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FORMULATION AND EVALUATION OF AMLODIPINEIMMEDIATE RELEASE TABLETS

K.Vinod Kumar *[1], S.Gayatrisai Vishnavi [2], Sravani.P [2], Syed.Sofiya Sulthana [2], Y.Ramya [2]

[1]Professor, Department of Pharmaceutics, St.Ann's College of Pharmacy, Chirala

[2]Department of Pharmaceutics, St.Ann's college of Pharmacy, Chirala

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Abstract

Amlodipine is a long-acting dihydropyridine calcium channel blocker widely used in the management of hypertension and angina pectoris. Its primary mechanism of action involves inhibition of calcium ion influx into vascular smooth muscle, leading to peripheral arterial vasodilation and reduced systemic vascular resistance. Due to its slow onset and prolonged duration of action, amlodipine provides effective 24-hour blood pressure control with once-daily dosing. It has a favorable safety profile, with common adverse effects including peripheral edema, dizziness, and flushing. Amlodipine has also been shown to reduce cardiovascular morbidity when used as part of antihypertensive therapy, either alone or in combination with other agents. Ongoing research continues to explore its role in vascular protection, metabolic neutrality, and combination therapy strategies for optimized cardiovascular risk reduction.

Keywords: Amlodipine, Calcium channel blocker, Hypertension, Vasodilation, Once-daily dosing, Cardiovascular risk reduction.

***Corresponding Author**

Dr. K.Vinod Kumar

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Introduction

An immediate release (IR) pharmaceutical formulation is one in which the rate of drug release and/or drug absorption is neither intentionally nor significantly altered by formulation techniques (galenic manipulations). The drug is released immediately after administration to achieve rapid therapeutic action.

Desired Criteria for Immediate Release Drug Delivery System

- In the case of solid dosage forms, the formulation should dissolve or disintegrate rapidly in the stomach.
- In the case of liquid dosage forms, it should be compatible with taste-masking agents.
- It should have a pleasant mouthfeel.
- It should leave minimal or no residue in the mouth after oral administration.
- It should exhibit low sensitivity to environmental conditions such as humidity and temperature.
- Rapid dissolution and absorption of the drug should occur, producing a fast onset of action.

Advantages of Immediate Release Drug Delivery System

- Improved patient compliance and convenience
- Improved formulation stability
- Suitable for drugs intended for controlled or sustained release development
- Allows high drug loading
- Provides advantages of liquid dosage forms in a solid preparation

Conventional Techniques Used in the Preparation of Immediate Release Tablets

- Tablet molding technique
- Direct compression technique
- Wet granulation technique
- Mass extrusion technique
- Solid dispersion technique

Classification of Drug Delivery Systems Based on Drug Release Mechanism

- **Immediate release:** Drug is released immediately after administration.
- **Modified release:** Drug release occurs after a specific time, over a prolonged period, or at a specific target site in the body.

Types of Modified Release Systems

- **Delayed release:** Drug is released only after a predetermined time following administration.
- **Extended release:** Drug release is prolonged to reduce dosing frequency.

Solubility

- **Aqueous:** Practically insoluble in water; soluble in dilute mineral acids.
- **Non-aqueous:** Freely soluble in acetone; soluble in anhydrous ethanol.

Site and Mode of Action

Amlodipine is a long-acting 1,4-dihydropyridine calcium channel blocker. It primarily acts on vascular smooth muscle cells by stabilizing voltage-gated L-type calcium channels in their inactive conformation, leading to vasodilation.

Half-Life

30–50 hours

Methodology

Procedure for Compression Batch

Step 1:

Co-sift amlodipine with a small quantity of microcrystalline cellulose (MCC) using a #30 ASTM sieve. Sift the remaining MCC along with other excipients (except lubricants) through a #30 ASTM sieve.

Step 2:

Mix all ingredients from Step 1 thoroughly and pass the blend through a #30 ASTM sieve.

Step 3:

Blend the sifted mass for 20 minutes at 16 rpm.

Step 4:

Add Aerosil, previously sifted through a #40 ASTM sieve, and blend at 16 rpm for 5 minutes.

Step 5:

Add magnesium stearate, previously sifted through a #40 ASTM sieve, and blend at 16 rpm for 3 minutes.

Step 6:

Compress the final blend into tablets using 11 mm round, flat punches with D-tooling.

