

Taste Masking of Bitter Drugs Using Natural and Isolated Polymers: Formulation and Evaluation

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Abstract

The current research is dedicated to the design and assessment of microspheres based on natural and isolated polymers to the efficient taste masking of a model bitter drug. The presence of bitter taste in oral pharmaceuticals usually lowers the compliance of the patient, especially in pediatric and geriatric groups, and thus taste masking is a significant factor in drug development. Natural polymers (e.g., gum acacia, starch), isolated polymers (e.g., gelatin, chitosan) and their mixtures were prepared and tested regarding the size of the particle distribution, the drug content, encapsulation efficiency, in vitro drug release, and sensory acceptability. The analysis of the particle size showed that most of the microspheres were 50-110 μm in size with the most common size between 71-90 μm , which is uniform and can be absorbed orally. The content of drugs was found to be between 92% and 95% whereas the encapsulation was found to be 85% to 90 % and isolated polymer formulations had excellent drug loading and retention. The in vitro release experiments in simulated saliva (pH 6.8) showed that there was limited drug release (10-12%) in the initial 5 minutes which proved effective taste masking, and then a slow release at 30 minutes. These findings were also supported by sensory evaluation whereby isolated polymer microspheres were the most acceptable and less bitter. In general, the research confirms that natural and isolated polymer-based microspheres can offer an effective and repeatable method of covering bitter drugs and simultaneously regulating drug release to enhance patient adherence and therapy.

Keywords: Taste Masking, Bitter Drugs, Microspheres, Natural Polymers, Isolated Polymers, Encapsulation Efficiency.

1. INTRODUCTION

Delivery of oral pharmaceutical preparations is frequently faced with a major challenge of bitter-tasting medication, which can improve the patient adherence, particularly to pediatric and geriatric patients. Tasting of drugs is the process where active pharmaceutical compounds react with taste receptors located on the tongue to give an unpleasant taste. The concept of taste masking is thus a pertinent element of pharmaceutical research because it facilitates the level of patient acceptability, therapy compliance, and overall treatment results. Different methods of taste masking have been investigated such as polymers coating, complexation with cyclodextrins, ion-exchange resins, microencapsulations and the use of flavorings agents. Among them, polymer-based methods can be regarded as one of the most efficient, in that they offer the protection of the drug with a layer around, so it does not react with the taste receptors instantly, and leads to a slow, timely release into the gastrointestinal tract.

The utilization of natural and isolated polymers has been gaining considerable popularity over the last few years because of its biocompatibility, biodegradability and the capability to create stable microspheres or coatings around bitter drugs. The natural polymers including gum acacia, starch, and alginate are safe and cost-effective, whereas isolated or purified, including gelatin and chitosan, have a high encapsulation efficiency and reproducibility. Individually or in combination, these polymers can be utilized to achieve optimal drug loading, particle size distribution and controlled release characteristics. The current analysis is concerned with the development and analysis of microspheres in terms of utilizing natural and isolated polymers to effectively mask the taste of a prototype bitter drug. The research will evaluate the main parameters like particle size, drug contents, encapsulation efficiency, drug release in vitro, and sensory acceptability and will give a complete picture on the appropriateness of these polymer systems to improve oral drug delivery and patient compliance.

1.1. Research methodology

- To formulate microspheres using natural and isolated polymers for taste masking.
- To evaluate particle size, drug content, and encapsulation efficiency.

- To assess in vitro drug release and sensory acceptability.

2. LITERATURE REVIEW

Al-Kasmi et al. (2018) provided an in-depth study of the structural and in vitro/ in vivo testing of the taste-masked drug formulations, and the investigation of the polymeric systems in masking the bitterness of orally delivered drugs. The experiment has shown that the polymer coatings created a good shield around the active pharma ingredient hence strongly decreasing the immediate interaction between the active pharma ingredient and the taste receptors on the tongue. This mechanism was effective in reducing the feeling of bitterness, increasing patient acceptability and compliance. They found that a combination of an appropriate choice and optimization of polymer systems would enable reproducible taste masking and controlled drug delivery, guaranteeing palatability and drug efficacy. The paper has emphasized the need to incorporate the concept of material science and pharmaceutical engineering in the development of taste-masked oral formulations that can address the needs of the patient and clinical objectives.

