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Review Article

A comprehensive review on acarbose in glycaemia control: current insights and future prospects

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ABSTRACT

This comprehensive review examines the part of acarbose in glycaemic control, particularly in managing Glycaemic control among type 1, and also type 2 diabetes mellitus (T2DM) along with gestational diabetes mellitus (GDM). Acarbose, an alpha-glucosidase inhibitor, works by delaying carbohydrate (carb.) digestion in the small intestine (SI), thereby preventing sharp postprandial blood glucose (BG) spikes. This mechanism of action is crucial for maintaining stable glycaemic levels (lvl.s) and reducing HbA1c, which is vital in preventing long-term diabetes complications. The review highlights recent clinical studies that demonstrate acarbose's efficacy and safety profile, including its minimal systemic absorption and tolerability across diverse patient populations. Additionally, it explores the potential of acarbose in combination therapy with other antidiabetic agents (ADAs), emphasizing its complementary effects in enhancing overall glycaemic control. Furthermore, the discussion addresses emerging trends, ongoing research, and future directions for acarbose in diabetes management, underscoring its significance as a valuable tool in therapeutic strategies aimed at improving patient outcomes.

Keywords: Acarbose, Glycaemic control, Type 2 diabetes mellitus, Postprandial hyperglycaemia, Alpha-glucosidase inhibitor, HbA1c, Combination therapy, Diabetes management

INTRODUCTION

Diabetes is a crucial health challenge of the 21st century, with the International Diabetes Federation projecting a hike in the count of people affected from 366 million in 2011 to 552 million by 2030, predominantly due to T2DM, which accounts for 90% of cases.[1] Early diagnosis is vital to prevent complications, and effective BG control is essential. Research indicates that managing BG lvl.s significantly reduces the threat of complications, with benefits lasting even after intensive treatment ceases.[2] Postprandial glucose lvl.s are critical in hyperglycaemia and elevated HbA1c, both associated with increased mortality. Diabetes is categorized into several types. Type 1, an autoimmune disorder causing insulin deficiency. Type 2, branded by insulin resistance and often linked to lifestyle; and GDM, which arises throughout pregnancy owing to hormonal changes influencing insulin regulation. Acarbose is a key medication for glycaemic control across these diabetes types. As an alpha-glucosidase inhibitor, it impedes carb. breakdown in the SI, postponing glucose absorption along with mitigating postprandial blood sugar spikes, crucial for stable glycaemic control. Acarbose is specifically effective in managing blood sugar in T2DM

patients, offering a unique mechanism of action compared to other antidiabetic drugs. Taken at the beginning of a meal, it binds to the alpha-glucosidase enzyme, slowing carb. digestion and preventing sharp increases in BG lvl.s post-meal. Acarbose selectively inhibits the digestion of starches and disaccharides, allowing for targeted glycaemic control without disrupting overall digestion.[3] Overall, acarbose remains a valuable tool for managing postprandial hyperglycaemia in T2DM patients, contributing to improved patient outcomes in diabetes management. This study reviews the effectiveness along with safety of acarbose as a therapeutic agent for managing postprandial hyperglycaemia in individuals having T2DM, while also assessing its impact on glycaemic control, HbA1c lvl.s, and overall patient outcomes. Additionally, the study aims to probe the potential role of acarbose in combination therapies to enhance BG management and drop the threat of diabetes-related complications.

ACARBOSE: STRUCTURE, MECHANISM OF ACTION AND GLYCAEMIC MANAGEMENT

Acarbose, a noninsulin tropic oral ADA, plays a distinct role in controlling BG lvl.s by inhibiting carb. breakdown in the SI (Figure 1). It is a complex oligosaccharide It serves as a competitive along with reversible pancreatic alpha-amylase (PAA) inhibitor and also membrane-enclosed intestinal alpha-glucoside hydrolase.[4] PAA catalyses the hydrolysis of complex crab's right into oligosaccharides inside the SI, whereas intestinal alpha-glucosidase hydrolase subsequently degrades these oligosaccharides, and trisaccharide's, along with disaccharides (including sucrose along with maltose) into monosaccharides like glucose along with fructose. By inhibiting these enzymes, acarbose slows down the digestion along with absorption of crab's, thereby dropping the postprandial (after-meal) rise in BG lvl.s.

