RESEARCH PAPER

In Vivo Anti-Hyperglycaemic Activity and Pharmacokinetics Parameters Study of Optimized Formulation of the Repaglinide in the Treatment of Diabetes Type 2

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ABSTRACT

Oral prandial glucose regulator Repaglinide (RPGD) is prescribed for the treatment of type 2 diabetes mellitus. It has excellent therapeutic potential due to its potency as a molecule; however, its variable bioavailability and low solubility in water constitute a limitation. In clinical trials, RPGD plasma concentrations have also been found to vary considerably between participants. RPG stimulates the pancreas' production of insulin, which lowers lunchtime levels of glucose in those with type 2 diabetes. The experimental procedures and protocols employed in this study underwent a thorough review and received approval from the "Institutional Animal Ethical Committee (IAEC)". Oral bioavailability research findings indicated that the average area underneath the time-concentration curve for the plasma (AUC0-6) for liquid solid compact was 375.34 and 189.35 ng•hr/mL, while for AUC0-∞ it was 469.08 and 224.08 ng•hr/mL. In summary, the rationality and potential of liquid solid formulation, acetostarch-based porous material formulation strategies have been established.

Keywords: *Repaglinide, anti-hyperglycemic activity, type 2 diabetes, Tablets*

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Conflict of interest: None

1. INTRODUCTION

Repaglinide (RPG) is a medication used for managing diabetes type 2. It is a member of this family of antihyperglycemic drugs, which also includes nateglinide (Hantson et al., 2020). These work by encouraging the body to secrete more insulin, which lowers the amount of sugar in the blood. It is a medication used to regulate insulin levels in diabetes type 2 in lieu of eating well and exercising (Jacob et al., 2020).

In stead of eating right and exercising, RPG can additionally be utilized either as treatment or in conjunction with various antihyperglycemic medications, such as hydrochloride or other drugs, in case hydrochloride is inefficient or contradictory (Dixit et al., 2023). Simultaneous treatment with medication is contraindicated if sulfonylureas (gliclazide, glipizide) are used. According to research, RPG is an effective option for individuals suffering from end-stage dialysis and persistent kidney dysfunction"(Varshosaz et al., 2017).

RPG has a limited bioavailability when taken by mouth of 45–65% and a relatively short half-life of around sixty minutes, notwithstanding the previously noted advantages (Desi et al., 2023). The soluble content of medications in GI (gastrointestinal) juices and how well they are able to cross membranes and enter circulation throughout the body determine how accessible they are when taken orally (Patel et al., 2023). The aim of the investigation is to assess the in vivo anti-hyperglycemic activity and Pharmacokinetics parameters of optimized formulation of the Repaglinide in the treatment of diabetes type 2.

2. MATERIAL AND METHOD

***In Vivo* Evaluation of Repaglinide Liquisolid Tablets and Conventional DCT Tablets-** The present investigation utilized Wistar albino rats, regardless of their sex, with a total sample size of five. The rats selected for the study had a weight range of 220-300 g. "The animals were randomly assigned and distributed into treatment groups, where they were housed in polypropylene cages with paddy husk serving as bedding material. The Celsius range in which the pets were housed was 24±2˚C, with a humidity concentration of 30–70%. The study followed a cycle of darkness and illumination. All animals were provided with a typical balanced feed and unrestricted access to water. The experimental procedures and protocols employed in this study underwent a thorough review and received approval from the "Institutional Animal Ethical Committee (IAEC)" at KMCH College of Pharmacy.

The welfare of laboratory animals was ensured in compliance with the standards established by the Advisory Committee for the Regulation and Control of Human Activities (CPCSEA NO: KMCRET/Ph.D/01/2012-13)."

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Experimental Design-

Group I (Control): Water (as a vehicle)

Group II: Optimized Repaglinideliquisolid tablets FT4

Group III: Conventional DCT Repaglinide tablets

The present investigation utilized a distinct cohort of five rats, all falling within the same weight range.