Al-Kasmi et al. (2017) explored mechanical microencapsulation as a method of taste masking, especially on a scale of production. This paper compared the impact of various ways of encapsulating polymers on drug targeting, stability, and kinetic release. The findings revealed that mechanical microencapsulation was very effective in avoiding drug interaction with the taste receptors and promoting the controlled delivery of the drug after the dosage reached the gastrointestinal tract. The method further improved the physical stability of the formulations and able to reproducibly reproduce the formulations in large scale manufacturing, a necessity in commercial manufacturing. The researchers highlighted that parameters used in optimization of taste masking and drug delivery included polymer choice, encapsulation strategy, and size distribution.

Bhattacharjee et al. (2016) performed an elaborate assessment of the different strategies employed in covering the bitter taste of pharmaceutical products to improve patient compliance and acceptability of oral drugs. They have made a thorough study on various methods, such as polymeric coating, microencapsulation, and complexation with cyclodextrins, and the use of ion-exchange resins. Among them, the polymer-based strategies were indicated as one of the most effective ones, which formed a physical framework around the active pharmaceutical ingredient and, thus, did not allow immediate release and response to the receptors of taste in the mouth. As observed in the review, the simultaneous management of the drug in the gastrointestinal tract using controlled release could be attained, which would lead to therapeutic effects. The results solidified the fact that polymer-based taste masking does not only enhance patient acceptability, but is also an important factor in ensuring the stability in pharmacokinetics and drug performance.

Chauhan (2017) explored taste masking as a strategic formulation approach for bitter drugs, focusing on its significance in improving the acceptability of oral medications, especially among pediatric and geriatric populations. The study highlighted innovative drug delivery methods such as microspheres, nanoparticles, and polymer coating technologies to reduce the perception of bitterness. The study also discussed the importance of optimizing particle size, polymer type, and encapsulation technique to enhance sensory acceptability without compromising drug release and stability. Chauhan concluded that effective taste masking not only improves palatability but also fosters better adherence to prescribed therapy, reduces the risk of dose skipping, and ultimately contributes to improved therapeutic outcomes.

3. RESEARCH METHODOLOGY

3.1. Research Design

This study used experimental research design that aimed at developing and testing microspheres to mask the taste of a bitter drug using natural-, isolated-, and combined polymers. The design was aimed at the evaluation of the impact of polymer type on microsphere properties, in vitro drug release, the efficacy of drug encapsulation, and taste masking. The experimental method provided the possibility of manipulation of variables of the

formulations and systematically assessing the results.

3.2. Sampling and Population

The population to be considered in this study consisted of microspheres that were produced at the laboratory using natural, isolated and combination polymers. One hundred and fifty microspheres were evaluated in terms of particle size dispersion, drug content, encapsulation efficiency and in vitro release. The sampling was purposive whereby the microspheres that passed the set quality requirements were sampled in an effort to evaluate the formulation performance reliably.

3.3. Data Collection

Data collection involved quantitative evaluation of the formulated microspheres using standardized laboratory techniques:

- **Particle Size Analysis:** Optical microscopy and sieving were applied to classify microspheres into five size ranges (50-70, 71-90, 91-110, 111-130 and 131-150 μm). The frequency and percent of microspheres in each range of size were recorded.
- **Drug Content and Encapsulation Efficiency:** Microspheres that had been loaded with drugs were examined in order to ascertain the actual drug content and encapsulation efficiency by means of the UV-visible spectrophotometry.
- **In Vitro Drug Release:** The effectiveness of taste masking and controlled release were studied using drug release under simulated saliva (pH 6.8) over a 5-minute and 30-minute period.
- **Sensory Evaluation (if applicable):** Taste masking was also tested again by a sensory panel on a scale of bitterness and overall acceptability.

