

PHARMACOGNOSY AND PHYTOCHEMISTRY

Dr.Galvina Pereira

Department Of Pharmaceutical Science and Technology

Institute Of Chemical Technology Mumbai

Week 5

Lecture 24

Drugs Containing Alkaloids (Part 2)

Hello everyone, and welcome to the NPTEL course in Pharmacognosy and Phytochemistry. Till now, we have learned what alkaloids are, the different classes of alkaloids, and we have started with a few examples of alkaloids. In this session, we will learn a few more examples of alkaloids. We are going to go through Rauwolfia and Vinca, which are indole alkaloids, and Black Pepper, which is a piperine alkaloid.



The image shows two parts of Rauwolfia. The top part is a photograph of the plant's inflorescence, which is a dense cluster of small, bright red flowers. The bottom part is a photograph of the dried root, which is thick, brown, and has a characteristic twisted, knobby appearance.

Rauwolfia

- **Synonyms:** Sarpagandha; Chootachand; Indian snake root.
- **Biological Source:** dried roots and rhizomes of **Rauwolfia serpentina** Benth.
- **Family** Apocynaceae.
- **Geographical Source :** from India to Sumatra. (Burma, Thailand, Philippines, Vietnam, Indonesia, Malaysia, Pakistan and Java.)

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So, talking about Rauwolfia, Rauwolfia is a very Indian plant with the name Rauwolfia, also referred to as Chhota Chand or Sarpagandha or Indian snake root. If you see the root, it is tortuous and slightly curved. It contains both roots as well as rhizomes of the plant Rauwolfia serpentina, belonging to the family Apocynaceae. Now, this plant is found

slightly at an elevation or grows at a slight elevation and is found throughout from India to Sumatra, in countries like Burma, Thailand, the Philippines, etc. Vietnam, Malaysia, Pakistan, Java. It is also found near the northern Himalayan belt as well. Now, talking about cultivation and collection. Now, this drug is chiefly cultivated or harvested from wild plants.

But because of overharvesting, it has become endangered. Now, as it has become endangered, efforts are on to cultivate these plants. But one issue with cultivation of the plants is the viability of seeds. So alternate methods like plant tissue cultures have also been investigated and researched upon to revive this plant because it's a rich source of alkaloids which are used in antihypertensive therapy.



Cultivation and collection

- The drug is collected mainly from wild plants.
- Roots and rhizomes are dug out in October–November (2–4 year old plants)
- washed and dried in air (moisture content 12%)
- Stored protected from light.

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Now generally the roots and rhizomes of this plants are dug out in the October to November period from a 2 to 4 year old plants and once the roots are dug up the plant dies. Now The alkaloid is chiefly located in the roots as well as rhizomes. The roots and rhizomes can be differentiated by presence or absence of pith.

The stems generally or the rhizomes will have the pith present whereas the roots will have it absent. The roots are about 10 centimeters if you go to see the marketed sample and approximately 2 centimeters or 0.5 to 2 centimeters in their diameter and if you go to see rootlets, rootlets are very tiny they are not there in the final drug but if you see the final

drug you might find some scars or root scars being present from where the rootlets have fallen off.

Chemical constituents

- Rauwolfia contains about 0.7-2.4% total alkaloidal compounds.
- It contains chiefly indole alkaloids such as reserpine, rescinnamine, rescidine, deserpidine, ajmalinine, ajmaline, ajmalicine (8-yohimbine), serpentine, serpentinine, tetrahydroreserpine, raubasine, reserpinine, isoajamaline and yohambinine.

The image displays four chemical structures of indole alkaloids. Serpentine is a pentacyclic indole alkaloid with a methoxy group and a methyl group. Reserpine is a complex heptacyclic indole alkaloid with a trimethoxyphenyl ester group. Rescinnamine is a pentacyclic indole alkaloid with a methoxy group and a cinnamic acid ester group. Yohambinine is a pentacyclic indole alkaloid with a hydroxyl group and a methoxy group.

