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PREPARATION AND EVALUATION OF IMMEDIATE RELEASE GLICLAZIDE TABLET USING SUPER DISINTEGRANTS

Tushar Saha

Department of Pharmaceutical Technology, University of Dhaka, Dhaka - 1000, Bangladesh.

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Correspondence to Author:

Tushar Saha

Department of Pharmaceutical Technology, University of Dhaka, Dhaka - 1000, Bangladesh.


Email: tushar.saha21@yahoo.com

ABSTRACT: The present investigation was designed to prepare immediate release tablets of gliclazide with the ultimate aim of reducing the time needed to obtain the peak plasma concentration. Gliclazide immediate release tablets were prepared by using superdisintegrants namely- sodium starch glycolate and croscarmellose sodium. The prepared tablets were evaluated for weight variation, hardness, friability, and dissolution. Data obtained from *in-vitro* dissolution tests were employed to zero order kinetic model. All the data were in the acceptable range. Compatibility study was conducted using fourier transform infrared spectroscopy (FTIR). The drug and all the excipients showed good compatibility.

INTRODUCTION: Diabetes Mellitus is a chronic, incurable disorder affecting a large number of populations worldwide ¹. It is obvious that occurrence of non - communicable diseases including diabetes mellitus is in increasing trend, which if not managed properly, can result in clinical, social and economic complications. World health organization (WHO) has already conferred priority status to diabetes mellitus ². Of equal consequence is the increasing prevalence of the disease and the long-term cost of therapy, due to the fact that a diabetic patient has to live with this disease and have to use drugs for lifetime of the patients from time of diagnosis. This results into a large cost in drug therapy to the patients as well as government in economic terms ³. To lower the elevated blood glucose level of a diabetic patient, gliclazide is an effective oral hypoglycemic agent,

Is a drug of choice when insulin therapy is inappropriate and / or diet, physical exercise *etc.* cannot lower the glucose level. Gliclazide is classified as a sulfonylurea. Its classification has been ambiguous as because literature uses it as both a first - generation ⁴ and second - generation ⁵ sulfonylurea. Gliclazide protects pancreatic beta-cells from hyperglycemia induced apoptosis. It has antiatherogenic effect in arteries in type 2 diabetes ⁶.

Gliclazide is an oral antihyperglycemic agent. It has wide range of use for the treatment of non-insulin dependent diabetes mellitus (NIDDM). It is in the sulfonylurea class of insulin secretagogues. It acts by stimulating β cells of the pancreas to release insulin. Basal insulin secretion and meal-stimulated insulin release can be increased by sulfonylureas. Medications in this class are different in their dose, rate of absorption, duration of action, route of elimination and binding site on their target pancreatic β cell receptor ⁷. Gliclazide can decrease fasting plasma glucose, postprandial blood glucose and glycosolated haemoglobin (HbA1c) levels ⁸. On the basis of these considerations, an immediate release tablet is proposed with the aim of reaching a high serum concentration in a short period of time.

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MATERIALS AND METHODS: Gliclazide was obtained from Renata Limited Bangladesh as gift sample. Sodium starch glycolate was obtained from Colorcon Asia Pvt. Ltd., U.S.A. Croscarmellose sodium was obtained from Ming Ti, Taiwan and other chemicals used in this experiment were of analytical grade.

Preparation of Immediate Release Gliclazide Tablets: Gliclazide immediate release tablets were

prepared by direct compression technique according to the formulation **Table 1**.

Accurately weighed excipients and drug were mixed in mortar and pestle. Mixing was done carefully to mix all the excipients appropriately. After mixing, tablet compression was performed to form the tablet in direct compression tablet machine.

TABLE 1: FORMULATION OF IMMEDIATE RELEASE GLICLAZIDE TABLET

Formulation	Gliclazide (mg)	Sodium Starch Glycolate (mg)	Croscarmellose Sodium (mg)	Avicel 101 (mg)	Lactose (mg)	Magnesium Stearate (mg)	Total weight (mg)
F-1	80	2	3	40	14	1	140
F-2	80	3	3	40	13	1	140
F-3	80	4	3	40	12	1	140
F-4	80	5	3	40	11	1	140
F-5	80	6	3	40	10	1	140

Measurement of Thickness: Thickness gauge was used to measure the thickness of the tablets. Five tablets of each batch were chosen randomly and their thickness was measured. The average value was taken ⁹.

Measurement of Hardness: Hardness of the tablets was calculated by the help of Monsanto hardness tester according to the USP pharmacopoeia.

Measurement of Friability: Friability of prepared tablets was measured by the help of Electrolab EF-2 friabilator (USP). USP pharmacopoeia procedure was followed to find out the value of friability of the prepared tablets.

Preparation of Standard Curve of Gliclazide: To prepare a standard solution, 10 mg of gliclazide was weighed accurately and was dissolved in 100 ml of phosphate buffer to produce a solution of 100 µg/ml. Then the mother solution of 100 µg/ml was diluted up to 100 ml. Serial dilution was carried on for ten different concentration ranging 0.5 µg/ml to 5 µg/ml. The absorbance of the solutions was measured at 226 nm in a double beam UV spectrophotometer. A plot was conducted showing conc. at X- axis and absorbance at the Y- axis.

Measurement of Dissolution: The dissolution test was performed in USP II apparatus. 900 ml of 0.1 N HCl was taken as the dissolution medium at 100 rpm and 37 °C ± 0.5 °C. Five millilitres of aliquots were periodically withdrawn and the sample volume was replaced with an equal volume of fresh dissolution medium. The samples were analyzed spectrophotometrically at 226 nm and percent drug release was calculated.

