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FORMULATION OPTIMIZING OF TERBINATINE TABLETS

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ABSTRACT

Gastric emptying is the process by which the stomach contents are moved to duodenum and shows prolongation ability. It measures the time it take for food to empty stomach and to reach small intestine. Controlled release system shows number of difficulties to produce better absorption and enhancement of bioavailability. The inability of dosage form in GIT is the most difficult form. Dosage form prolongs the gastric retention during drug absorption time and then improving bioavailability, decreases wasting of drug and increases drug solubility that is lesser soluble in high PH environment. Terbinatineis and anti-fungal drug that is used to treat cancdidiasis. This study observed that the Terbinatine formulation shows gastro retentive DDS in floating tablet form with the use of polymers, HPMC 4M, HPMC 15M & HPMC 100M & other excipients in various ratios and are evaluated. From the study it is evident that a promising controlled release by floating tablets of Terbinatine can be developed using HPMC polymer and Sodium bicarbonate. All the formulations showed good buoyancy and drug release. It is clear that drug release from the tablets is dependent on the polymer concentration, swelling properties, and dimensions of the tablet.

Keywords :-Terbinatine, Floating, Tablets, HPMC.

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INTRODUCTION

Gastric emptying is the process by which the stomach contents are moved to duodenum and shows prolongation ability. It measures the time it take for food to empty stomach and to reach small intestine. Controlled release system shows number of difficulties to produce better absorption and enhancement of bioavailability. The inability of dosage form in GIT is the most difficult form. Dosage form prolongs the gastric retention during drug absorption time and then improving bioavailability, decreases wasting of drug and increases drug solubility that is lesser soluble in high PH environment. Gastric regimen remains several hours by gastric retention and prolongs the drug gastric residence time. The controlled gastric retention of solid dosage form is performed by mechanism of mucoadhesion, sedimentation, floatation, expansion, modified shaped system and by administrating pharmacological agents may delay gastric emptying.

Floating drug delivery system (FDDS) shows potential approach for gastric retention. Even it has number of difficulties in achieving gastric prolonged retention, more number of Companies focus towards comercialissing this FDDS technique. The following approaches are used to design single & multiple unitbsystems of floating dosage form. FDDS are categorized by depending on formulation usage of variables as effervescent system & non effervescent system.

This system have the advantages for the drug riboflavin, furosemide that absorbed from stomach or small intestine. Furosemide absorbs in stomach partiallyand into duodenum. The report shows monolithic

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floating dosage that develops gastric residence time extension and has greater bioavailability. The floating tablets with AUC is approx. 1.8 times than furosemide tablets. Terbinatineis and anti-fungal drug that is used to treat cancdidiasis. This study observed that the Terbinatine formulation shows gastro retentive DDS in floating tablet form with the use of polymers, HPMC 4M, HPMC 15M & HPMC 100M & other excipients in various ratios and are evaluated.

MATERIALS & METHODS

Materials & Chemicals

Terbinatine, (Hydroxy Propyl Methyl Cellulose) HPMC 4 M, HPMC 15 M, HPMC 100 M were gift samples from Matrix laboratories and all the other excipients were bought from SD Fine Chem. LTD., Mumbai.

Preparation of Terbinatine Floating tablets

Floating tablets containing Terbinatine as an active material were prepared by direct compression method by effervescent approach. Briefly drug and HPMC K4M, HPMC K15M, HPMC K100M, Sodium bicarbonate, Lactose and Magnesium stearate were mixed geometrically with each of the polymer. Various formulations were prepared by a formulation design using different ratios of polymers and floating agent. Sodium bicarbonate acts as a gas-generating agent helpful for floating. These powders were grinded and blended together and punched into tablets in direct compression method by using ELITE multi station punching machine in a die (13 mm diameter) at 50 kg/cm^2 pressure for 1 min to produce floating tablets.

As a part of preformulation studies, the UV-VIS λ-max of Terbinatine was determined using UV-VIS Spectrophotometer (Shimadzu, Mumbai) and the calibration curve of Terbinatine was designed by measuring absorbance at 266 nm in 0.1 N HCl making dilutions to yield concentration of 1,2,3,4,5 mcg/ml. FTIR studies for the compatibility study of drug to polymers were performed for Pure Drug, polymers and formulation using FTIR spectrophotometer.

