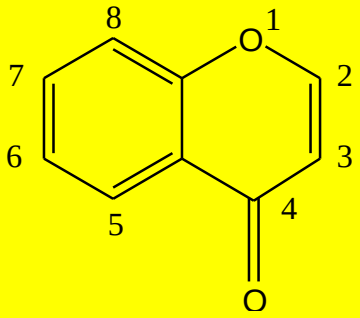
Pharmacognosy-II

2021-2022 Spring Semester

Phenolic compounds

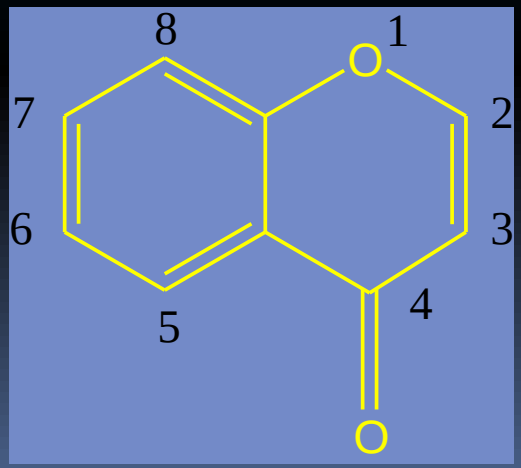
- Phenol and phenolic acids
- Phenylpropanoids
- Flavonoids
- Anthocyanins
- Tannins

FLAVONOIDS



Phenolic compounds

Chromone derivatives



Classification of flavonoids

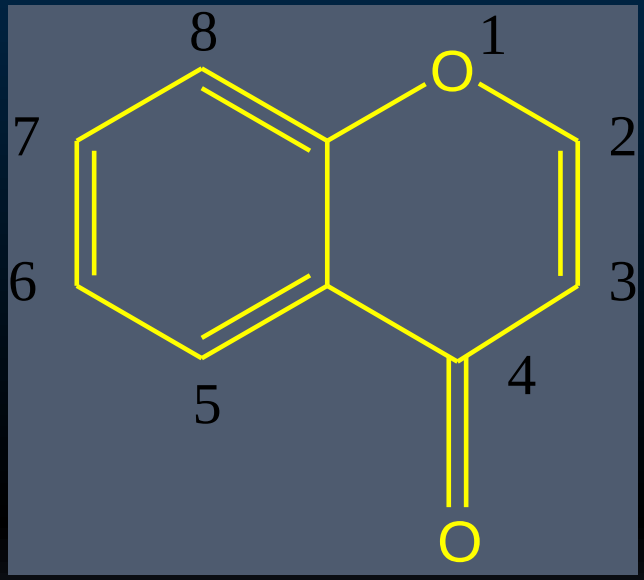
- Position of the phenyl substitution on chromone ring 2-phenylchromones or 3-phenylchromones
- Position of the phenol groups and numbers
- Methylether of phenol groups
- Type of the glycosides

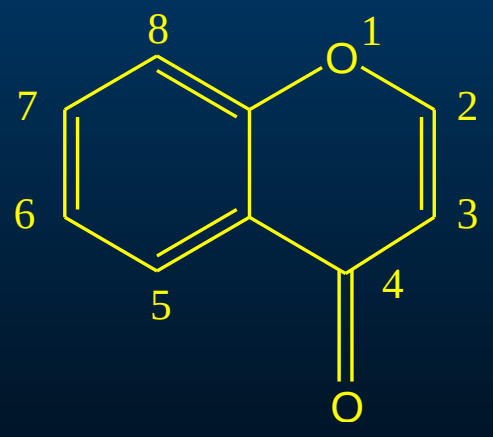
Flava : yellow

- When the OH number and pH increase, the yellow color becomes dark.
- When the OH number and pH decrease, the yellow color becomes lighter
- Occur as aglycone or in glycosidic form
- O-heteroside /C-heteroside
- Solubile in water and alcohol in glycosidic form, aglycones can solubile in apolar organic solvents.

Benzo-γ-pyron

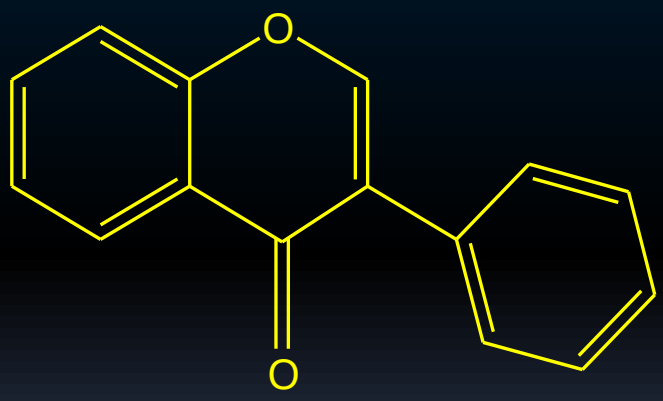
(=chromone)





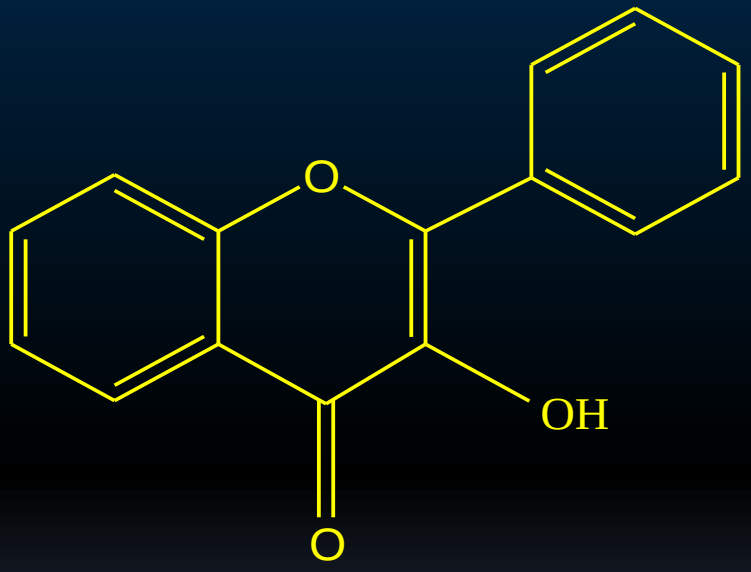
chromone

flavones

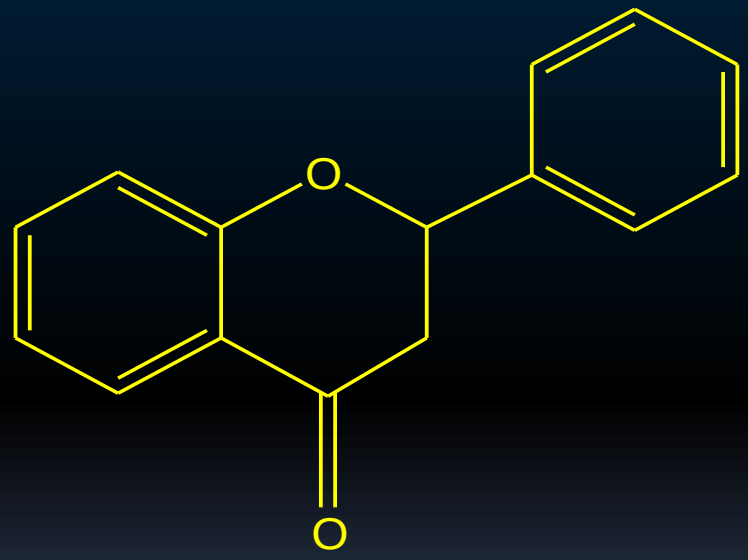


isoflavonoids

Flavonol/flavanone

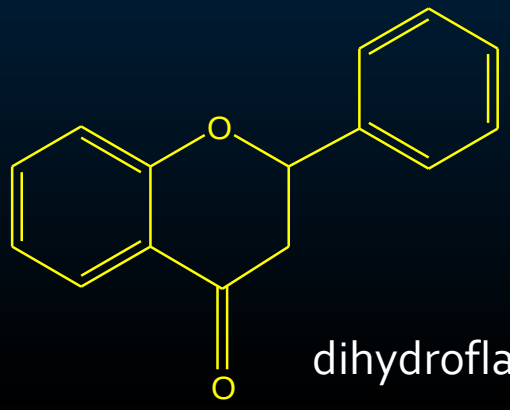


flavonol

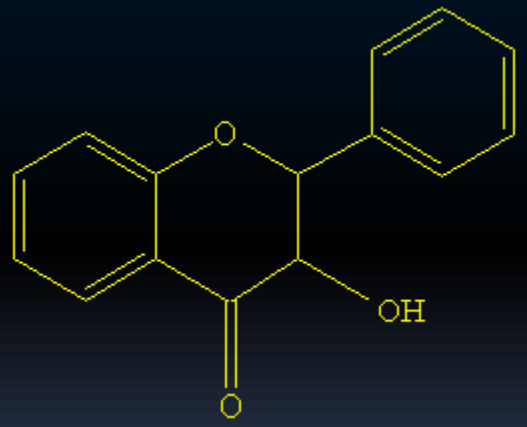


Flavanone
(dihydroflavon)

