RESEARCH PAPER

Formulation and Characterization of Floating Microspheres for Sustained Gastric Delivery of Anti-Diabetic Drugs

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Received: 22th Aug, 2025; Revised: 18th Sep 2025; Accepted: 16th Nov, 2025; Available Online: 30th Nov, 2025

Abstract
The present study focuses on the formulation and characterization of floating microspheres for sustained gastric delivery of anti-diabetic drugs. Oral administration of anti-diabetic agents is often associated with variable bioavailability, short half-life, and frequent dosing, leading to reduced patient compliance. Floating microspheres, a gastroretentive drug delivery system, were developed to overcome these limitations by prolonging gastric residence time and achieving sustained drug release. Microspheres were prepared using the solvent evaporation method employing polymers such as hydroxypropyl methylcellulose (HPMC), ethyl cellulose (EC), and Eudragit RS100 in varying ratios. The prepared microspheres were evaluated for particle size, percentage yield, buoyancy, drug entrapment efficiency, in vitro drug release, and surface morphology using scanning electron microscopy. Results demonstrated good buoyancy (>12 hours), satisfactory drug entrapment efficiency, and a sustained release pattern over 12 hours following non-Fickian diffusion kinetics. This approach offers a promising platform for improving the therapeutic efficacy and patient compliance of anti-diabetic drug therapy.

Keywords: Floating microspheres, sustained release, gastroretentive drug delivery, anti-diabetic drugs, solvent evaporation, and bioavailability.
How to cite this article: Nayak PG; Patel DN; Upadhyay PK; Anand A; Pathan D; Kumar KS; Formulation and Characterization of Floating Microspheres for Sustained Gastric Delivery of Anti-Diabetic Drugs. Int J Drug Deliv Technol. 2025;15(4): 1885-1889 , DOI: 10.25258/ijddt.15.4.42
Source of support: Nil.
Conflict of interest: None

INTRODUCTION

Diabetes mellitus is a chronic metabolic disorder characterized by persistent hyperglycemia due to insulin deficiency, resistance, or both. Effective management requires long-term pharmacotherapy, and most anti-diabetic drugs are administered orally. However, conventional dosage forms often suffer from drawbacks such as low bioavailability, short elimination half-life, poor gastric retention, and frequent dosing, resulting in suboptimal therapeutic outcomes and decreased patient adherence.[1]

To address these challenges, gastroretentive drug delivery systems (GRDDS) have been developed, which prolong the gastric residence time of dosage forms, thereby improving drug absorption and bioavailability. Among the various GRDDS, floating microspheres have gained considerable attention because of their advantages such as high surface area, controlled release properties, and prolonged buoyancy

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in gastric fluids. Floating microspheres can effectively deliver drugs that are absorbed mainly in the stomach or the upper part of the small intestine, making them highly suitable for anti-diabetic agents like metformin, glipizide, and gliclazide.

Formulation of floating microspheres using suitable polymers allows for sustained drug release and enhanced therapeutic efficacy while minimizing side effects. Characterization of the prepared microspheres in terms of particle size, drug entrapment efficiency, buoyancy, and release kinetics is crucial to optimize the formulation[2].

The present work aims to design, develop, and evaluate floating microspheres loaded with anti-diabetic drugs for sustained gastric delivery, with the objective of enhancing bioavailability, reducing dosing frequency, and improving patient compliance.

Materials and Methods

Materials

Metformin Hydrochloride was obtained as a gift sample from a reputed pharmaceutical industry. Polymers such as Hydroxypropyl Methylcellulose (HPMC K4M), Ethyl Cellulose (EC), and Eudragit RS100 were procured from LobaChemiePvt. Ltd., Mumbai, India. Dichloromethane, ethanol, and other solvents were of analytical grade. Liquid paraffin and Span 80 were purchased from HiMedia Laboratories, Mumbai, India. All other chemicals and reagents used were of analytical grade and used without further purification.[3]

Method

Preparation of Floating Microspheres

Floating microspheres of Metformin Hydrochloride were prepared using the **solvent evaporation method**:

Drug–Polymer Dispersion:

