

Development and Characterization of Allicin Alginate Beads for Stomach-Specific Delivery

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Abstract

One of the most common symptoms connected with gastrointestinal illnesses is gastric irritation. The current study sought to develop floating calcium alginate beads of Allicin for targeting the stomach mucosa and extending their gastric residency period. Allicin is the oily, yellow substance that gives garlic its unique odour. It is a sulfenic acid thioester. Ayl thiosulfinate is another name for it. Its biological activity is due to its antioxidant activity as well as its reactivity with thiol-containing proteins. Allicin was suspended in calcium alginate solution to make the beads. The beads were made with calcium alginate and Allicin (1:1) and tested. The average diameter, drug loading, and entrapment efficiency were all measured. Thus, the present investigation aimed in formulating stomach specific drug delivery useful in the treatment of gastric problems.

Keywords: Allicin, Calcium alginate beads, Stomach specific

Introduction

Gastric ulcer is a critical health concern in practically all developing countries, including India, with a considerable economic burden and high morbidity and mortality. Any therapeutic agent must therefore be able to permeate the stomach mucus barrier and sustain an antibacterial concentration at the diseased location. [1-2]

Calcium alginate (CA) has been used to treat symptoms of reflux esophagitis, with data showing that alginate is more effective and less expensive than cisapride in treating symptoms reported by individuals with reflux who do not have severe esophagitis. The ability of alginate to create a stable and bioadhesive gel with calcium ions accounts for the extensive usage of CA for drug sustained release, targeting to the gastric mucosa, and enhancing drug bioavailability [3]. Furthermore, the alginate bead preparation method use an aqueous solvent, eliminating the components' exposure to high temperatures and hazardous organic solvents. Furthermore, the resultant formulation is non-immunogenic and has bioadhesive and floating features that could be useful for stomach-targeted medication delivery. [4].

Allicin is an organosulfur compound obtained from garlic. When fresh garlic is chopped or crushed, the enzyme alliinase converts alliin into allicin, which is responsible for the aroma of fresh garlic. Allicin is unstable and quickly changes into a series of other sulfur-containing compounds such as diallyl disulfide. Allicin has been studied for its potential to treat various kinds of multiple drug resistance bacterial infections, as well as viral and fungal infections in vitro, but as of 2016, the safety and efficacy of allicin to treat infections in people was unclear [5-7]

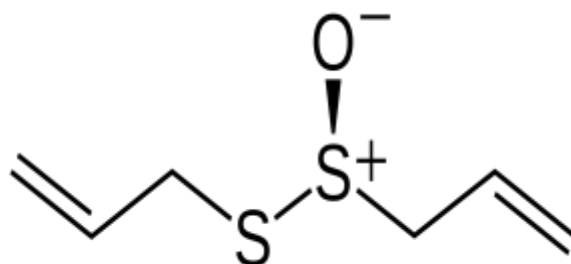


Fig. 1: Structure of Allicin

The floating delivery system technique enables for local medication distribution into the stomach, making it a viable allicin delivery vehicle. The research presented here is concerned with the creation of allicin floating CA beads. Allicin in this dosage form will remain happy in the stomach for a long period without influencing gastric emptying time, and *H. pylori* will localise the medicine to the infection site. Furthermore, such treatment may result in a reduction in drug dosage, which would be a valuable additional advantage [8]. Plant extracts and their utility for constructing structures have been examined by some well-known scholars [9-10]. Thus, the goal of this research was to include allicin into CA beads for use as an additive in pharmaceutical goods.

Material and Method

Preparation of Floating Allicin Alginate Beads

Add a small quantity of ethanol to the alginate powder and make slurry. Add water to this slurry immediately, this causes the alginate to disperse without lumps. To this slurry of CA Allicin mixture was added. The resulting suspension was dropped through a 12 mm inner diameter syringe needle from a height of 7 cm into calcium chloride solution (2% w/v, 200 mL) saturated with Allicin. The formed beads were left in the same solution for 45 min to improve their mechanical strength and finally dried at 50 °C in an oven [11].

Determination of Mean Diameter

The prepared beads ($n > 100$) were lined and the diameter was determined by Vernier caliper. Measurements for each sample were performed in triplicate. Mean diameter and its standard deviations were recorded [12].

