pharmacognosy

3rd stage/1stterm

Glycosides

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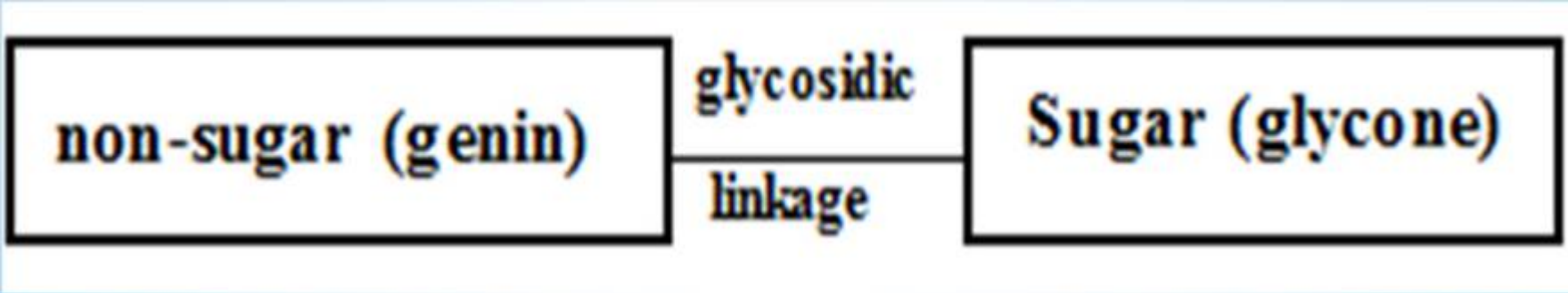
Lec .3