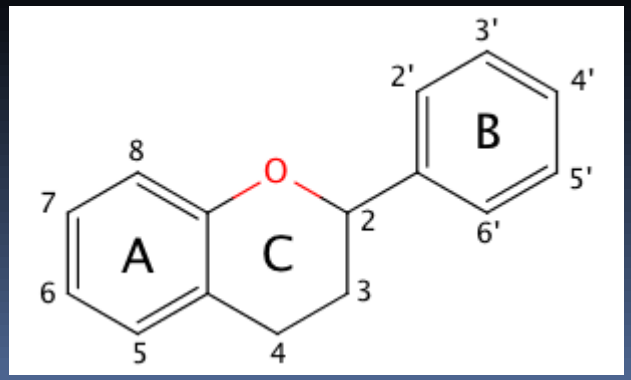
Dihydroflavon/dihydroflavonol /flavan
does not contain double bond between 2 and 3 position



dihydroflavon



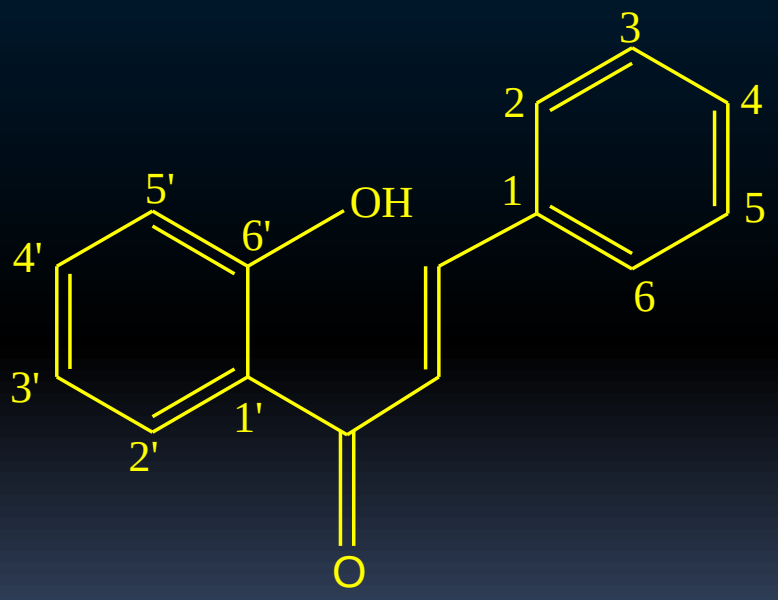
dihydroflavonol



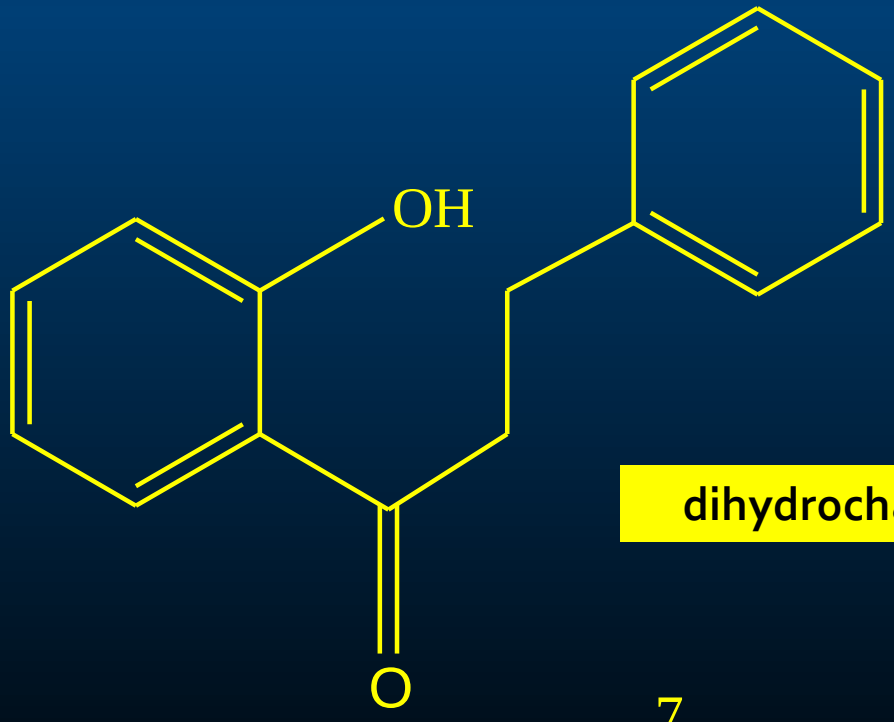
flavan

Chalcone

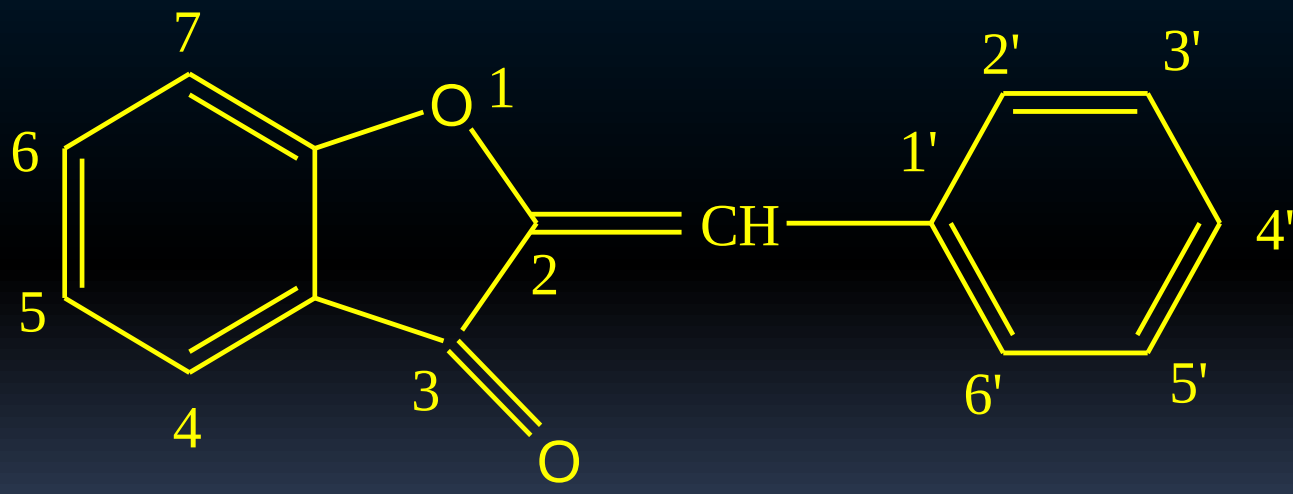
Chalcones do not have a central heterocyclic nucleus and are characterized by a three-carbon chain with a ketone function and an α, β-unsaturation.



chalcone



dihydrochalcone



Aurone (golden yellow colour)

2-benzylidene coumaranones

1) Hydroxy flavones

- Hydroxyl containing derivatives are commonly found in plants. Generally they contain –OH groups at least two or more position except 3rd position
- chrysin: 5,7 dihydroxyflavone
- *Populi Gemmae*

Apigenin: 5,7,4’-trihydroxyflavone

- *Fructus Petroselini*

Luteolin: 5,7,3’,4’-tetrahydroxyflavone

- Labiatae-Compositae plants

- Primuletin (5-hydroxy flavone)
- Acacetin (5,7-dihydroxy 4′-methoxy flavone)
- Diosmetin (5,7,3′ trihydroxy 4′-methoxy flavone)
- Tricetin (5,7,3′,4′,5′-pentahydroxy flavone)
- Hypoletin (5,7,8,3′,4′-pentahydroxy flavone)

