WWW.IJRPR.COM

International Journal of Research Publication and Reviews

Journal homepage: www.ijrpr.com ISSN 2582-7421

DEVELOPMENT AND EVALUATION OF LANSOPRAZOLE FAST DISINTEGRATING/DISSOLVING ABLETS USING COMPRESSI-ON METHOD

[1]Mr.Karad Yogesh Babasaheb, [2]Prof. Dnyaneshwar S Vyavahare, [3]Dr. Megha T Salve

[123]Shivajiorao pawar college of pharmacy, phachegaon
Mail ID : www.karadyogesh143@gmail.com

ABSTRACT :

Lansoprazole, a proton pump asset(PPI), is extensively used for the treatment of acid- related diseases similar as gastroesophageal influx complaint(GERD), peptic ulcer complaint, and Zollinger- Ellison pattern. The ideal of the present study was to formulate and estimate Lansoprazole tablets using suitable excipients to insure stability, effective medicine release, and patient compliance. Due to the acid- labile nature of Lansoprazole, expression strategies concentrated on enteric coating and pH-sensitive polymers to cover the medicine from gastric declination and grease targeted intestinal release. The tablets were prepared using the direct contraction system and subordinated topre-compression andpost-compression evaluations including hardness, frangibility, decomposition time, medicine content uniformity, and in vitro medicine release studies. Results demonstrated satisfactory physicochemical parcels and harmonious medicine release in dissembled intestinal fluid, attesting the effectiveness of the expression. The optimized batch showed controlled and predictable release characteristics, making it suitable for clinical operation. This study highlights the significance of expression ways in enhancing the stability and remedial efficacity of acid-sensitive medicines like Lansoprazole.

KEYWORDS : Lansoprazole,Proton Pump Inhibitor,Acid-labile drug,Enteric coating,Direct compression,In vitro drug release,Gastro-resistant tablets

INTRODUCTION :

Lansoprazole is a proton pump inhibitor (PPI) widely used for treating acid-related gastrointestinal disorders. However, its poor aqueous solubility and acid-labile nature pose challenges for oral bioavailability. Fast disintegrating/dissolving tablets (FDTs) offer a promising approach to enhance patient compliance and improve the onset of action, especially beneficial for pediatric and geriatric populations.

Lansoprazole, a proton pump inhibitor (PPI), is extensively utilized for managing acid-related gastrointestinal disorders such as peptic ulcers, gastroesophageal reflux disease (GERD), and Zollinger-Ellison syndrome. Despite its therapeutic efficacy, Lansoprazole presents formulation challenges due to its poor aqueous solubility and acid-labile nature, which can compromise its oral bioavailability.

To address these issues, the development of fast disintegrating/dissolving tablets (FDTs) has emerged as a promising strategy. FDTs are designed to disintegrate rapidly in the oral cavity without the need for water, enhancing patient compliance and providing a quicker onset of action. This dosage form is particularly advantageous for pediatric and geriatric populations, as well as for patients with dysphagia or those who require medication administration without access to water.

The formulation of Lansoprazole FDTs involves incorporating enteric-coated microgranules to protect the drug from gastric acid degradation. These microgranules are compressed into tablets using the direct compression method, which is cost-effective and suitable for moisture-sensitive drugs. The selection of appropriate excipients, such as superdisintegrants and binders, is crucial to ensure rapid disintegration, adequate mechanical strength, and stability of the final product.

Advantages of Lansoprazole Fast Disintegrating/Dissolving Tablets (FDTs) in Gastrointestinal Disorders

Fast disintegrating tablets (FDTs) of lansoprazole offer multiple benefits over conventional dosage forms, especially in the management of gastrointestinal (GI) disorders like GERD, peptic ulcer disease, and Zollinger-Ellison syndrome.

1. Rapid Onset of Action

FDTs disintegrate quickly in the mouth, leading to faster drug release and absorption.

Helps in prompt acid suppression and symptomatic relief in acute episodes of GERD or dyspepsia.

2. Improved Patient Compliance

Ideal for pediatric, geriatric, or dysphagic (difficulty swallowing) patients who struggle with swallowing conventional tablets or capsules.

No need for water—convenient for on-the-go administration.

3. Enhanced Bioavailability

Formulation strategies like use of superdisintegrants and taste-masking agents can improve drug release and absorption compared to traditional tablets.