3. RESULT AND DISCUSSION

In vivo anti-hyperglycemic activity (Oral glucose tolerance test)

When compared to pure RPGD, the R-aceto-starch immediate-release capsule showed dependable and quicker drug escape; as a result, it were selected for the in-vivo study that used the tests for oral glucose tolerance. RPGD operates on beta cells as a dietary hypo drug, increasing the release of diabetes, resulting in a reduction in blood glucose concentration (Kumar et al., 2022). Increased rate of drug dissolution as a result of enhanced oral bioavailability and consequently pharmacological response (Dixit et al., 2023). Thus, unadulterated RPGD and a tablet formulation were orally administered. "Body levels of sugar after oral administration of R-acetostarch immediate-release capsule and purified RPGD for 60, 90, and 120 minutes" were 981.870, 871.673, and 821.048 mg/dL and 1082.880, 1002.316, and 922.658 mg/dL, respectively, as shown in Table 4.28. The results of the R-acetostarch tablet with immediate release were statistically significant. These results demonstrated that aceto-starch, due to its porous nature and significant hypoglycemic effect, would be a promising carrier.

The statistical significance of decreased blood glucose levels after glucose load administration in the liquisolid tablet group compared to pure RPGD and control groups suggests that its porous nature may be responsible. Due to the enhanced solubility and dissolution of the drug in a liquisolid tablet as a result of speedier absorption and increased bioavailability of RPGD, it can be concluded that WF is a potentially promising carrier. These results demonstrate the existence of an in-vitro correlation. (Fig. 1 and Tab. 1).

Table 1: "Blood sugar levels fluctuate over time in all of the groups"

Groups / Treatment	"Blood glucose(mg/dL)"			
	0 min.	**60 min.**	**90 min.**	**120 min**
. "**Group-I (control)**"	82± 2.483	152±1.414	136±2.5 88	122±2.3 16
"Group-II (pure RPGD)"	84±1.471	108±2.8 80 (a)	100±2.3 16(a)	92±2.65 8 (a)
"Group-III (R- aceto-starch immediate-release tablet)"	81± 1.329	98±1.87 0 (b*)	87±1.67 3 (c*)	82±1.048 (c*)
Group IV with prepared RHVBF tablet	88±1.833	92±1.83 3	88±1.73 3	86±1.33 3
Group V with prepared liquisolid tablet	75±1.666	77±1.500	65±1.333	73±1.78 3

"Values for each of the groups of rodents (n = 6) represent the average ± S.E. * p<0.01 vs. purely RPGD, b* p<0.01 vs. purely RPGD, as well as c* p<0.05 vs. single RPGD; a) p<0.001 vs. authority, b) p<0.01 vs. authority, as well as c) p<0.05 vs. controller"

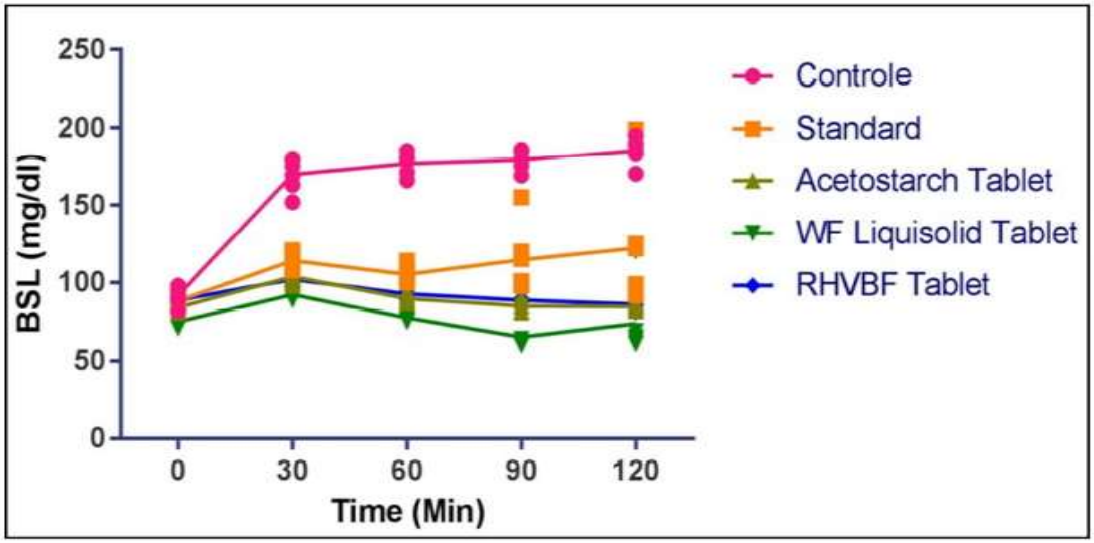

Figure 1: In-vivo study of formulations

In-vivo anti-hyperglycemic activity (Oral bioavailability study)

