International Journal of Pharmaceutical Research and Applications
Volume 10, Issue 2 Mar–Apr 2025, pp: 1769-1774 www.ijprajournal.com ISSN: 2456-4494

Formulation and Evaluation of Effervescent Floating Tablet of Antidiabetic Drug

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Date of Submission: 10-04-2025 Date of Acceptance: 20-04-2025

ABSTRACT

Gliclazide, a drug in the Class II category of the Biopharmaceutical classification system (BCS), is marketed in its base form and has an oral bioavailability of 40-60%. Its absorption window, which is limited to the gastrointestinal tract, is a key factor in its effectiveness. The gastrointestinal tract propels ingested material from the stomach to the small intestine and large intestine, where water is absorbed and secreted. The study aimed to develop and evaluate a Floating Tablet containing Gliclazide to address gastro retentive FDDS and propose a strategy for formulation development. The treatment period was aimed at 1 to 7 days to maintain drug levels in blood plasma, reduce drug use frequency, and reduce side effects. The non-invasive system was developed to be free from contamination and irritants compared to parental formulations. FDDS was found to be beneficial for digestion and diarrhea, allowing the drug to float in the stomach for better response. It also improved patient compliance by reducing dosing frequency and was used for treating stomach diseases like gastroesophageal reflux. The bioavailability was improved due to avoiding first pass effects. The development and characterization of Gliclazide effervescent tablets is a crucial area of research in pharmaceutical science. Gliclazide is a widely used oral hypoglycemic agent for treating type 2 diabetes, but its poor solubility and bioavailability pose challenges for effective delivery. Effervescent technology offers a promising solution to enhance the dissolution rate and bioavailability of poorly water-soluble drugs like gliclazide. Techniques such as solvent evaporation, melting method, and kneading method are employed to improve drug solubility and stability.

Keywords: Gliclazide; Effervescent Floating Tablet; poor solubility and bioavailability.

I. INTRODUCTION

Gliclazide is usually marketed in the base form. It belongs to Class II category of Biopharmaceutical classification system (BCS). Gliclazide has absorption in gastrointestinal tract. Its oral bioavailability is in the range of 40-60% and shows linear response with the dose increment. Drugs that have absorption limited to the gastrointestinal tract coupled with poor absorption in the large intestine and colon, such drugs are usually regarded as inappropriate candidates for formulation into oral controlled delivery systems. This limitation on absorption (for example, in the gastrointestinal tract) is referred to as the 'absorption window'. The gastrointestinal tract functions to propel ingested material from the stomach (where digestion takes place) into the small intestine (where absorption principally occurs) and on to the large intestine (where water is absorbed/secreted as part of body fluid regulation processes). Residence time for non-digestible materials in the stomach depends on whether one is dealing with a fed or fasting subject. Typical gastric emptying times for particular material (greater than a few millimeters in diameter) vary from a few tens of minutes in the fasted state to a few hours in the fed state. Transit times through the small intestine are consistently of the order of 3-4 hours [1-5].

The study aimed to develop and evaluate a Floating Tablet containing Gliclazide to address gastro retentive FDDS and propose a strategy for formulation development. The treatment period was aimed at 1 to 7 days to maintain drug levels in blood plasma, reducing drug use frequency and side effects. The non-invasive system was developed to be free from contamination and irritant compared to parental formulations. FDDS was found to be beneficial for digestion and diarrhea, allowing the drug to float in the stomach for better response. It also improved patient compliance by reducing dosing frequency and was used for treating stomach diseases like gastroesophageal reflux. The bioavailability was also improved due to the avoidance of first pass effects.

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II. MATERIALS AND METHODS

2.1 Drugs and Chemicals

Gliclazide, HPMC KM 100, Talc, Magnesium Stearate, and Microcrystalline Cellulose in India. Equipment includes a Rotary Tablet Punching Machine, a Double Beam UV-VIS Spectrophotometer, a Digital Weighing Balance, a Dissolution Apparatus, a FTIR Spectrophotometer, a Mortar and Pestle, a Hot air oven, a Melting point Apparatus, a Disintegration tester, a Roche Friabilator USP, a Hardness tester, a Vernier calliper, a Digital pH meter, a Distillation unit, and Sieves.

2.2 Preformulation Studies

The study focuses on the characterization and identification of Gliclazide, a proton pump inhibitor, for its potential therapeutic benefits in treating gastric acid-related disorders. The drug samples were examined for appearance, color, and odor. The melting point of Gliclazide was determined using the capillary method, where a fine powder of the drug was filled in a glass capillary tube and dipped in liquid paraffin (6-10).

2.3 Preformulation Study

Key parameters evaluated during pre-compression include bulk density, tapped density, Hausner's ratio, and Carr's index, which provide insights into the flow properties and compressibility of the powder blend. Moisture content and particle size distribution also play a significant role in determining the stability and release profile of omeprazole within floating matrices (11, 12).