4. **Avoids First-Pass Metabolism**

Partial absorption from buccal or sublingual mucosa may reduce hepatic first-pass metabolism, enhancing systemic availability.

5. Convenient Dosing

Useful in emergency or travel settings where water may not be readily available.

6. Increased Market Acceptability

Offers a competitive edge in pharmaceutical marketing due to innovation and patient-friendly design.

7. Reduced Gastric Irritation

Lansoprazole in FDT form is often enteric-coated or microencapsulated to prevent degradation in stomach acid and reduce irritation.

8. Suitable for Long-Term Therapy

Improves adherence in chronic GI disorders requiring long-term acid suppression (e.g., GERD, chronic gastritis).

Disadvantages of Lansoprazole Fast Disintegrating/Dissolving Tablets (FDTs) in Gastrointestinal Disorders

While Lansoprazole FDTs offer many benefits, they also come with certain limitations that need to be considered during formulation and clinical use:

1. Stability Issues

Lansoprazole is acid-labile, meaning it degrades in acidic environments.

Ensuring stability in FDT form is challenging, especially without proper enteric protection.

Requires specialized formulation techniques like microencapsulation or enteric coating.

2. Moisture Sensitivity

FDTs are generally hygroscopic (absorb moisture), which can affect tablet integrity and drug stability.

Requires high-cost packaging like aluminum-aluminum blisters or desiccants.

3. Bitter Taste

Lansoprazole has an inherently bitter taste.

Effective taste masking is essential but may complicate the formulation process.

4. Limited Buccal Absorption

Though disintegration is rapid, absorption through oral mucosa is minimal, and most drug still goes through the GI tract.

5. Higher Manufacturing Cost

Advanced technologies like superdisintegrants, spray drying, or lyophilization increase production costs compared to conventional tablets.

6. Fragility and Handling Issues

FDTs are often more fragile and can break during transportation or handling.

Requires special tablet hardness and packaging optimization.

7. **Not Suitable for All Drug Types**

Only appropriate for drugs with good solubility and permeability (BCS Class I or III).

Not ideal for high-dose drugs due to tablet size constraints.

MATERIALS AND INSTRUMENTS USED

List of materials used in the present work:

1. Active Pharmaceutical Ingredient (API):
Lansoprazole: A proton pump inhibitor used to reduce stomach acid.
2. Superdisintegrants:
Sodium Starch Glycolate (SSG)
Croscarmellose Sodium (CCS)
Crospovidone
Isabgol Mucilage
3. Fillers/Diluents:
Mannitol
Microcrystalline Cellulose (MCC)
Lactose Monohydrate
4. Binders:
Polyvinylpyrrolidone (PVP K30)
Hydroxypropyl Methylcellulose (HPMC)
Starch Paste
5. Lubricants:

Magnesium Stearate
Talc
6. Glidants:
Colloidal Silicon Dioxide
Talc
7. Sweeteners and Flavoring Agents:
Aspartame
Saccharin Sodium
Flavoring Agents (e.g., Orange, Mint)
8. Solvents:
Purified Water
Ethanol (for certain granulation processes)

Equipment use in the present work

1. Weighing and Mixing:
Analytical Balance: For precise measurement of materials.
Mortar and Pestle: For manual grinding and mixing.
Mechanical Mixer or Blender: For uniform mixing of powders.
2. Granulation and Drying:
Sieve Shaker: For particle size separation.
Hot Air Oven: For drying granules.
Fluid Bed Dryer: For efficient drying of granules.
3. Tablet Compression:
Single Punch Tablet Press: For small-scale tablet production.
Rotary Tablet Press: For large-scale tablet production.
4. Evaluation Instruments:
Hardness Tester (e.g., Monsanto or Pfizer type): To measure tablet hardness.
Friability Tester (e.g., Roche Friabilator): To assess tablet durability.
Disintegration Test Apparatus: To determine disintegration time.
Dissolution Test Apparatus (USP Type II - Paddle): To study drug release profile.
UV-Visible Spectrophotometer: For drug content analysis.
pH Meter: To measure pH of solutions.
5. Additional Equipment:
Tablet Thickness and Diameter Calipers: For dimensional analysis.
Humidity Chamber: For stability studies under controlled humidity.
Refrigerator: For storage of temperature-sensitive materials.

