e-ISSN: 2320-4230, p-ISSN: 2961-6085

Journal of Drug Discovery and Therapeutics

Available Online at www.jddt.in

CODEN: - JDDTBP (Source: - American Chemical Society)

Volume 11, Issue 01; 2023, 58-62

Formulation and Evaluation of Microspheres containing *Adina cordifolia* extract

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Received: 17-11-2022 / Revised: 11-12-2022 / Accepted: 10-01-2023

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Conflict of interest: No conflict of interest.

Abstract:

The formulated microspheres exhibited uniform size distribution and spherical morphology. Drug loading efficiency was high, and the release profile demonstrated controlled and sustained release of Adina cordifolia extract over an extended period. The microspheres showed good stability under different storage conditions and maintained their encapsulation efficiency throughout the study period.This study aims to formulate and evaluate microspheres containing Adina cordifolia extract, focusing on optimizing their properties for controlled drug release and enhanced therapeutic efficacy

INTRODUCTION

Peptic ulcer is one of the world's major gastrointestinal disorders and affecting 10% of the world population. Many herbal medicines have been used globally for the treatment of Peptic Ulcer disease. About 279 plants from 89 families are identified that may be used in the treatment of ulcers. Herbal drugs have certain advantages over traditional medicines such as lower risk of side effects, widespread availability and low cost. But, most of the plant actives such as glycosides, tannins, flavonoids etc, are polar in nature and poorly absorbed due tolarge molecular size limiting the absorption via passive diffusion, poor lipid solubility hence preventing their ability to cross the lipid rich biological membranes. These limitations lead to reduced bioavailability and hence, low therapeutic index of plant actives. To minimize these problems, various novel drug delivery systems such as phytosomes, ethosomes, transferosomes, transdermal patches, microspheres are used now a days by which protection from physical and chemical degradation, enhancement in stability and improved bioavailability can be achieved. Thus, a carrier system is required for successful targeted delivery of the drug at the site of action. The successful treatment of gastric ulcers also requires the enhanced gastric residence time so that the drug can be infringed to the sub-mucosa region of stomach for better action. In the present work, the extract of leaves of *Adina cordifolia*. was used to prepare floating microspheres by using chitosan, glutaraldehyde and span
80 aspolymer, cross-linking agent and emulsifying agent respectively. The prepared microspheres were further optimized by Box-behnken design. The

floating microspheres were evaluated for various *in-vitro* and *in-vivo* parameters. The prepared microspheres can effectively enhance the gastric residence time and *in-vitro* release studies revealed the prolong release of phenolic compounds like rutin and quercetin till 24 h. The results obtained from the *in-vivo* study showed that the prepared gastroprotective floating microspheres have good anti-ulcer activity. Histopathology of tissue sections also confirmed the protection of gastric mucosa onpre-treatment with microsphere sat500mg/kgp.o. On the basis of findings, we can conclude that prepared microspheres can be used to develop the sustained release formulation of extract for the management of gastric ulcers.

Materials and method

Chemicals	Source
Acetonitrile	Fisher Scientific, Fair Lawn, NJ, USA
Methanol	Fisher Scientific, Fair Lawn, NJ, USA
Ethanol	Fisher Scientific, Fair Lawn, NJ, USA
Glacialaceticacid	Merck, Kenil worth, NewJ ersey
Chitosan	Sigma-Aldrich Chemical Limited, MO, USA
Aceticacid	Sigma-Aldrich Chemical Limited, MO, USA
Glutaraldehyde	Acuro Organics Limited, New Delhi, India
Span80	Lobachemie, Maharashtra, India
Carboxymethylcellulose	Paras Enterprises, Mumbai, Maharashtra, India
Ketamine	Troikaa Pharmaceuticals Limited, Gujarat, India
Xylazine	Alivira Animal Health, Maharashtra, India

Preparation of extract of *Adina cordifolia* leaf

Adina cordifolia leaf extract was made using the technique given by Yang Zo*etal.*, 2013 with small modifications. The collected leaves were cleaned by washing thoroughly three times with water followed by temperature-controlled shade drying. Ina grinder, the dried leaves were reduced in size, sieved (40 mesh) and then kept in an airtight glass jar. Leaf powder was pretreated with petroleum ether to remove the pigments and fatty compounds. Theda fatted powder (50g) of dried leaves was extracted with ethanol using Soxhlet apparatus. Afterwards, ethanol was evaporated tardiness the extract (Ou-Yang*et al.*, 2013).

