Design and Comparative Evaluation of Effervescent Tablets of Ibuprofen for Enhanced Solubility and Patient Compliance

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Abstract:

Ibuprofen, a widely used non-steroidal anti-inflammatory drug (NSAID), is poorly water-soluble, which limits its bioavailability and onset of action. To address this, the present study aims to formulate effervescent tablets of ibuprofen to improve its aqueous solubility, enhance patient compliance—especially among pediatric and geriatric populations—and achieve a faster therapeutic effect. The project involves designing multiple effervescent tablet formulations using varying concentrations of citric acid, tartaric acid, and sodium bicarbonate as effervescent agents, and evaluating them for key physicochemical parameters including dissolution rate, disintegration time, effervescence time, and drug content. A comparative analysis with conventional ibuprofen tablets will be conducted to assess the improvement in solubility and compliance attributes.

Keywords: Ibuprofen, Effervescent Tablets, Solubility Enhancement, Patient Compliance, Dissolution Rate

Introduction

Ibuprofen, a widely prescribed non-steroidal anti-inflammatory drug (NSAID), is extensively used for its analgesic, antipyretic, and anti-inflammatory properties in the management of conditions such as headache, musculoskeletal pain, arthritis, and fever. Despite its therapeutic efficacy, ibuprofen suffers from a major pharmaceutical drawback—its poor aqueous solubility. As a Biopharmaceutical Classification System (BCS) Class II drug, ibuprofen exhibits high permeability but low solubility, which significantly restricts its dissolution rate and, consequently, its oral bioavailability. This limitation often leads to delayed onset of action and variable therapeutic outcomes, especially in fast-acting dosage forms.

Conventional ibuprofen tablets require disintegration and dissolution in the gastrointestinal tract before absorption, a process which can be further delayed in individuals with compromised gastric function or hydration status. Additionally, swallowing difficulties—particularly among pediatric and geriatric populations—may hinder the effective use of solid oral dosage forms, affecting medication adherence and therapeutic consistency. Therefore, there is a growing need for alternative formulations that can overcome these barriers and provide rapid relief with improved patient convenience.

Effervescent tablets have emerged as a promising drug delivery system to address these challenges. Designed to disintegrate quickly in water with the evolution of carbon dioxide, effervescent tablets offer improved solubility, enhanced taste masking, faster onset of action,

and greater ease of administration. The effervescent reaction also facilitates rapid drug dispersion and can potentially enhance the absorption profile of poorly soluble drugs like ibuprofen. Moreover, the pleasant taste and ease of swallowing make them particularly beneficial for children, elderly patients, and individuals with dysphagia.

The present study focuses on the formulation and comparative evaluation of ibuprofen effervescent tablets to enhance its solubility, optimize drug release characteristics, and improve patient compliance. Various formulations were developed using combinations of effervescent agents such as citric acid, tartaric acid, and sodium bicarbonate, and assessed for key parameters including disintegration time, effervescence time, dissolution rate, and drug content. A comparative analysis with conventional ibuprofen tablets was also performed to highlight the advantages of the effervescent formulation in terms of solubility and therapeutic performance.

Materials and Methods

The present study was aimed at formulating and evaluating effervescent tablets of ibuprofen to enhance its solubility and patient compliance. All chemicals and reagents used in the formulation were of pharmaceutical grade and employed as received without further purification. Ibuprofen, the active pharmaceutical ingredient (API), was procured from Sigma-Aldrich (USA), ensuring high purity and consistent quality. Citric acid and tartaric acid, which served as the acidic components of the effervescent system, were obtained from Merck Life Sciences Pvt. Ltd. (India). Sodium bicarbonate, the key effervescent base responsible for carbon dioxide generation and rapid disintegration, was also supplied by Merck. Additional excipients such as mannitol (used as a sweetener and diluent), polyvinylpyrrolidone (PVP K30) as a binder, lactose as a filler, talc as a glidant, and [4]magnesium stearate as a lubricant were procured from SD Fine Chemicals (India).

The effervescent tablets were prepared using the direct compression method. Prior to mixing, sodium bicarbonate, citric acid, and tartaric acid were pre-dried at 60°C for 1.5 to 2 hours to minimize moisture content and prevent premature effervescent reaction. All ingredients were accurately weighed and passed through a #60 mesh sieve to ensure uniform particle size and enhance blend homogeneity. Ibuprofen was first mixed with the required amount of sweeteners and diluents using geometric dilution. The acid-base components were then added gradually, followed by the binder. The mixture was thoroughly blended in a polybag for about 15–20 minutes to ensure uniform distribution of the drug and excipients. Finally, talc and magnesium stearate were added and mixed gently for an additional 5 minutes to avoid over-lubrication, which may affect tablet hardness.

The prepared blend was subjected to pre-compression evaluations such as bulk density, tapped density, Carr's index, Hausner's ratio, and angle of repose to assess flow properties. Following satisfactory pre-compression parameters, the powder blend was compressed into tablets using a single-punch tablet machine equipped with flat-faced punches. Tablets of each formulation batch were stored in airtight containers and evaluated for various post-compression parameters including weight variation, hardness, friability, drug content, effervescence time, disintegration time, and in vitro dissolution.

Results and Discussion:

The present study aimed to design and evaluate effervescent tablets of ibuprofen to enhance solubility and improve patient compliance. Various formulation batches (F1–F6) were prepared

by varying concentrations of effervescent agents (citric acid, tartaric acid, and sodium bicarbonate) and evaluated for critical quality attributes.