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One thing it is observed that the alkaloids which are present in Rauwolfia that is reserpine deteriorate in presence of light or darken in presence of light and as a result this drug is always stored protected from light. Now what does it contain? Going to the chemical constituents we have seen it once. Now this contains alkaloids which have a indole moiety.

If you remember when we did the session on biosynthesis, we said that it has a little tryptophan like a precursor. We saw the indole moiety, and we saw the amines emanating from the tryptophan. So, numerous alkaloids belonging to the indole class are present. Generally, Rauwolfia roots and rhizomes contain 0.7 to 2.5 percent of total alkaloids, chiefly as indole alkaloids.

The main ones being reserpine, Now, if you see carefully the structure of reserpine, one more thing that comes to your mind is you see this moiety; this moiety resembles gallic acid. So, it is a trimethoxy derivative of gallic acid, which has been esterified here. Now, apart from that, you have serpentine.

Now, you can also have cinnamic acid derivative coming out here, which is your rescinnamine in an esterified form form, and you have your yohimbine. Apart from that, it

also contains ajmalicin, ajmalinin, ajmalin, serpentin, serpentinin, and you will see racidin. deserpidin and tetrahydro reserpine, robicin, reserpine 9, isoajamaline, and yohimbine. Out of that, apart from reserpine, the Rauwolfia is also harvested for its agmaline content.

So, agmaline in the market is taken chiefly from two drugs: that is, vinca as well as Rauwolfia. Now, you can check authentic Rauwolfia microscopically. I have a transverse section here. Now this is not a complete transverse section but a unique feature of Rauwolfia is the root cork especially is stratified that is it has strata layers about 2 to 7 strata or layers as well as shows lignification.

Chemical Test

1. Fractured surface is treated with concentrated nitric acid, a red coloration is observed.
2. When reserpine is treated with a solution of vanillin in acetic acid, it shows violet-red coloration.



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So there are lignin deposits and it shows numerous strata. And internally you will see numerous wood elements also being there. Now if you take this Rauwolfia root and treat the fractured surface with a concentrated nitric acid owing to the presence of alkaloidal compounds you will get a little reddish coloration. Now, if you have a pure extract or reserpine at hand, you can treat it with a solution of vanillin and acetic acid.

You will get a violet red coloration. So, these are a few things or these are the chemical tests which will help in confirmation of identity of roots. Now Rauwolfia is used as hypnotic, it is used as tranquilizer, it is used as painkiller, it is used as sedative and antihypertensive.

In fact in low doses it is used as antihypertensive but if the dose exceeds it causes depression, sedation. So you have to be very careful.

Apart from that, additional effects of Rauwolfia include increased uterine contractions. And if you specifically see Ajmalin, Ajmalin is used in market for treatment of cardiac arrhythmias. It is marketed in Japan for cardiac arrhythmias and Ajmalin. the usual dose of or antihypertensive dose of racinamine is somewhere close to 500 micrograms two times a day. So you can see how much potent it is.

And you have to be very careful. Like I said, it is also a sedative. So if you take doses higher than that, apart from reduced blood pressure, you'll always suffer from mental depression. Desperidin, which is levin desmethoxy reserpine, is a broad-spectrum or wide-range tranquilizer antihypertensive and comparatively free from the side effects of reserpine.



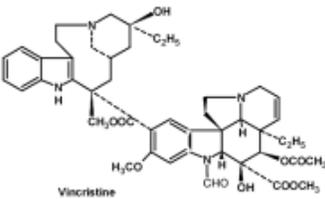
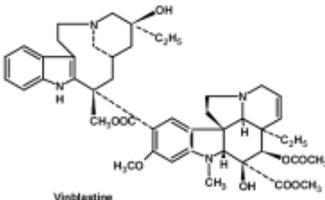
Now moving on to the next drug, this is a drug which we see throughout India, and that is your Barmasi or what you call it as Sadafuli or Vinca or Catharanthus roseus, as people refer to it. It comes in numerous colors, beautiful shades, and it is used and cultivated as an ornamental plant mostly in tropical countries. So Vinca is—you can have a whole entire dried plant of Catharanthus roseus, which belongs to the family Apocynaceae.

