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FORMULATION AND INVITRO EVALUATION OF FLOATING S.R TABLETS OF NORFLOXACIN

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ABSTRACT

The main aim of present study is gastroretentive delivery systems of Norfloxacin was successfully developed in the form of hydro dynamically balanced tablets to improve the local action and its bioavailability, which reduces the wastage of drug and ultimately improves the solubility for drugs that are less soluble in high pH environment. Norfloxacin can be developed to increase gastric residence time and thereby increasing its bioavailability. Norfloxacin floating tablets were prepared by using HPMCK4M, HPMC K15M, Carbopol 934P polymers with excipients-sodium bicarbonate and lactose. The prepared formulation can be used to perform in-vivo studies in animals. The floating tablets were evaluated by various physicochemical parameters like hardness, friability, thickness, weight variation and content uniformity.

KEYWORDS: Norfloxacin, Hydro dynamically balanced systems, swellingindex, HPMC, Carbopol 934P.

INTRODUCTION

Drug delivery systems are used for maximizing therapeutic index of the drugand also for reduction in the side effects. Oral route considers as a most promisingroute of drug delivery[1]. The effective oral drug delivery depends upon many factorssuch as gastric emptying process, gastrointestinal transit time of the dosage form, drugrelease from the dosage form and site of absorption[2]. The high level approximately 50% of the drug delivery systems available in the market are oral drug delivery system. It iswidely acknowledged that the extent of gastro intestinal tract drug absorption isrelated to contact time with the small intestinal mucosa. Thus small transit time is animportant parameter for drugs that are incompletely absorbed.

Gastric empting dosage form is extremely variable process and ability toProlong and control emptying time is a valuable asset for dosage forms, which Residesin the stomach for longer period of time than conventional dosage forms.Controlleddrug delivery system provides drug release at a predetermined, predictable andcontrolled rate to achieve high therapeutic efficiency with minimal toxicity. Drugshaving short half-life are eliminated quickly from the blood circulation can beDeveloped in to controlled drug delivery system.Gastro retentive systems can remain in the gastric region for several hours andhence significantly prolong the gastric residence time of drugs[3]. Prolonged gastric retention improves bioavailability, reduces drug waste, and improves solubility for drugs that are less soluble in a high pH environment. It has applications patiencecompliance observed in taking oral dosage forms is due to ease ofadministration, low cost therapy and handling of these forms.

MATERIALS

Norfloxacin was gifted from Karnataka antibiotics, Bangalore;HPMC K4, HPMC K15M was obtained from yarrow chem. Products, Mumbai; CARBOPOL 934P, PVP K30, Sodium bicarbonate, magnesiumstearate was obtained from SD fine chem. limited Mumbai.

PREPARATION OF NORFLOXACIN

Formulation code	Drug (mg)	HPMC K4M (mg)	HPMC K15M (mg)	Carbopol 934 P (mg)	$NaHCO_3$ (mg)	PVP K30 (mg)	Mg.stearate (mg)	Talc (mg)
NFT1	200	210	---	---	60	10	10	10
NFT2	200	35	35	140	60	10	10	10
NFT3	200	35	140	35	60	10	10	10
NFT4	200	140	35	35	60	10	10	10
NFT5	200	---	---	210	60	10	10	10
NFT6	200	70	70	70	60	10	10	10
NFT7	200	---	210	---	60	10	10	10

EVALUATION OF NORFLOXACIN FLOATING TABLETS

1) Pre-compression parameters

a) Angle of Repose (θ)[4]

The frictional forces in a loose powder or granules can be measured by angle ofRepose. This is the maximum angle possible between the surface of a pile of powderor granules and the horizontal plane.The granules were allowed to flow through the funnel fixed to a stand at definiteHeight(H).The angle of repose was then calculated using equation:

$$\text{Tan } \theta = h/r$$

Where θ = angle of repose, h = height

r = radius.

b) Compressibility Index[5,12]