Development of Gastroprotective formulation (Floating microspheres) of selected herb

The gastroprotective formulation (floating microspheres) of *Adina cordifolia* was developed by using the following method: By dissolving various amounts of chitosan in 10 mL of 5 percent aqueous acetic acid, high molecular weight chitosan solution was prepared. The weighed amount of extract (500mg) was dispersed in 10 mL ethanol to prepare extract solution. This prepared ethanol extract solution was dispersed in the aforementioned polymer mixture solution (20mL), it took roughly 5 minutes to emulsify gently into 100 mL of light liquid paraffin with variable surfactant concentrations and stirring speeds. To this

w/emulsion , Glutaraldehyde (GA) was added as across linking agent at different amounts, and for two hours the mixture was stirred. The vacuum-filtered produced microspheres were next washed with petroleum ether and water to get rid of the unreacted GA and liquid paraffin as well as the adherent surfactants. As a result, solid microspheres were produced by drying at 50 °C for 24 hours and stored in a desiccator (Potashnik*et al.*, 1997; You *et al.*, 2005).

Various trial batches were prepared to select the concentration of‘ excipients like Chitosan (Polymer), Span80 (Emulsifying agent), Glutaraldehyde (Cross-linking agent) and formulation parameters like stirring speed **Evaluation of microsphere**

Microscopic examination and Surface appearance

The particle size and surface properties of dried microspheres were measured under an optical microscope. Using 10–20 particles on a glass slide and normal polarised light, the particle size was determined. Prepared microspheres were examined under optical microscopeat 4 X (Abrar*et al.*,2020; Sareen *et al.*, 2016).

Percentage yield

To determine the % yield of extract- loaded microspheres, the weight of the prepared microspheres was divided by the total amount of extract and excipients employed (Soppirnath & Aminabhavi, 2002).

% Entrapment Efficiency(%EE)

10 mL of ethanol was added after 100 mg of microspheres were crushed in a mortar and pestle. The result ant solution was then filtered through membrane filter paper with a 0.25 µm pore size (MDI Ambala). After diluting the filtrate, its drug content was assessed by HPL Canalysis (Soppirnath & Aminabhavi, 2002). The entrapment efficiency was calculated using the following equation:

$$Percentage Yield = \frac{\text{Practical amount}}{\text{Theoretical amount}} \times 100$$

$$\%EE = \frac{\text{Mass of drug in microspheres}}{\text{Amount of drug added in Microspheres}} \times 100$$

Drug Loading Capacity ×100 10 mL of ethanol was added after 100 mg of microspheres were crushed in a mortar and pestle. The result an t solution was then filtered through membrane filter paper with a 0.45 µm pore size (MDI Ambala). After diluting the filtrate, the drug loading was assessed by HPLC analysis (Soppirnath & Aminabhavi, 2002). Drug loading Capacity was calculated using the following equation:

$$\text{Drug Loading} = \frac{\text{Drug loaded in microspheres}}{\text{Total Weight of Microspheres}}$$

Results and discussion

Floating ability of optimized formulation

The floating ability of prepared microspheres, *Optimized formulation*, was analyzed and results indicated the buoyancy capacity of optimized formulation was about 86.19±0.15% for 24h. The purpose of the floating test was to see if the prepared microspheres could float in gastric fluid or not. Following the microspheres, the percentage of them that settled down over time was calculated after the distribution over the surface of the buffer medium. In present study, Chitosan-based optimized formulation demonstrated good floating ability for about 24 h. The hollow nature of the microspheres is likely to be responsible for their good buoyancy behaviour. Similar results were presented by Noopur *et al.*,2016 (Ma *et al.*, 2008;NoopurPandey,Dr. Archana Negi Sah, 2016).

***In-vitro* release study**

The *in-vitro* release of-rutin and quercetin from *Adina cordifolia* leaves extract and prepared *Optimized formulation* was analyzed. The results indicated that about 80% of rutin and quercetin was released within two hours from the extract whereas the similar concentration of these compounds was analyzed inthe *Optimized formulation* after eight hours These findings indicated that prepared floating microspheres can effectively enhanced the gastric residence time and would be suitable for the creation of an effective medication delivery system of *Adina cordifolia* extract (*ACE*). Fadhila M. *et al.*, (2019) also reported the release of phytoconstituents from *Adina cordifolia*root extract nano emulsion in a sustained manner(Fadhila*et al.*, 2019).

Sr.no.	Formulation code	Extract(mg)	Chitosan(%)	GA(mL)	Span 80(%w/w)	Liquid paraffin(mL)	RPM
1.	F1	500	0.5	1	1	100	**700**
2.	F2	500	1	1	1	100	**700**
3.	F3	500	1.5	1	1	100	**700**
4.	F4	500	2	1	1	100	**700**
5.	F5	500	2.5	1	1	100	**700**
6.	F6	500	1.5	1	0.1	100	**700**
7.	F7	500	1.5	1	0.5	100	**700**
8.	F8	500	1.5	1	1	100	**700**
9.	F9	500	1.5	1	1.5	100	**700**
10.	F10	500	1.5	2	1	100	**700**
11.	F11	500	1.5	5	1	100	**700**
12.	F12	500	1.5	7	1	100	**700**
13.	F13	500	1.5	2	1	100	**400**
14.	F14	500	1.5	2	1	100	**800**
15.	F15	500	1.5	2	1	100	**1200**

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