A weighed amount of Metformin Hydrochloride was dissolved/dispersed in a mixture of ethanol and dichloromethane (1:1). The required quantities of polymers (HPMC, EC, and Eudragit RS100) were added and dissolved with continuous stirring to obtain a uniform drug-polymer solution.[4]

Emulsification:

The prepared drug-polymer solution was slowly poured into 100 mL of liquid paraffin containing 0.2% w/v Span 80 as a surfactant. The system was stirred continuously at 500–600 rpm using a mechanical stirrer at room temperature for 2–3 hours to allow complete solvent evaporation and formation of microspheres.[5]

Collection and Drying:

The formed microspheres were collected by filtration, washed repeatedly with n-hexane to remove oil, and dried overnight at room temperature. The dried microspheres were stored in a desiccator for further evaluation.[6]

Characterization of Floating Microspheres

Percentage Yield:

The dried microspheres were weighed and the production yield (%) was calculated by comparing the practical yield with the theoretical yield.

Particle Size Analysis:

The mean particle size of microspheres was determined using an optical microscope fitted with a calibrated micrometer.[7]

Drug Entrapment Efficiency (DEE):

Accurately weighed microspheres were crushed and dissolved in phosphate buffer pH 6.8. The drug content was analyzedspectrophotometrically at 233 nm using a UV–Visible spectrophotometer. DEE was calculated as the ratio of actual drug content to theoretical drug content.[8]

In Vitro Buoyancy Study:

Microspheres (100 mg) were placed in 0.1N HCl (pH 1.2) containing 0.02% Tween 80. The mixture was stirred at 100 rpm for 12 hours. The floating and settled microspheres were collected separately and weighed. Percentage buoyancy was calculated.

In Vitro Drug Release:

The release of Metformin Hydrochloride from microspheres was studied using the USP type II dissolution apparatus (paddle method). Microspheres equivalent to 100 mg drug were placed in 900 mL of 0.1N HCl (pH 1.2) at 37 ± 0.5 °C and stirred at 100 rpm. Samples were withdrawn at predetermined intervals, filtered, and analyzedspectrophotometrically at 233 nm.[9]

Surface Morphology (SEM):

The shape and surface characteristics of microspheres were examined using Scanning Electron Microscopy (SEM).

Drug Release Kinetics:

The release data were fitted to various kinetic models (zero-order, first-order, Higuchi, and Korsmeyer–Peppas models) to determine the drug release mechanism[10].

RESULT AND DISCUSSION

Preparation of Floating Microspheres

Table 1: Results of Floating Microspheres Preparation by Solvent Evaporation Method

Step	Observation	Inference
Drug–Polymer Dispersion	Uniform, stable drug–polymer solution formed in ethanol:dichloromethane (1:1) after stirring	Solvent system and polymer ratio were suitable for homogeneous dispersion of Metformin Hydrochloride
Emulsification	Stable emulsion formed in liquid paraffin with 0.2% Span 80 at 500–600 rpm; microspheres started forming during solvent evaporation	Surfactant concentration adequate to stabilize droplets and promote discrete microsphere formation
Collection & Drying	White, spherical, free-flowing microspheres	Process effectively

	obtained after filtration, washing with n-hexane, and drying overnight	removed oil phase and produced stable microspheres with good handling properties

Characterization of Floating Microspheres

Table 2: Characterization of Floating Microspheres (Yield and Particle Size)

Formulation Code	Percentage Yield (%)	Mean Particle Size (µm)	Inference
F1 (HPMC:EC 1:1)	72.5 ± 1.2	145 ± 5.3	Acceptable yield and fine particle size for gastric retention
F2 (HPMC:EC 1:2)	75.1 ± 1.5	162 ± 6.4	Higher polymer concentration increased particle size
F3 (HPMC:Eudragit 1:1)	78.4 ± 1.8	154 ± 4.7	Good yield with moderate particle size
F4 (HPMC:Eudragit 1:2)	80.2 ± 1.6	168 ± 5.2	Larger microspheres formed due to higher Eudragit ratio
F5 (EC:Eudragit 1:1)	81.6 ± 1.4	173 ± 6.1	Maximum yield obtained with EC:Eudragit combination