Unlike other ADAs, acarbose reduces glucose absorption from food, which limits postprandial blood sugar spikes. It competitively inhibits alpha-glucosidase enzymes, which are responsible for converting oligosaccharides into monosaccharides, as illustrated in Figure 2. This reduces the need for insulin secretion and helps maintain a more controlled glucose metabolism throughout the day. Additionally, acarbose lowers hyperinsulinemia and alleviates beta-cell stress.[5] Long-term use of acarbose not only controls postprandial BG but also reduces fasting glucose lvl.s, making it effective for managing glycaemic control within diabetic patients.

For glucose to be absorbed and utilized by the body to be an energy source, starch and oligosaccharides must first be broken down into monosaccharides, the only form of crab's that can be taken up into the bloodstream This breakdown is facilitated by alpha-glucosidase enzymes situated in the SI's brush border membrane. Acarbose, which structurally resembles oligosaccharides, has a 100,000 times higher affinity for alpha-glucosidases. Acarbose works by inhibiting breakdown of complex crabs in the SI, delaying glucose absorption and reducing postprandial blood sugar spikes as shown in Figure 3. Despite its proven efficacy, acarbose has been underutilized globally, particularly in early-stage diabetes and prediabetes management. It has been widely prescribed in countries like China for over two decades but remains overlooked in many other regions.[6] As a result, acarbose competitively inhibits these enzymes, reducing their ability to cleave oligosaccharides. This leads to fewer monosaccharides being formed, reducing the need for insulin to metabolize glucose and lowering postprandial BG and insulin lvl.s.

Unlike traditional therapies that lower BG through increased insulin secretion, acarbose works by preventing the rise in blood sugar after meals, exerting an antihyperglycemic effect. As BG lvl.s drop, insulin secretion is reduced, leading to a decrease in hyperinsulinemia, which is commonly observed in prediabetic patients and those in the early stages of diabetes.[6] Over time, acarbose alleviates beta-cell stress, enhancing insulin production efficiency, evidenced by lower proinsulin concentrations compared to sulfonylurea treatment. Since proinsulin is linked to cardiovascular (CV) risk, acarbose may positively influence the long-term mortality of T2D patients. The delayed digestion of crab's also promotes glucagon-alike peptide-1 (GLP-1) secretion, an intestinal hormone that slows stomach emptying, drops glucagon secretion, and also regulates insulin release based on BG lvl.s.[6] This mechanism may explain why acarbose not only lowers postprandial but also fasting glucose lvl.s with long-term use. Importantly, acarbose can be safely joint with additional ADAs, enhancing therapeutic efficacy without increasing adverse effects.

Pharmacokinetics

Acarbose acts locally inside the gastrointestinal (GI) tract, where it is minimally absorbed into the bloodstream. Less than 2% of the active drug is absorbed systemically, and approximately 35% is absorbed as metabolites. After its metabolism by intestinal bacteria along with digestive enzymes, the drug is primarily eliminated through faces, with about 51% of an oral dose excreted in this manner.[7]

Metabolism

The gut microbiome is crucial in acarbose metabolism. Enzymes produced by gut bacteria degrade acarbose into various metabolites, like acrosine-glucose and glucose. Notably, human enzymes can modify acarbose, but gut bacteria exhibit the ability to further hydrolyse the drug, leading to resistance in some cases.

Administration and dosage

Acarbose is present in 25 mg, and 50 mg, along with 100 mg oral tablets, which ought to be taken thrice daily along with each meal's first bite. The initial recommended dosage is 25 mg, with titration every 4-8 weeks to achieve

optimal glycaemic control all the while minimizing GI side effects. For patients weighing under 60 kg, the maximum dose ought not to cross 50 mg thrice daily. Safety has not been established for paediatric, pregnant, or nursing patients, and the drug has not been studied in individuals having renal dysfunction (wherein serum creatinine >2.0 mg/dl).[8]

Drug interactions

Acarbose may drop the bioavailability of drugs like digoxin along with valproic acid. It may also raise the threat of hypoglycaemia when joint with other ADAs that cause low blood sugar, like sulfonylureas or insulin. Additionally, digestive enzymes (amylase, lipase, protease) can reduce acarbose's effectiveness.

CLINICAL EFFICACY OF ACARBOSE IN TYPE 1, TYPE 2, AND GESTATIONAL DIABETES

Acarbose is particularly beneficial in patients with T2D. Several clinical trials along with meta-analyses have demonstrated its efficacy in lowering postprandial BG and reducing HbA1c lvl.s. A meta-analysis of seven investigations reported a 35% drop in the threat of CV events among T2D patients using acarbose. It has also been shown to lower fasting glucose lvl.s over prolonged treatment periods, improving overall glycaemic control. Although primarily used for T2D, acarbose has shown efficacy in type 1 diabetes when combined with insulin therapy. It helps reduce postprandial glucose excursions, contributing to better overall glycaemic control. Clinical studies have shown reductions in HbA1c and postprandial glucose lvl.s in type 1 patients, making acarbose a valuable adjunctive therapy.