2) C-methyl flavones

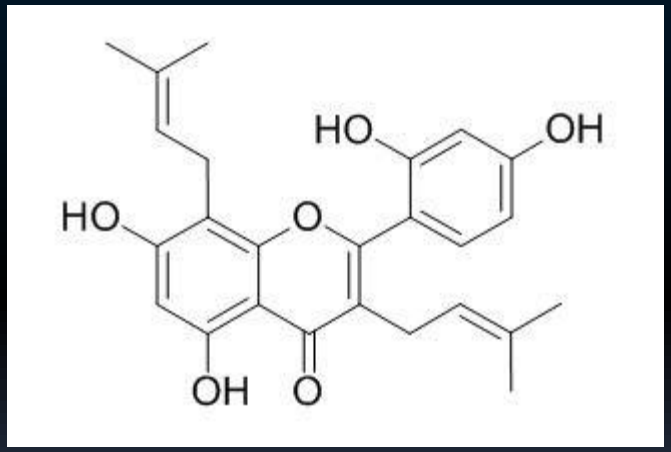
- *Pinus strobus*

strobochrysin

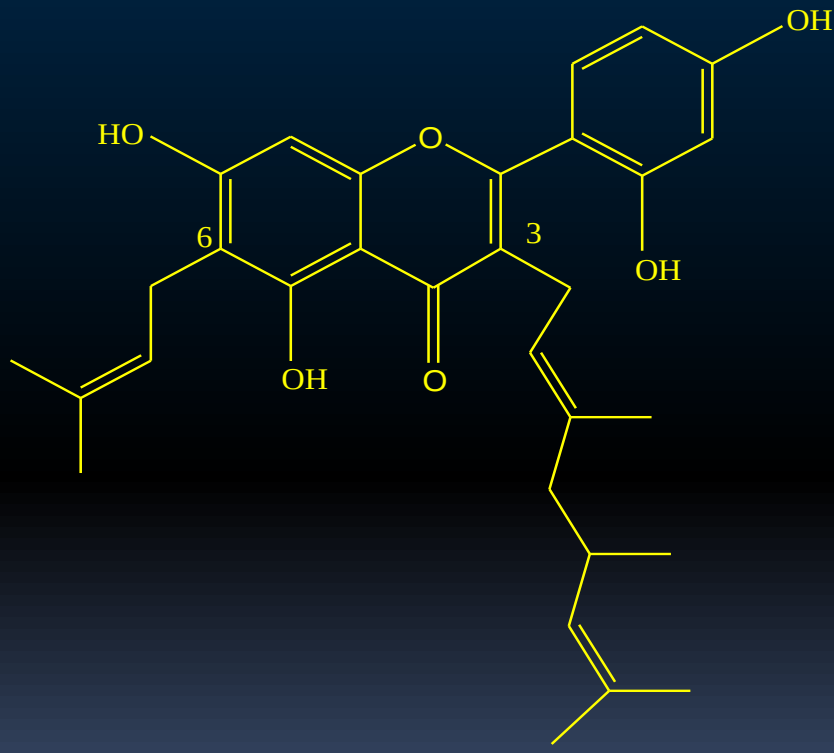
3) Isoprenoid substituted flavones

- One or two molecule isoprenoid at 3/6/8. positions
- *Artocarpus heterophyllus*

Artocarpesin



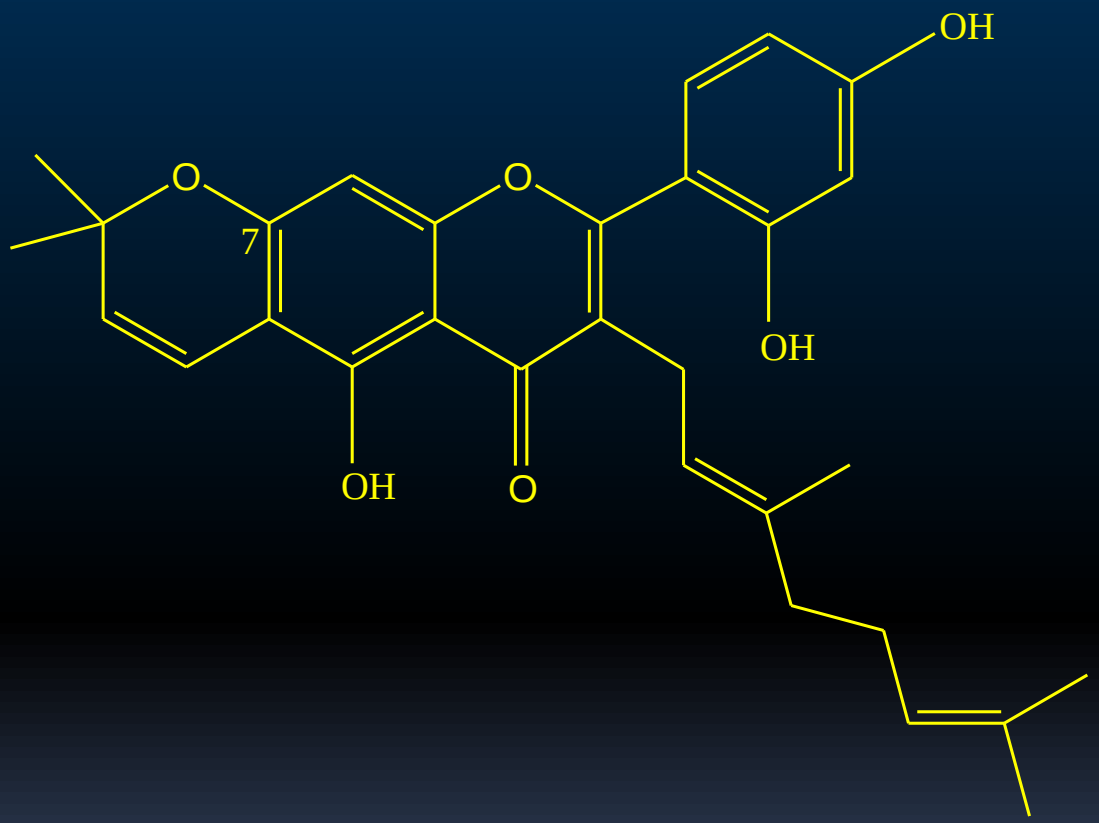
Mulberrin (Morus alba)



Rubraflavone C (Morus rubra)

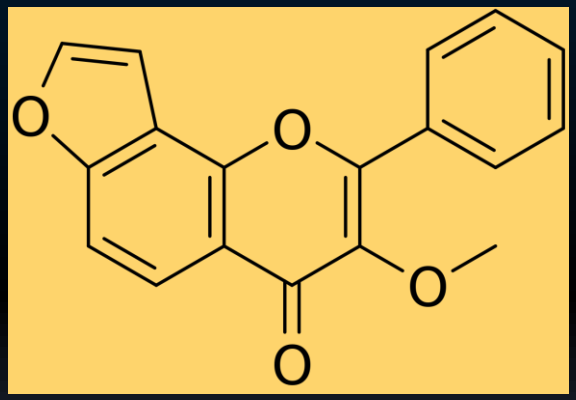
Isoprenoids in cyclic structure

- Pyran ring



Rubraflavone D

4) Flavone + another cyclic structure



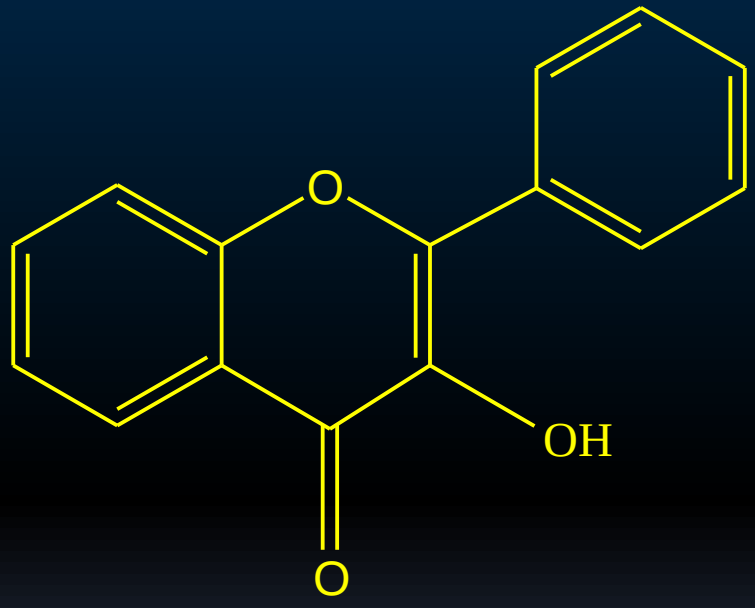
Furanoflavonoid (karanjin)

