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MEDICINAL CHEMISTRY - II

UNIT 5

TOPIC :

- **Glucosidase inhibitors :** Acrabose,Voglibose.

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α-Glucosidase Inhibitors

- α-Glucosidase inhibitors (AGIs) are oral antidiabetic agents used in Type 2 Diabetes Mellitus (T2DM).
- They reduce postprandial hyperglycemia by delaying the digestion of carbohydrates in the intestine.
- Commonly used in combination with other antidiabetic agents for better glycemic control.

Examples

Drug	Notes
Acarbose	Widely used, potent intestinal α-glucosidase inhibitor
Miglitol	Similar to acarbose but more rapidly absorbed
Voglibose	Used in some countries, less potent than acarbose

Mechanism of Action

1. Inhibit α-glucosidase enzymes in the brush border of small intestine.
2. Delay carbohydrate hydrolysis → slower glucose absorption.
3. Reduces postprandial blood glucose spikes.
4. No effect on insulin secretion or fasting glucose levels.

Clinical Uses

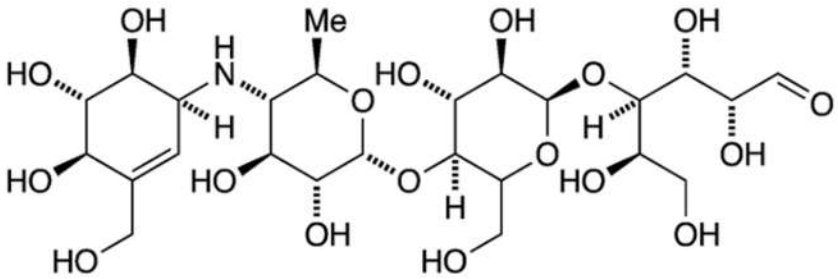
- Type 2 Diabetes Mellitus: Especially for postprandial hyperglycemia
- Combination therapy: With Metformin, Sulfonylureas, or Insulin
- Prediabetes: May delay progression to diabetes in high-risk individuals

Acarbose

- **Acarbose** is an **oral antihyperglycemic (antidiabetic) drug** used in the management of **Type 2 diabetes mellitus**.
- It belongs to the **α-glucosidase inhibitor** class of drugs.
- It is a **complex oligosaccharide** that delays the digestion and absorption of carbohydrates in the small intestine.
- Acarbose helps in **reducing postprandial (after meal) blood glucose spikes**.
- It does **not stimulate insulin secretion** and therefore does not cause hypoglycemia when used alone.
- Usually used as an **adjunct to diet, exercise, and other oral hypoglycemics** (e.g., metformin or sulfonylureas).

Chemical Structure

- **Chemical Name:** O-4,6-dideoxy-4-[[[(1S,4R,5S,6S)-4,5,6-trihydroxy-3-(hydroxymethyl)-2-cyclohexen-1-yl]amino]methyl]-α-D-glucopyranosyl-(1→4)-O-α-D-glucopyranosyl-(1→4)-D-glucose
- **Molecular Formula:** $C_{25}H_{43}NO_{18}$
- **Molecular Weight:** 645.6 g/mol
- **Chemical Class:** Pseudotetrasaccharide; α-glucosidase inhibitor
- **Structural**



Mechanism of Action

- **Target:** Intestinal brush border **α-glucosidase enzymes** and **pancreatic α-amylase**

Stepwise Mechanism:

1. After oral administration, acarbose remains in the **intestinal lumen** (not absorbed systemically).
2. It **competitively inhibits α-glucosidase enzymes** in the intestinal brush border.
3. These enzymes are responsible for converting complex carbohydrates and disaccharides (like maltose, sucrose) into glucose.
4. Inhibition delays the breakdown of carbohydrates → **slower glucose absorption** into the bloodstream.
5. This leads to **reduced postprandial blood glucose levels**.
6. **Pancreatic α-amylase inhibition** further slows starch hydrolysis.

Synthesis

The synthesis of Acarbose is **complex** and primarily **biotechnological**, involving **fermentation** by *Actinoplanes sp.* (a soil microorganism).

Steps Summary:

1. **Fermentation:** Acarbose is produced by *Actinoplanes utahensis* through microbial fermentation.
2. **Isolation:** The compound is extracted from the culture medium.
3. **Purification:** Carried out by crystallization and chromatographic techniques.

