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FORMULATION AND EVALUATION OF FLOATING TABLETS OF ACECLOFENAC

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ABSTRACT

In the past few years Gastro retentive drug delivery system (GRDDS) has topped the list of most focusing areas in the, novel drug delivery system (NDDS), as this is orally administered. This present work is focused primarily on formulation and evaluation of Aceclofenac floating drug delivery system (FDDS) inorder to improve the bioavailability, patient compliance and reduce the side effects. These tablets were prepared using various polymers like HPMC, Ethyl cellulose, Guar gum. A total of five formulations were prepared by using an effervescent material i.e. sodium bicarbonate. These prepared tablets were evaluated for hardness, total floating time, friability, floating lag time and *invitro* drug release studies. From the data obtained in these *invitro* drug release studies, formulation F1 shows good floating lag time (FLT), good *invitro* drug release.

KEYWORDS: Floating lag time, Total floating time, HPMC, EC.

INTRODUCTION

Oral drug delivery always remains as one of the most complying dosage forms. Due to its As it is a non-invasive mode of drug delivery system, it has the highest degreeof patient compliance. Needs tobe taken once a day is With the perception of single dose ingestion per day, this novel oral formulation is considered as "patient-friendly" for compliance. Various therapies for chronic ailments still prefer oral administration for ease of long-term ingestion.[1] This fact is established based on the illustration ofsales of world's top 50 drugs which is 84% orally. It has become distinctly clear that Sustained release (SR) formulations,

at present, grabs over 50 - 60 per cent of the share of novel drug delivery and will also continue to rule the most of the conventional formulations in the years to come. One of the non invasive routes includes GRDDS whose main principle is to prolong the release of the drug at the site of its absorption. Inorder to prolong the gastric residence time (GRT) the methods of FDDS approach are utilized. The FDDS dosage forms must have the bulk density which is lower than that of the gastric fluids, so that it will be able to float in the stomach for an extended period of time than the usual time, there by improving the drug bioavailability.[2]

There are various factors that effect the GRT like intake of food, and digestive fluids, age, sex.[3] From the review of literature, it has been evident that under the fed state, GRT can be increased. Inorder to acquire the desired density for the formulation gas generating component can be utilized possibly to overcome various physiological adversities such as short GRT, unpredictablegastric emptying time. These present floating systems shows the sustained release.

A phenyl acetic acid derivative, Aceclofenac (NSAID) is used in the management of various ailmemts such as rheumatoid arthritis, osteoarthritis and ankylosing spondylitis.[4] Aceclofenac have a property of rapid absorption, shorter half-life. Hence it is preferential for developing a modified release (MR)[5] formulation.

The plasma-elimination half-life is around 3-4 hours. The main objective of this study is to prepare a gastro retentive dosage form (GRDF) which retains in the stomach for a prolonged time, where it is absorbed completely.

MATERIALS AND METHODS

Aceclofenac was obtained as a gift sample from Laborate pharma, HPMC, EC, Guar gum, magnesium stearate, sodium bicarbonate, talc were obtained from NIPS laboratories. A double punch machinery was used for the preparation of these floating tablets by direct compression method.

Compatibility studies

Compatibility with different excipients was determined by carrying out various invitro studies.

The pure API & its various formulations were subjected to evaluation studies.

Preparation of floating tablet

Floating ability tablets containing API: Aceclofenac were prepared by the direct compression method by the usage of variable polymers like HPMC, Ethyl cellulose, Guar gum along with sodium bicarbonate.[6,7] All the remianing ingredients except the magnesium sterate and talc were blended in a glass mortar perfectly and uniformly. This mixture of all the ingredients was passed through sieve no #60 & then the binder, talc and magnesium sterate were mixed to the above contents and again uniformly blended and then it is punched on 13mm flattened punch. The overall weight of each of these tablets were kept constant for formulations AF1 to AF5.

Evaluation of physical properties

These formulated tablets were then tested for evaluation parameters like weight variation, friability (Fribilator) & hardness (Hardness tester). The content uniformity is also measured & then the results were observed in comparison to the standard limits.