Wet granulation process in Rapid Mixer Granulator (RMG)

Sifting of raw materials
Binder preparation
↓
Granulation
↓
Drying
↓
Sizing of granules
↓
Lubrication
↓
Compression
↓
Film Coating

Table01: Formula for all formulations

S.no	Ingredients	F1A	F1B	F2A	F2B	F3	F4	F5	F6	F7	F8	F9	F10
1	Losartan Potassium	100	100	100	100	100	100	100	100	100	100	100	100
2	Amlodipine Besilate	6.93	6.93	6.93	6.93	6.93	6.93	6.93	6.93	6.93	6.93	6.93	6.93
3	Mannitol (pearlitol 25 C)	24	24	24	24	24	24	-	24	24	24	24	24

4	Cellulose Micro cry stalline (Avioel PH101)	157 .57	157. 57	157. 57	157.5 7	157.5 7	233.5 7	181.5 7	171.5 7	171.5 7	161.5 7	157.5 7	157.5 7
5	Dicalcium phosphate dihydrate	76	76	76	76	76	-	76	76	76	76	76	76
6	Povidone (plasdon eK 29/32)	9.5	9.5	9.5	9.5	9.5	9.5	9.5	9.5	9.5	9.5	9.5	9.5
7	Cross carmellose sodium (Ac-di-sol)	12	12	12	12	12	12	12	6	0	8	14	14
8	Isopropyl L alcohol	-	QS	QS	QS	-	QS	QS	QS	QS	QS	QS	QS
9	Purified water	QS	-	-	-	-	-	-	-	-	-	-	-

Table 02: Formula for all formulations

S.no	Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10	F11	F12	F13
10	Cross carmellose sodium	8	8	8	8	8	8	8	8	0	6	8	12	20
11	Colloidal silicone dioxide	3.6	3.6	3.6	3.6	3.6	3.6	3.6	3.6	3.6	3.6	3.6	3.6	3.6
12	Magnesium stearate (Ferro-VG)	2.4	2.4	2.4	2.4	2.4	2.4	2.4	2.4	2.4	2.4	2.4	2.4	2.4
-	Total core tablet weight	400	400	400	400	400	400	400	400	400	400	400	400	400
13	Coating composition	-	-	12	12	12	12	12	12	12	12	12	12	12
14	IPA	-	-	QS	-	-	-	-	-	-	-	-	-	-
15	Water	-	-	-	QS	QS	QS	QS	QS	QS	QS	QS	QS	QS
-	Coated tablet weight	-	-	412	412	412	412	412	412	412	412	412	412	412

Evaluation Parameters

Evaluation of powder flow properties

- Bulk density
- Tapped Density
- Carr's compressibility Index
- Hausner's Ratio

Evaluation of Tablets

Uniformity of weight

⬇

Thickness

⬇

Hardness

⬇

Friability

⬇

Disintegration

⬇

In-vitro drug dissolution study

⬇

Stability stud**ies**

Stability Studies

Long-term Testing : 25oC + 2oC / 60% RH + 5% RH for 12 Months.
Intermediate Testing: 30oC ± 2oC / 65% RH ± 5% RH for 12 months.
Accelerated Testing : 40oC + 2oC / 75% RH + 5% RH for 6 Months.

Procedure

Accelerated stability studies on promising tablets was carried out by storing 15 tablets rubber stopped vials at elevated temperature of 40°C 2o C/ 75°C5% RH (Stability chamber, Osworld) over a period of 30 days (1 month). After that , the tablets were visually examined for any physical changes, changes in drug content, disintegration time, hardness, friability and in vitro dissolution profile

Results

Table 03: blending properties of different formulations

Formulation	B.D (gm/ml)	T.D (gm/ml)	C. I (%)	H.R	Property
F1	0.710	0.873	19.714	1.251	Fair
F2	0.710	0.873	19.714	1.251	Fair
F3	0.483	0.681	29.03	1.409	Passable
F4	0.483	0.681	29.03	1.409	Passable
F5	0.461	0.714	35.385	1.548	Fair
F6	0.461	0.714	35.385	1.548	Fair
F7	0.500	0.600	23.22	1.295	Passable
F8	0.500	0.600	23.22	1.295	Passable
F9	0.541	0.691	21.62	1.276	Passable
F10	0.541	0.691	21.62	1.276	Passable

Table 04: Physical evaluation (film coated tablets)