2.4 Preparation of Floating Tablets containing Gliclazide by Direct compression

Floating Tablets containing Gliclazide were prepared by direct compression method according to the formula (Table 1). A total number of four formulations (F1 to F9) of Floating Tablets (250 mg) containing Gliclazide were prepared using only 1 disintegrants namely HPMC KM 100 with different concentrations. All the ingredients were passed through mesh no 60 separately and collected. The drug, Antiadherant (Talc), Effervescent agent (Sodium bicarbonate), Filler (Micro Crystalline Cellulose) and Hydrophilic Polymers (HPMC KM 100) and Lubricant (Magnesium Stearate) were mixed uniformly with gentle triturating using mortar and pestle to get a uniform mixture. Required quantity of superdisintegrants and aspartame were taken for each specified formulation and mixed with the above mixture (13).

Table 1: Formulation Design of Floating Tablets containing Gliclazide

INGREDIENTS (Weight in mg)	Formulations Prepared of Floating Tablets containing Gliclazide								
	F1	F2	F3	F4	F5	F6	F7	F8	F9
Gliclazide	40	40	40	40	40	40	40	40	40
HPMC KM 100	60	65	70	75	80	85	90	95	100
$NaHCO_3$	50	50	50	50	50	50	50	50	50
Magnesium Stearate	8	8	8	8	8	8	8	8	8
Talc	8	8	8	8	8	8	8	8	8
MCC	84	79	74	69	64	59	54	49	44
Total Weight (mg)	250	250	250	250	250	250	250	250	250

2.5 Post Compression Evaluation

The study focuses on factors such as hardness, friability, disintegration time, and drug release kinetics. Understanding these characteristics is crucial for optimizing tablet performance and ensuring effective therapeutic outcomes. Factors like particle size distribution and moisture content also impact these evaluations. Comprehensive postcompression evaluations are essential for developing effective floating tablets that meet pharmacological standards (15-17).

2.6 In vitro dissolution studies

In- vitro drug release studies were carried out by using USP (TDT067) Type II (paddle type) dissolution test apparatus at 50 rpm using pH 6.8 phosphate buffer as dissolution media maintained at the temperature at 37±0.5°C. Samples were withdrawn at specific time intervals and replaced with fresh media and filtered. The amount of drug dissolved was determined by spectrophotometer at 250 nm. The experiments were conducted in triplicate (18-20).

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2.7 Stability Studies

In the present study the stability study was conducted in accordance with ICH guidelines by keeping the sample at 40±2 0C and 75±5 % RH for six months in a stability chamber. The high density Polyethylene bottle is the container closure system used in this study. The selected study intervals were the 1st and 3rd months from the initial time (21).

III. RESULTS AND DISCUSSION

3.1 Preformulation Studies

Preformulation is a crucial process in determining the physical and chemical properties of a drug, which are crucial for its stability and effectiveness in dosage form formulation. A systematic preformulation study was conducted using the standard procedures of Indian Pharmacopoeia and British Pharmacopoeia, involving drug identification, melting point analysis, and compatibility studies with selected polymers. The melting point range of a solid substance can be found by measuring its temperature, and its identity can be verified by comparing the resultant value with known values. Gliclazide is a crystalline solid that exhibits a melting point of about 168.95±0.969°C, also The partition coefficient of Gliclazide is found to be 2.10, indicating that gliclazide is moderately lipophilic and has good permeability across membranes; this value suggests a relatively high ability to distribute between an oily phase (octanol) and water. This information is essential for validating formulation design and determining molecular alteration needs (Table 2).

Table 2: Observed organoleptic properties of drug sample

Organoleptic properties	
Parameter	**Observation**
Colour	White to off-white
Texture	Crystalline powder
Taste	Tasteless
Odour	Odourless

3.2 Evaluation Parameters:

3.2.1 Precompression Evaluation of powder blended characteristics of tablet formulation

The optimal drug release kinetics in tablet formulations are influenced by factors such as particle size distribution, flow properties, blend uniformity, and compressibility. A narrow particle size distribution ensures uniform blending and prevents segregation during compression, resulting in a more consistent drug release profile. Poor flow properties can lead to uneven distribution of active ingredients, causing variability in drug release rates (Table 3).

3.2.2 Postcompression Evaluation of powder blended characteristics of tablet formulation

Postcompression evaluation is a crucial process in determining the quality and performance of tablet formulations. It involves assessing parameters like hardness, friability, disintegration time, and drug release profile to determine the tablet's performance. Hardness measures the mechanical strength of a tablet, while friability measures its tendency to break or chip under stress. A low friability value indicates good tablet integrity. Disintegration time determines the rate and extent of drug release, which directly impacts the therapeutic efficacy of a tablet formulation. The drug release profile is the most crucial parameter in postcompression evaluation, as it directly impacts the therapeutic efficacy of a tablet formulation (Table 4).

