FORMULATION DEVELOPMENT AND EVALUATION OF ORODISPERSIBLE TABLETS OF NIFEDIPINE HCL

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Abstract

The enhancement of dissolution rate and oral bioavailability of poorly soluble drugs remains one of the most challenging aspects of drug development. Among the various methods of enhancement of the dissolution rate and oral bioavailability, various disintegrating agent was used and successful with a number of drugs. In the present investigation, studies were carried out on a new class of tablet excipients called " Super Disintegrants" was used for enhancing the dissolution rate of poorly soluble drugs. In the present investigation orally disintegrating tablets of nifedipine was formulated and evaluated.

Keywords: Disintegration, Nifedipine, Evaluation
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Introduction

Over a decade, the demand for development of orally disintegrating tablets (ODTs) has enormously increased as it has significant impact on the patient compliance. Orally disintegrating tablets are appreciated by a significant segment of populations particularly who have difficulty in swallowing. It has been reported that Dysphagia (difficulty in swallowing) is common among all age groups and more specific with pediatric, geriatric population along with institutionalized patients, psychiatric patients and patients with nausea, vomiting, and motion sickness complications. ODTs with good taste and flavour increase the acceptability of bitter drugs by various groups of population. This dosage form combines the advantages of dry and liquid formulation. Some novel ODT technology allow high drug loading, have an acceptable taste, offer a pleasant mouth felling, leaving minimal residue in the mouth after oral administration. ODT have been investigated for their potential in improving bioavailability of poorly soluble drug through enhancing the dissolution profile of the drug and hepatic metabolism drugs. Orally disintegrating tablets are also called as orally dispersible tablets, quick disintegrating tablets, mouth dissolving tablets, fast disintegrating tablets, fast dissolving tablets, rapid dissolving tablets, porous tablets, and rapid melts. However, of all the above terms, United States pharmacopoeia (USP) approved these dosage forms as ODTs. Recently, European Pharmacopoeia has used the term orodispersible tablet for tablets that disperses readily and within 3 min in mouth before swallowing.

In many orally disintegrating tablet technologies based on direct compression, the addition of superdisintegrants principally affects the rate of disintegration and hence the dissolution. The presence of other formulation ingredients such as water-soluble excipients and effervescent agents further hastens the process of disintegration. For the success of fast dissolving tablet, the tablet having

quick dissolving property which is achieved by using the super disintegrants.

Material and Methods

Nifedipine was a kind gift sample to Stallion laboratory, Ahamdabad, Gujrat. CD was purchase from Rainchem Laboratory, Delhi and other additives were procured commercially. All the reagents and solvents used were of analytical grade *In vitro* analysis of the prepared tablets was carried out as per the requirements of Orally disintegrating tablets as specified in official pharmacopoeia. Preformulation studies were performed as per standard procedure. Fast dissolving tablets of Nifedipine were prepared by direct compression method after incorporating different superdisintegrants such as, crosscarmellose sodium (Ac-Di-Sol), crospovidone and sodium starch glycolate in different concentrations. The ingredients given above were weighed and mixed in geometric progression in a dry and clean mortar. Then the ingredients were passed through mesh #60. Magnesium stearate as lubricant and talc as glidant were added in a final step and mixed, this blend was subjected to analysis of pre-compression parameters which included Angle of repose, Bulk density, Tap density, Carr's index and Hausner's ratio. The Blend was compressed on 8 mm (diameter) fat punches on a 'REMEC mini press 16 station rotary compression machine. Nine formulations of Nifedipine granules were prepared and each formulation contained one of the three disintegrant in different concentration. Each tablets weighing 200mg, were obtained. Composition of tablets is mentioned in Table 1. The formulated tablets were evaluated as per IP.