3.4. Data Analysis and Techniques

The statistical analysis of the collected data was performed with the help of descriptive statistical analysis, such as calculation of mean values, percentages, and representations in graphs:

- **Particle Size Distribution:** Frequency and percentage of microspheres in every size range were determined to assess uniformity and consistency of the formulations.
- **Drug Content and Encapsulation Efficiency:** Percentages were also obtained to determine the effectiveness of incorporation of drug and sustained release possibility.
- **In Vitro Drug Release:** Taste masking efficacy and release profile were determined by calculating percent drug released at certain specified time periods (5 min and 30 min).
- **Graphical Analysis:** Particle size distribution, drug content, encapsulation efficiency and release profiles between formulations were visually represented using bar graphs and histograms to compare between formulations.

The methodology was used to develop microspheres in a systematic manner, evaluate and compare them to have the best polymer system to mask the bitterness whilst maintaining the drug release effectiveness.

4. DATA ANALYSIS AND INTERPRETATION

Table 1 and figure 1 show the sizes of particles in the developed microspheres. The microspheres were classified into five size ranges namely 50 to 70 μm , 71 to 90 μm , 91 to 110 μm , 111 to 130 μm , and 131 to 150 μm . The count and the proportion of microspheres in every size range are reported. The most common range was the range of 71 -90 μm which comprised 38 percent of the total microspheres among the prepared microspheres. Microspheres between the 50-70 μm and 91-110 μm range were 25 % and 21 % respectively, whereas bigger microspheres of 111-150 μm were less often with 10% and 6% respectively.

Table 1: Particle Size Distribution of Formulated Microspheres

Particle Size (μm)	Frequency	Percentage (%)
50-70	38	25%
71-90	58	38%
91-110	32	21%
111-130	15	10%

131–150	7	6%
Total	150	100%

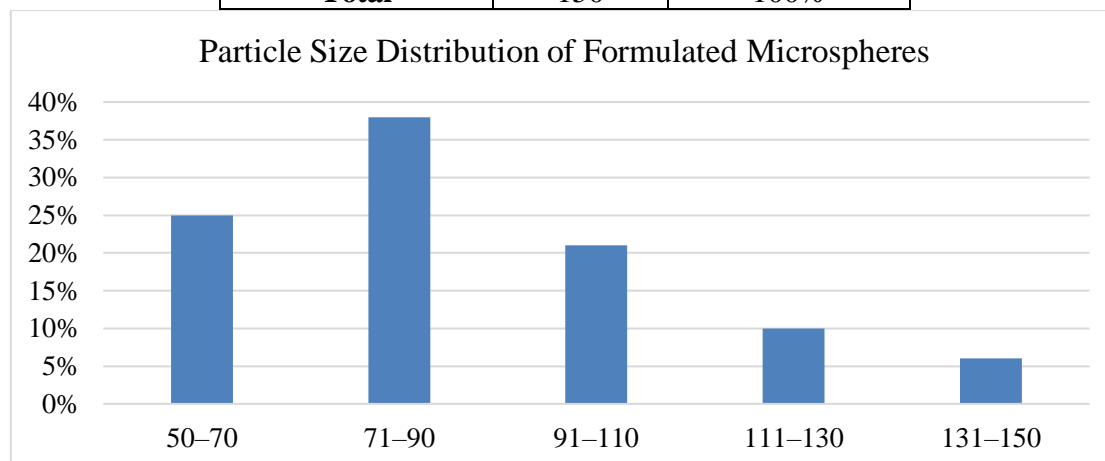


Figure 1: Particle Size Distribution of Formulated Microspheres

The particle size analysis shows that most of the microspheres fell in the 50 -110 µm size range, and the size distribution was relatively uniform. The fact that the majority of microspheres in the 71 90 µm range indicates that during the formulation process, there were homogeneous size particles, which is significant to the release of drugs in a homogenous manner and effective taste masking. The reduced fraction of larger particles (111–150 µm) could be due to aggregation or minor changes in the preparation. In general, controlled and reproducible formulation process indicated by the particle size distribution is desirable in oral administration and enhanced patient compliance.