Determination of Drug Loading and Drug Entrapment Efficiency

The prepared beads were evaluated for percent Drug Loading (DL) and drug Entrapment Efficiency (EE). An accurately weighed sample of beads was crushed in a mortar and dissolved in pH 1.2 HCl solution (100 mL). This mixture was then centrifuged at 4,200 rpm for 30 min and filtered using 0.22 μ m microporous membrane before analysis with a UV spectrophotometer at 345 nm. The percent DL and EE were calculated [13].

Results and Discussion

Allicin alginate beads were prepared and evaluated for stomach specific delivery. The prepared allicin alginate was evaluated for mean diameter, DL and EE. The mean diameter was found to be 1.14 ± 0.23 mm, DL 86.21 % and EE 94.89%. The results of the evaluation are presented in Table 2. In this study, floating alginate beads of allicin were fabricated and various parameters including diameter, drug loading and drug entrapment were evaluated. These parameters are applicable not only for sustained release of drugs, but also for targeting to the gastric mucosa.

Conclusion

This formulation exhibited maximum sustained release of allicin, with excellent floating characteristics. Therefore, Alginate beads containing allicin appear promising for gastric mucosal drug delivery in the treatment of pylori infection.

Table 2: Evaluation of parameters of Allicin alginate beads for stomach-specific delivery

CA: Glycyrrhizin	1:1
Mean Diameter (mm)	1.14± 0.23
Drug Loading (%)	86.21
Entrapped Efficiency (%)	94.89



Fig. 2: Allicin alginate beads

References

1. Goodman KJ and Cockburn M (2001). The role of epidemiology in understanding the health effects of *Helicobacter pylori*. *Epidemiology*, 12: 266-271.
2. de Sousa Falcão, Leite JA, Barbosa-Filho JM, de AthaydeFilho PF, de Oliveira Chaves MC, Moura MD, Ferreira AL, et al. (2008). Gastric and Duodenal Antiulcer Activity of Alkaloids: A Review. *Molecules*, 13: 3198-3223.
3. Zhang ZH, Yong SS, Pang H, Were LLM, Hui-Xia L and Zhu SL (2011). Preparation and evaluation of berberine alginate beads for stomach-specific delivery. *Molecules*, 16: 10347-10356.
4. Whitehead L, Collett JH and Fell JT (2000). Amoxicillin release from a floating dosage form based on alginates. *International Journal of Pharmaceutics*, 210: 45-49.
5. Ilic D, Nikolic V, Nikolic L, Stankovic M, Stanojevic L, Cakic M (2011). Allicin and related compounds: Biosynthesis, synthesis and pharmacological activity. *Facta Universitatis*. 9 (1): 9–20.
6. Borlinghaus J, Albrecht F, Gruhlke MC, Nwachukwu ID, Slusarenko AJ (August 2014). Allicin: chemistry and biological properties. *Molecules*. 19 (8): 12591–618
7. Block E (March 1985). "The Chemistry of Garlic and Onions". *Scientific American*. 252 (3): 114–9.

8. Vani M, Meena A, Savio FG and Priya M (2010). Design and evaluation of gastro retentive floating beads of ranitidine hydrochloride. *International Journal of Pharmaceutical and Biomedical Science*, 1: 1-4.
9. Zam B. Bashour G, Abdelwahed W and Khayata W (2014). Alginate-pomegranate peels' polyphenols beads: effects of formulation parameters on loading efficiency. *Brazilian Journal of Pharmaceutical Sciences*, 50(4): 23-30.
10. Rjjo P, Matias D, Fernandes AS, Simoes MF, Nicolai M and Pinto C (2014). Antimicrobial Plant Extracts Encapsulated into Polymeric Beads for Potential Application on the Skin. *Polymers*, 6, 479-490.
11. Choi BY, Park HJ, Hwang SJ and Park JB (2002). Preparation of alginate beads for floating drug delivery system: effects of CO₂ gas-forming agents. *International Journal of Pharmaceutics*, 239: (1-2): 81-91.
12. Patel YL (2006). The effect of drug concentration and curing time on processing and properties of calcium alginate beads containing Metronidazole by response surface methodology. *AAPS Pharma Science Technology*, 7(4): 86.
13. Kulkarni AR, Soppimath KS and Aminabhavi TM (1999). Controlled release of diclofenac sodium from sodium alginate beads crosslinked with glutaraldehyde. *Pharma Acta Helve*, 74(1): 29-36.