Floating properties

Floating lag time of a tablet can be defined as the initial time taken to start to float over the surface level of the dissolution medium, & the time for which the tablet continuously floats on the surface of dissolution medium is termed as "Total floating time" as and when evaluated in a proper dissolution vessel which is filled with a volume of 900ml of 0.1 N HCl (pH 1.2) i.e. previously set at a range of 37± 0.5^0 with an rpm of 100.

***Invitro* drug release studies**

The drug release determination studies were carried out by using type II dissolution apparatus USP. These dissolution vessels were previously filled with a volume of 900 ml of 0.1N HCl. Making use of the paddle rotation at 100 rpm with temperature kept at constant of 37± 0.5^0. Then various samples were withdrawn at any predetermined time intervals and for each time when sample is withdrawn, fresh medium was replaced/added to it in the same amount. Absorbance of the withdrawn samples were measured at a wavelength of 275 nm.[8] spectro-photometrically, against 0.1N HCl taken as blank. The amount of drug present in each sample was then calculated by using a standard calibration curve of Aceclofenac.

RESULTS AND DISCUSSION

Pre-formulation studies and drug excipients compatibility studies[10] were done initially and thus obtained results directed the later course of the process of formulation. IR spectral

studies showed clearly that the the polymers used along with the drug were compatible.

Aceclofenac floating tablets here, were prepared by the direct compression method by the usage of variable polymers like HPMC, Ethyl cellulose, Guar gum along with sodium bicarbonate i.e. an effervescing agent.

Table 1: Preformulation – Flow properties.

Formulation	Angle of repose (θ)	Bulk density (gm/cm^3)	Tapped density (gm/cm^3)	Hausner's ratio(HR)
AF1	28.1±0.01	0.57±0.01	0.71±0.04	1.24±0.01
AF2	26.3±0.02	0.55±0.02	0.67±0.03	1.22±0.02
AF3	27.6±0.03	0.55±0.01	0.70±0.01	1.27±0.03
AF4	26.9±0.04	0.54±0.03	0.73±0.03	1.35±0.01
AF5	26.9±0.05	0.53±0.04	0.67±0.03	1.26±0.02

Table 2: Weight variation for (AF1-AF5).

S. no.	Formulations	Weight variation (mg)
1	Af1	460±0.12
2	Af2	462±0.34
3	Af3	460±0.33
4	Af4	460±0.33
5	Af5	457±0.42

Table 3: Percentage friability for (AF1-AF5).

S. no.	Formulations	Friability (%)
1	Af1	0.25±0.01
2	Af2	0.30±0.06
3	Af3	0.45±0.04
4	Af4	0.55±0.02
5	Af5	0.21±0.03

Table 4: Hardness for (AF1-AF5).

S. no.	Formulation	Hardness
1	Af1	4.5±0.2
2	Af2	5.0±0.1
3	Af3	4.5±0.12
4	Af4	5.0±0.16
5	Af5	5.5±0.09

Table 5: Floating lag time (sec) & Floating time (hrs) for (AF1-AF5).

S. no.	Formulation	Floating lag time (sec)	Floating time(hrs)
1	Af1	30	8
2	Af2	120	9
3	Af3	40	6

4	Af4	75	6
5	Af5	240	9

Table 6: % Drug content for (AF1-AF5).

S. no	Formulation	Drug content (%)
1	AF1	98.5±0.1
2	AF2	97.2±0.2
3	AF3	98.1±0.6
4	AF4	97.5±0.5
5	AF5	98.3±0.1

The parameters like diameter, thickness, hardness, friability, weight variation and content uniformity were evaluated for all the formulated batches of tablet. The results were complies with the official specifications within the limits.

Buoyancy lag time, Total floating time, Tablet density, swelling index studies showed satisfactory results for batch AF1, AF2, AF3, AF4 and AF5.The F4 was selected for further studies.AF1 had a good buoyancy lag time (30 sec).

Fig. 1: Floating of tablet after 30 sec.