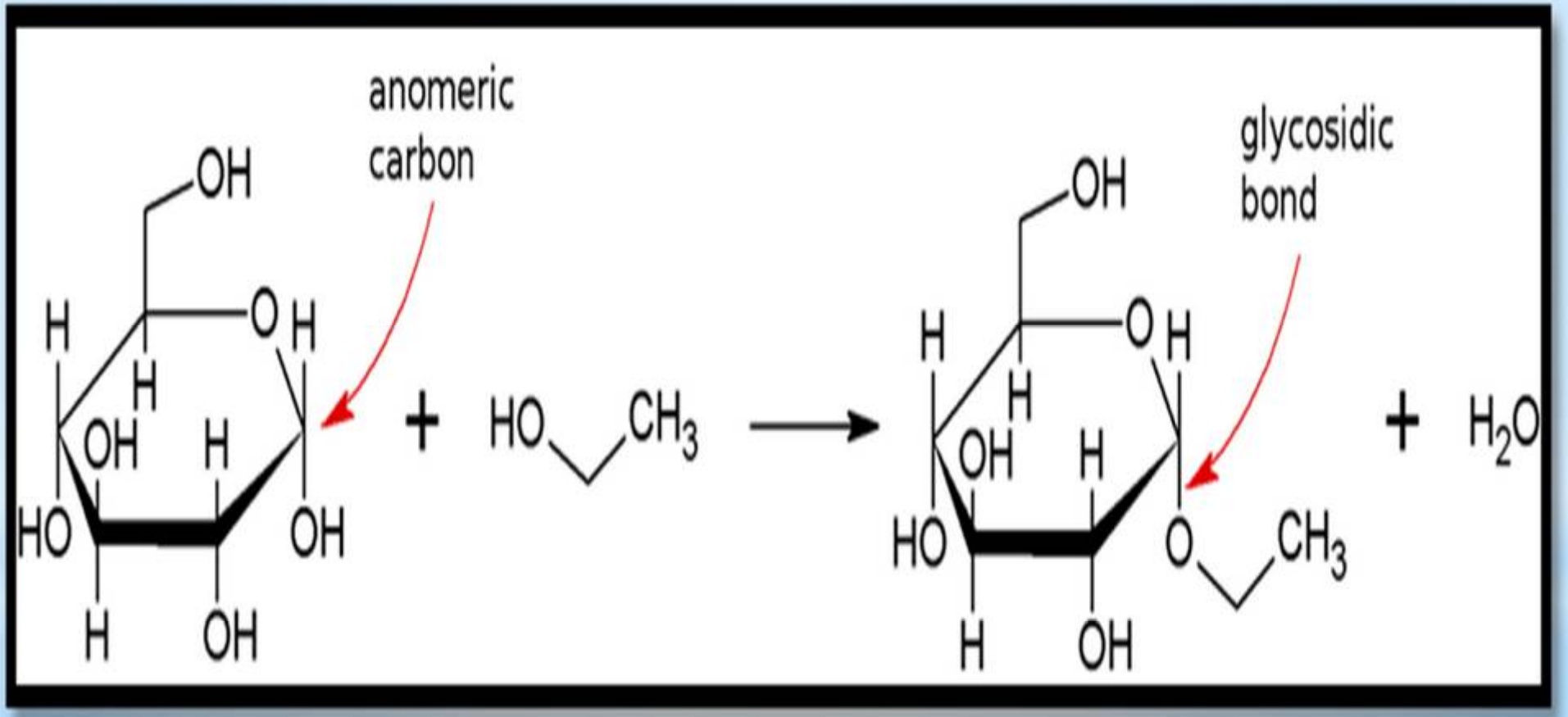
Glycosides

Glycosides are an organic compounds, usually of plant origin, that composed of **a sugar molecule** (such as glucose, fructose, ribose, etc.) and **non-sugar molecule** bonded via a glycosidic bond.

Glycosides are composed of two portions:

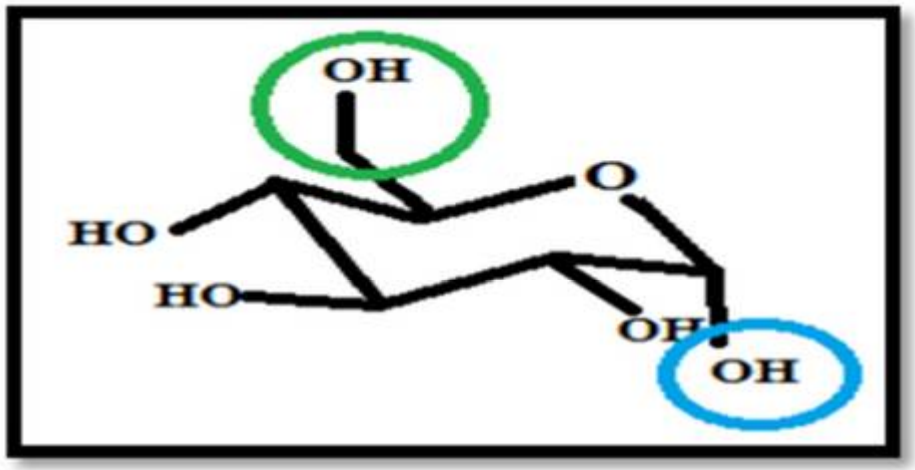
- **Glycone** portion that refer to the sugar part of the glycoside. The sugar component of glycosides may be mono, di, tri or tetra saccharides.
- **Aglycone** (genin) portion that refer to the non-sugar part of glycoside.



