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Development and characterization of floating matrix tablets of Abacavir Sulphate using natural polymers

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A B S T R A C T

The purpose of this research was to prepare a floating drug delivery of abacavir sulphate in order to increase the gastric residence time (GRT) and comparison of two different natural polymers for better sustained effect. The tablets were prepared by direct compression. The pre and post compression studies were performed. The release behavior of the two different natural polymers (was compared) according to the obtained data. Formulations F1 to F8 contained xantahan gum and F9 to F16 contained chitosan. F4 has shown 75% of drug release in 12 hrs and F11 has shown 83% in 12hrs. The formulation with chitosan shows better sustained effect than xanthan gum. Te developed floating tablets of abacavir sulphate may be used for prolonged drug release for atleast 12hrs, thereby improving the bioavailability and patient compliance.

Keywords: Abacavir suphate, sustained release, chitosan, prolonged release.

A R T I C L E I N F O

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1. Introduction

In development of oral controlled drug delivery system, one of the main challenges is to modify the GI transit time. Gastric emptying of pharmaceuticals is highly variable and is dependent on the dosage form and the fasted state of the stomach.[1] Gastro retentive dosage forms are also useful for local as well as sustained drug delivery for certain conditions like H.pylori infection which is the cause of peptic ulcers.[2] Prolonged gastric retention improves bioavailability , reduces drug waste and improves solubility for drugs that are less soluble in a high pH environment.

One approach to the manufacture of sustained release dosage forms is the direct compression of blends of drug. Retardant materials and additives to form a tablet in which drug is embedded in a matrix core of the retardant. Matrix tablets are considered to be commercially feasible sustained action dosage forms that involve the least processing variables, utilize [3,4] the conventional facilities and accommodate large doses of drug. [5] Abacavir sulphate is a nucleoside reverse trascriptase inhibitor with antiretroviral activity against HIV. Antiretroviral agents are nucleoside transcriptase inhibitors and widely used in the treatment among the drugs with relatively short plasma half-life, patients are routinely asked to take once every 6 to 8hrs. [6] it is administered alone or in combination therapy with other antiretrovirals. Hence in the present study floating matrix tablets of abacavir sulphate were formulated by using natural polymers, to delay the drug release. [7,8]

2. Materials and Methods

Materials:

Abacavir sulphate was a gift sample from aurobindo pharma ltd. Xanthan gum was purchased from SD fine chemicals Pvt Ltd. Chitosan was purchased from Vijlak Pharma Ltd.

Methods:

Preformulation studies: FTIR studies.

The compatibility between pure drug, chitosan and xanthan gum was investigated by conducting FTIR studies by pelletization technique on KBr press. [9] The spectra were thus recorded over a wave number of 8000 to 500cm^{-1}

Precompression evaluation:

The prepared blend for compression was evaluated for bulk density, tapped density, carr's index, angle of repose and drug content. [10]

Formulation of floating matrix tablets:

Abacavir sulphate was mixed with required quantity of polymers containing different ratio of xanthan gum or chitosan and remaining excipients. The blend was thus compressed using 10mm punch to the desired hardness [11]

Post compression parameters:

The tablets were evaluated for their shape and color, thickness and diameter, hardness, friability, weight variation, drug content. [12]

Floating properties:

The in vitro buoyancy was determined by floating lag time. The tablets were placed in 100ml glass beaker containing 0.1N Hcl. [13]. The time required for the tablet to rise to the surface of the medium and float was determined as floating lag time. The time for which the tablet remained floating on the surface of medium was determined as floating duration time. [14,15]

***In-vitro* dissolution studies:**

The release rate of Abacavir Sulphate from floating tablets was determined using USP II apparatus with 0.1N Hcl as dissolution medium at 50rpm for 12hrs and samples taken were estimated for drug release using U.V. at 297nm.[16]

Swelling Index:

The swelling index of tablets was determined IN 0.1N Hcl. The weight after swelling was noted at regular intervals and swelling index calculated.[17,18]

3. Results and discussions

FTIR studies:

IR spectra for pure drug as well as excipients used were recorded on comparison it was seen that drug and excipient peaks were almost the same in formulation too, so it indicates that there was no significant interaction of encapsulated drug with the polymers and other excipents in the formulation.