Compressibility index is calculated by –

$$\text{Compressibility index (\%)} = \frac{Df - Do}{Df} \times 100$$

Where o = Bulk density

Df = Tapped density

2) Post-compression parameters

a) Thickness

Thickness and diameter were measured using a calibrated screw gauge. Threetablets of each formulation were picked randomly and thickness was measuredindividually.

b) Hardness[6]

The hardness of the tablets was determined by using Pfizer hardness tester. It isexpressed in kg/cm2. Three tablets were randomly picked and hardness wasdetermined.

d) Friability test

The friability of tablets was determined using Roche Friabilator. It is expressedin percentage (%).Friabilator operated for 100 revolutions. Its acceptable range is <1% the % friability was then calculated by –**%F = 100 (1-W0/W).**

e) Tablet Density: Tablet density is an important parameter for floating tablets. The tablet will onlyfloat when its density is less than that of gastric fluid (1.004). Equation[9] :V = πr2hn**,**v = volume of tablet (cc),r = radius of tablet (cm), m = mass of table,h = crown thickness of tablet (g/cc).

f) Weight Variation Test

Twenty tablets were selected randomly from each batch and weighed individually to check for weight variation. The following percentage deviation inweight variation is allowed.

Table no: **1**

Average weight of tablets	Percentage deviation
130mg or less	10
>130mg and<324mg	7.5
324mg or more	5

g) Test for Content Uniformity

Tablet containing 350mg of drug is dissolved in10 mL of methanol and diluted to100mL with0.1N HCl in volumetric flask. The solution was filtered, 1mL of filtratewas taken in 50 mL of volumetric flask and diluted up to mark with 0.1NHCland analyzed spectrophotometrically at 263nm. The concentration ofNorfloxacin in mg/mL was obtained by using standard calibration curve of the drug.Claimed drug content was 200 mg per tablet. Drug content studies werecarried out in triplicate for each formulation batch.

h) Buoyancy / Floating Test[7]

The time taken for dosage form to emerge on surface of medium called Floating Lag Time (FLT) or Buoyancy Lag Time (BLT) and total duration of time by which dosage form remain buoyant is called Total Floating Time.

i) Swellingstudy [11]

$$WU = \frac{(wt - w0)}{W0} \times 100$$

Wt=weight of dosage form at time t

W0= initial weight of dosage form

j) In-vitro Dissolution Study

Dissolution study was carried out by using USP type II dissolutionapparatus using paddle. 900 mL of 0.1 N HCl (pH 1.2) was filled in a dissolutionvessel and the temperature of medium were set at 37 ± 0.5 0C, rotational speed of paddle rpm 50. The 1 mL ofsample was withdrawn at predetermined time interval and same volume of freshmedium was replaced. The samples were analyzed for drug contain against 0.1 N HClas a blank at wavelength of 263 nm using double beam UV-Visiblespectrophotometer. The content of drug was calculated using the equation generatedfrom standard curve. The %cumulative drug release was calculated.

Higuchi release model[8]

To study the higuchi release kinetics, the Release rate data were fitted to the following equation: $F = K_H . t_{1/2}$ where 'F' is amount of drug release, 'K ' is release rate constant and ' t' is release time.

3) Stability[10]

Optimized Norfloxacin floating tablet, sealed in aluminum packagingcoated inside with polyethylene, and various replicates were kept in the humiditychamber maintained at elevated temperature (45±2°C and relative humidity 75± 5%) for 2 months.

Dissolution profile

Table 1: Kinetics of in vitro drug release formulation (F5) (Drug: 350 mg, HPMC K15: 30 mg, Carbopol: 20 mg).