Alkaloid derivative

(8-N-methyl pyrrolidin chrysin)

FLAVONOLS

- Flavone that contain OH group at 3.C position
- 5 . and 7. - OH
- Classification based on OH substitution on the B ring



a)Does not contain OH group on B ring

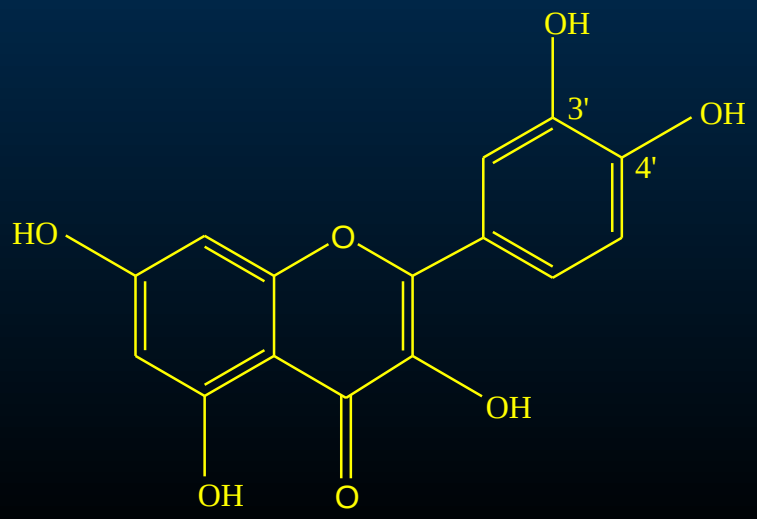
- Galangin (5,7-dihydroxy flavonol

b)1- OH group containing on B ring

- Kaempferol (5,7,4′-trihydroxy flavonol

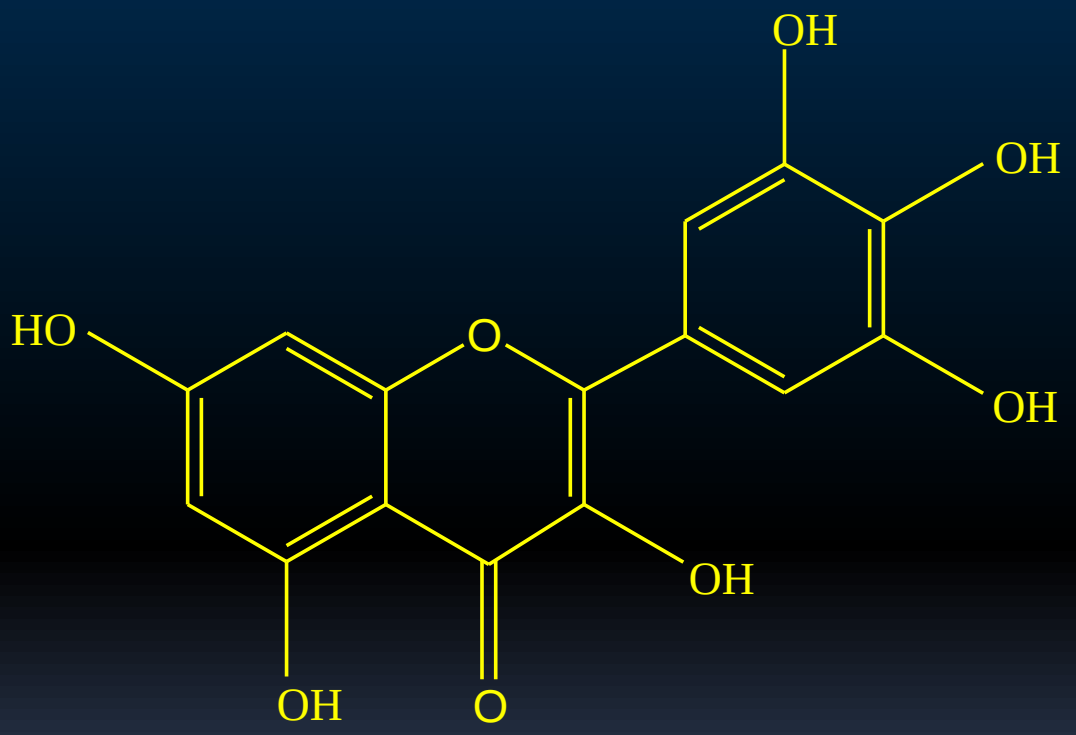
c)2- OH group containing on B ring

- Quercetin (5,7,3′,4′-tetrahydroxy flavonol)



d) 3- OH group containing on B ring

- Myricetin

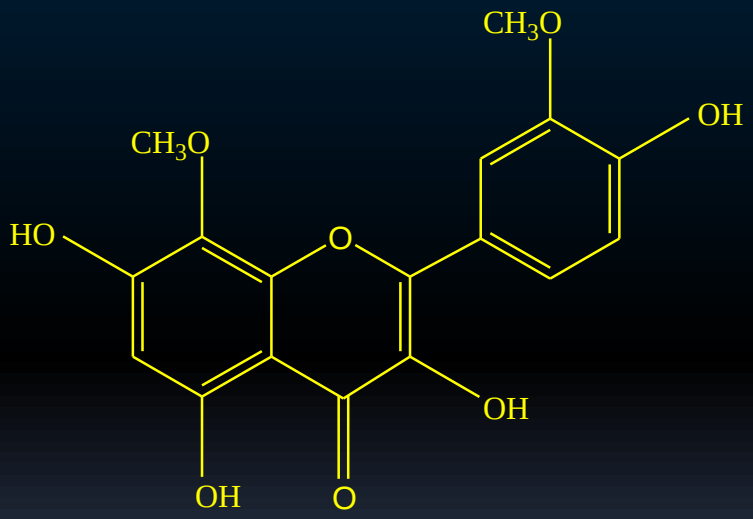


Some of the OH groups can be esterified

e) 6.C/8.C/6 and 8 C OH substituted derivatives

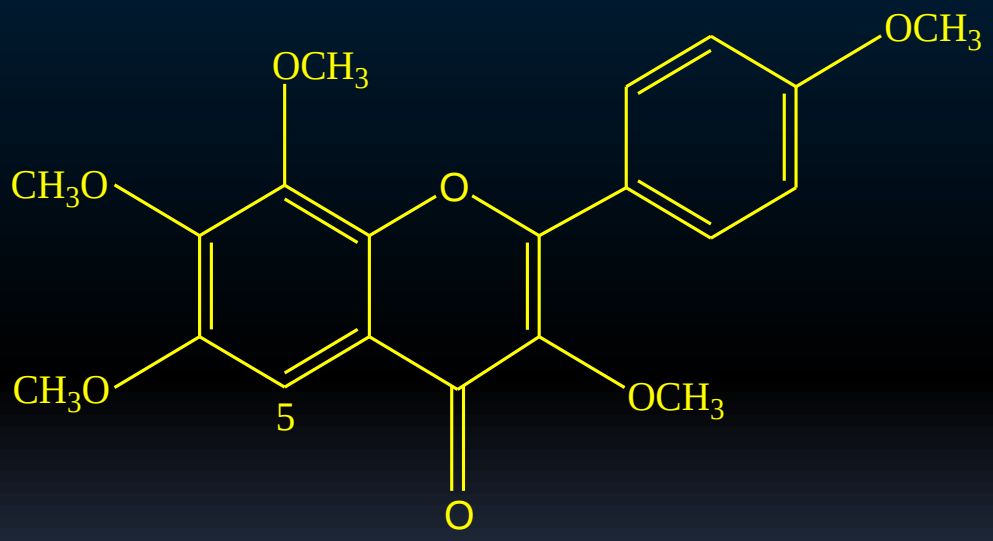
6-hydroxykaempferol (6 C)