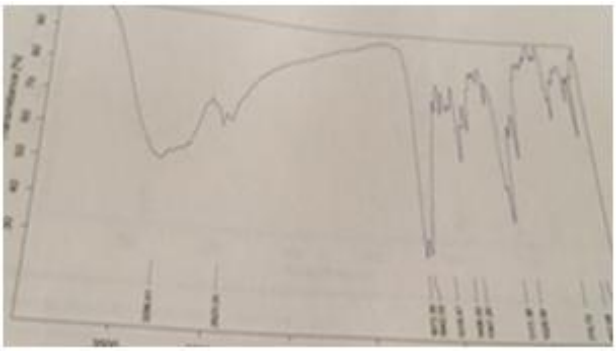

Figure 1: FTIR spectrum of abacavir sulphate

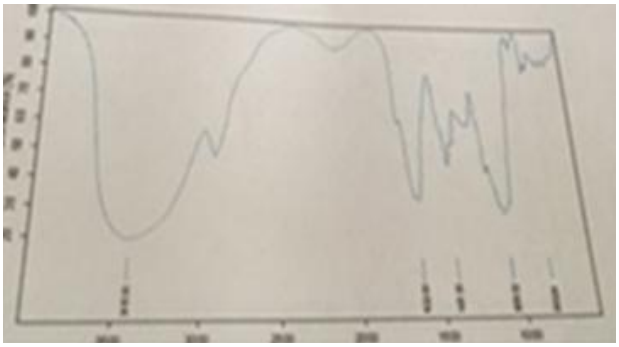

Figure 2: FTIR spectrum of chitosan and xanthan gum

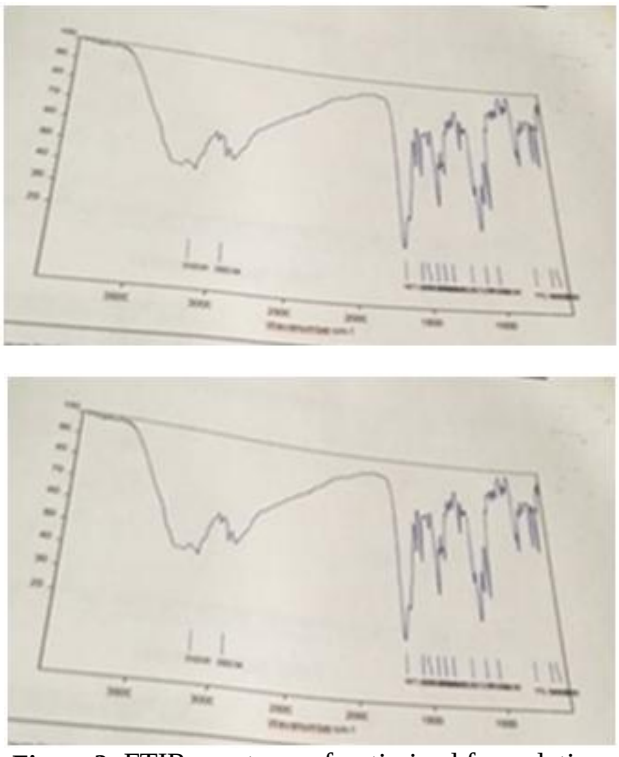

Figure 3: FTIR spectrum of optimized formulation

Table 1: Formulation studies of floating matrix tablets

Ingredients	**F1**	**F2**	**F3**	**F4**	**F5**	**F6**	**F7**	**F8**
Abacavir sulphate	300	300	300	300	300	300	300	300
Xanthan gum	10	20	30	40	45	45	50	50
Sodium bicarbonate	10	20	30	40	45	45	50	50
Citric acid	10	20	30	40	40	40	40	40
PVP K30	40	40	40	40	40	40	40	40
Mg stearate	5	5	5	5	5	5	5	5
Talc	5	5	5	5	5	5	5	5
MCC	120	90	65	30	20	15	10	5

Ingredients	**F9**	**F10**	**F11**	**F12**	**F13**	**F14**	**F15**	**F16**
Abacavir sulphate	300	300	300	300	300	300	300	300
Chitosan	10	20	30	40	45	45	50	50
Sodium bicarbonate	10	20	30	40	45	45	50	50
Citric acid	10	20	30	40	40	40	40	40
PVP K30	40	40	40	40	40	40	40	40
Mg stearate	5	5	5	5	5	5	5	5
Talc	5	5	5	5	5	5	5	5
MCC	120	90	65	30	20	15	10	5

Table 2: Precompression parameters of the powder blend of all formulations.

