, Xanthan gum, Carbopol, sodium carbonate, magnesium stearate, citric acid, talc and lactose were used.

## EXPERIMENTAL DESIGN

### 1 GRANULES PREPARATION

**Dry Granulation:** Dry granulation is a controlled crushing of precompact powders densified by slugging. All the ingredients are properly weighed. API, polymers and lactose are sifted through 40#. Sodium bicarbonate, magnesium stearate and talc are separately sieved through 18#. Both mixtures are blended in a polybag for about 6 min. Sluggs are prepared by using flat punches in compression machine by compressing at minimum hardness.

### 2. FORMULATION OF FLOATING TABLETS

Fabrication of tablet for In vitro studies: For study purpose, 6 formulation with different excipients were prepared as shown in table 1 Mixture passed through the sieve for purpose of uniformity of particle and remaining particles again triturated and mixed. Floating tablets were prepared by wet compression method. Tablets were compressed using 10 mm die/punch set in a single punch with hand operated tablet compression machine (Lab Tech. instruments)..

Table 1: Formulation of Granisetron hydrochloride Floating tablet

Ingredients	F1	F2	F3	F4	F5	F6
Granisetron HCL	20	20	20	20	20	20
HPMC K15M	-	100	-	50	50	-
HPMC K4M	100	-	-	50	-	50
Carbapol	-	-	100	-	50	50
Sodium carbonate	60	60	60	60	60	60
Micro crystalline cellulose	10	10	10	10	10	10
Magnesium Stearate	2	2	2	2	2	2
Talc	2	2	2	2	2	2
Citric acid	2	2	2	2	2	2
Lactose	2	2	2	2	2	2
Guar gum	2	2	2	2	2	2
Total weight	200	200	200	200	200	200

**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<sup>2</sup> 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 & 3. Results of post compression studies were mentioned in Table no.4 & 5. The in vitro drug release of tablets was determined and formulation F6 showed least cumulative percentage drug release in 24 hours.

**Table 2: Evaluation of pre compressed parameter**

Formulation	Angle of Repose (°)	Loose Bulk Density (g/ml)	Tapped Bulk Density (g/ml)	Compressibility Index (%)
F1	24°.30'	0.130	0.155	16.13
F2	26°.77'	0.110	0.130	15.67
F3	25°.28'	0.090	0.102	14.48
F4	28°.56'	0.105	0.126	16.30
F5	29°.88'	0.129	0.146	15.41
F6	25°.30'	0.114	0.135	14.30

**Table 3: Carr's index and Hausner's ratio as an indication of flow properties**

Formulation	Carr's Index	Hausner's Ratio	Type of flow
1	5-15%	-	Excellent
2	12-16%	< 1.25	Good
3	18-21 %	-	Fair to passable
4	23-35%	> 1.25	Poor
5	33-38%	1.25-1.5	Very poor
6	>40%	-	Extremely poor

**Table 4: Physical Properties of Tablets of Batch F1 to F6**

Formulation	Thickness (mm)	Hardness (Kg/cm <sup>2</sup> )	Friability (%)	Weight Variation (mg)	Drug content uniformity (mg)
F1	3	3.5	0.96	200.15	97.01
F2	3.02	3.9	0.72	201.5	96.01
F3	3.01	2.5	0.93	201.1	96
F4	3.12	3.8	0.79	199.85	96.3
F5	3.08	4.0	0.86	200.26	97
F6	3.25	3.5	0.76	201.5	93.5

**Table 5. Cumulative % Drug Released from Tablet Formulations F1 to F6**

Time (hrs)	F1	F2	F3	F4	F5	F6
1	18.64	20.81	20.90	21.92	18.95	21.09
2	30.40	33.68	34.65	25.35	32.29	37.87
4	53.08	55.33	57.63	56.49	49.26	58.80
6	59.85	66.44	65.06	65.72	61.44	70.65
8	70.33	71.83	72.29	71.79	68.06	76.52
10	76.89	77.78	78.57	77.64	76.48	81.60
12	86.09	84.75	85.43	85.69	81.14	88.44
24	94.29	94.70	96.45	96.96	95.34	97.87

## CONCLUSION

Floating tablets Granisetron 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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