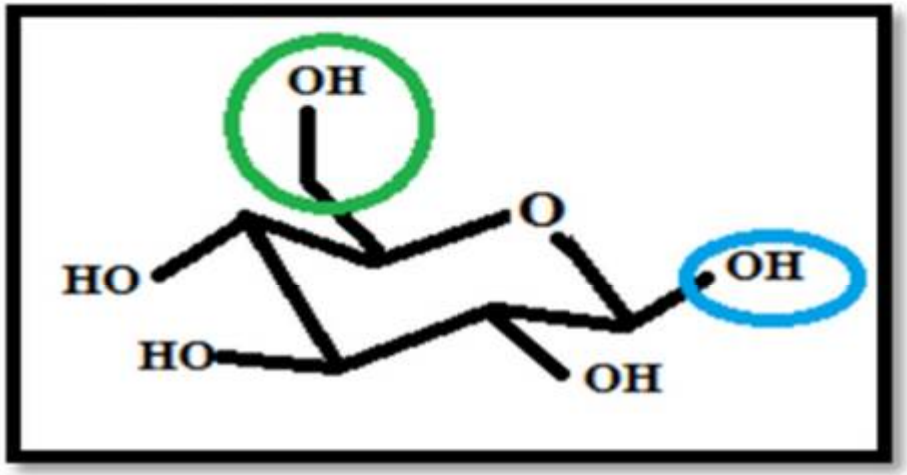

anomeric
carbon
OH
O
H
HO
CH3
glycosidic
bond
H2O

Alpha and beta glycosides

- Sugars in glycosides exist in **isomeric α and β** forms so both α and β glycosides are theoretically possible.
- The two diastereoisomers differ in configuration about the anomeric carbon (C-1) can exist α and β.
- If the hydroxyl group on the anomeric carbon is **down** in relation to the cyclic structure, it is **α anomer** while if the hydroxyl group on the anomeric carbon is **up** in relation to the cyclic structure, it is **β anomer.**



α anomer

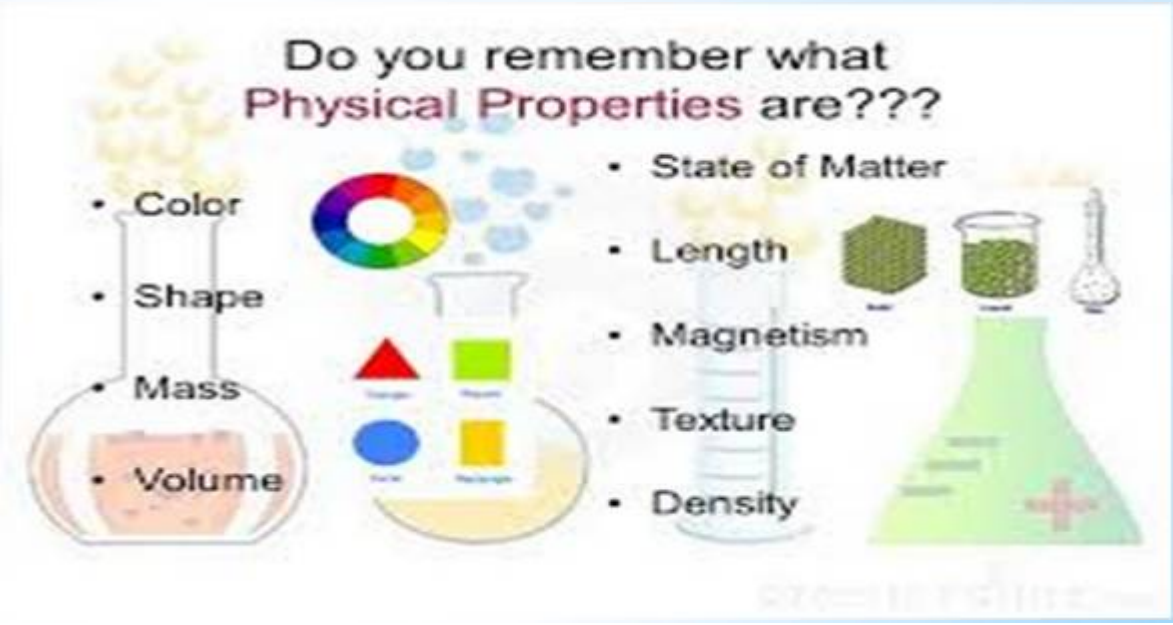


β anomer

Physical and chemical properties of glycosides

1. Solubility:

- Most glycosides are soluble in water or hydroalcoholic solutions and insoluble or less soluble in non-polar organic solvents, because the solubility properties of the sugar residues exert a considerable effect i.e. sugar moiety increases water solubility.
- The aglycon part is soluble in non-polar (organic) solvents like benzene, ether and chloroform.