Acarbose has also been studied in the context of gestational diabetes mellitus (GDM). It has been established as a safe along with effective substitute to insulin and other oral hypoglycaemic agents in controlling postprandial hyperglycaemia in pregnant women. Studies have shown no significant differences in neonatal outcomes or birth weights between acarbose-treated mothers and those treated with insulin or glyburide, making it a viable option for GDM management. Acarbose has shown significant therapeutic efficacy as a monotherapy in managing glycaemic control in patients with T2DM.

Several controlled trials conducted in China have confirmed its efficacy, particularly in comparison with other anti-diabetic agents like vildagliptin, Nate glinide, and metformin. These studies demonstrated that acarbose effectively dropped HbA1c, and fasting plasma glucose, along with postprandial glucose lvl.s, with comparable efficacy to these drugs. Additionally, acarbose demonstrated a superior effect in reducing bodyweight when compared with vildagliptin and metformin in Chinese T2DM patients.[9] In a 24-week study Usman et al, involving 661 patients, acarbose (100 mg thrice daily) was compared with vildagliptin (twice daily) (50 mg). The reduction in fasting glucose (1.5±0.2 mmol/l for acarbose vs 1.2±0.1 mmol/l for vildagliptin) and HbA1c (1.4%±0.1% vs 1.3%±0.1%, respectively) was similar between the groups. However, acarbose led to a significant reduction in bodyweight (1.7±0.2 kg), compared to vildagliptin, which was largely weight-neutral (0.4±0.1 kg). Similarly, a 48-week study conducted by Joshi et al, 10 in 784 Chinese patients established acarbose had an efficacy comparable to metformin in dropping HbA1c lvl.s, with a greater reduction in bodyweight observed in the acarbose group (2.52 kg vs 1.89 kg for metformin) patient suffering from GDM. In short-term studies (2–9 weeks), acarbose was compared with Nate glinide, with similar effects on postprandial glucose control.

Nateglinide, an insulinotropic agent, increased insulin secretion during early-phase insulin release, while acarbose, by delaying carb. digestion and absorption, exerted its effects through a different mechanism. Though both drugs reduced postprandial glucose, Nate glinide had additional effects on free fatty acids (FFA) and insulin lvl.s that were not observed with acarbose.[11] In patients having impaired glucose tolerance (IGT), acarbose also demonstrated beneficial effects.

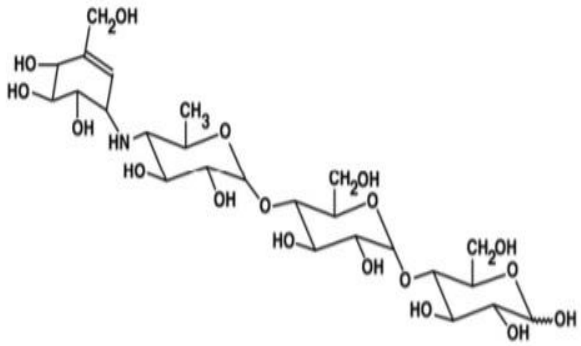

Figure 1: Acarbose chemical structure.[4]

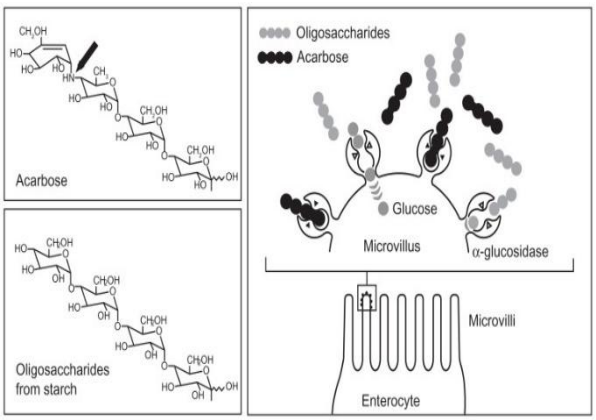

Figure 2: Acarbose mechanism of action: intestinal enzymatic hydrolysis of oligosaccharides' competitive inhibition.[5]

A study involving 252 Chinese patients showed that acarbose significantly reduced postprandial glucose, serum insulin concentrations, and triglyceride lvl.s, with greater weight loss compared to placebo.[12] Acarbose has also been found to increase fasting and postprandial GLP-1 lvl.s, further improving glycaemic control. Overall, acarbose is particularly effective in patients who consume an Eastern diet, which is higher in crab's, thus enhancing its hypoglycaemic effects. Its efficacy in glycaemic control is comparable to other widely used anti-diabetic agents, and it may offer additional benefits in terms of weight reduction, making it a valuable option in the treatment of T2DM.