Drug Excipient Compatibility Study: Fourier Transform Infrared Spectroscopy (FTIR) was performed in FT-IR 8400S Shimadzu spectrophotometer (30 mins with a range of 4000-500 cm⁻¹) for checking any interaction between drug and polymer. For this purpose Fourier Transform Infrared Spectroscopic (FTIR) study was conducted for four samples which were gliclazide and physical mixture of gliclazide and excipients.

RESULTS AND DISCUSSION:

Physical Properties of Gliclazide Bilayer Tablets: Physical properties of all the formulated batches were measured **Table 2** and all data were in the USP pharmacopoeia range.

TABLE 2: PHYSICAL PROPERTIES ANALYSIS OF GLICLAZIDE IMMEDIATE RELEASE TABLET

Formulation Code	Average weight (mg)	Diameter (mm)	Thickness (mm)	Hardness (kg/cm ²)	Friability (%)
F-1	140 ± 1.98			4.15	0.24
F-2	140 ± 2.10			4.27	0.31
F-3	140 ± 1.21	6.65±0.02	4.78±0.01	4.20	0.22
F-4	140 ± 0.98			4.10	0.15
F-5	140 ± 1.32			4.21	0.09

In vitro order Dissolution Study: Zero release kinetics was evaluated for the prepared formulations of F-1 to F-5 **Fig. 1**. Formulation F-5 showed the highest drug release after 60 minutes

whereas the lowest value of drug release after 60 min was obtained from the formulation F-1. The increased amount of sodium starch glycolate increased the drug release.

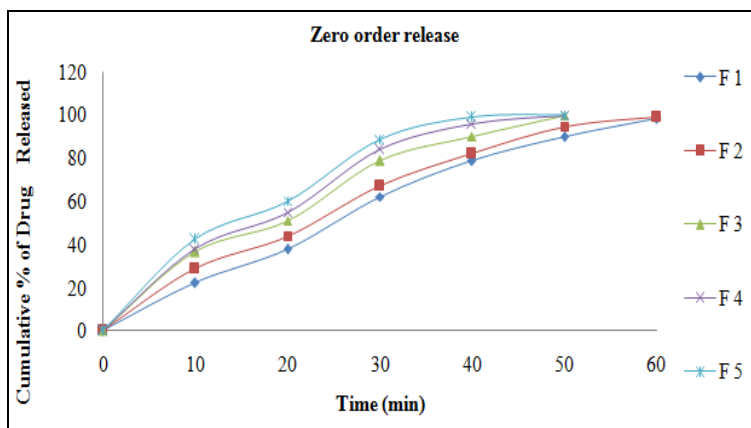


FIG. 1: ZERO ORDER PLOT OF RELEASE KINETICS OF GLICLAZIDE IMMEDIATE RELEASE TABLET

Drug-excipients Compatibility Study: Fig. 2 displays the FTIR spectra of glimepiride and the FTIR spectra of the physical mixture of glimepiride with excipients. The FTIR spectrum of glimepiride demonstrates the FTIR peaks at 1708.93 cm^{-1} (carbonyl sulfonyl urea group), 3273.20 cm^{-1} (-NH group), 1350.17 and 1163.08 cm^{-1} (sulfonyl group

bands). The same peaks were observed in the drug substance, physical mixtures. The absence of any new peaks in the physical mixture indicates that there are no polymorphic changes in the drug substance during the preparation of glimepiride immediate release tablets. So the formulations have no incompatibility problem.

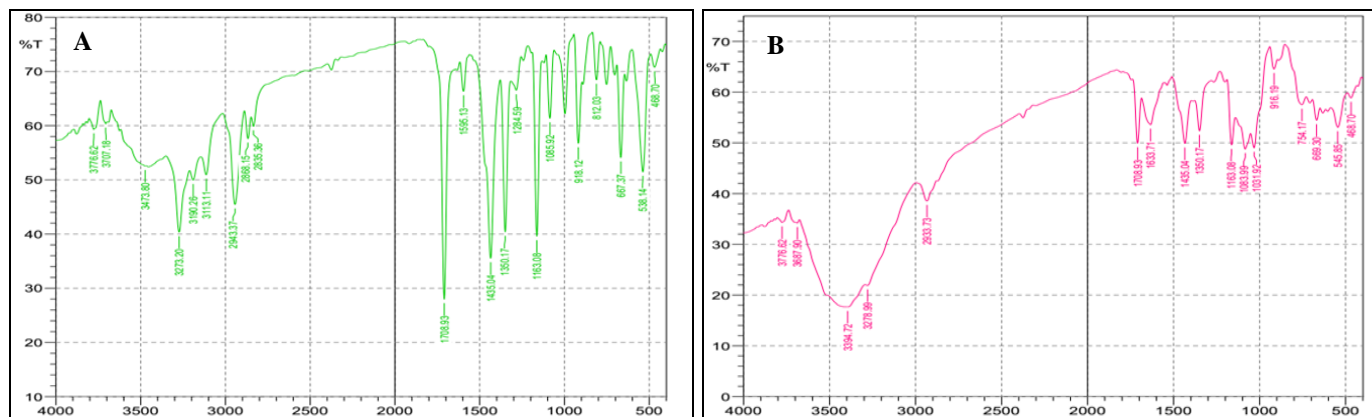


FIG. 2: (A) FTIR SPECTRUM OF GLICLAZIDE (B) FTIR SPECTRUM OF PHYSICAL MIXTURE OF GLICLAZIDE AND EXCIPIENTS

CONCLUSION: Antidiabetic immediate release glimepiride tablets were successfully formulated in the present study using super disintegrants. As the number of diabetic patient is increasing in an alarming manner, commercialization of the investigated immediate release tablets of glimepiride will positively impact our society and economy.

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CONFLICTS OF INTEREST: Nil

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