2. Stability and hydrolytic cleavage:

A. Acids and alkali: Glycosides can be hydrolyzed by heating with a dilute acid where by the glycosidic linkages are cleaved, while glycosides are relatively stable towards alkalis.

B. Enzyme hydrolysis:

- Enzymatic hydrolysis is specific for each glycoside there is a specific enzyme that exerts a hydrolytic action on it.
- The same enzyme is capable to hydrolyze different glycosides, but α and β stereo-isomers of the same glycoside are usually not hydrolyzed by the same enzyme.
- **Emulsin** is found to hydrolysed most **β-glycoside** linkages while **maltase and invertase** are α-glycosidases, capable of hydrolyzing **α-glycosides only.**

3. Shape, color, taste and odor

A. Shape: Glycosides are solid, amorphous and non volatile.

B. Color: Glycosides are colourless except flavonoids are yellow and anthraquinones are red or orange. Glycosides give +ve reaction with Fehling's solution test (after hydrolysis).

C. Taste: Most of glycosides are bitter taste.

D. Odor: Glycosides are odorless except saponin (glycyrrhizin).

Importance of Glycosides

1. **Glycosides play an important role in the life of the plant and are involved in different functions.**

It serve as:

A.As sugar reserves

B.As waste products of plant metabolism

C.As a mean of detoxification

D. To regulate osmosis

E. To regulate the supply of substances of importance in metabolism

F. Has a role of defense against the invasion to the tissues by microorganism some pointed out that aglycones are antiseptics and hence are bactericidal in nature

2. Many therapeutic agents are derived from glycosides. In fact, the group contributes to almost every therapeutic class.

A. Some of our most valuable cardiac glycosides from digitalis, strophanthus, squill and others.

B. Laxative drugs, such as senna, aloe, rhubarb, cascara sagrada, and frangula, contain emodin and other anthraquinone glycosides;

C. Sinigrin, a glycoside from black mustard, yields allyl isothiocyanate, a powerful local irritant.

Senna

Digitalis

Classification of Glycosides

A. According to the type of glycosidic linkage:

1. α-glycosides (α sugar)

2. β-glycosides (β sugar)

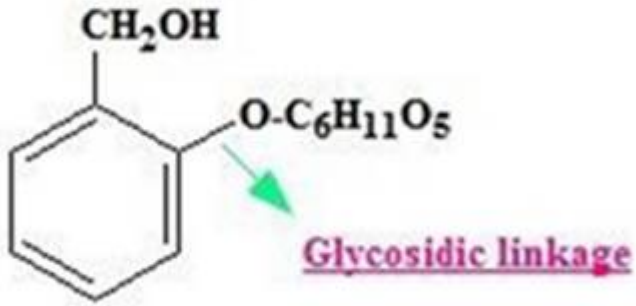
B. According to the chemical group of the aglycon involved in the formation of glycoside linkage.

1. Aglycone-O-Sugar: O-glycosides (OH-group): eg. Senna and rhubarb

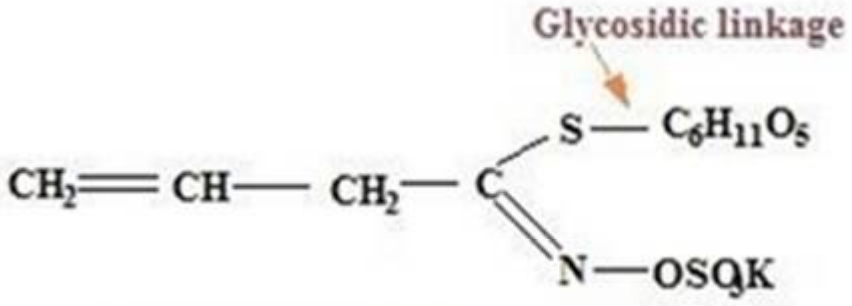
2. Aglycone-C-Sugar: C-glycosides (C-group): eg. Cascaroside from cascara