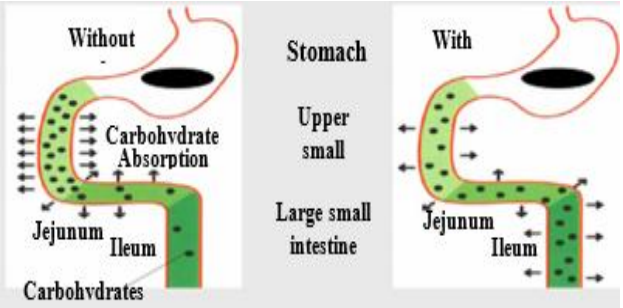

Figure 3: Acarbose acts non-systematically to delay carbohydrate absorption.[6]

ACARBOSE VS OTHER ANTIDIABETIC AGENTS: A COMPARATIVE ANALYSIS

In evaluating the significance of a medication for treating diabetes, it is crucial to compare it with other therapeutic agents that address the same condition (Table 1). Insulinotropic agents, particularly those belonging to the sulfonylurea class, have become an important and economically appealing option in diabetes management globally. These agents operate by binding to the sulfonylurea receptor 1 on the ATP-regulated potassium channel (KIR 6.2) located in beta cells. This interaction closes the potassium channel, resulting in depolarization of the cell membrane, which subsequently opens voltage-reliant calcium channels.

As calcium ions go into the cytoplasm, they promote insulin secretion, a process that is dose-dependent and occurs irrespective of BG concentrations, including during hyperglycaemia, normoglycemia, and hypoglycaemia. Consequently, sulfonylureas can induce hypoglycaemia, particularly in vulnerable populations like the elderly along with those having near-normal BG lvl.s or impaired renal function.[12] Hypoglycaemia triggers a protective hormonal mechanism involving increased adrenaline, noradrenaline, and glucagon lvl.s, which can lead to serious complications, including cardiac arrhythmias, and myocardial infarction, and hypertensive crises, along with stroke. This scenario is particularly concerning in elderly patients and long-run diabetics, explaining the elevated incidence of undetected CV deaths linked to treatments involving sulfonylureas, glinides, and insulin.

Moreover, sulfonylureas may have adverse CV effects, such as arrhythmias due to binding with smooth muscle and heart muscle. To date, evidence from studies like the UKPDS indicates that these agents do not demonstrate a reduction in significant CV events over the long term, with only retinopathy being positively impacted.[11] In comparison, Acarbose exhibits a distinct mechanism of action, focusing on inhibiting digestive enzymes in the SI, thereby reducing carb. absorption. As depicted in Table 1, Acarbose demonstrates various advantages and disadvantages when compared to other ADAs, such as sulfonylureas and metformin. For instance, while sulfonylureas often result in weight gain and increased incidence of hypoglycaemia, Acarbose does not contribute to weight gain and has a lower hypoglycaemia threat when utilised as monotherapy.

Table 1: Comparative overview of antidiabetic therapies.[12]

Treatment	Site of action	Body weight	Hypoglycaemia (Monotherapy)	Long-term efficacy	Gastrointestinal side effects	Safety (related diseases)	Mean reduction in HbA1c
Acarbose	CH-digestive enzymes, small intestine	−(↓)	−	+	+	−	−1%
Sulfonylurea	Beta cells	↑	↑	−	−		−1.25%
Glinides	Beta cells	↑	↑	−	−	?	−0.75%
Metformin	Insulin sensitivity, Liver, peripheral tissues	−	−	−	+	−	−1%
Gliptins	DPP-4 enzymes, Plasma, peripheral tissues	−(↓)	−	?	(+)	(+)	−0.75%
Pioglitazone	PPAR-gamma receptor, Cell nucleus	↑	−	(+)	−	+	−1%

Table 2: Comparison of effects of acarbose and other anti-diabetic agents.