EVALUATION OF TABLETS

Drug Loading

Five tablets from each batch were weighed accurately and average weight was calculated. They were ground and accurate amount of powder equivalent to 10 mg of drug was dissolved in 0.1 N HCl and volume was made up to 100 ml. the solution was filtered through Whatmann filter paper No. 41 and aliquots of 1 ml was taken and volume was made up to 10 ml with same dissolution medium. The absorbance was measured at 266 nm using an UV-VIS Spectrophotometer and the concentration of drug was using calibration curve of Terbinatine.

Floating lag time

The floating lag time and *invitro* buoyancy time of all formulations were determined. The tablet was placed into a beaker containing 100 ml of 0.1 N HCl. The time required for the tablet to float to the surface and float was determined as floating lag time (FLT) and buoyancy time (BT).

Invitro dissolution studies

The release rate of Terbinatine from floating tablets was performed using apparatus No.2 of the USP, Thermostatically controlled at 37°C ± 0.5°C. The dissolution test was performed using 900 ml of 0.1 N HCl, stirred at 50 rpm. The amount of drug dissolved from floating tablets was determined spectrometrically. Cumulative %drug release was calculated using an equation obtained from a standard curve.

RESULTS & DISCUSSION

UV-VIS Absorption spectrum of Terbinatine gave a maximum absorption at 242 nm (λ max). UV-VIS Absorption spectrum of Terbinatine. The calibration of Terbinatine yielded a curve with slope 0.047 X and R^2 value 0.997. FTIR spectrum of Pure Terbinatine (Figure 1) showed the characteristic peak at 1734.27cm^{-1} which can be assigned to the C=O stretching in the aromatic ring and a peak at 1637.07 27cm^{-1} which can be assigned to the C=N in the aromatic ring, a peak at 1529.82 27cm^{-1} which can be assigned to the N-H stretching and a peak at 1279.87 27cm^{-1} which can be assigned to the stretching of C-C n the aromatic ring. From FTIR spectra of HPMC K4M, HPMC K15M and HPMC K100M, the characteristic peak at 1647.75, 1652.89, 1647.65 27cm^{-1} respectively can be assigned to the C=C stretching in the aromatic ring and a peak at 1455.41, 1455.98, 1456.81 27cm^{-1} respectively can be assigned to the C-H deformation. FTIR spectrum of formulation showed the peaks at 1637.72, 1531.72, 1280.70 cm^{-1} confirming the functional groups in the formulation proving no interactions. But the absence of peak at 1734.27cm^{-1} confirms the formation of complex with HPMC.

Drug content

All the formulations were tested for Percentage drug content and the results showed that the drug content in each of the formulation is under limit and uniform. The values accordingly were given in (Table 1).

Floating lag time

The floating tablets of Terbinatine were prepared by using HPMC K4M, K15M, and K100M. Sixteen different formulations were prepared using different ratios of polymers. The prepared formulations were evaluated for floating lag time and buoyancy time. Sodium bicarbonate induced carbon dioxide generation in presence of dissolution medium (0.1 N HCl). It was

observed that the gas generated is trapped and protected within the matrix, formed by polymers, thus density of the tablet decreased and it becomes buoyant.

Sodium bicarbonate and HPMC K100M had significant effect on lag time of floating tablet. The floating lag time of the formulation f2 was 29 sec (minimum), may be because the ratio of sodium bicarbonate is high and HPMC K100 is low. Lag time of formulation f10 was 4140 sec (maximum), because it contained fewer amounts of Sodium bicarbonate and high amount of HPMC K100.

***Invitro* Dissolution Studies**

Invitro dissolution studies were done for floating tablets containing Terbinatine in 0.1 N HCl. The tablet swelled radially and axially during in vitrobuoyancy studies. The results from the dissolution studies carried in 0.1 N HCl was shown in table 1. The prepared formulations sustained the drug release for a period of 8 hours. It was found that F10 provided better-sustained release characteristics with excellent *in vitro*buoyancy but with much floating lag time. This may be due to higher amounts of HPMCK100M present in the formulation. The percentage drug release.

