



ISOTHIOCYANATE GLYCOSIDES

Phytotherapy



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Isothiocyanate Glycosides (Glucosinolates)

- This group of glycosides is sometimes called **thiocyanate** or **sulphurated glycosides**.

- The seeds of many Cruciferous plants {Cruciferous vegetables are vegetables of the family Brassicaceae الفصيلة الصليبية الكرنبية أو الخردلية أو الفصيلة الصليبية (also called Cruciferae). These vegetables are widely cultivated, with many genera, species, and cultivars being raised for food production such as cauliflower, cabbage, broccoli قنبيط أخضر etc., and similar green leaf vegetables} contain glycosides where the aglycones of which are thiocyanates.

- Like **sinigrin** from black mustard, **sinalbin** from white mustard and **gluconapin** from rape seeds.

- It is believed that these glycosides protect plants against parasites and microorganism. Many glucosinolates possess antithyroid effect, and thus they can cause goiter.

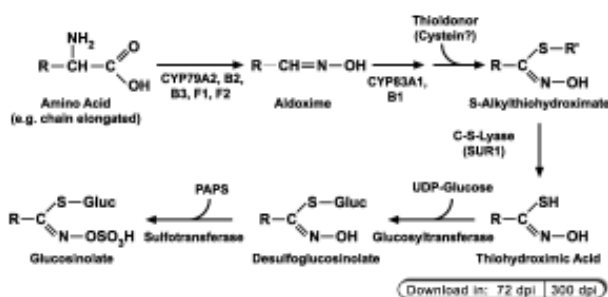
- Thioglycosides are particularly abundant in the families **Cruciferae** الصليبية, **Capparidaceae** الفصيلة القبارية هي إحدى الفصائل النباتية المنتمية إلى رتبة الكرنبيات من ذوات الفلقتين. من أهم نباتاتها القبار. and **Resedaceae** الفصيلة البليحانية.

HO - C N	cyanic acid
O = C = N-H	isocyanic acid
O = C = N-R	isocyanate
S = C = N-R	isothiocyanate.

Biosynthesis:

- ❖ The biosynthesis of glucosinolates takes place in the fruit wall with a subsequent translocation to the seed.
- ❖ The biosynthesis of these glycosides was proven to be from **shikimic acid** for the **aromatic** glycosides like **sinalbin**, while the **acetate** units are the precursors for the **sinigrin** which are found in the white and black mustard, respectively.

Sinigrin ↔ Black mustard ---- Sinalbin ↔ White mustard



- **Drugs containing isothiocyanate glycosides:**

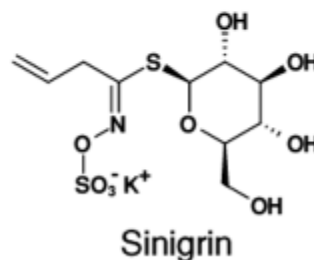
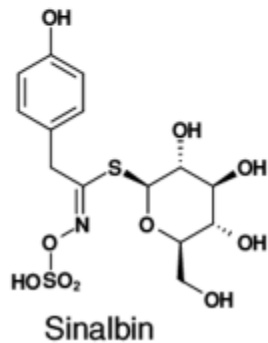
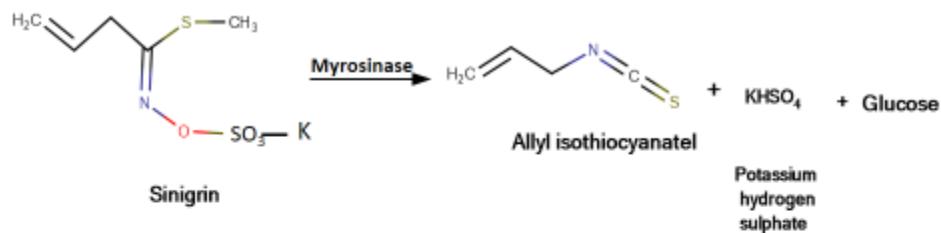
1. **Mustard seeds:** is the ripe seeds of black or brown mustard (*Sinapsis*) and their varieties.