OH substituent on 8. C



Limocitrin (8 C)

f) 5 or 7 deoxy flavonols



Aurenetol (5-deoxy derivative)

Flavone and Flavonol heterosides

- Monosaccharides: Glucose, galactose, galacturonic acid, xylose, rhamnose, arabinose
- Pyranose/furanose
- Disaccharides: glu-glu;rha-glu;xyl-glu; ara-glu
- Trisaccharides: glu-glu-glu;rha-rha-gal

Flavon and Flavonol heterosides

- Acylated by organic acids
- p-coumaric acid
- Ferulic acid
- Caffeic acid
- Gallic acid

The most common glycosides

- 1) O- glycosylflavonoids
  - Flavone heterosides:

Apigenin+glucose+apiose=Apioside

Luteolin+glucose

Flavonol Heterosides:

Kaempferol+glucose+glucose

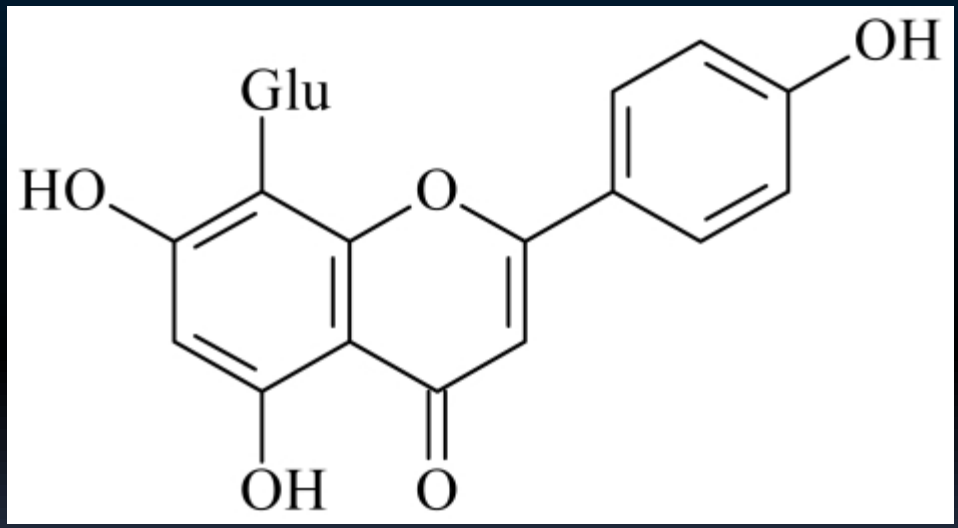
Quercetin+rhamnose= Quercitrin

Quercetin+galactose:hyperoside

Quercetin+glucose:isoquercitrin

2)C-Glycosylflavonoids

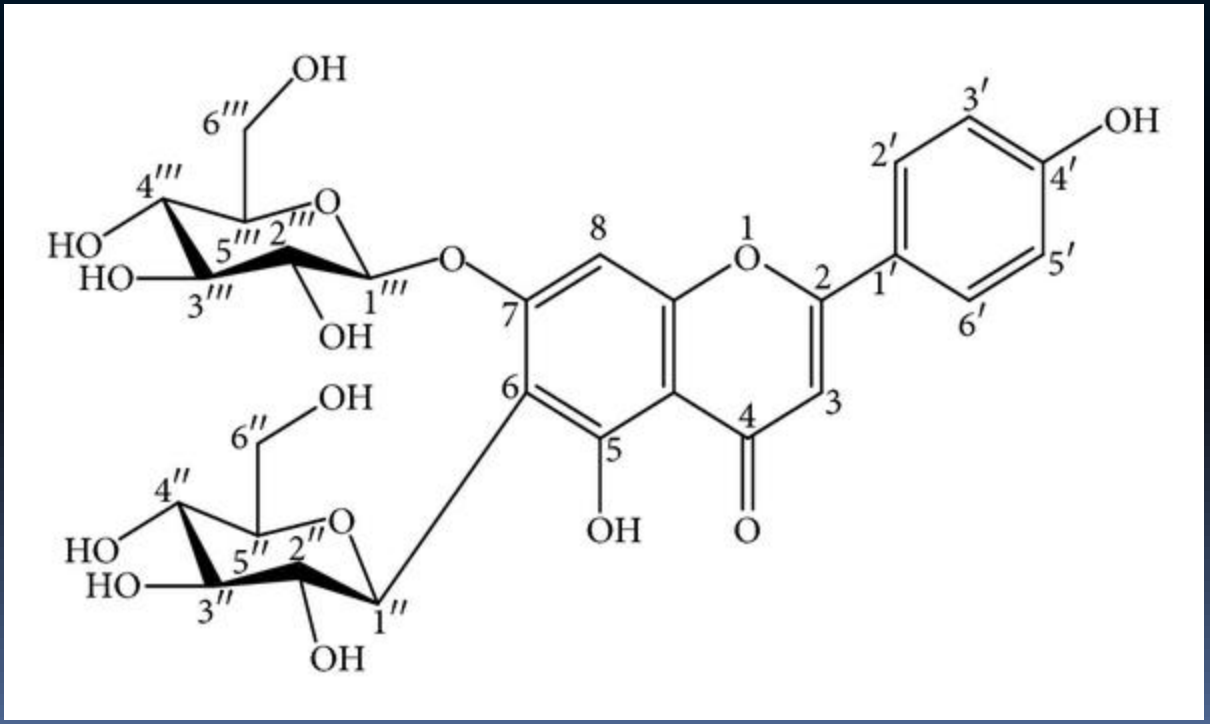
- Resistant to the acid



Vitexin (C-heteroside)

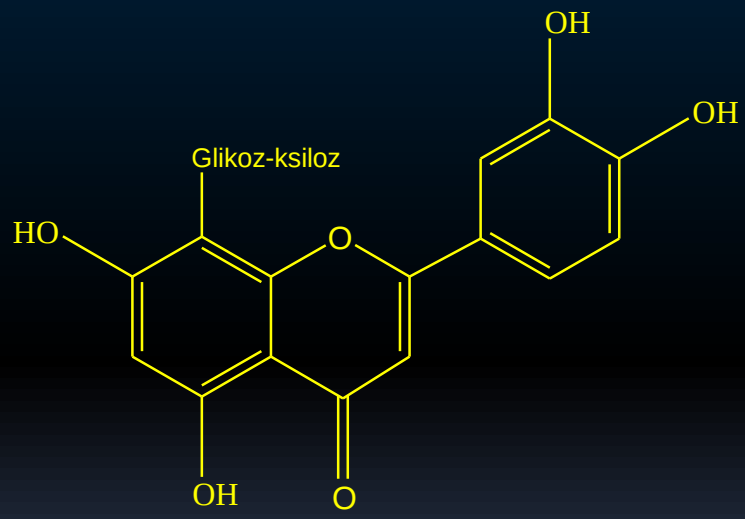
Both C and O glycosylflavonoids

- Saponarin (7-O-glucosyl-isovitexin)



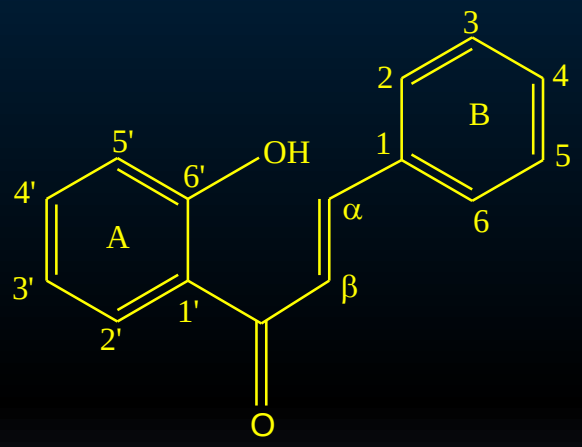
C-glycosylflavonoids contain disaccharides

- Xylosylorientin (Luteolin 8-C xylose-glucoside)