Formulation	**Angle of repose (θ)**	**Bulk density (gm/cm^3)**	**Hausner ratio**	**Compressibility index (%)**
F1	25.26	0.642	1.144	12.58
F2	25.12	0.646	1.137	12.09
F3	24.78	0.617	1.170	14.53
F4	26.89	0.634	1.136	11.99
F5	27.21	0.645	1.150	13.24
F6	25.62	0.652	1.134	11.89
F7	27.21	0.669	1.131	11.62
F8	26.47	0.641	1.134	11.88
F9	26.97	0.630	1.126	11.24
F10	27.78	0.642	1.128	11.82
F11	26.58	0.654	1.130	12.16
F12	26.62	0.658	1.138	12.20
F13	27.76	0.669	1.127	11.29
F14	26.32	0.610	1.134	11.84
F15	26.26	0.660	1.135	11.93
F16	27.79	0.650	1.135	11.90

Table 3: Evaluation of matrix tablets

Formulations	**Weight (mg)**	**Hardness (Kg/cm^3)**	**Friability (%)**	**Thickness (mm)**	**Diameter (mm)**	**Drug content (%)**
F1	500	3.42	0.32	3.93	10.03	98.07
F2	502	3.65	0.105	3.81	10.3	98.43
F3	497	4.01	0.22	4.01	10.12	97.71
F4	500	4.31	0.109	3.9	10.01	99.08
F5	501	4.28	0.117	3.95	10.04	98.15
F6	498	3.54	0.152	3.93	10.08	98.54
F7	499	3.97	0.305	4.11	10.16	98.53
F8	502	4.12	0.214	3.81	10.02	98.75
F9	500	3.76	0.104	3.89	10.12	98.67
F10	503	4.29	0.15	3.92	10.05	98.43
F11	501	3.87	0.321	3.85	10.26	97.56
F12	500	4.06	0.101	4.03	10.04	99.18
F13	498	4.02	0.178	3.94	10.08	98.16
F14	502	3.98	0.125	4.07	10.16	98.54
F15	501	3.65	0.334	3.96	10.09	97.63
F16	497	3.90	0.287	4.02	10.15	98.75

Table 4: Floating properties of tablets.

Formulations	Floating lag time	Floating time (hrs)
F1	2min35sec	<12
F2	4min27sec	<12
F3	3min35sec	<12
F4	4min10sec	>12
F5	2min40sec	>12
F6	2min56sec	>12
F7	3min28sec	>12
F8	2min56sec	<12
F9	1min 40sec	<12
F10	44sec	>12
F11	50sec	>12
F12	1min15sec	>12
F13	56sec	>12
F14	1min45sec	>12
F15	1min30sec	>12
F16	55sec	>12

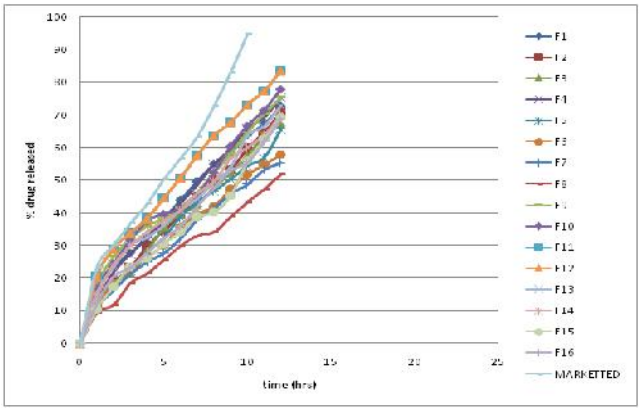

Figure 4: *In-vitro* dissolution studies of matrix tablets.

4. Conclusion

Angle of repose values were found to be in the range of 21.09 to 27.89 showing that the blend of powder was free flowing. The value for carrs index was between 11.19 to 14.53 indicating that all batches of powder blends were having good compressibility. Hausner ratio was within limits 1.17, hence all formulations have shown good blend properties for direct compression technology. All the post compression parameters were also seen to be within limits. In vitro release studies show that though the polymer xanthan gum and chitosan has sustaining effect on the release rates of drug from the tablets the increasing concentration of the same polymer in the formulation retards the release of abacavir sulphate from the tablet. The formulations F13, F14, F15 and F16 had release of drug less than 75% in 12hrs. Whereas formulations F9, F10, F12 releases the drug of 75-80% in 12hrs. Formulation F11 releases 83% drug up to 12hrs. From the in vitro dissolution analysis it was found that the batches containing chitosan showed greater release than the batches with polymer xanthan gum. It was also observed that the increasing concentration of polymers had a retarding effect on the drug release from the polymer matrices. Thus a controlled release formulation of abacavir sulphate was formulated and proved for its sustained effect of drug.

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