Formulation	Avg.Weight (Mean±SD)	Hardness (kg/cm$^{2)}$	Disintegration time (min'sec")
F2A	414±4.43	7.6±0.2	8'54"
F2B	412±5.74	7.8±0.2	8'48"
F3	409±3.85	7.8±0.3	8'52"
F4	413±3.87	8.1±0.4	9'02"
F5	411±4.45	8.2±0.2	9'26"
F6	413±4.26	7.9±0.3	12'43"
F7	410±4.52	7.8±0.1	13'04"
F8	412±4.48	7.9±0.4	11'43"
F9	412±4.17	8.0±0.2	9'52"
F10	413±3.63	7.9±0.3	6'48"

Table 05: in-vitro dissolution profile of amlodipine besylate

Time(min)	Innovator	F2B	F3	F4	F5	F6	F7	F8	F9	F10
0	0	0	0	0	0	0	0	0	0	0
5	72	32	30	31	32	19	21	27	34	46
10	83	50	52	48	53	34	38	35	55	67
15	89	62	65	63	60	46	45	50	66	86
20	91	71	69	71	72	53	59	66	75	92
30	96	78	80	82	79	69	71	74	87	94
45	97	88	89	92	92	84	86	85	93	96
60	98	95	96	97	97	92	95	95	97	97

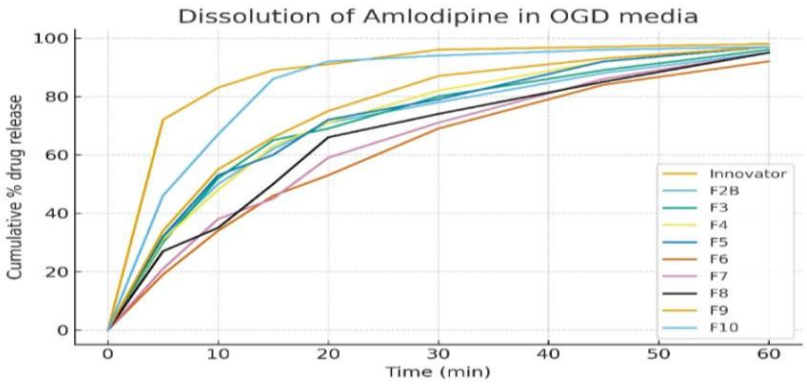

Fig 01: Dissolution of Amlodipine in OGD media

Table 06: stability condition % assay result of F9 and F10

Stability Condition	Description	F9 Amlodipine Besylate	F10 Amlodipine Besylate
Room temperature initial	Light white colored film coated tablets	99.3	99.52
40° C /75% RH (1 month)	Light white colored film coated tablets	98.69	98.79
40° C /75% RH (2 months)	Light white colored film coated tablets	97.85	98.10

Table 07 : in - vitro dissolution profile of Amlodipine in optimized formulation F10 at 40 oC and 75% RH

Time (min)	Innovator	1 month	2 months
0	0	0	0
5	16	15	13
10	45	43	42
15	69	67	65
20	86	84	82
30	96	93	91
45	98	95	95
60	98	97	97

Discussion

The purpose of formulation of Amlodipine and Losartan potassium Immediate release tablets 5/100 mg was to provide treatment of hypertension effectively by the synergistic effect of Calcium channel blocker i.e., Amlodipine and Angiotensin II inhibitor i.e., Losartan potassium.

From the results of solubility studies, Amlodipine has maximum solubility in the pH range of 3-5.5(1-1.3mg/ml). classified as highly soluble drug as per BCS classification.

- In the F1 formula the wet granulation process was followed and is done by using water as a granulating agent in F1A , Isopropyl alcohol as a granulating agent in F1B.
- The best suited process is selected by analyzing the tablets for % of related substances initially and after 7 days storing in 50°C.
- Then the selected formulation was coated with opadry white dispersed in isopropyl alcohol in F2A and water in case of F2B and the tablets were analyzed for % of related substances initially and after 7 days storing in 50°C.
- The results shown that coating dispersion with water and isopropyl alcohol was similar hence it was decided to go with dispersion in with water.
- The selected F2B was compared with the direct compression batch coated with Opadry white dispersed in water and related substances were analyzed.
- Then the diluent combination was selected by comparing formulations containing soluble diluent Mannitol in F4 and insoluble diluent Dicalcium phosphate dihydrate in F5 along with microcrystalline cellulose as another diluent in common.
- Then disintegrant concentration was optimized by taking Croscaramellose sodium at intra granular or extra granularly and/or both in the F6-F10.
- Disintegrant Croscarmellose sodium was added in intra granular portion (1.5%) in F6 , and (1.5%) extra granular portion in F7,and F8 contains

disintegrant in both intra granular(2%) and extra granular(2%) portions.