Uses

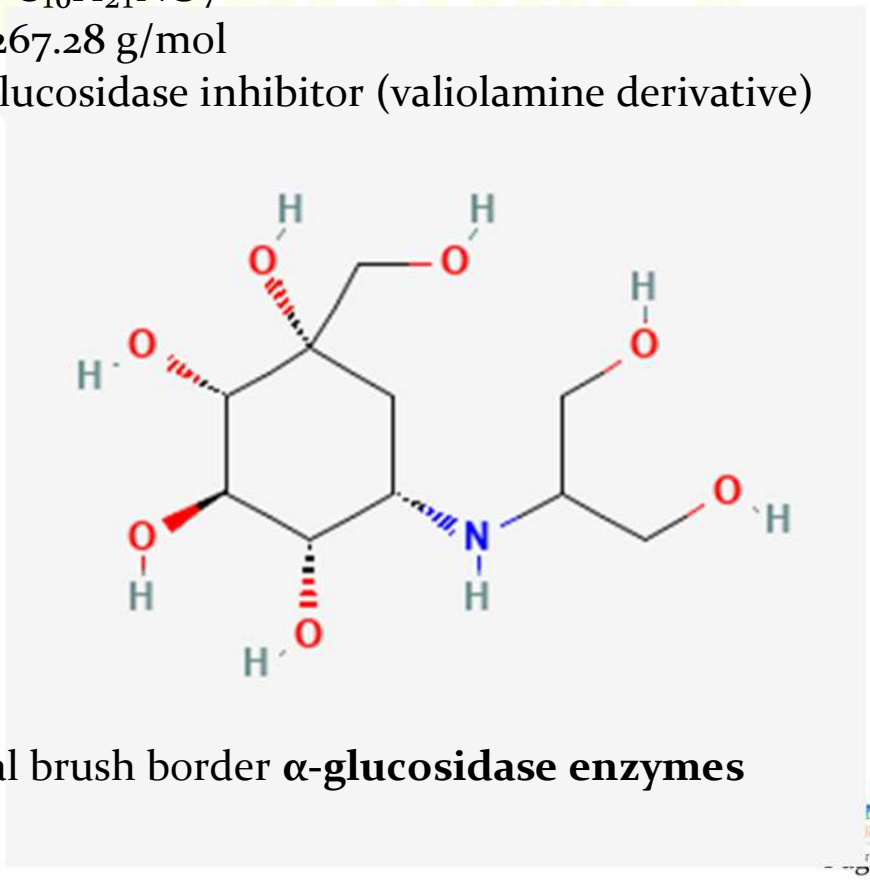
- **Therapeutic Uses:**
    1. Treatment of **Type 2 Diabetes Mellitus** (as monotherapy or in combination).
    2. Reduces **postprandial hyperglycemia**.
    3. Sometimes used in **prediabetes** to prevent progression to diabetes.

Voglibose

- **Voglibose** is an **oral antihyperglycemic drug** belonging to the **α-glucosidase inhibitor** class.
- It is mainly used for the **management of Type 2 diabetes mellitus**, either alone or in combination with other antidiabetic drugs.
- Voglibose acts **locally in the intestine** to delay the absorption of carbohydrates.
- It is a **derivative of valiolamine**, obtained from microbial sources.
- The drug is particularly effective in **controlling postprandial (after-meal) hyperglycemia**.
- It does not stimulate insulin secretion, and hence, it has a **very low risk of hypoglycemia**.

Chemical Structure

- **Chemical Name:** (1S,2S,3R,4S,5S)-5-[1,3-dihydroxypropan-2-ylamino]-1-(hydroxymethyl)cyclohexane-1,2,3,4-tetraol
- **Molecular Formula:** $C_{10}H_{21}NO_7$
- **Molecular Weight:** 267.28 g/mol
- **Chemical Class:** α-Glucosidase inhibitor (valiolamine derivative)
- **Structural**



Mechanism of Action

- **Target Site:** Intestinal brush border **α-glucosidase enzymes**

Stepwise Mechanism:

1. After oral intake, Voglibose remains in the **lumen of the small intestine** (minimally absorbed).
2. It **competitively inhibits α-glucosidase enzymes**, such as sucrase, maltase, and isomaltase.
3. These enzymes normally break down complex carbohydrates and disaccharides into absorbable glucose.
4. Inhibition by Voglibose delays this breakdown → **slower glucose absorption** into the bloodstream.
5. Result: **Reduced postprandial blood glucose spikes** and improved glycemic control.

Synthesis

- **Source:** Voglibose is **semi-synthetically derived** from **valiolamine**, which is obtained by fermentation of microorganisms like *Streptomyces hygroscopicus*.

Steps:

1. **Fermentation:** Microbial production of **valiolamine** (a cyclitol amine compound).
2. **Chemical modification:** Introduction of **hydroxyl and amino groups** to increase α-glucosidase affinity.
3. **Purification:** Crystallization and isolation to yield pure Voglibose.

Uses

- **Therapeutic Uses:**
    1. **Type 2 Diabetes Mellitus:** To control **postprandial hyperglycemia**.
    2. As **adjunct therapy** with sulfonylureas, metformin, or insulin.
    3. In **prediabetic conditions** (Impaired Glucose Tolerance) to prevent diabetes onset.