Time (hrs)	Log Time	SQRT Time	%cumulative Drug release	Log%drug release	%drug remaining	Log drug remaining
1	0	1	9.9375	1.000678	89.98438	1.954167
2	0.30103	1.414214	12.51563	1.116026	86.9375	1.939207
3	0.477121	1.732051	14.10938	1.195121	84.38813	1.925972
4	0.60206	2	17.4	1.265407	81.575	1.911557
5	0.69897	2.236068	19.3125	1.317639	79.22031	1.898837
6	0.778151	2.44949	23.48438	1.371357	76.48438	1.883573
7	0.845098	2.645751	28.17188	1.449575	71.84375	1.856389
8	0.90309	2.828427	32.8125	1.49816	68.51094	1.83576
9	0.954243	3	36.9375	1.555661	64.05313	1.80654
10	1	3.162278	38.625	1.565958	63.19063	1.800653
11	1.041393	3.316625	42.23438	1.610843	59.18281	1.772196
12	1.079181	3.464102	47.53125	1.650732	55.25625	1.742381
18	1.255273	4.242641	71.20313	1.835691	31.5	1.498311
24	1.380211	4.898979	94.67813	1.97557	5.47	0.737987

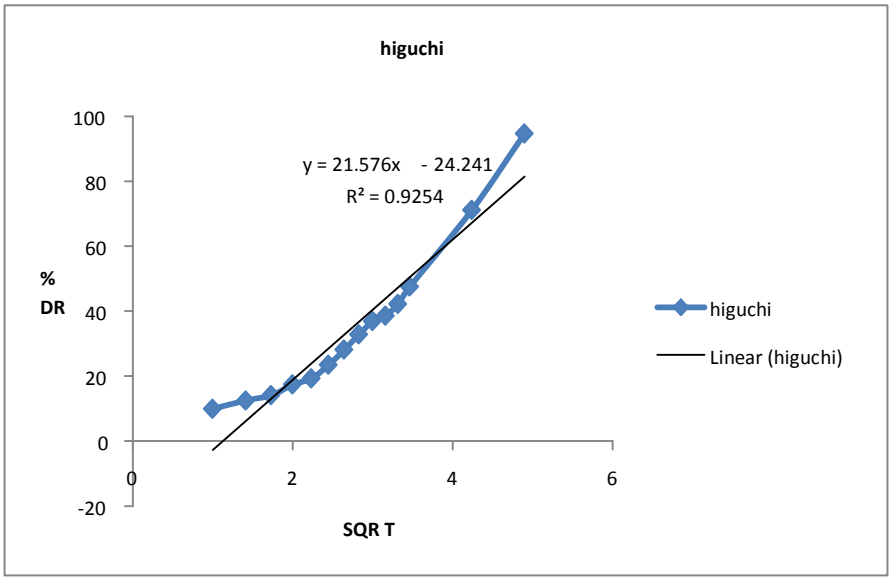

Figure 1 :- Higuchi plot(F5).

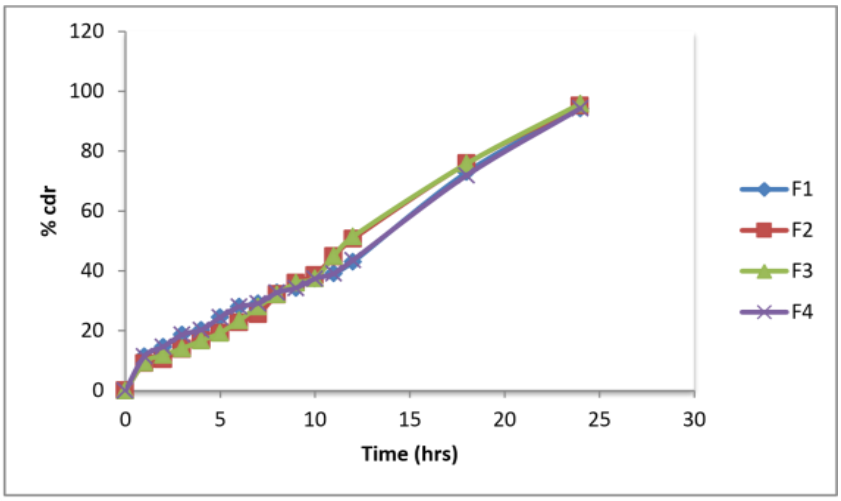

Figure 2:- comparative study from F_1 toF4.