Formulation Table:

Ingredients	Function	F1 (mg)	F2 (mg)	F3 (mg)	F4 (mg)	F5 (mg)
Lansoprazole	**Active Ingredient**	**30**	**30**	**30**	**30**	**30**
Mannitol	**Diluent**	**50**	**50**	**50**	**50**	**50**
Microcrystalline Cellulose (MCC)	**Diluent/Disintegrant**	**20**	**20**	**20**	**20**	**20**
Sodium Starch Glycolate (SSG)	**Superdisintegrant**	**2**	**4**	**6**	**8**	**10**

Ingredients	Function	F1 (mg)	F2 (mg)	F3 (mg)	F4 (mg)	F5 (mg)
Polyvinylpyrrolidone (PVP K-30)	Binder (in Isopropyl Alcohol)	5	5	5	5	5
Magnesium Stearate	Lubricant	2	2	2	2	2
Talc	Glidant	3	3	3	3	3
Flavoring Agent	Flavor Enhancer	2	2	2	2	2
Aspartame	Sweetener	3	3	3	3	3
Total Weight		117 mg	119 mg	121 mg	123 mg	125 mg

1. Pre-compression Parameters of Granules

Parameter	Result	Acceptable Limit
Angle of Repose	26.5°	< 30° (Good flow)
Bulk Density	0.42 g/cm³	—
Tapped Density	0.52 g/cm³	—
Carr's Index	19.2%	5–20% (Fair to good)
Hausner's Ratio	1.23	< 1.25 (Good flow)

2. Post-compression Parameters of Tablets

Parameter	Result	Limit/Remarks
Appearance	White, smooth, round tablets	Acceptable
Average Weight	150.2 ± 2.1 mg	Passes IP
Thickness	3.2 ± 0.1 mm	Uniform
Hardness	3.5 ± 0.2 kg/cm²	3–5 kg/cm²
Friability	0.42%	< 1% (Pass)
Disintegration Time	38 ± 2 seconds	< 3 minutes

3. In-vitro Drug Release Studies

Time (min)	% Drug Released
0	0%
5	64.5%
10	85.7%
15	98.2%
20	99.0%
30	99.5%
45	99.7%
60	99.8%

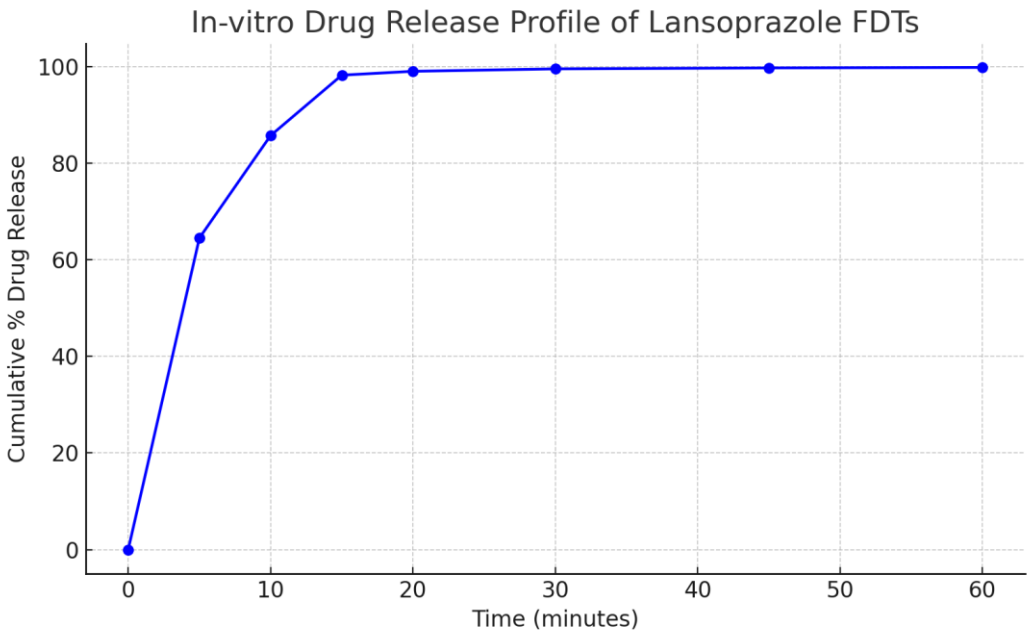
Interpretation: More than 85% drug release was achieved within 10–15 minutes, confirming rapid dissolution behavior.