- *Brassica nigra*, cultivated in Europe and USA.
- *Brassica juncea* الهندي cultivated in India | F. : *Cruciferae*

- The seeds contain: **fixed oil** up to 30-35% and the glycoside **sinigrin** (potassium myrosinate) and the **enzyme myrosinase**.
- **Black mustard** contains sinigrin which is split by myrosinase into allyl isothiocyanate, glucose and potassium hydrogen sulphate (**Figure next slide**).
- The drug is used as **flavoring agent**, **emetic agent**, and **rubefacient**, **counter irritant** (anti-irritant) as well.

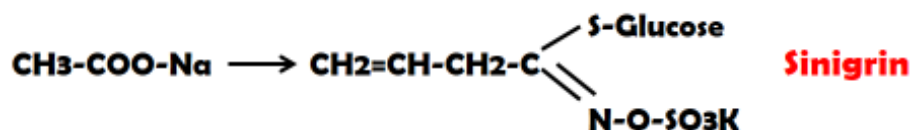
White Mustard

- **White Mustard:** The dried ripe seeds of *Brassica alba* الخردل الأبيض which is known as *Sinapis (Sinapsis) alba* (F. Cruciferae).
- Indigenous to the Mediterranean area.
- It contains fixed oil up to 25% and the glycoside **sinalbin**.
- Upon decomposition, sinabin yields isothiocyanate.
- Isothiocyanate is an oily liquid with a pungent taste and a rubefacient effect.
- Isothiocyanate is less volatile than allyl isothiocyanate, this low volatility makes it lack the pungent odor of allyl isothiocyanate.
- Mustards uses: rubefacient and counterirritant (in form of plasters), emetic (in large doses). Both varieties are used as condiments.



Biosynthesis:

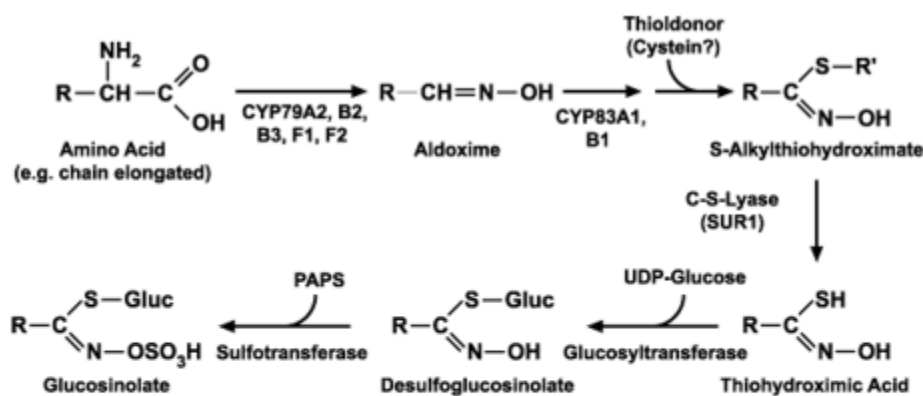
- Biosynthesis of non aromatic glycosides, it start from acetate:



Biosynthesis of glucosinolates (mustard oil glucosides):

- Derived from amino acids (e.g. methionine and phenylalanine) by elongation of the chain in one or more steps.
- The sulphur atom linking the aglycone to the sugar part comes from sulphur-containing amino acids, especially cysteine.

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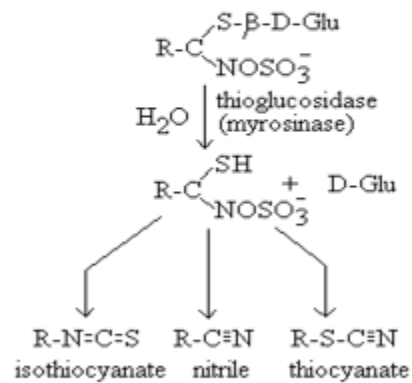
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Biosynthesis of glucosinolates

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• Hydrolysis of GLUCOSINOLATES:

Hydrolysis of aliphatic glucosinolates; the breakdown products are toxic to fungi



↓
Toxic to cancer cells in numerous mechanisms