Table 2 and Figure 2 show the drug content and encapsulation efficiency of the microspheres prepared by using natural, isolated, and combination polymers. The proportion of the drug content was 92%-95% with the maximum value recorded in the microspheres prepared with isolated polymers (95%). The encapsulation efficiency which represents the percentage of the drug that enters the microspheres was 85 % to 90 % with the highest percentage of 90 percent being in the isolated polymer formulation. The combination polymers reported intermediate values on both parameters, whereas the natural polymers reported slightly lower drug content (92%) and encapsulation efficiency (85%).

Table 2: Drug Content and Encapsulation Efficiency of Formulations

Formulation Type	Drug Content (%)	Encapsulation Efficiency (%)
Natural Polymer	92	85
Isolated Polymer	95	90
Combination Polymer	94	88

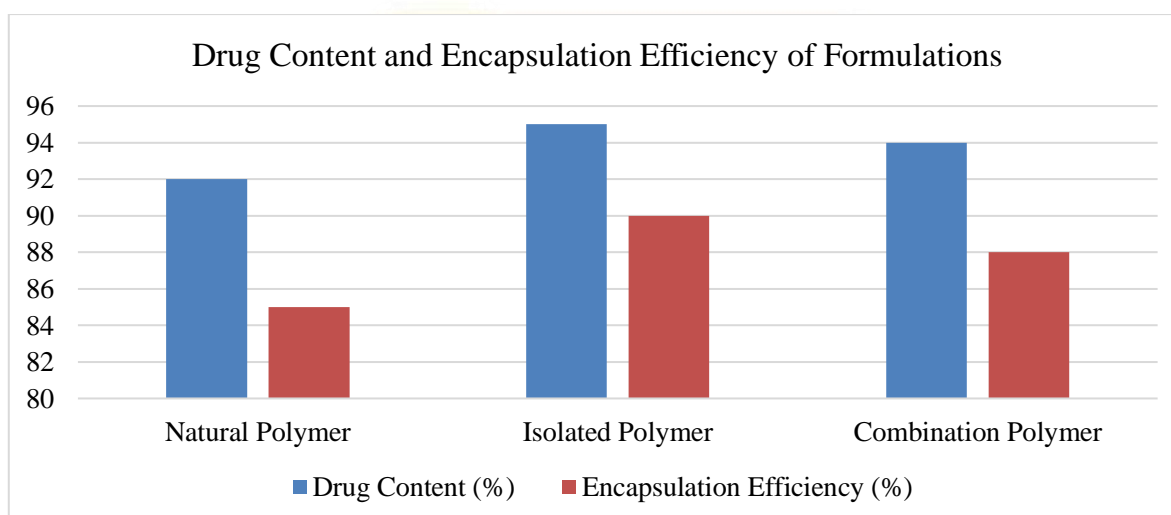


Figure 2: Drug Content and Encapsulation Efficiency of Formulations

The findings show that isolated polymers performed better in the incorporation and retention of the drug in the microspheres than natural polymers. A high encapsulation rate guarantees the lowest amount of loss in drug formulation and also leads to slow release and better taste masking. The combination polymer formulation exhibited acceptable drug content and encapsulation efficiency, which implies synergy of blending polymers. In general, the formulation process of taste-masked microspheres demonstrated high drug loading and encapsulation efficiency, which means that it is reliable and reproducible.

Table 3 and Figure 3 present in vitro drug release profile of the prepared microspheres in simulated saliva (pH 6.8). The release of the drug was taken at two time points of 5 minutes and 30 minutes. At the first exposure in the oral cavity (the first 5 minutes), the drug release was low with all the formulations at 10% to 12%. In particular, the least amount of drug was released by isolated polymer microspheres (10%), then by combination polymer (11%) and natural polymer (12%). The maximum drug release was obtained at the 30 minutes time with the isolated polymer microspheres at 70% release, combination polymer 68 and natural polymer 65%.