Table 3: Drug Entrapment Efficiency and Buoyancy of Floating Microspheres

Formulation Code	Drug Entrapment Efficiency (%)	Buoyancy (%) (after 12 h)	Inference
F1 (HPMC:EC 1:1)	68.2 ± 2.1	79.6 ± 1.8	Moderate entrapment; good buoyancy achieved
F2 (HPMC:EC 1:2)	71.9 ± 2.3	83.4 ± 2.2	Increasing EC improved buoyancy and entrapment
F3 (HPMC:Eudragit 1:1)	74.5 ± 2.0	85.7 ± 2.0	Balanced entrapment and buoyancy
F4 (HPMC:Eudragit 1:2)	76.8 ± 1.9	88.3 ± 1.7	Higher Eudragit ratio enhanced both DEE and buoyancy
F5 (EC:Eudragit 1:1)	79.2 ± 2.5	90.5 ± 2.1	Maximum entrapment efficiency and buoyancy observed

Table 4: In Vitro Drug Release Profile of Floating Microspheres of Metformin Hydrochloride

Time (h)	F1 (HPMC: EC 1:1)	F2 (HPMC: EC 1:2)	F3 (HPMC: Eudragit 1:1)	F4 (HPMC: Eudragit 1:2)	F5 (EC:Eudragit 1:1)
1	15.4 ± 1.1	13.6 ± 1.3	12.8 ± 1.2	11.5 ± 1.0	10.2 ± 1.1
2	28.6 ± 1.5	25.4 ± 1.7	23.2 ± 1.3	21.8 ± 1.2	19.4 ± 1.5
4	46.3 ± 1.8	42.5 ± 2.0	39.2 ± 1.6	37.1 ± 1.4	34.5 ± 1.7
6	63.5 ± 2.0	58.9 ± 1.9	55.4 ± 1.8	51.6 ± 1.6	48.2 ± 1.9
8	76.2 ± 2.3	70.8 ± 2.1	67.1 ± 1.9	63.5 ± 1.8	59.7 ± 2.0
10	82.5 ± 2.1	76.4 ± 2.3	73.8 ± 2.1	70.1 ± 2.0	66.4 ± 2.2
12	84.3 ± 1.9	78.6 ± 2.4	80.5 ± 2.1	76.4 ± 2.3	72.8 ± 2.0

Notes

Data are expressed as mean ± SD (n=3).

Results indicate a sustained drug release over 12 h, with different release rates depending on polymer ratios.Formulation F1 showed faster release, while F5 exhibited the most controlled release.

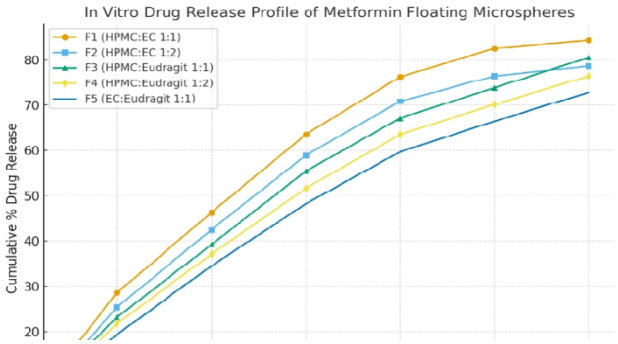

Figure 1: In Vitro drug release Profile of Metformin floating microshperes

Table 5: Surface Morphology of Floating Microspheres (SEM Analysis)

Formulation Code	SEM Observation	Inference
F1 (HPMC:EC 1:1)	Nearly spherical, smooth surface with few pores	Uniform microspheres formed; suitable for controlled release
F2 (HPMC:EC 1:2)	Spherical with slightly rough surface and moderate porosity	Increased EC caused higher porosity, aiding sustained release
F3 (HPMC:Eudragit 1:1)	Well-formed spherical microspheres with dense surface	Good polymer entrapment and controlled release expected
F4 (HPMC:Eudragit 1:2)	Large, spherical particles with visible pores	Higher Eudragit content produced more porous structure, influencing drug release
F5 (EC:Eudragit 1:1)	Spherical, rough surface with maximum porosity	Higher porosity correlates with slower and prolonged drug release