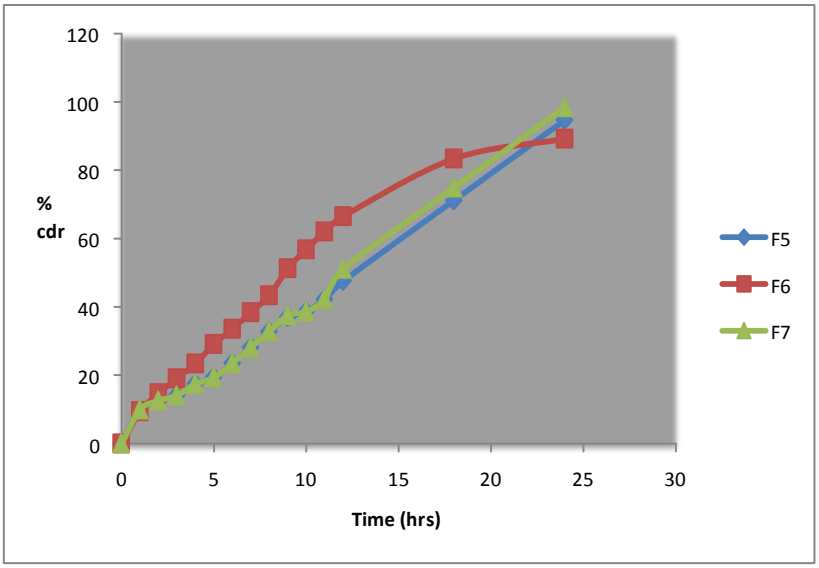

Figure :- 3 Compartive study from F5 to F7.

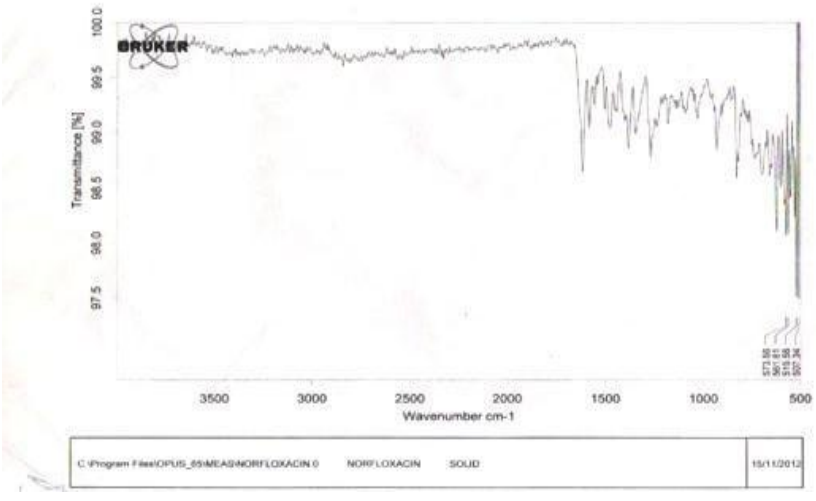

Figure: 4 IR spectrum of Norfloxcin.

CONCLUSION

1) Formulated tablets gave satisfactory results for various physicochemical parameters like hardness, friability, thickness, weight variation and content uniformity. Sodium bicarbonate has predominant effect on lag time while HPMC, K4M, HPMCK15M and Carbopol 934p has predominant effect on total floating time and drug release.

2) In-vitro release of Norfloxacin floating tablets decreased as the concentration of the polymers increased. The drug release from the optimized formula of the Higuchi model and 'n' value range is 0.771 which indicates Fickian diffusion mechanism and percentage cumulative drug release is 94.67%.

3) Optimized formulation F5 found to be stable at 45^{o}C and 75% RH for a period of 2 month.

4) **From the study it is evident that promising controlled of floting** tablets of Norfloxacin can be developed to increasegastric residence time and thereby increasing its bioavailability.

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