Table 3: In Vitro Drug Release in Simulated Saliva

Formulation Type	% Drug Released in 5 min	% Drug Released in 30 min
Natural Polymer	12	65
Isolated Polymer	10	70
Combination Polymer	11	68

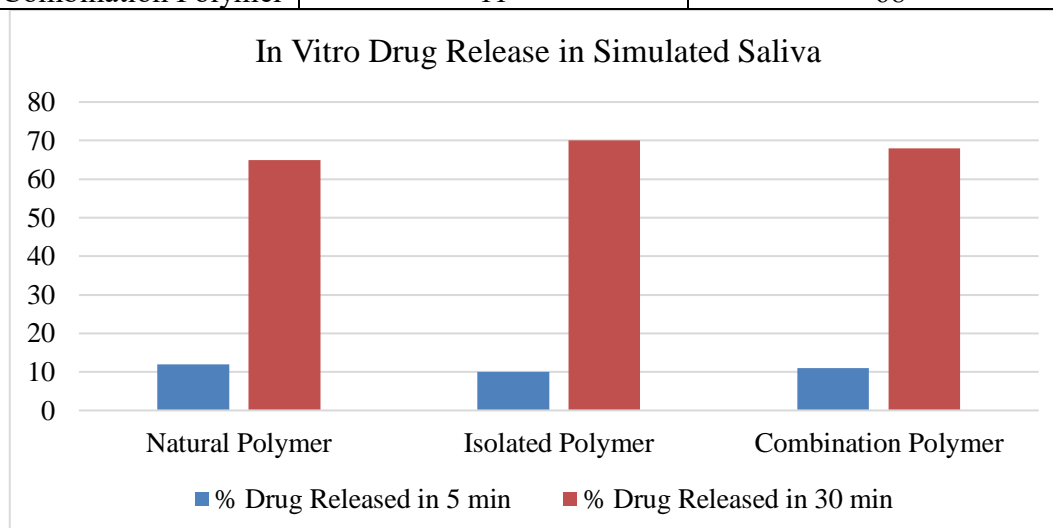


Figure 3: In Vitro Drug Release in Simulated Saliva

The release of drugs in the initial 5 minutes is very low, and this indicates good taste masking since minimum amount of drug gets to the taste buds when it is delivered orally. Isolated polymers gave the best taste masking, with just 10% of the drug released at the beginning. The increment in the release after 30 minutes reflects that drugs are able to be released in a controlled fashion at some point after being swallowed, which is essential to therapeutic effectiveness of the microspheres. All in all, the in vitro release characterization ascertained that the microspheres manage to balance between taste concealment within the mouth as well as adequate release of drug to produce therapeutic effects.

5. CONCLUSION

The current research has managed to prove that microspheres prepared with natural, isolated and mixture polymers are useful in overcoming bitter taste of orally delivered drugs and also in controlling the release of the drug. The analysis of particle size showed that the distribution was almost entirely homogeneous in the range of 50-110 μm with the most frequent range being 71- 90 μm , suggesting that the formation of microsphere is reproducible and well-controlled to be used in oral delivery. All of the formulations had a high drug content and encapsulation efficiency with an average of 92% to 95% and 85% to 90 % respectively, and isolated polymer microspheres demonstrating better drug encapsulation and retention. Drug

release experiments in simulated saliva in vitro demonstrated low release (10 -12%) in the initial 5 minutes indicative of successful taste masking, and then slow release in 30 minutes, which is indicative of therapeutic efficacy following swallowing. It was found that isolated polymers are the most effective in terms of taste masking and controlled release and combination and natural polymers have synergistic effects and economical and biocompatible alternatives respectively. In general, the research confirms that natural and isolated polymer-based microspheres are a promising, consistent and patient-friendly approach to the further improvement of oral drug delivery, the increase of patient compliance, and the reduction of an unpleasant taste of bitter medications.

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