Journal of Science and Technology
ISSN: 2456-5660 Volume 06, Issue 03, May-June 2021

www.jst.org.in *DOI: https://doi.org/10.46243/jst.2021.v6.i3.pp75-79*

A Review on Formulation and Evaluation of Nifedipine Sublingual Tablets

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To Cite this Article
Amit A. Khachane, "A Review on Formulation and Evaluation of Nifedipine Sublingual Tablets", Journal of Science and Technology, Vol. 06, Issue 03, May-June 2021, pp75-79

Article Info
Received: 10-02-2021 Revised: 18-04-2021 Accepted: 14-05-2021 Published: 27-05-2021

Abstract: *The aim of this study was to evaluate the effect of increasing nifedipine load on the characteristics of fast-disintegrating sublingual tablets for the potential emergency treatment of anginal pain and hypertension. Nifedipine undergoes first pass metabolism in liver and gut wall which has oral bioavailability of 43-77%. Sublingual dosage form bypasses the metabolism of the nifedipine in liver and offers a fast relieve from anginal pain and hypertension. An attempt has been made to prepare fast dissolving tablets of nifedipine using super distintegrants like Cross Carmellose Sodium, Sodium Starch Glycolate, CrosPovidone. Three different groups of formulations (A, R, and V) with variation in tablet excipients were prepared by direct compression method.Tablet weight variation, hardness, friability, drug content, disintegration time and dissolution time were evaluated for each formulation and found satisfactory. The studied sublingual tablet group V shows a lesser T50% compared to commercial oral tablet. The Group V also indicates the fast dissolution and disintegration rate of the optimized nifedipine sublingual tablet, which is prerequisite for rapid management of anginal and hypertension diseases.*

Keywords*: Sublingual Tablets, Nifedipine, Fast Drug Release, Hypertension, Anginal Pain*

I. Introduction

The sublingual route usually produces a faster onset of action than orally ingested tablets and the portion absorbed through the sublingual blood vessels bypasses the hepatic first-pass metabolic processes.[1-3] Nifedipine is a dihydro pyridine calcium channel antagonist originally introduced for the treatment of angina pectoris hypertension and anti-atherosclerotic activity. The sublingual dosage form offers fast release of drug from the formulation and it reaches the systemic circulation directly, which bypasses the metabolism of the nifedipine in the liver and offers a fast relive form the anginal pain, hypertension which will be worth in such conditions. Various techniques can be used to formulate rapidly disintegrating or dissolving tablets. Direct compression is one of these techniques which require incorporation of a super disintegrant into the formulation, or the use of highly water-soluble excipients to achieve fast tablet disintegration. The purpose of this study was to develop a sublingual nifedipine tablet formulation having good bioavailability

II. Material And Methods

Nifedipine was obtained as gift sample from Sharan Biomedicine Ltd., Maharashtra. Avicel pH 101 was obtained from Wei Ming Pharmaceutical Mfg. Co.ltd, Taiwain. Mannitol, Lactose DCL, Magnesium Stearate, Talc, Saccharine Sodium, Aerosol and Citric Acid, were procured from S.D. Fine Chemicals Pvt. Ltd., Mumbai, India. CrosCarmellose Sodium, Sodium Starch Glycolate and Cross Povidone were obtained from Amit Cellulose products, Pune. All the chemicals and solvents used were of analytical grade.

Preparation of sublingual tablet:

1. Nifedipine sublingual tablets were prepared by the direct compression method using different excipients.

2. The excipients used were Avicel PH101 (Binding agent), Mannitol and Lactose DCL (Diluents) Saccharine Sodium (Sweetening agent), Citric acid (Antioxidant), CrosCarmellose Sodium and Sodium Starch Glycolate (Disintegrant) and CrosPovidone (Enhance dissolution rate).
3. Different concentration of excipients was used to prepare different group of sublingual tablets. Compositions of various formulations are shown in Table-1.
4. All the ingredients of the sublingual tablets of Nifedipine were weighed and mixed in mortar with the help of pestle, then finally 2 mg Magnesium Stearate and 2 mg Talc and 1 mg of Aerosil was added for lubrication and triturated well.
5. Then the blended material was slightly compressed on the 6 mm flat–faced punch using a Rimek MINI PRESS-II MT tablet machine (KarnawatiEngg. Ltd., Mehsana, India). The total weight of the formulation was maintained 150 mg.