Study	Duration (weeks)	Drugs/comparators	Patients (N)	Main outcomes	Other outcomes
Zhang et al[13]	24	Acarbose/Vildagliptin	441/220	Decrease in HbA1c and fasting plasma glucose similar in both groups	Bodyweight decreased more in the acarbose group than in the vildagliptin group
Gu et al[9]	9	Acarbose/Nateglinide	16/16	Postprandial glucose decreased similarly in both groups	Nateglinide significantly increased postprandial insulin release and decreased FFA concentrations
Moelansa et al[15]	2	Acarbose/Nateglinide	52/51	AUCpp and IGP decreased similarly in both groups	Both treatment groups significantly improved intra- and inter-day glycaemic excursions
Hedrington et al[16]	48	Acarbose/Metformin	391/393	HbA1c decreased similarly in both groups	Bodyweight decreased more in the acarbose group than in the metformin group

However, Acarbose may have GI side effects, impacting patient compliance. Furthermore, studies have indicated that sitagliptin and vildagliptin, which also target insulin secretion but through different mechanisms, have shown comparable efficacy and safety profiles with Acarbose. These treatments have been associated with certain adverse reactions, raising further concerns about patient safety and compliance in managing T2D. In summary, while Acarbose and other ADAs have demonstrated efficacy in controlling BG lvl.s in T2D patients, it is essential to consider their unique mechanisms, potential side effects, and the long-term implications on patient health when making treatment decisions.

COMBINATION THERAPY

Combination therapy using acarbose has emerged as an effective strategy for managing T2DM, particularly as an add-on to existing treatments like metformin and insulin. Acarbose, an alpha-glucosidase inhibitor, slows carb. absorption in the intestine, thereby reducing postprandial BG lvl.s.[13] This mechanism makes it a valuable adjunctive treatment in various therapeutic regimens. Following table 2 demonstrate effect of acarbose and other anti-diabetic drugs used in management of glycaemic control.

ACARBOSE VS. VILDAGLIPTIN: COMPARISON IN DIABETES MANAGEMENT

Acarbose, an alpha-glucosidase inhibitor, works by delaying carbohydrate metabolism in the small intestine, slowing glucose absorption and dropping postprandial blood glucose levels while not stimulating insulin secretion of the unlike vildagliptin, DPP-4 inhibitor, incretin hormones GLP-1 and GIP. This dual action of vildagliptin in increasing activity, hiking insulin secretion, and dropping glucagon secretion in the glucose-dependent approach to provide complete glycaemic control, thereby effectively dropping fasting along with postprandial blood glucose levels Acarbose effectively drops the postprandial hike in blood glucose, leading to a decrease in HbA1c levels over time, but its effect on fasting blood glucose is minimal.[21]

Studies typically show a reduction in HbA1c of about 0.5% to 1.0% when acarbose is used alone or in combination with other therapies. In comparison, vildagliptin offers a more advanced approach to glycaemic control, typically reducing HbA1c by about 0.7% to 1.0% by targeting fasting and postprandial glucose levels. Acarbose is commonly known to cause gastrointestinal side effects, counting diarrhoea, abdominal pain, vomiting, along with diarrhoea. Said effects are due to the way he delays carbohydrate digestion, causing inflammation in the gut.

Fortunately, these symptoms are usually temporary and may improve over time as the dose is gradually increased. In contrast, vildagliptin is associated with fewer gastrointestinal side effects and generally offers better safety. While it is well-tolerated by most patients, rare adverse effects may occur, including mild headaches, dizziness, and joint pain. Additionally, there have been rare cases where DPP-4 inhibitors, including vildagliptin, have been linked to pancreatitis.[22] Acarbose is not linked

to weight gain and also does not carry the risk of hypoglycaemia, as it does not directly affect insulin levels, making it ideal for patients aiming to avoid weight gain and sugar low incidence. Similarly, vildagliptin is not weight-bearing and generally hypoglycaemic unless used in conjunction with insulin-producing agents such as sulfonylureas these features make vildagliptin appropriate for respectable patients them as being at risk for weight loss and hypoglycaemia, making it increasingly appealing as a balanced alternative to glycaemic control therapy with minimal systemic impact.