- Formulation F9 contains concentrations of disintegrating agent 3.5% intra granular portion and 3% extra granular portion.
- The dissolution profile Losartan potassium in F9 was near to innovator.
- F10 was taken by including Croscarmellose sodium 5% extra granular and 3.5% intra granular concentrations were used.
- All the tablets were prepared under similar conditions.
- The values of pre- compression and post - compression parameters evaluated were found to be within prescribed limits.
- The stability study was performed for F9 and F10 formulations as per ICH guidelines

Summary and Conclusion

All formulations were prepared by wet granulation method by using microcrystalline cellulose, povidone, Dicalcium phosphate dihydrate, mannitol, colloidal silicon dioxide, and magnesium stearate.On direct compression batch was taken and results were compared with wet granulated batch of same composition.The tablets prepared were found to be within the official limits with respect to weight variation, thickness, hardness, friability, disintegration and dissolution.The stability study was performed for F10 formulation as per ICH guidelines. Stability study was carried out for 2 months at 40°C/75%RH. Among the all formulations the release profile of trial F10 was found to be similar to the marketed product release profiAmong the all formulations the release profile of trial F10 was found to be similar to the marketed product release profile.

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Conflict of Interest

Not Declared

Informed Consent and Ethical Statement

Not Applicable

Author Contribution

All authors are contributed equally.

References

1. Charman SA, Charman WN. Oral modified-release delivery systems. In: Rathbone MJ, Hadgraft J, Roberts MS, editors. *Modified-release drug delivery technology*. New York: Marcel Dekker; 2003. p. 1–10.
2. Mehta RM. *Pharmaceutics I*. 3rd ed. New Delhi: Vallabh Prakashan; 2002. p. 7, 238.
3. Ghosh T, Ghosh A, Prasad D. A review on new generation orodispersible tablets and its future prospective. *Int J Pharm Pharm Sci*. 2011;3(1):1–7.
4. Gabrielsson J, Lindberg NO, Lundstedt T. Multivariate methods in pharmaceutical applications. *J Chemom*. 2002;16:141–160.
5. Kilor V, Sapkal N, Awari J, Shewale B. Development and characterization of enteric-coated immediate-release pellets of aceclofenac by extrusion/spheronization technique using carrageenan as a pelletizing agent. *AAPS PharmSciTech*. 2010;11(1):336–343.
6. Govedarica B, Radelnjac J, Dreu R, Srčič S. Formulation and evaluation of immediate release tablets with different types of paracetamol powders prepared by direct compression. *Afr J Pharm Pharmacol*. 2011;5(1):31–41.
7. National Institute for Health and Care Excellence (NICE). *Hypertension: management in adults in primary care (CG034)*. London: NICE; 2006. p. 19.
8. Wang JG, et al. Amlodipine in the current management of hypertension. *J Clin Hypertens*. 2023;25(1):3–14.
9. Fares H. Amlodipine in hypertension: a first-line agent with efficacy and safety. *Open Heart*. 2016;3(2):e000473.
10. Gao Y, et al. Effect of amlodipine on ventricular hypertrophy in patients with hypertension: a meta-analysis. *Ann Transl Med*. 2021;9(8):1–10.
11. Sheraz MA. Formulations of amlodipine: a review. *J Pharm Bioallied Sci*. 2016;8(1):1–9.
12. de Portu S, et al. Amlodipine: a pharmacoeconomic review. *J Med Econ*. 2009;12(2):1–10.
13. Pepine CJ, Handberg EM, Cooper-DeHoff RM, et al. A calcium antagonist vs a non–calcium antagonist hypertension treatment strategy for patients with coronary artery disease. The CAMELOT study. *JAMA*. 2003;289(24):3170–3178. doi:10.1001/jama.289.24.3170.
14. Iyengar SS, Mohan JC, Ray S, et al. Effect of amlodipine in stroke and myocardial infarction: a systematic review and meta-analysis. *Cardiol Ther*. 2021;10:429–444. doi:10.1007/s40119-021-00239-1.
15. Lee SA, Choi HM, Park HJ, Ko SK, Lee HY. Amlodipine and cardiovascular outcomes in hypertensive patients: meta-analysis comparing amlodipine-based versus other antihypertensive therapy. *Korean J Intern Med*. 2014;29(3):315–324. doi:10.3904/kjim.2014.29.3.315.
16. Iyengar SS, Mohan JC, Ray S, et al. Effect of amlodipine in stroke and myocardial infarction: a systematic review and meta-analysis. *Cardiol Ther*. 2021;10(3):429–444.