Chalcone,dihydrochalcone and aurones

- Red colour in alkali medium
- A and B ring connected by open chain

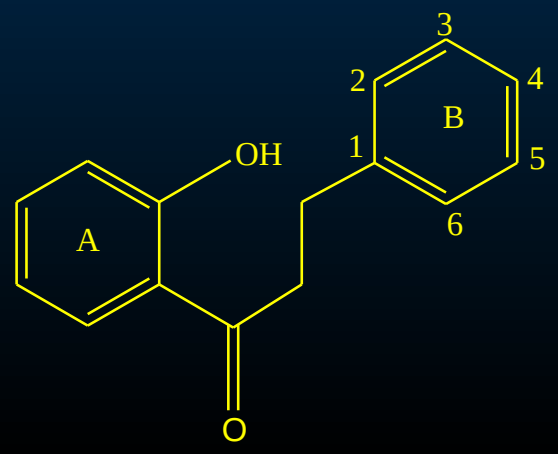


chalcone

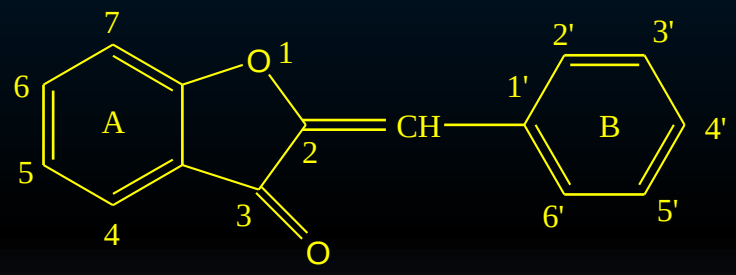
Chalcones

- No OH group on B ring
- 1- OH
- 2- OH
- 3- OH substituted derivatives
- Chalcones are not stabile compounds, easily converted to flavanone structure.

Dihydrochalcones

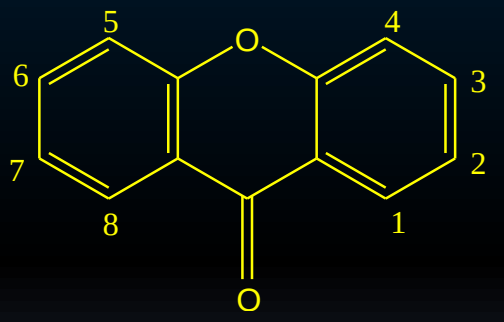


Aurones (Yellow colour and commonly found in barks, leaves and wood not in flowers)

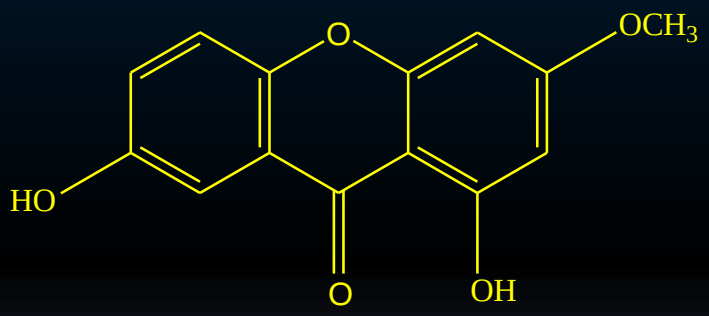


Xanthones

(Limited distribution in a small number of families mainly in Clusiaceae and Gentianaceae, in yellow colour)



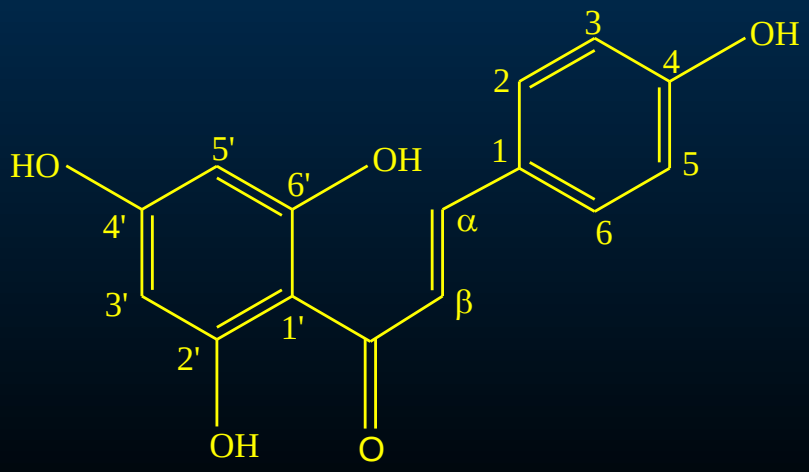
xanthone



gentisin

Biflavonoids

- Flavonoids can also bond to one another, particularly through their very reactive C-6 or C-8. The result is a dimer known as bifalavonoid



Naringenin chalcone

Radical is resulted by electron removal from C-4 position

- There are many different derivatives of this radical (oxidation products)

  dimerisation of these oxidation products results in biflavonoids

Biflavonoids

Alpha (α)

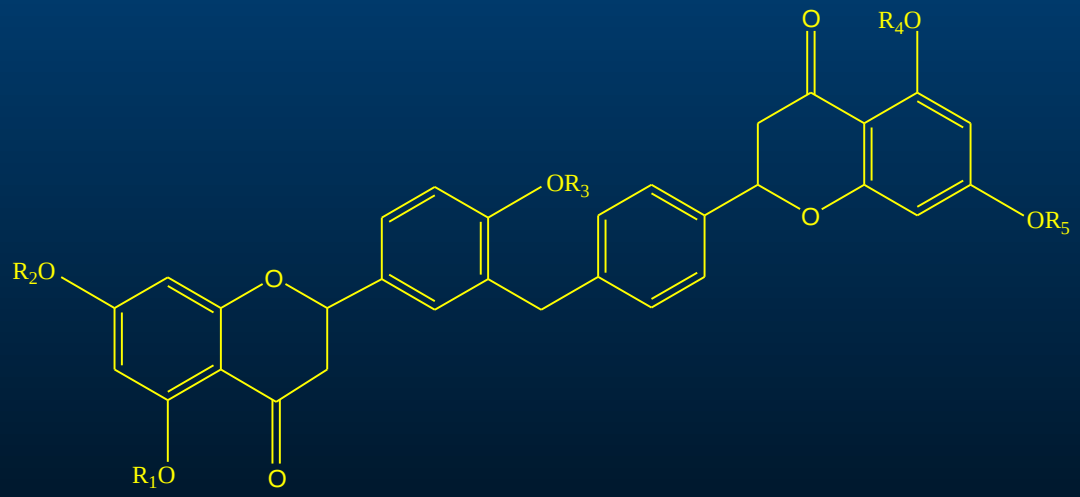
Beta (β)

Gama (γ)

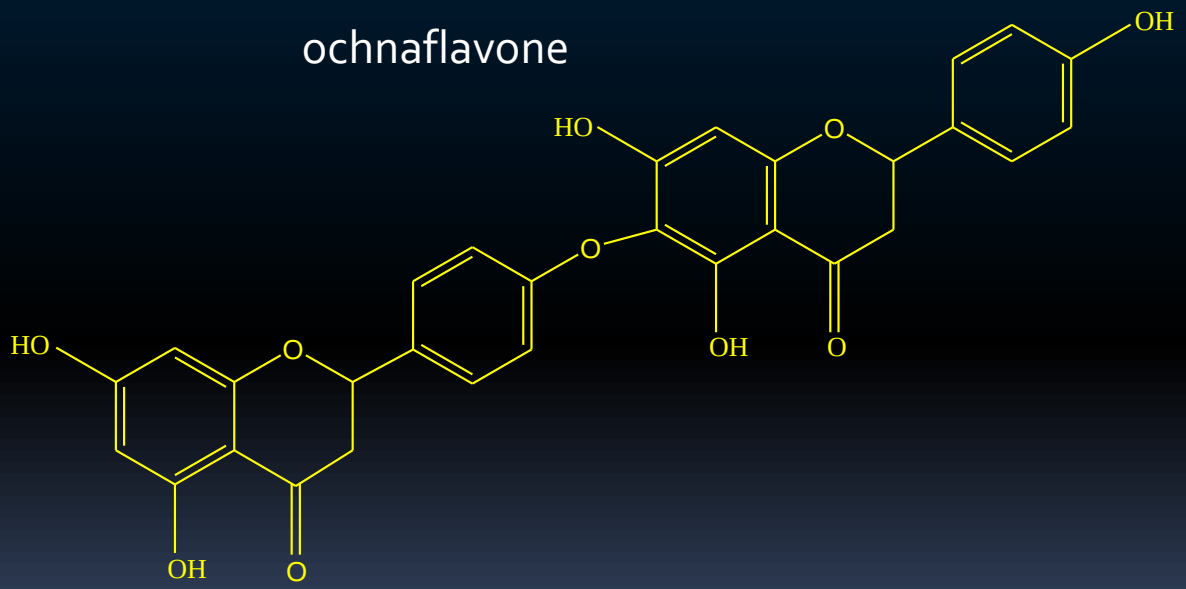
Delta (δ)