However, its use may be limited by gastrointestinal side effects that are difficult for some patients to tolerate, making dependence likely. In contrast, vildagliptin is more appropriate for individuals who require greater glycaemic control throughout the day, as its DPP-4 inhibition results in a dual action on fasting and postprandial glucose levels and better tolerability makes vildagliptin ideal for patients who cannot manage its acarbose-related gastrointestinal side effects, increasing its versatility in a wider range of patients.[23]

While both acarbose and vildagliptin are effective in managing blood glucose levels, acarbose is more specific to postprandial hyperglycaemia, while vildagliptin provides a broader glycaemic effect. Acarbose may lead to gastrointestinal side effects, whereas vildagliptin is typically well-tolerated with mild, rare side effects. The choice between these medications often depends on the patient's blood glucose patterns, tolerance to side effects, and need for fasting versus postprandial control.

Indications for Acarbose

Acarbose is primarily indicated for the management of T2DM in adults as an adjunct to diet along with exercise per the patient's health status. It is intended to boost glycaemic control within patients who may not achieve sufficient control with diet and exercise alone 14. In clinical studies, acarbose has demonstrated significant reductions in glycosylated haemoglobin (HbA1c) lvl.s. Data from six placebo-controlled trials revealed the following average reductions in HbA1c based on different dosages of acarbose taken three times daily. 25 mg: Reduction of 0.44%, 50 mg: Reduction of 0.77%, 100 mg: Reduction of 0.74%, 200 mg: Reduction of 0.86%, 300 mg: Reduction of 1.00%.

While the 300 mg dose shows the most significant reduction, the FDA-approved max. daily dose is 100 mg thrice daily. Notably, no statistically noteworthy variance exists in HbA1c drop among the 50 mg, 100 mg, and 200 mg regimens. In addition, research has indicated that acarbose, when utilised with metformin or other antidiabetic medications, may provide enhanced glycaemic control. For example, studies suggest that patients taking metformin along with acarbose or other agents were more favoured to survive COVID-19-induced hospitalization.

Off-label uses

Type 1 diabetes

While not FDA-sanctioned for this condition, acarbose has shown promise in studies as an adjunct therapy to insulin, significantly reducing postprandial glucose lvl.s without increasing hypoglycaemic episodes of form.

Prediabetes

Although not officially indicated, acarbose has been investigated for its potential to delay the progression from prediabetes to T2DM, with some studies indicating a significant reduction in conversion rates.

Weight loss

Research indicates that acarbose can lead to weight loss independent of baseline weight, alongside improvements in glucose control.

Aging and other conditions

Some studies suggest acarbose may have anti-aging effects and potential benefits in conditions like polycystic ovarian syndrome and ischemic stroke, although these are not FDA-approved indications.

Contraindications for Acarbose

Acarbose is contraindicated in specific patient populations due to potential adverse effects and risks associated with its use.[16] These include.

Hypersensitivity

Known allergy to acarbose or any of its components.

Diabetic ketoacidosis

Acarbose is not suitable for patients experiencing diabetic ketoacidosis due to the need for rapid glucose control.

Liver cirrhosis

Patients with liver cirrhosis should avoid acarbose as it may exacerbate liver function impairment.

Inflammatory bowel disease

Patients having conditions like Crohn's disease or ulcerative colitis are at risk for exacerbation of their disease with acarbose use.

Colonic ulceration

This medication may worsen the condition of patients with existing colonic ulcerations.

Intestinal obstruction

Acarbose should not be used in patients with known or suspected intestinal obstruction or even those predisposed to it.

Chronic intestinal diseases

Patients having chronic intestinal conditions affecting digestion or absorption may experience adverse effects, as acarbose can increase gas production.

SAFETY AND SIDE EFFECTS PROFILE OF ACARBOSE IN DIABETES MANAGEMENT

Clinical and surveillance investigations indicate that acarbose treatment is related to very few serious side effects. The most commonly reported adverse effects are mild to moderate GI disorders, including flatulence, and abdominal distension, and diarrhoea, along with dyspepsia.

These symptoms typically stem from the fermentation of undigested crabs by colonic bacteria within the large intestine and often diminish as treatment progresses, possibly owing to risen α-glucosidase action within SI's lower segments. When acarbose is initiated at low doses and gradually increased, GI disturbances are usually transient and manageable.[17] After oral administration, acarbose is absorbed right into systemic circulation to a minimal extent (less than 2%), resulting in a low likelihood of systemic side effects. In fact, clinical studies have not reported any systemic adverse effects.[18] There were no noteworthy variances observed in complete blood counts, urinalysis, or blood chemistry values across time.