Table no 1: Different formulation of Nifedipine sublingual tablets

INGREDIENTS	GROUP A					GROUP R					GROUP V				
(mg)	A1	A2	A3	A4	A5	R1	R2	R3	R4	R5	V1	V2	V3	V4	V5
Nifedipine	10	10	10	10	10	10	10	10	10	10	10	10	10	10	10
Avicel pH101	20	30	40	50	60	20	30	40	50	60	20	30	40	50	60
Mannitol	22	22	22	22	22	22	22	22	22	22	30	30	30	30	30
Lactose DCL	85	75	65	55	45	89	79	69	59	49	75	65	55	45	35
Magnesium Stearate	2	2	2	2	2	2	2	2	2	2	2	2	2	2	2
Talc	2	2	2	2	2	2	2	2	2	2	2	2	2	2	2
Saccharine Sodium	3	3	3	3	3	3	3	3	3	3	3	3	3	3	3
Aerosil	1	1	1	1	1	1	1	1	1	1	1	1	1	1	1
Citric acid	1	1	1	1	1	1	1	1	1	1	1	1	1	1	1
Cros carmellose sodium	2	2	2	2	2	-	-	-	-	-	2	2	2	2	2
Sodium starch glycolate	2	2	2	2	2	-	-	-	-	-	2	2	2	2	2

Physical Evaluation:

All batches of tablets were evaluated for various parameters like weight variation, friability, hardness, drug content, disintegration and dissolution.

Weight variation:

Weight variation test was conducted by selecting 20 tablets at random as per I.P.

Friability test:

Six tablets from each batch ware examined for friability [11] using Roche Friabilator (Tropical Equipment Pvt. Ltd., Mumbai ,India) and the equipment was run for 4 min at 25 revolution per minute. The tablets ware taken out, dedusted and reweighed.

Hardness test:

The hardness of the tablets was determined using a Monsanto hardness tester (Campbell Electronics , Mumbai, India).

Disintegration time:

The disintegration time of the tablets was determined as per Indian pharmacopoeia. The test was carried out using tablet disintegration apparatus (Scientific Engineering Corporation, Delhi, India). Distilled water was used as a disintegrating media at 24 ± 0.2ºC. The time required to obtain complete disintegration of all the tablets were noted.

Drug content:

Drug content Five tablets from each batch were finely powdered and the powder equivalent to 50 mg of Nifedipine was weighed and dissolved in suitable quantity of methanol. The solution was filtered, suitably diluted and the drug content was analyzed spectrophotometrically (Shimadzu, UV-1601) at 350 nm.

In – vitro drug release study:

In-vitro drug release study Dissolution study was conducted for all the formulations using USP dissolution rate test apparatus type-II (Electrolab, Mumbai, India.). Nine hundred milliliters of phosphate buffer (pH 7.5) was taken as the dissolution medium at100 rpm and 37ºC ± 0.5ºC for 50 min. Five milliliters of aliquots were periodically withdrawn, and the sample volume was replaced with an equal volume of fresh dissolution medium. The samples were analyzed spectrophotometrically at 350nm.

Table no 2: Evaluation Data of the prepared Nifedipine Sublingual Tablets

Formu -lation	Hardness kg/cm^2	Friabilit y (%)	Drug content (%)	Disintegration time	Dissolution efficiency (%) (de50)	T50% drug release in min
A1	6.8±0.32	0.40	98.83	55sec	98.48	8
A2	6.2±0.29	0.33	98.18	2min2sec	93.00	10
A3	5.5±0.27	0.60	98.82	1min15sec	94.13	14
A4	4.9±0.49	0.74	99.05	1min49sec	90.98	18
A5	5.2±0.24	0.56	98.87	1min58sec	90.24	17
R1	6.3±0.21	0.23	100.87	2min58sec	90.03	22
R2	5.4±0.22	0.53	99.37	3min45sec	84.38	25
R3	6.7±0.15	0.44	99.78	3min	73.08	28
R4	6.4±0.24	0.47	100.18	3min50sec	57.05	38
R5	6.7±0.27	0.26	99.13	4min10sec	34.48	ND
V1	6.4±0.23	0.45	99.10	25sec	99.49	6
V2	6.0±0.11	0.34	99.50	32sec	95.82	7
V3	5.9±0.36	0.18	100.03	38sec	93.34	9
V4	6.1±0.10	0.37	99.05	29sec	96.98	8
V5	6.6±0.16	0.33	99.42	36sec	95.38	7