Biflavonoids

- Ochnaflavone (α-β) (4′-5′)
- Hinokiflavone(α-δ) (4′-6)
- Robustaflavone(β-δ) (5′-6)
- Amentoflavone(β-δ) (5′-8)
- Garciniabiflavonoid (γ-δ) (3-8)
- Agatisflavone (δ- δ) (8-6)
- Cupressiflavone (δ- δ) (8-8)

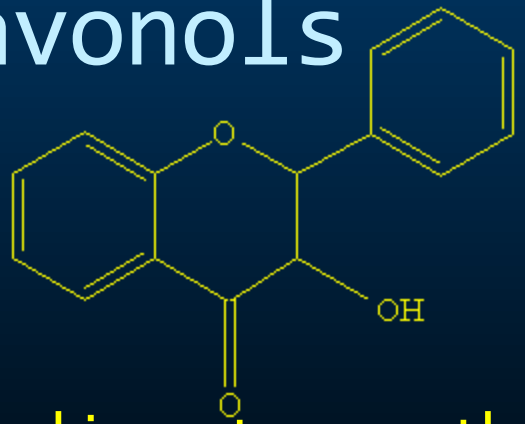


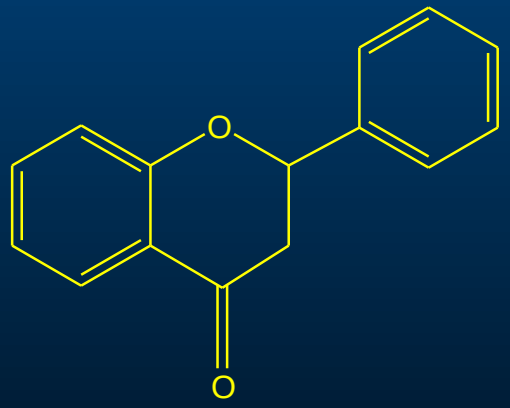
ochnaflavone



hinokiflavone

Flavanones and dihydroflavonols

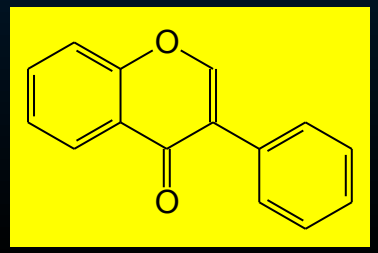




- They are not found in nature as their free form. They are generally found as their derivatives.
- The most common derivative is 7-hydroxy .
- C-2 asymetric.
- Dihydroflavonols also contain the same ring system. These are also known as –hydroxyflavanones. The basic compound is 7-OH flavonol. C-2 and C-3 are asymetric.

Isoflavonoids

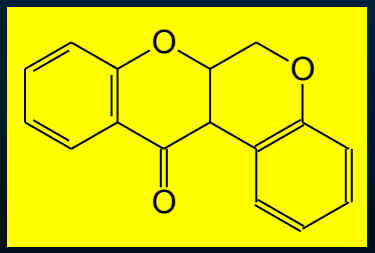
- They are important group of flavonoids. They contain 3-phenyl chromone structure.
- 1)Isoflavones
- 2) Isoflavanones
- 3) Isoflavanes



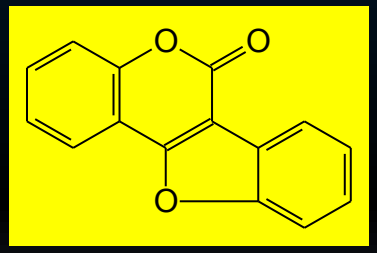
Isoflavonoids

- 4)Pterocarpans

- 5) Rotenoids



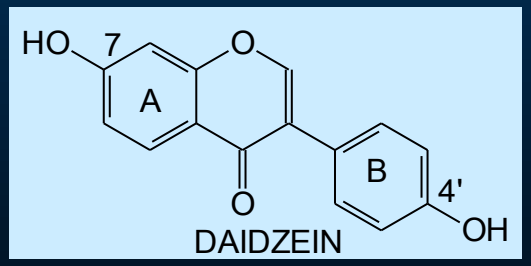
- 6) Coumestans



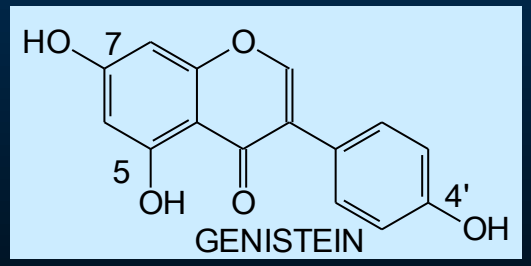
They are generally found in Fabaceae family.

Isoflavones are the biggest group of isoflavonoid derivatives.
Daidzein, Formononetin, Genistein and Biochanin A are the examples of simple isoflavonoid derivatives

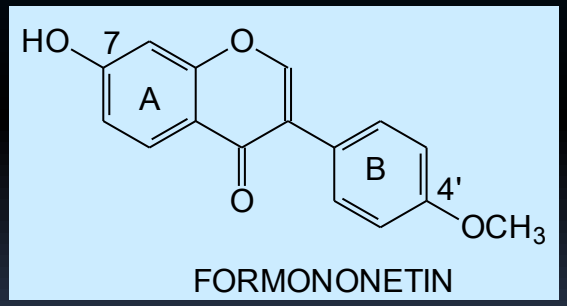
Isoflavonoids



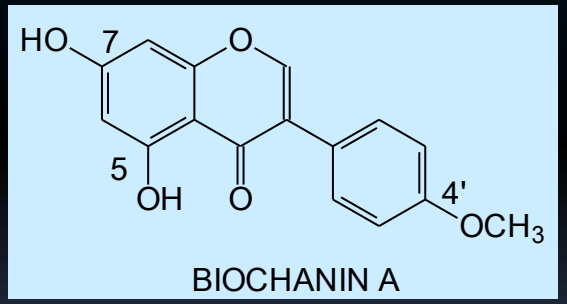
DAIDZEIN



GENISTEIN

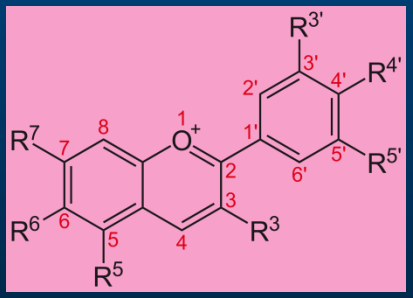


FORMONONETIN



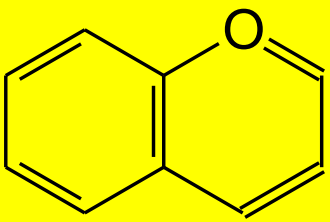
BIOCHANIN A

ANTHOCYANINS

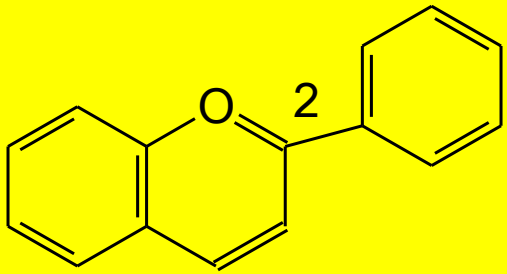


- Pigment which are giving different colors to the flowers, leaves and fruits
- They contain 2-phenylbenzopyrylium cation, more commonly referred to as flavylium cation

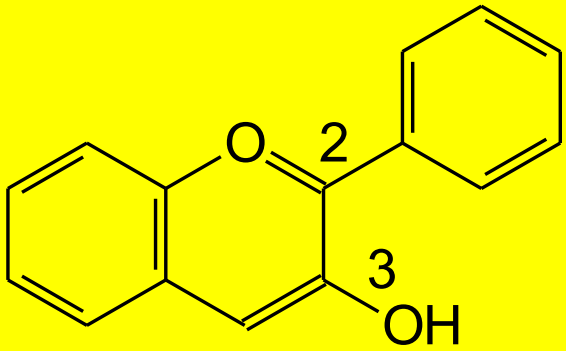
ANTHOCYANINS



Benzopirilyum



Flavilium (2-fenil benzopirilyum)