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Table 3: Physical properties of powder blends of single unit tablet formulations

Formulation	Angle of repose	Bulked Density	Compressibility index	Hausner's Ratio	Tapped Density
F1	29.6 ± 0.055	0.399 ± 0.545	17.7 ± 0.044	1.02 ± 0.856	0.491 ± 0.216
F2	29.5 ± 0.452	0.399 ± 0.056	18.9 ± 0.140	1.04 ± 0.044	0.495 ± 2.123
F3	30.5 ± 0.245	0.398 ± 0.056	20.9 ± 0.141	1.26 ± 0.056	0.499 ± 1.056
F4	29.2 ± 0.542	0.403 ± 1.556	18.1 ± 0.145	1.29 ± 0.245	0.495 ± 1.056
F5	31.8 ± 0.575	0.401 ± 0.185	17.3 ± 0.142	1.03 ± 2.056	0.491 ± 0.216

Table 4: Postcompression Evaluation of Tablet formulation

Formulations	Drug Content Assay (%)	Disintegration Time (sec)	Hardness (kg/cm^2)	Friability (%)	Thickness (mm)
F1	99.45 ± 0.076	42 ± 0.106	5.98 ± 0.056	0.32 ± 0.666	3.015 ± 0.46
F2	99.65 ± 0.056	45 ± 0.486	6.15 ± 0.156	0.32 ± 0.106	2.214 ± 0.116
F3	99.55 ± 0.996	47 ± 0.886	6.01 ± 0.106	0.33 ± 0.666	2.145 ± 0.416
F4	99.55 ± 0.006	44 ± 0.926	5.98 ± 0.046	0.33 ± 0.126	3.152 ± 0.106
F5	99.45 ± 0.106	38 ± 0.916	6.01 ± 0.116	0.29 ± 0.116	2.153 ± 0.456

3.3 Invitro drug Release Study

All the formulations batches were subjected to in-vitro dissolution studies using pH 6.8 phosphate buffer. The present drug release of all formulation was determined in pH 6.8 phosphate buffer at the interval of 2,4,8,6,10,15,20 minutes. Data of present drug release in phosphate buffer is shown in table 6.8 and plot for dissolution profile of all the formulations (Figure 1). Among all formulations, F5 formulation containing highest concentration of superdisintegrant and sublimating

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agent showed fastest drug release within 10 minutes compared to other formulations.

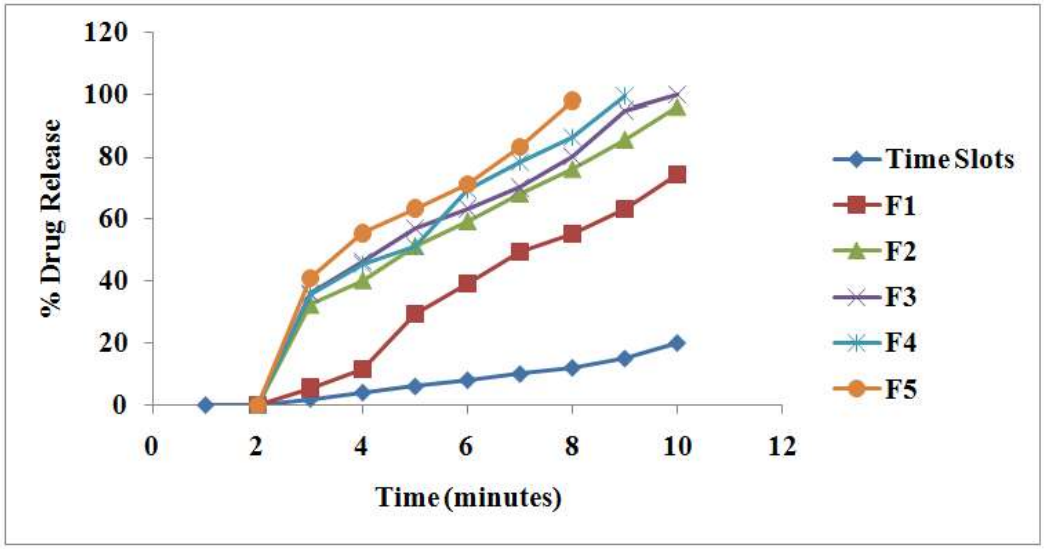

Figure 1: Invitro drug Release Study

3.4 Stability Studies

As per the data, it was concluded that tablet dosage form was stable enough till 6 months under the accelerated conditions as per the ICH.

IV. CONCLUSIONS

The development and characterization of Gliclazide effervescent tablets is a crucial area of research in pharmaceutical science. Gliclazide is a widely used oral hypoglycemic agent for treating type 2 diabetes, but its poor solubility and bioavailability pose challenges for effective delivery. Effervescent technology offers a promising solution to enhance the dissolution rate and bioavailability of poorly water-soluble drugs like gliclazide. Techniques such as solvent evaporation, melting method, and kneading method are employed to improve drug solubility and stability.

Conflict of Interest

None

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