Acarbose has been well tolerated regardless of patient age, pre-existing conditions, or the presence of multiple concomitant ailments. Clinical trials consistently indicate that acarbose is well tolerated, with an acceptable adverse experience profile. For instance, a regimen of 100 mg three times daily, whether alone or in combination with other ADAs, has proven safe and well tolerated in Chinese patients having T2D.[19] Furthermore, the absence of weight gain along with hypoglycaemia related to acarbose is a critical consideration when selecting appropriate therapy for individual patients.

No indications of CV harm were observed during acarbose therapy, along with systemic adverse effects remain exceedingly rare, as evidenced by extensive trial data and global clinical experience. This favourable safety profile is largely attributed to its localized action in the GI tract and very low systemic availability. Notably, a prospective, 5-year post-marketing surveillance study involving 1,996 patients revealed no severe or even fatal adverse events. In another double-blind study with 1,429 participants who had impaired glucose tolerance, those treated with acarbose for 3 to 5 years exhibited a similar incidence of adverse events compared to the placebo group, with no serious adverse events reported.[20]

While very rare instances of reversible hikes in liver transaminases were documented in the initial decade following acarbose's approval, these instances were not significantly different from placebo in clinical explorations, with only 19 individual instances reported among 500,000 patients. Importantly, hikes in transaminases were not noted throughout treatment for impaired glucose tolerance.

Research on the utilisation of acarbose within diabetic patients having elevated liver enzyme action consistently demonstrates an improvement for chronic liver disease. Overall, findings from large-scale, controlled trials, along with post-marketing experience, underscore that acarbose is among the safest ADAs available, whether utilised as monotherapy or along with other medications.

FUTURE DIRECTIONS FOR ACARBOSE IN DIABETES TREATMENT: EMERGING TRENDS AND RESEARCH

As the understanding of diabetes management evolves, acarbose continues to play a significant role in glycaemic control, particularly for patients with T2D. Emerging trends and ongoing research are exploring new avenues for acarbose use, highlighting its potential benefits and expanding its therapeutic applications.

Combination therapies

Research is increasingly focused on the effectiveness of acarbose with various other ADAs. Studies are investigating its synergistic effects with newer medication classes, like GLP-1 receptor agonists along with sodium-glucose cotransporter-2 (SGLT-2) inhibitors. These combinations could enhance glycaemic control while minimizing adverse effects, like weight gain or even hypoglycaemia, which are often associated with traditional therapies.

Weight management

With the rising prevalence of obesity among diabetes patients, acarbose's role in weight management is garnering attention. Research is being conducted to assess its effectiveness in promoting weight loss or preventing weight gain, especially when used in conjunction with lifestyle interventions. This could provide a dual benefit of improved glycaemic control and enhanced metabolic health.

Long-term outcomes

As more long-term data become available, future instigations will likely concentrate on the sustained influence of acarbose therapy on CV outcomes and overall mortality. Given its positive safety profile and low risk of

systemic side effects, acarbose may emerge as a valuable component of long-term diabetes management strategies.

CONCLUSION

In conclusion, acarbose demonstrates significant potential as an operative therapeutic agent for managing Glycaemic index within patients having T2DM. By inhibiting the breakdown of crabs in the SI, acarbose facilitates a gradual absorption of glucose, helping to stabilize blood sugar lvl.s and improve overall glycaemic control. The evidence from clinical trials supports its role in reducing HbA1c lvl.s and enhancing patient outcomes, particularly when combined with other antidiabetic medications.

While mild GI side effects may occur, they are generally transient and do not outweigh the benefits of treatment. Given the rising ubiquity of diabetes along with its associated complications, incorporation of acarbose into diabetes management strategies is crucial. Future research should continue to explore its long-term effects, optimal combinations with other therapies, and its broader applicability across diverse patient populations.