3. Aglycone-S-Sugar: S-glycosides (SH-group):eg. Sinigrin from black mustard

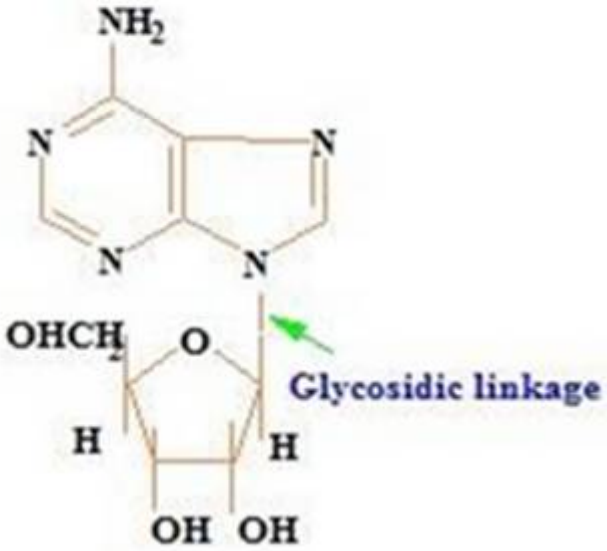
4. Aglycone- N-Sugar: N-glycosides (NH- group): eg. glycoalkaloid