ND- not deducted only 34.48% drug release occurred during one-hour dissolution

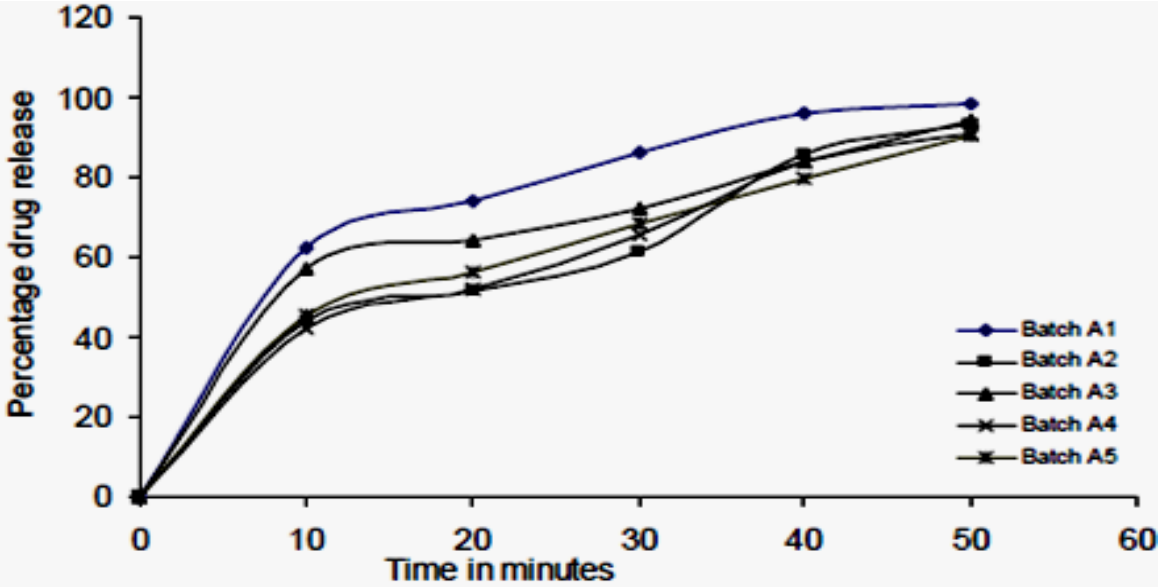

Figure no 1: Drug release profile of group 'a' tablets

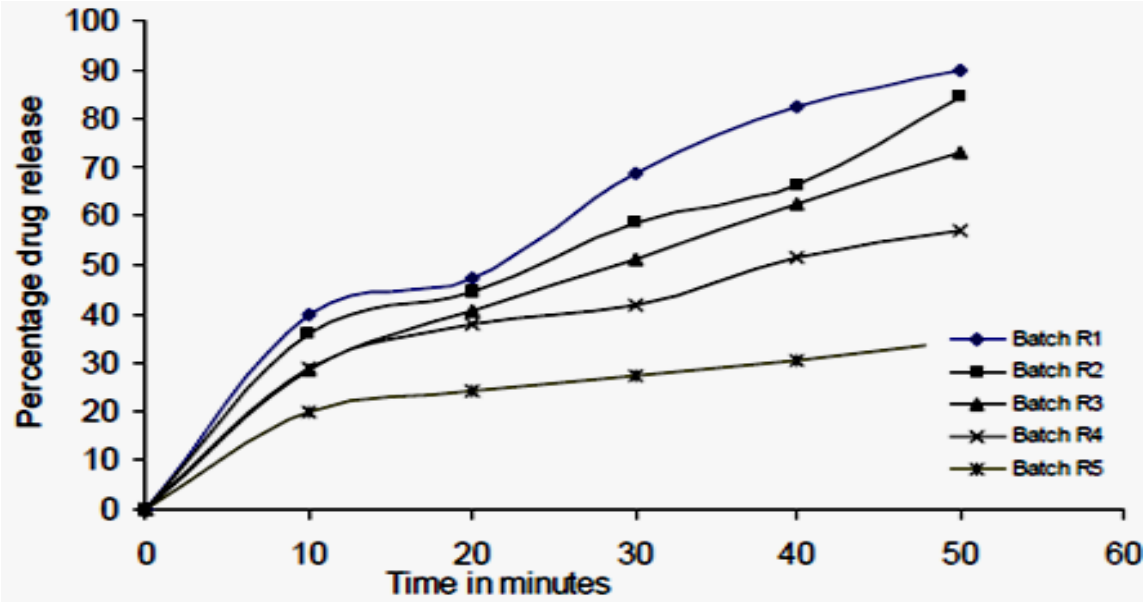

Figure no 2: Drug release profile of group 'r' tablets

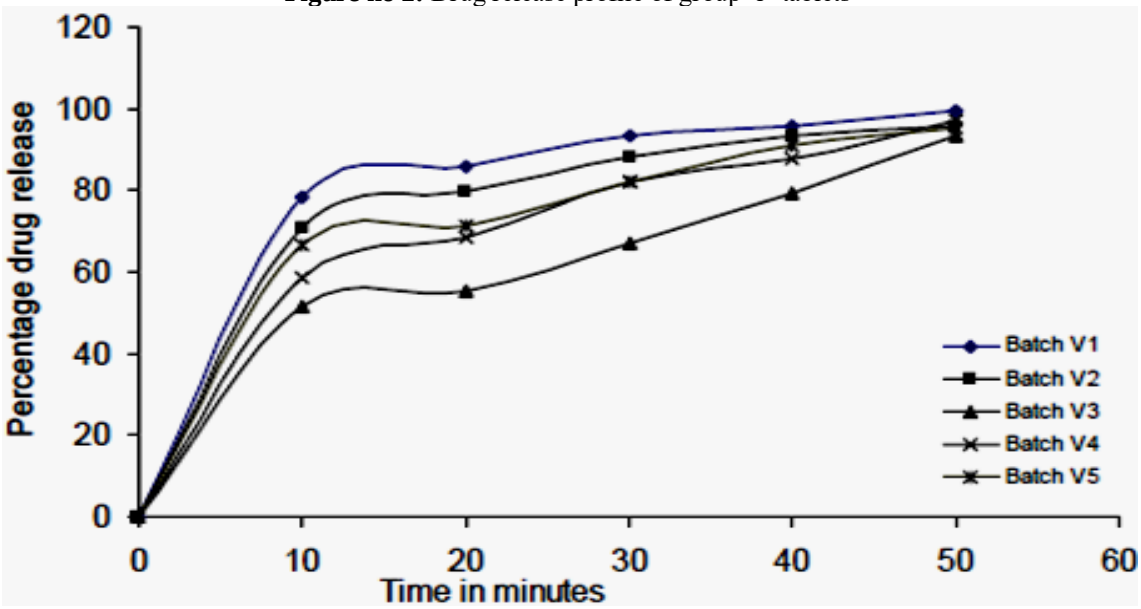

Figure no 3: Drug release profile of group 'v' tablets

III. Result and Discussion

Nifedipine sublingual tablets were prepared by direct compression method. Three different groups (A, R and V) of formulation with variation of tablet excipients were prepared with each group containing five different formulations. Table 2 shows the data obtained from the evaluation of tablets. All batches of the tablets were preliminarily evaluated for various physical parameters such as hardness, friability drug content, disintegration and dissolution which were reported in Table no 2. All above properties and value were near to boundary of standard limit. All the tablets maintained hardness in the range of 4.9 – 6.8kg/cm. The loss in total weight of the tablets due to friability was in the range of 0.18-0.6%. The drug content in different formulations was highly uniform and in the range of 98-100%. Formulation V was quickly disintegrated compared to other Formulation A, R and commercial tablets. From the in-vitro dissolution studies, it was observed that formulation V1 showed 99.49% dissolution efficiency in 50 min. The drug release patterns for different formulations were shown in Fig 1 to 3 The tablet group V1 showed a

lesser T50% compared to the studied sublingual tablets and commercial oral tablets. Hence, the group V1 is selected as an optimized Nifedipine sublingual tablet for subjecting into two different storage conditions over a period of 12 weeks. In conclusion, this study clearly indicated the fast disintegration and dissolution of the optimized Nifedipine sublingual tablet, which is prerequisite for the rapid management of anginal hypertension diseases.

IV. Conclusion

This study clearly indicated the fast disintegration and dissolution of the optimized nifedipine sublingual tablet, which is prerequisite for the rapid management of anginal hypertension diseases.

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