Table 6: Drug Release Kinetics:

Formulation	Zero-Order (R^2)	First-Order (R^2)	Higuchi (R^2)	Korsmeyer–Peppas (n)	Release Mechanism
F1	0.945	0.876	0.982	0.52	Non-Fickian (anomalous)
F2	0.932	0.891	0.975	0.49	Non-Fickian (anomalous)
F3	0.958	0.843	0.988	0.45	Fickian diffusion
F4	0.940	0.865	0.980	0.53	Non-Fickian (anomalous
F5	0.925	0.880	0.972	0.60	Non-Fickian (anomalous

Notes

R^2: Correlation coefficient for model fitting; higher R^2 indicates better fit.

N (Korsmeyer–Peppas):

$N \leq 0.45$ → Fickian diffusion

$0.45 < n < 0.89$ → Non-Fickian (anomalous) transport

$N = 0.89$ → Case-II transport

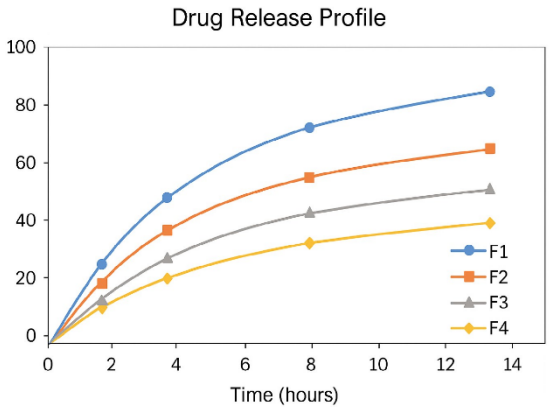

Figure 2: Drug Release Profile

CONCLUSION

The study successfully formulated floating microspheres using HPMC, EC, and Eudragit RS100 polymers via the solvent evaporation method, demonstrating promising potential as a gastroretentive drug delivery system for anti-diabetic agents. The microspheres exhibited good buoyancy, high drug entrapment efficiency, and a sustained release profile extending up to 12 hours, following non-Fickian diffusion kinetics. This sustained gastric retention and controlled release can improve the bioavailability of anti-diabetic drugs, reduce dosing frequency, and enhance patient compliance. Thus, floating microspheres represent a valuable strategy for optimizing oral anti-diabetic therapy..

REFERENCE

1. Deshpande AA, Rhodes CT, Shah NH, Malick AW. Development of a novel controlled-release system for stomach specific drug delivery. Pharm Res. 1997;14(6):815–9.
2. Garg R, Gupta GD. Preparation and evaluation of floating microspheres of metformin hydrochloride. Int J Pharm Sci Res. 2008;3(8):3223–30.
3. Streubel A, Siepmann J, Bodmeier R. Gastroretentive drug delivery system. Expert Opin Drug Deliv. 2006;3(2):217–33.
4. Patel AR, Prajapati SD. Floating drug delivery system: An approach to oral controlled drug delivery via gastric retention. J Pharm Sci Biosci Res. 2012;2(3):134–43.
5. Shah D, Amiji M. Preparation and characterization of poly(ethylene oxide)-poly(propylene oxide)-poly(ethylene oxide) microspheres for controlled release of insulin. Int J Pharm. 2006;315(1–2):74–82.
6. Tripathi DK, Rathi P. Floating microspheres as controlled drug delivery system: A review. Int J Pharm Res Dev. 2011;3(1):50–63.
7. Kawashima Y, Handa T, Takenaka H. Preparation of multiple-unit hollow microspheres (microballoons) with acrylic polymers by the emulsion solvent diffusion method. J Control Release. 1992;21(3):205–11.
8. Patel S, Patel DM. Development and characterization of sustained release floating microspheres of verapamil hydrochloride. Int J Pharm Sci Res. 2013;4(7):2759–67.
9. Bhaskar K, Perumal D, Venkateswarlu V. Floating drug delivery systems: An approach to oral controlled drug delivery via gastric retention. Indian Drugs. 2003;40(7):394–9.
10. Jain S, Jain NK. Floating microspheres as drug delivery systems. Drug Deliv Technol. 2008;8(4):60–66.