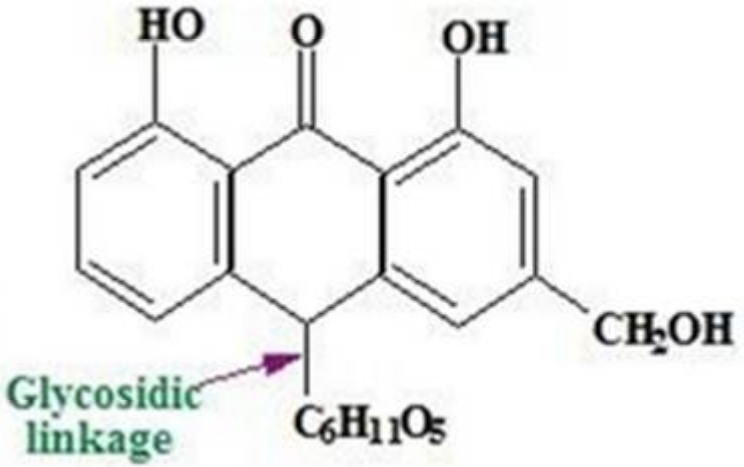
O-glycoside



S-glycoside



N-glycoside



C-glycoside

Types of glycoside based on the Glycosidic bond

C. According to the chemical nature of the aglycon:

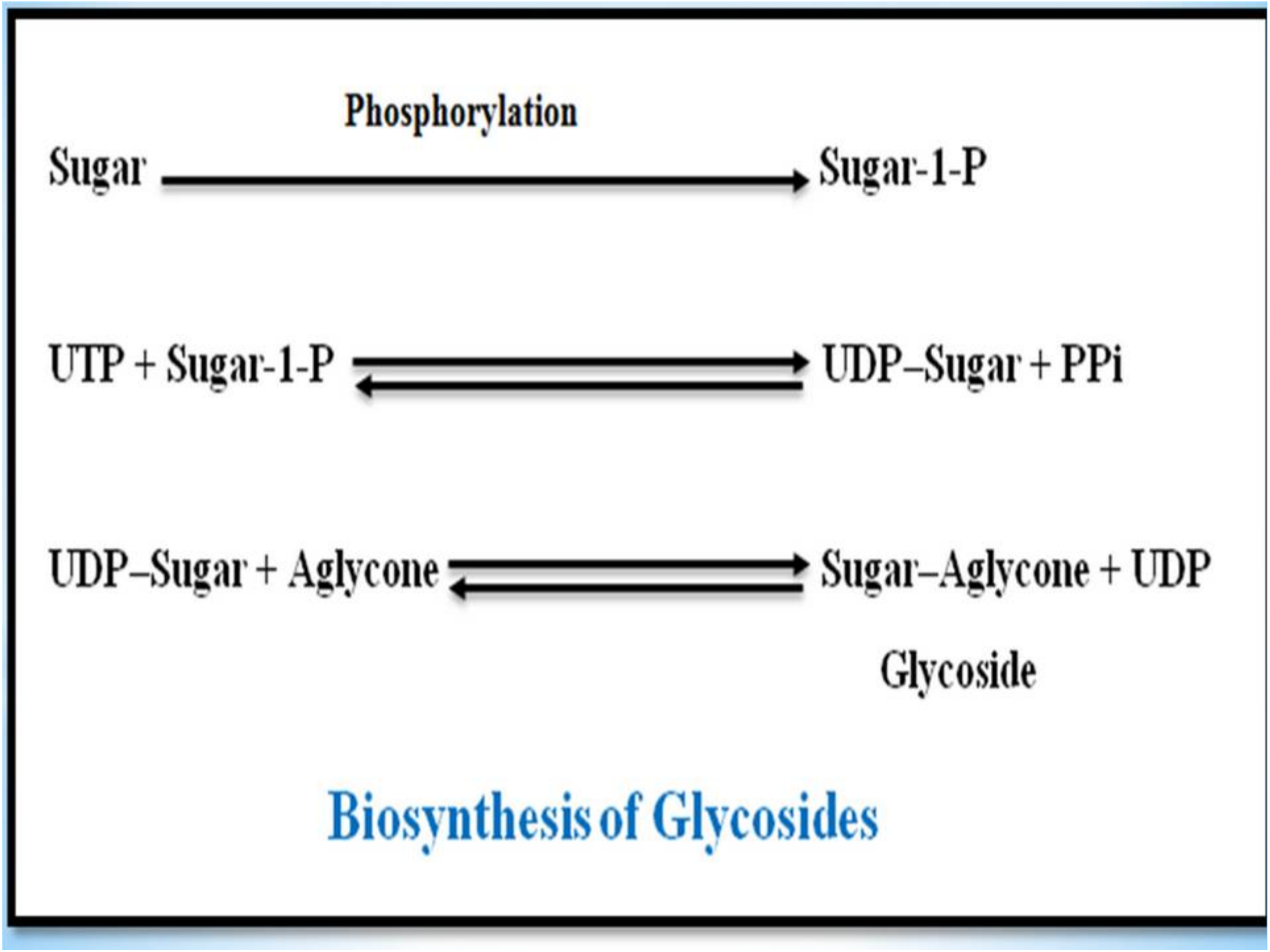
1. Cardioactive group
2. Anthraquinone group
3. Saponin group
4. Cyanophore group
5. Isothiocyanate group
6. Flavonol group
7. Alcohol group
8. Aldehyde group
9. Phenol group

D. According to the nature of the simple sugar component of the glycoside:

1. Glucoside (glycone is glucose)
2. Galactoside (glycone is galactose)
3. Mannoside (glycone is mannose)
4. Arabinoside (glycone is arabinose)

Biosynthesis of glycosides

- The biosynthetic pathways are widely variable depending on the type of aglycone as well as the glycone units.
- The aglycone and the sugar parts are biosynthesized separately, and then coupled to form a glycoside.
- The coupling of the two parts occurs via phosphorylation of a sugar to yields a sugar-1-phosphate which reacts with a uridine triphosphate to form a uridine diphosphate sugar (UDP-sugar) and inorganic phosphate.
- This UDP-sugar reacts with the aglycone to form the glycoside and a free UDP.



Biosynthesis of Glycosides

Thank you