Table: 1: Composition of tablet

S. No.	Materials	F1	F2	F3	F4	F5	F6
1.	Nifedipine	25mg	25 mg	25 mg	25 mg	25 mg	25 mg
2.	Cyclodextrine	25 mg	25 mg	25 mg	25 mg	25 mg	25 mg
3.	tose(Spray Dried)	96 mg	86 mg	96 mg	86 mg	96 mg	86 mg
4.	MCC	40 mg	40 mg	40 mg	40 mg	40 mg	40 mg
5.	Crospovidone	10 mg	20 mg	-	-	-	-
6.	Croscarmellose sodium	-	-	10 mg	20 mg	-	-
7.	Sodium startch glycolate	-	-	-	-	10 mg	20 mg
8.	Aspartame	2 mg	2 mg	2 mg	2 mg	2 mg	2 mg
9.	Aerosil	1 mg	1 mg	1 mg	1 mg	1 mg	1 mg
10.	Mg. Stearate	1 mg	1 mg	1 mg	1 mg	1 mg	1 mg
	Total	00(mg)	00(mg)	00(mg)	00(mg)	00(mg)	00(mg)

Results

Table 2: Evalution of Powder Blend

Formulation code	gle of repose (θ)	Bulk density gm/ml	pped density gm/ml	compressibility	Hausner's Ratio (%)
F1	25.3±0.01	50±0.02	0.58±0.01	13.79±0.78	0.92 ±0.05
F2	26.23±0.03	53±0.04	0.62±0.02	14.51±0.81	0.94 ±0.03
F3	23.56±0.04	54±0.03	0.78±0.02	30.76±0.67	0.92 ±0.02
F4	24.33±0.03	56±0.01	0.74±0.03	24.32±0.83	0.94 ±0.04

F5	24.56±0.02	51±0.04	0.71±0.03	28.16±0.76	0.90 ±0.03
F6	31.21±0.04	53±0.02	0.69±0.01	23.18±0.80s	0.94 ±0.04

Table No. 3: Thickness, Hardness, Friability, Weight variation of tablets of different formulation F1 to F6

Formulation	Thickness (mm)	Diameter (mm)	Hardness (Kg/cm^2)	Friability (%)	Avg. Weight of Tablets (mg)
F1	3.17±0.02	8.06±0.03	2.4±0.02	0.8±0.03	193±0.03
F2	3.19±0.03	8.04±0.02	2.5±0.11	0.72±0.01	195±0.01
F3	3.18±0.01	8.08±0.03	2.5±0.09	0.66±0.04	199±0.02
F4	3.19±0.02	8.06±0.02	2.4±0.13	0.79±0.03	201±0.03
F5	3.17±0.03	8.07±0.04	2.3±0.10	0.88±0.02	200±0.02
F6	.19±0.04s	8.09±0.03	2.4±0.18	0.80±0.05	199±0.03

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Table 4: Drug content uniformity in Formulation of Nifedipine

Batches	g content uniformity (%)
F1	97.6±0.04
F2	97.4±0.05
F3	98.5±0.03
F4	98.9±0.02
F5	98.3±0.04
F6	99.0±0.02

Table 5 : Wetting Time of Nifedipime Tablets

Sr. No.	rmulation code	erage time (sec)
1.	F1	20±0.63
2.	F2	21±1.61
3.	F3	22±1.20
4.	F4	21±0.82
5.	F5	24±1.13
6.	F6	23±1.80

Table 6: Disintegration Time of Nifedipine Tablets

Sr. No.	rmulation code	Average time (sec)
1.	F1	0.58±1.1
2.	F2	0.52±0.8
3.	F3	0.55±1.3
4.	F4	0.51±0.7
5.	F5	0.50±0.5
6.	F6	0.54±1.1

Table 7 : %Drug Release study of Formulated tablets of Nifedipine

Time (min)	% Cumulative drug release					
	F1	F2	F3	F4	F5	F6
0	0	0	0	0	0	0
2	22.1	23.0	19.2	22.9	20.3	22.0
4	50.0	51.3	42.2	50.1	46.0	50.0
6	70.5	72.5	68.4	73.0	68.2	69.9
8	84.0	85.3	82.1	83.2	78.2	84.2
10	90.1	92.0	90.8	90.0	88.6	92.2
12	96.1	97.9	93.5	94.1	94.2	96.9
15	97.0	99.88	96.2	98.2	96.9	98.0