Antosiyanidol (3-hidroksiflavilium)

ANTHOCYANINS

- 1) 3-OH Anthocyanins:
- Pelargonidin (5,7,4′-trihydroxy anthocyanin) (RED)
- Cyanidin (5,7,3′,4′- tetrahydroxy anthocyanin)
- Delphinidin(5,7,3′,4′,5′- pentahydroxy anthocyanin) (PURPLE)

- 2) 3-deoxy Anthocyanins
- Luteolinidol(5,7,3′,4′-tetrahydroxy 3-deoxy anthocyanin)

- Apigeninidol (5,7,4′- trihydroxy 3-deoxy anthocyanin)

ANTHOCYANINS

- In fact the 3-hydroxyl group is never found in the free state, it is always linked to a sugar (very often glucose) to form a stable and water solubile anthocyanin. The most common anthocyanins are 3-monosides and 3,5 diglycosides

PROANTHOCYANIDINS

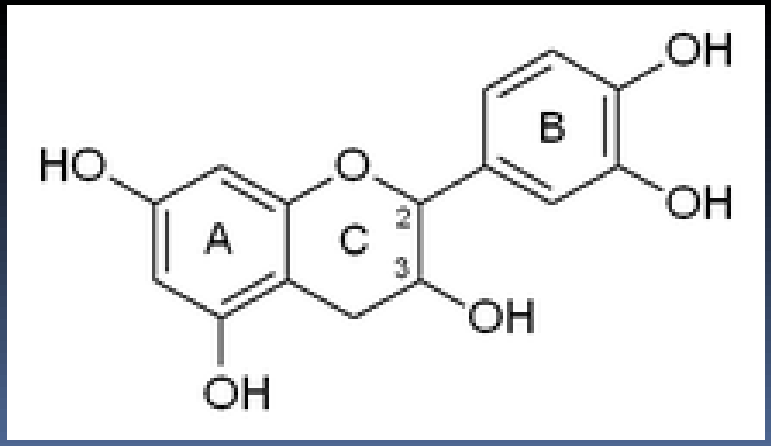
Colourless compounds in plants and they give a different colours by HCl treatment. These compounds are similar to the anthocyanins.

- Proanthocyanins are not compounds which are placed in the biosynthesis of anthocyanins, they are just converting to the anthocyanins by changing in pH.

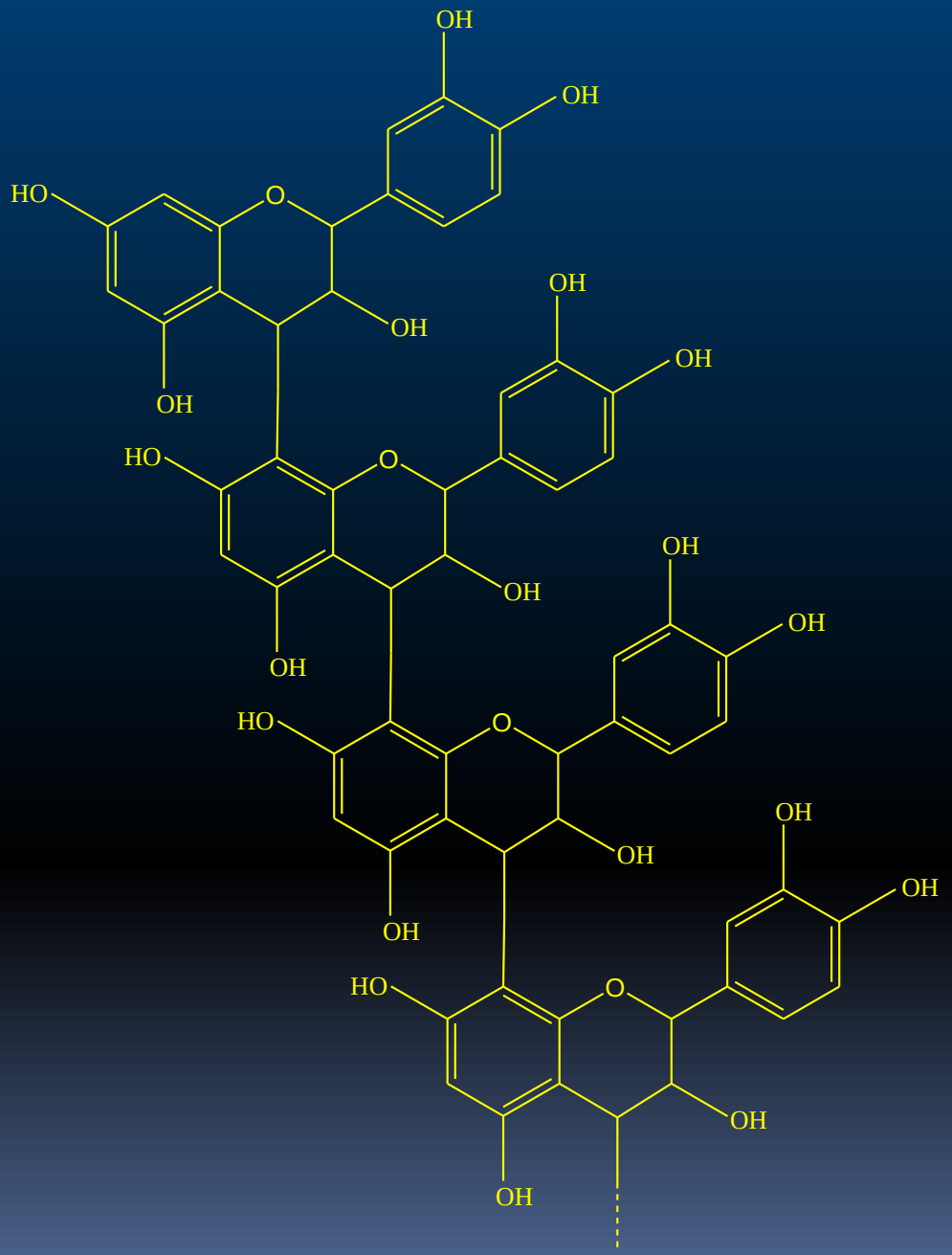
PROANTHOCYANIDINS

- Classification:
- **1) Monomeric structures: Leucoanthocyanin**

- **2) Polymeric structure: catechin**



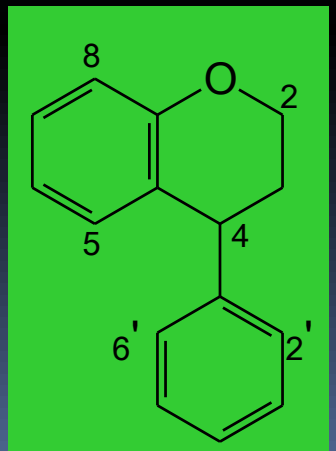
Katesin (= Katesol)



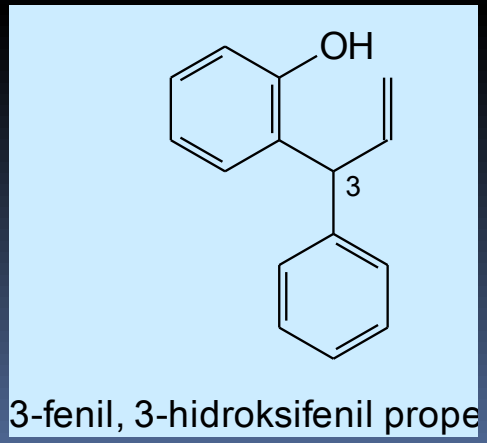
Katesol Polimeri prosiyanidol

NEOFLAVONOIDS

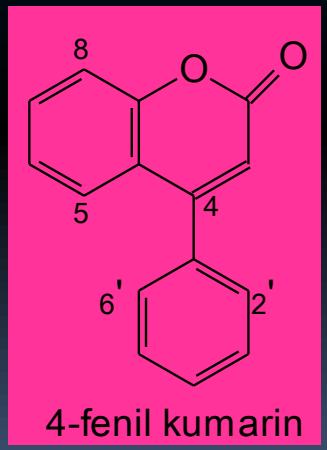
- 4-phenylchromane derivatives
- Ring opening
- 1) 3,3-diarylpropens
- 2) 4-phenylcoumarins



4-fenil kroman



3-fenil, 3-hidroksifenil prope



4-fenil kumarin