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REFERENCES

1. Roglic, G. WHO Global report on diabetes: A summary. Int J Noncommon Dis. 2016;1:3.
2. Usman B, Sharma N, Satija S, Mehta M, Recent developments in alpha-glucosidase inhibitors for management of type-2 diabetes: An update. Current Pharmaceutical Des. 2019;25(23):2510-25.
3. McIver LA, Preuss CV, Tripp J. Acarbose. InStatPearls. StatPearls Publishing.
4. Uuh Narvaez JJ, Segura Campos MR. Combination therapy of bioactive compounds with acarbose: A proposal to control hyperglycaemia in type 2 diabetes. J Food Biochem. 2022;46(10):14268.
5. DiNicolantonio JJ, Bhutani J, O'Keefe JH. Acarbose: safe and effective for lowering postprandial hyperglycaemia and improving cardiovascular outcomes. Open heart. 2015;2(1):327.
6. He K, Shi JC, Mao XM. Safety and efficacy of acarbose in the treatment of diabetes in Chinese patients. Ther Clin Risk Manag. 2014;10:505-11.
7. Ni M, Hu X, Gong D, Zhang G. Inhibitory mechanism of vitexin on α-glucosidase and its synergy with acarbose. Food Hydrocolloids. 2020;105:105824.
8. Villarreal-Rodriguez JA, Adame LM, Maldonado-Sanchez J. A randomized controlled trial comparing acarbose vs. insulin therapy for gestational diabetes in individuals with inadequate glycaemic control by diet alone. Clin Exp Obst & Gynaecol. 2020;47(4):552-5.
9. Gu S, Shi J, Tang Z, Sawhney M, Hu H, Shi L, Fonseca V, Dong H. Comparison of glucose lowering effect of metformin and acarbose in type 2 diabetes mellitus: a meta-analysis. PLoS One. 2015;10(5):126704.
10. Joshi SR, Stand E, Tong N, Shah P, Kalra S, Rathod R. Therapeutic potential of α-glucosidase inhibitors in type 2 diabetes mellitus: an evidence-based review. Expert Opinion on Pharma. 2015;16(13):1959-81.
11. Shi L, Zhu J, Yang P, Tang X, Yu W, Pan C. Comparison of exenatide and acarbose on intra-abdominal fat content in patients with obesity and type-2 diabetes: a randomized controlled trial. Obesity Res & Clin Prac. 2017;11(5):607-15.
12. Haddad F, Dokmak G, Bader M, Karaman R. A comprehensive review on weight loss associated with anti-diabetic medications. Life. 2023;13(4):1012.
13. Zhang R, Zhao Q, Li R. Predictors of acarbose therapeutic efficacy in newly diagnosed type 2 diabetes mellitus patients in China. BMC Pharmocol Toxicol. 2022;23:79.
14. Wu H, Liu J, Lou Q, Liu J, Shen L, Zhang M, et al. Comparative assessment of the efficacy and safety of acarbose and metformin combined with premixed insulin in patients with type 2 diabetes mellitus. Medicine. 2017;96(35):7533.
15. Moelands SV, Lucassen PL, Akkermans RP, "Alpha-glucosidase inhibitors for prevention or delay of type 2 diabetes mellitus and its associated complications in people at increased risk of developing type 2 diabetes mellitus". The Cochrane Database of Systematic Reviews. 2018;2(12):5061.
16. Hedrington MS, Davis SN. "Considerations when using alpha-glucosidase inhibitors in the treatment of type 2 diabetes". Expert Opin on Pharmaco. 2019;20(18):2229–35.
17. Miyazaki T, Park EY. "Structure-function analysis of silkworm sucrose hydrolase uncovers the mechanism of substrate specificity in GH13 subfamily 17 exo-α-glucosidases". J of Biol Chem. 2020;295(26):8784–97.
18. Yousefi M, Fateh ST, Nikbaf-Shandiz M, Gholami F, Rastgoo S, Bagher R, et al. The effect of acarbose on lipid profiles in adults: a systematic review and meta-analysis of randomized clinical trials. BMC Pharmacol and Toxicol. 2023;24(1):65.
19. Padhi S, Nayak AK, Behera A. Type II diabetes mellitus: a review on recent drug based therapeutics. Biomedicine & Pharmacotherapy. 2020;131:110708.
20. McCarty MF, DiNicolantonio JJ. Carbohydrate, and prebiotics promote metabolic health and longevity by stimulating intestinal production of GLP-1. Open Heart 2015;2:205.
21. Pan C, Yang W, Barona JP, Wang Y, Niggli M, Mohideen P, et al. Comparison of vildagliptin and acarbose monotherapy in patients with Type 2 diabetes: a 24-week, double-blind, randomized trial. Diabetes Med. 2008;25(4):435-41.
22. Wang Z, Wang J, Hu J, Chen Y, Dong B, Wang Y. A comparative study of acarbose, vildagliptin and saxagliptin intended for better efficacy and safety on type 2 diabetes mellitus treatment. Life Sci. 2021;274:119069.

23. Wang Z, Wang J, Hu J, Chen Y, Dong B, Wang Y. A comparative study of acarbose, vildagliptin and saxagliptin intended for better efficacy and safety on type 2 diabetes mellitus treatment. Life Sci. 2021;274:119069.

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