Pre-compression parameters such as bulk density, tapped density, Carr's Index, and Hausner's ratio indicated good flow properties across all formulations, ensuring uniform die fill during tableting. The angle of repose for all formulations was within acceptable limits (<30°), confirming good powder flow.

Post-compression evaluations demonstrated uniformity in weight and dimensions across all batches. Tablet hardness ranged from 3.2 to 4.1 kg/cm², and friability remained below 1% in all formulations, indicating acceptable mechanical strength. The optimized batch (F4) showed the best combination of low disintegration time (35.2 seconds), rapid effervescence time (29.7 seconds), and high drug content uniformity (98.9%), making it suitable for patient-centric use.

Effervescence time and disintegration time were found to be directly influenced by the acid-base ratio. Formulations with balanced citric acid and tartaric acid concentrations produced quicker effervescence due to enhanced carbon dioxide generation. In vitro disintegration time was significantly lower in effervescent tablets compared to conventional tablets due to the effervescence aiding faster breakdown in the medium.

Dissolution studies revealed a marked improvement in the rate of drug release from the effervescent tablets. The optimized formulation (F4) released over 92% of ibuprofen within 30 minutes, significantly higher than the 63% released by the conventional tablet at the same time point. This enhanced solubility and dissolution profile can be attributed to improved wettability, localized pH change, and carbon dioxide-mediated dispersion.

Overall, the findings highlight that effervescent tablets significantly enhance the dissolution rate and have the potential to provide a quicker onset of action, better taste masking, and improved compliance—particularly beneficial for pediatric and geriatric populations.

| Parameter | F1 | F2 | F3 | F4 (Optimized) | F5 | F6 |
|-------------------------------|------|------|------|-------------------|------|------|
| Hardness (kg/cm²) | 3.2 | 3.5 | 3.6 | 3.9 | 4.0 | 4.1 |
| Friability (%) | 0.71 | 0.68 | 0.66 | 0.59 | 0.60 | 0.63 |
| Disintegratio n Time (s) | 49.3 | 46.1 | 42.7 | 35.2 | 38.9 | 40.5 |
| Effervescence Time (s) | 55.2 | 52.7 | 48.6 | 29.7 | 35.0 | 37.1 |
| Drug Content (%) | 97.2 | 97.9 | 98.1 | 98.9 | 98.2 | 97.8 |
| % Drug Release (30 min) | 78.4 | 81.5 | 85.2 | 92.4 | 89.6 | 87.1 |

Table 1: Post-Compression Evaluation of Ibuprofen Effervescent Tablet Formulations

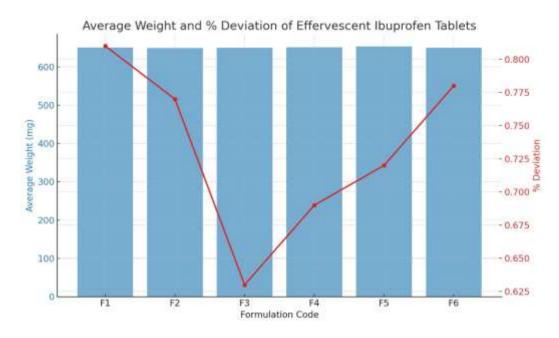


Figure 1 : Average weight and percentage of deviation for different formulation\

Conclusion

The present research focused on the design and comparative evaluation of effervescent tablets of ibuprofen with the aim of enhancing its aqueous solubility, improving the dissolution profile, and ultimately boosting patient compliance—especially in populations with swallowing difficulties such as children and the elderly. Ibuprofen, a widely used NSAID, is known for its poor water solubility, which often limits its therapeutic onset and bioavailability in conventional oral dosage forms. To overcome these limitations, multiple formulations were developed using varying concentrations of effervescent agents including citric acid, tartaric acid, and sodium bicarbonate.

The tablets were prepared using the direct compression method, a cost-effective and scalable technique suitable for commercial manufacturing. All batches underwent thorough precompression and post-compression evaluations. Pre-compression studies indicated that the powder blends exhibited good flow properties, ensuring uniform tablet weight and consistent content. Post-compression parameters such as hardness, friability, weight variation, and drug content were found to be within acceptable pharmacopeial limits across all formulations.

Among the six batches studied, formulation F4 demonstrated optimal performance with a rapid disintegration time of 35.2 seconds, effervescence time of 29.7 seconds, and drug release of 92.4% within 30 minutes. The enhanced solubility and faster dissolution observed in the effervescent formulations, particularly F4, can be attributed to the generation of carbon dioxide, improved wetting, and localized pH modification. These factors facilitate quicker tablet breakdown and drug dispersion in the dissolution medium.

A comparative analysis with conventional ibuprofen tablets clearly indicated that the effervescent formulations offer significant advantages in terms of faster drug release and better palatability. These improvements are critical for increasing patient adherence, especially in scenarios where rapid pain relief is desired or water intake is limited.

In conclusion, the study successfully demonstrated that effervescent tablet technology is a promising strategy for enhancing the solubility and therapeutic efficiency of ibuprofen. The optimized formulation holds potential for clinical application and further development, offering a more patient-friendly alternative to traditional dosage forms. Future studies may include in vivo bioavailability testing and stability profiling to validate long-term efficacy and shelf-life of the optimized formulation.

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