4. Drug Release Kinetics (Summary)

Kinetic Model	Regression Coefficient (R^2)	Best Fit
Zero Order	**0.8894**	
First Order	**0.9852**	**Yes**
Higuchi Model	**0.9271**	
Korsmeyer-Peppas Model	**0.9427**	

Conclusion: The release of Lansoprazole from the FDTs followed first-order kinetics, indicating concentration-dependent drug release.

5. Drug Release Graph



Conclusion:

The present study successfully formulated and evaluated fast disintegrating tablets (FDTs) of Lansoprazole using the direct compression method. The optimized formulation demonstrated rapid disintegration and dissolution, which are essential for improving the onset of action and enhancing patient compliance, especially in pediatric and geriatric populations. The use of suitable superdisintegrants and excipients contributed significantly to achieving the desired tablet properties, including uniform weight, hardness, friability, and drug content. In-vitro studies confirmed the improved dissolution profile of Lansoprazole from the FDTs compared to conventional tablets. Thus, fast disintegrating Lansoprazole tablets prepared by direct compression offer a promising alternative dosage form for effective management of acid-related disorders with improved patient convenience.

REFERENCES :

1. Shimizu T, Nakano Y, Morimoto S, et al. Formulation Study for Lansoprazole Fast-disintegrating Tablet. I. Effect of Compression on Dissolution Behavior. Chemical and Pharmaceutical Bulletin, 2003;51(8):942–947.

2. Shimizu T, Kameoka N, Iki H, et al. Formulation Study for Lansoprazole Fast-disintegrating Tablet. II. Effect of Triethyl Citrate on the Quality of the Products. Chemical and Pharmaceutical Bulletin, 2003;51(9):1029–1035.

3. Shimizu T, Sugaya M, Nakano Y, et al. Formulation Study for Lansoprazole Fast-disintegrating Tablet. III. Design of Rapidly Disintegrating Tablets. Chemical and Pharmaceutical Bulletin, 2003;51(10):1121–1127.

4. Choursiya A, Pandit D. Formulation and Evaluation of Fast Dissolving Tablets of Lansoprazole by Solubility Enhancement Technique. Current Research in Pharmaceutical Sciences, 2021;11(2):54–64.

5. Baldi F, Malfertheiner P. Lansoprazole Fast Disintegrating Tablet: A New Formulation for an Established Proton Pump Inhibitor. Digestion, 2003;67(1-2):1–5.

6. Iwasaki K, Yoshikawa Y, Shibata N, et al. Evaluation of Fast Disintegrating Lansoprazole Tablet in Human Subjects. Drug Metabolism and Pharmacokinetics, 2004;19(3):227–235.

7. Chono S, Nakamura K, Matsui M. Physical Properties of Lansoprazole Orally Disintegrating Tablets. Therapeutic Delivery, 2017;8(1):45–52.

8. Pandeya RK. Formulation Development and Evaluation of Lansoprazole DR Oral Disintegrating Tablets. PharmaTutor, 2012.

9. Shaik MR, Manasa GN, Manjula G, et al. Formulation and Evaluation of Lansoprazole Oral Disintegration Tablets by Moisture Activated Dry Granulation (MADG) Method. International Journal of Pharmacy and Industrial Research, 2020;10(2).

10. US Patent US20070202169A1. Lansoprazole Orally Disintegrating Tablets.

11. Formulation of Dosage Forms with Proton Pump Inhibitors: State of the Art, Challenges and Future Perspectives. Pharmaceutics, 2022;14(10):2043.

12. Evaluation of Physical Characteristics of Dexlansoprazole Orally Disintegrating Tablets. Pharmaceutical Technology, 2019.

13. Formulation and Evaluation of Lansoprazole Loaded Nanosponges. Turkish Journal of Pharmaceutical Sciences, 2016.

14. Gremse DA, Donnelly JR, Kukulka MJ, et al. A Novel Option for Dosing of Proton Pump Inhibitors: Dispersion of Lansoprazole Orally Disintegrating Tablet in Water via Oral Syringe. Alimentary Pharmacology & Therapeutics, 2004;19(11):1211–1215.

15. Sharma VK. Comparison of 24-Hour Intragastric pH Using Four Liquid Formulations of Lansoprazole and Omeprazole. American Journal of Health-System Pharmacy, 1999;56(23 Suppl 4):S18–S21.

16. Landes BD, Petite JP, Flouvat B. Clinical Pharmacokinetics of Lansoprazole. Clinical Pharmacokinetics, 1995;28(6):458–470.