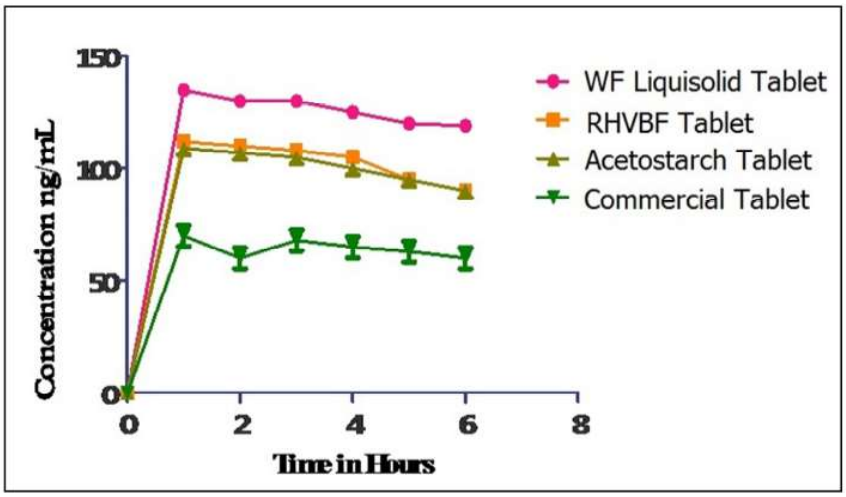

Figure 2: "Minimum plasma concentration of RPGD in animals after consumption of a commercial goods and Intervention A, B, and C"

Table 2: Pharmacokinetics parameters study of optimized formulation

Items	Standard RPGD	Liquid Solid Compact [Treatment A]	Aceto-starch [Treatment B]	Bamboo Fiber [Treatment C]	Commercial [Treatment D]	P Value
	Mean± SD	Mean± SD	Mean± SD	Mean± SD	Mean± SD	
Cmax (ng/mL)	68 ± 3.721	126±2.415	112±2.51	109±2.93	70±4.743	< 0.0001
Tmax(h)	1±0.0731	1±0.0731	1±0.0832	1+0.0952	1±0.04245	1
AUC0-6 (ng•hr/mL)	177.97 ± 5.13	375.34±2.072	350.44±2.085	335.44±2.0912	189.35±3.2912	< 0.0001
AUC0-∞ (ng•h/mL)	220.88 ± 3.127	469.08±1.074	450.08±1.074	430.08±1.083	224.08±2.5402	< 0.0001
MRT (h)	3.2164 ± 0.125	3.259±0.01561	3.159±0.01451	2.929±0.0145	2.848±0.03929	< 0.0001
Relative bioavailability (F) (%)	100	210.90	196.90	188.48	106.39	

"Table 2 along with Figure 2 exhibit mean serum concentration-time patterns in regimens. Interventions C and D had mean peak times (Tmax) of one hour and averaged maximal plasma concentrations (Cmax) of 109 and 70 ng/mL, respectfully. The corresponding values of the AUC0-∞ and AUC0-∞ for serum concentration-time contour showed 430.08 & 224.08 ng•hr/mL and 335.44 and 189.35 ng•hr/mL, accordingly.The physicochemical information's mathematical examination showed that medicines A, B, C, and D differed significantly in maximum Cmax, Kel, tel, and TCR, AUC0-6, AUC0-∞, AUMC0-6, AUMC0-∞, and MRT. Also,there was an insignificant difference in Tmax, whilethere was a significant difference in Cmax /AUC0-6."

4. CONCLUSION

For the current study, acetostarch were employed as excipients in the formulation of a porous tablet containing RPGD-loaded immediate release tablets. The tablets were then subjected to a range of in vitro and in vivo assessments in order to demonstrate their potential. "This hold promise as carriers for the oral administration of weakly soluble medications and as therapeutic agents. This is primarily due to their enhanced solubility, dissolution rate, oral bioavailability, cost-effectiveness, and industrial applicability".

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