In vitro Dissolution profile of batches F1-F5

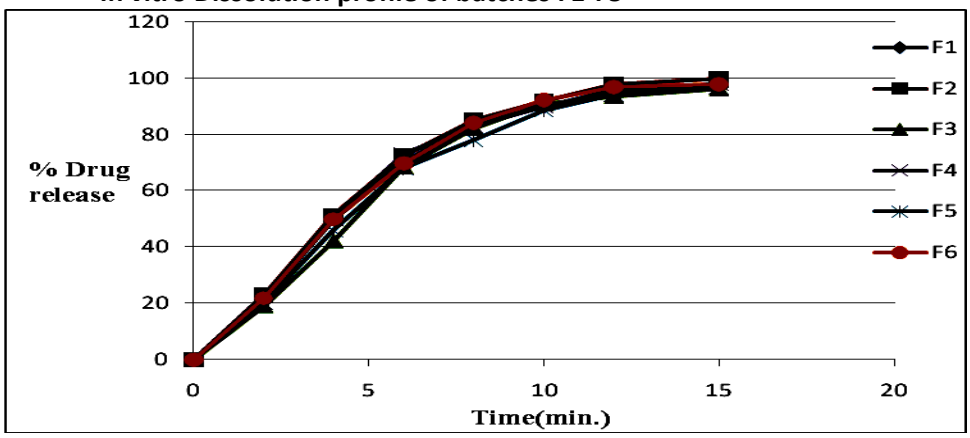

Fig. 1: %Drug Release

Conclusion

Attempt was made in the present investigation to make preformulation studies of nifedipine orally disintegrating tablets. The physical appearance, melting point of drug was found to be concordant with references which identified the sample. The Solubility of nifedipine was determined in various solvents. The pure drug nifedipine and various excipients used in formulation were characterized by FT-IR techniqe to know their compatibility. The FT-IR did not show the possibility of interaction between nifedipine and superdisintegrants used in the orally disintegrating tablets. The Absorption maxima of nifedipine was found to be at 236.5 nm in 0.1 N HCl and calibration curve of nifedipine and it follow the Beer- Lambert law in the concentration range of 200-400nm. Since the flow property of the powder mixture are important for the uniformity of the mass of the tablets, the flow of the powder was analysed before compression of the tablets.

The result of angle of repose and compressibility index (%) ranged from 23.56 to 26.23 and 12.56 to 18.23 respectively, the result of LBD and TD raised from 0.50 gm/ml to 0.56 gm/ml, and 0.54 gm/ml to 0.78 gm/ml respectively, the angle of repose (25-30) and compressibility index indicates good flow properties of powder blend. The physical properties of different batches of orally disintegrating tablets are given in table. The prepared tablets in all the formulation possessed good mechanical strength with sufficient hardness in the range of 2.3 kg/cm^2 to 2.4 kg/cm^2. Friability values below 1% were an indication of good mechanical resistence of the tablets. All the tablets from each formulation passed

weight variation test, as the % weight variation was within the pharmacopoeial limits of ±7.5 of the weight. The weight variation in all the six formulation was found to be 193 to 201mg .The % drug content of all tablets was found to be between 97.0% to 99.0% which was within the acceptable limits. The wetting time for all six formulations was preformed. The time for all six formulation varied between 19 to 26 sec. The wetting time of the tablets were also considerable reduced in tablets containing crospovidone which may be attributed due to the wicking and swelling type of disintegrants thus facilitating the faster disintegration. The in-vitro dissolution profile indicates faster and maximum drug release from formulation F2 as compare to other formulations.

The order of enhancement of the dissolution rate with various superdisintegrants was found to be CP >SSG> CCS.

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