Table 7: Percentage cumulative drug release.

Time (h)	% cumulative drug release				
Formulations	**Af1**	**Af2**	**Af3**	**Af4**	**Af5**
0	0	0	0	0	0
1	20.3	12.8	16.9	17.9	13.6
2	43.5	13.2	24.3	22.7	21.6

4	59.1	28.9	32.5	36.3	33.6
8	72.6	37.1	46.3	44.3	44.8
12	80.4	46.5	59.7	56.6	62.3
16	85.2	56.9	72.2	72.6	71.3
20	95.3	62.2	86.9	82.1	76.8
24	98.4	72.2	88.3	84.1	80.3

Table 7: Composition in formulation trails of aceclofenac floating tablets (AF1–AF5).

S. no.	Ingredients	AF1 (mg)	AF2 (mg)	AF3 (mg)	AF4 (mg)	AF5 (mg)
1	Aceclofenac	200	200	200	200	200
2	Hpmc	200	_	_	150	100
3	Ethylcellulose	40	240	40	40	40
4	Guargum	_	_	200	50	100
7	Sodium Bicarbonate	20	20	20	20	20
8	Magnesium Stearate	5	5	5	5	5
9	Talc	5	5	5	5	5
Total weight		470	470	470	470	470

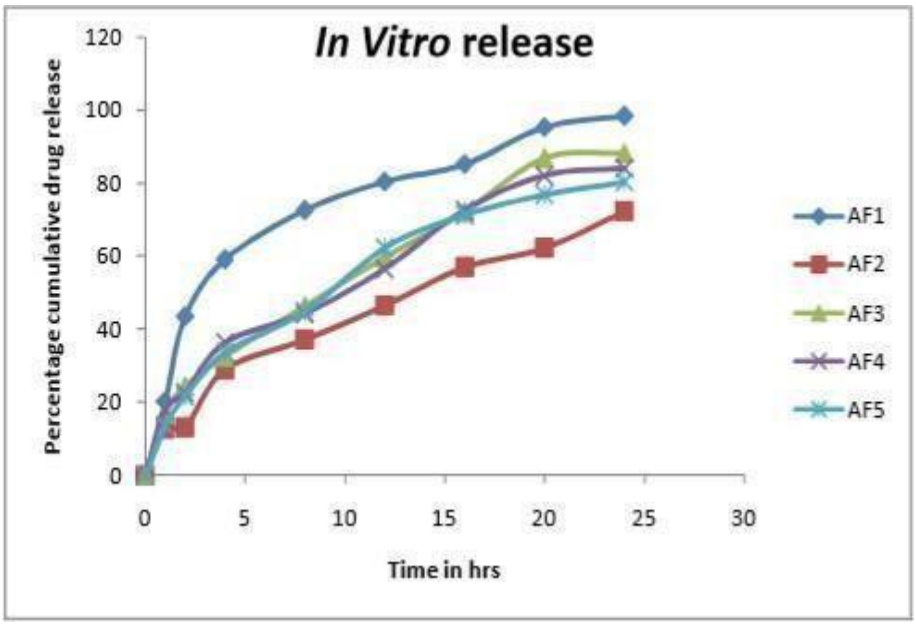

Fig. 2: Dissolution profile of aceclofenac in different formulations.

The results of *invitro*-drug release studies using indicated that the AF1 (HPMC- 200 mg, EC-40mg, Sodium bicarbonate-20 mg) released 98.4% of drug within 24 hr of the study indicating that the polymer amount is quiet perfectly enough to control the drug release. The formulation AF2 which contains Ethyl cellulose (240 mg) has total floating time of 9 Hrs with *invitro* drug release of 72.2%, and a longer floating lag time of 120 sec. AF3, AF4, AF5 have an average of 80.0% drug release.

Hence from all the formulations it is concluded that the formulation AF1 better- sustained release than the other formulation and better floating time with highest amount of drug release.

From the above results the floating tablet of aceclofenac may increase the bioavailability with once daily dosage form.

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