Fig 1: FTIR studies of the formulation

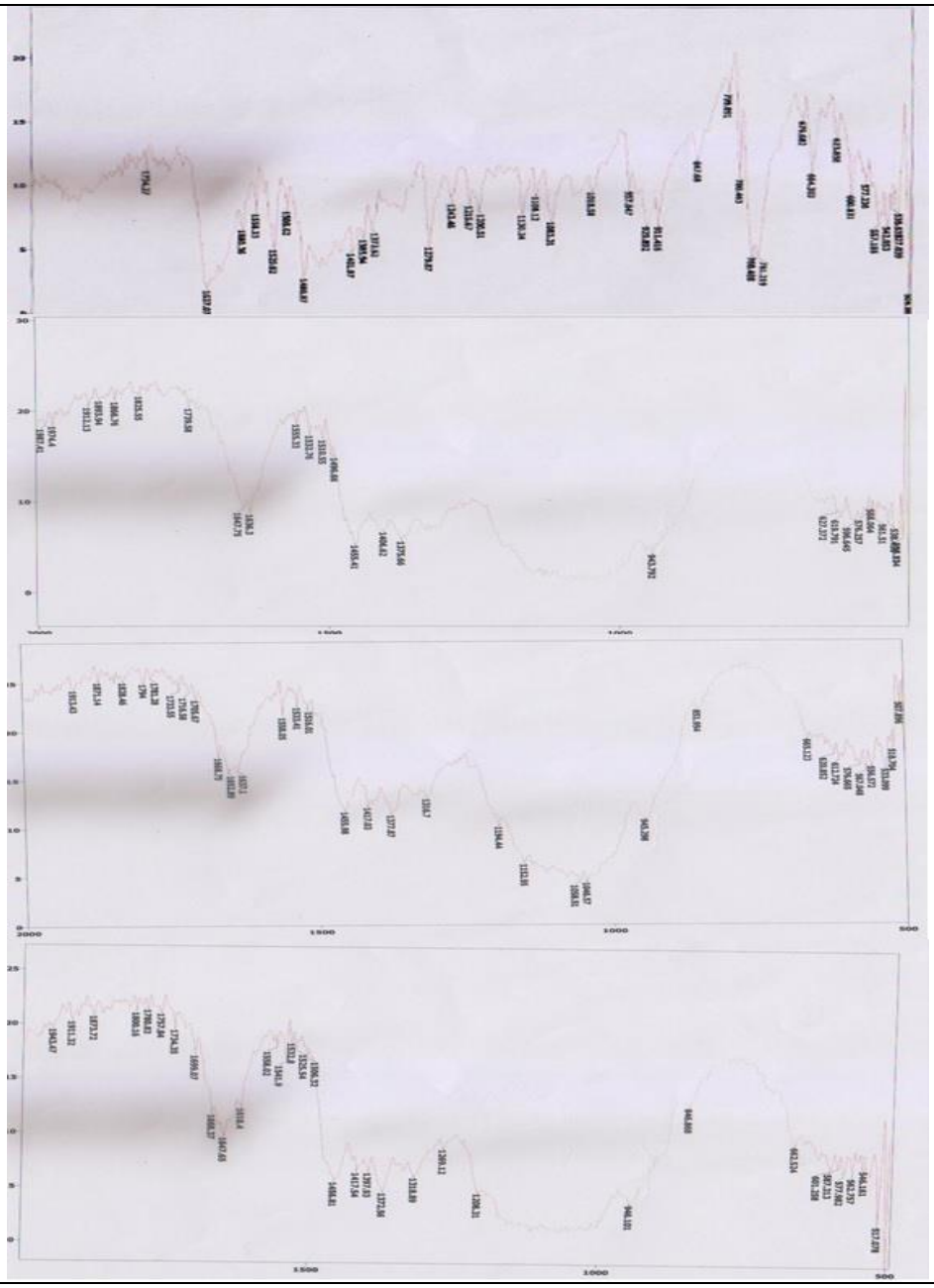

Fig. 2: Drug release curves of Terbinatine floating tablets in 8 hrs

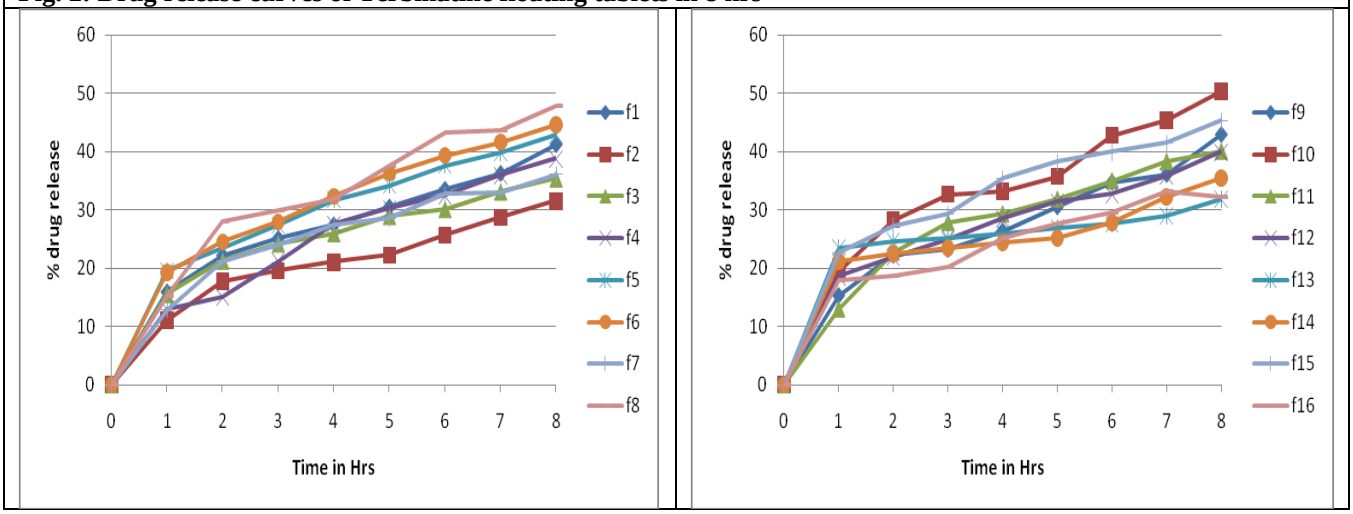

Table 1.Formulation design of Terbinatine floating tablets

Formulation	Components*				Percentage Drug content[a]	floating time (sec)[a]	% Drug release
	Sodium bi-carbonate (mg)	HPMC 4M (mg)	HPMC 15M (mg)	HPMC 100M (mg)			
f1	25	60	30	60	99.28	480	41.18
f2	50	60	60	30	97.36	29	31.56
f3	25	30	30	30	98.87	57	35.38
f4	50	60	30	30	98.16	150	38.80
f5	50	30	60	30	101.45	2280	42.91
f6	25	60	30	30	98.84	159	44.64
f7	50	30	60	60	99.76	208	36.08
f8	50	30	30	60	98.43	48	47.89
f9	25	30	60	30	100.19	1920	42.83
f10	25	60	60	60	99.52	4140	50.34
f11	50	60	30	60	97.48	240	39.99
f12	50	60	60	60	98.29	38	39.97
f13	25	30	60	60	99.67	1020	35.50
f14	25	30	30	60	99.53	3900	35.50
f15	25	60	60	60	99.91	3654	45.27
f16	50	30	30	30	100.33	630	36.26

CONCLUSION

From the study it is evident that a promising controlled release by floating tablets of Terbinatine can be developed using HPMC polymer and Sodium bicarbonate. All the formulations showed good buoyancy and drug release. It is clear that drug release from the tablets is dependent on the polymer concentration, swelling properties, and dimensions of the tablet.

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DECLARATION

The authors declare no conflict of interest.

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