It is marked by beautiful five-petal flowers and shiny leaves. Now, this plant is actually native to Madagascar but has been cultivated in India, Australia, South Africa, and the North as well as South America. Wherever tropical conditions persist, this plant grows well. Now, this can either be cultivated mostly in the form of seeds.

It grows and achieves a height of about half a meter. And it bears brightly colored flowers, especially during the sunny season. It requires water but is generally tolerant to drought conditions. Now, if you see the chemical constituents, the roots of Vinca have been reported to contain more than 90 alkaloidal compounds. Now, these alkaloidal compounds also belong to the indole category.

Chemical Constituents

- Roots contain more than 90 alkaloids.
- The important alkaloids in Catharanthus are the dimer indole indoline alkaloids Vinblastine and Vincristine and they possess definite anticancer activity.
- Vindoline and Catharanthine are indole monomeric alkaloids.
- It also contains monoterpenes, sesquiterpene, indole and indoline glycoside.

We saw reserpine, and if you see it here, you can also see That is the tryptophan-like. And 1, 2, and you have your nitrogen here. So you can carefully see it essentially has a tryptophan-like precursor molecule. One more thing: if you carefully observe, this is a dimer.

So just see this 1 and 2. There are some structural similarities, not in the functional group but in the nucleus. If you tend to join this, you can see more such similarities coming in. Now vincristine,vinblastine slightly differ in their functionality you can see here The remaining part is almost similar.

So they are called indole-indole alkaloids. So they are the dimer, and vincristine and vinblastine mostly exist in the form of their sulfate salts. That is, vincristine sulfate and vinblastine sulfate have been marketed. Apart from that, you will find monomeric molecules such as vindoline or catharanthine. And monoterpenes, sesquiterpenes, specifically indole and indole glycosides, are also present and reported in this plant. Now, where do you use this? Now, this is because of its large structure. It has an important role to play as an anti-cancer molecule. Mostly, it acts by interfering with DNA replication and is known as a good anti-tumor alkaloid.

used in treatment of Hodgkin's disease it is used in treatment of leukemias especially in children especially in children. Now, if you talk about the other plant parts, because of its polyphenolic content, it is astringent as well as tonic. It is used in menorrhagia and hemorrhages, so it is slightly styptic and astringent. Because of the polyphenols.

Now, one more thing is if you consume the fresh flowers of periwinkle. The fresh flowers, or generally the boiled decoction of fresh flowers, are thought to have a purgative effect. But if, instead of fresh flowers, you take the dried flowers, the dried flowers do not possess any purgative activity. Now, coming to the third alkaloid: this is black pepper.

Black Pepper

- **Synonym:** Kalimiri, Pepper
- **Biological source:** Black pepper, is obtained from fruits of *Piper nigrum*
Family Piperaceae
- **Geographical Source:** Black pepper is native to the Malabar Coast of India and is one of the earliest spices known.



Dried Black pepper fruits

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Black pepper is a common kitchen condiment. It is also known as the king of spices or black gold, as people refer to it, because traders highly prized it, and this is actually a fruit. It is obtained from the vines, and this vine is from the plant *Piper nigrum*, belonging to the family Piperaceae. This black pepper is cultivated in South India throughout

the Malabar coast, Sri Lanka, and you will see it growing in Indonesia. These are some of the major producers of this spice. You might find some of the cultivation of black pepper happening in Africa as well. Now, what you see here is actually a dried fruit. So this is actually how the raw fruit looks.

If you see a black pepper vine, growing it from seeds is difficult and takes a lot of time to fruit. So generally, cuttings are taken. They are grown, and these cuttings start bearing fruit within just two to five years. In their plantation they climb trees you might often find them climbing coconut or other trees and bearing flowers for a period of up to 40 years, and these fruits are initially green but later turn red. This is if you want black pepper they are generally harvested at a stage when one of the fruit in this starts turning little reddish raw one but a fully developed raw one. So how do you determine that? When you have this almost green color, fruits or berries, as you call them, and one of the fruits starts turning yellow to deep red in color,

you pick those berries and keep them for drying. Now, one good way of drying is to immediately immerse these fruits in boiling water. During the boiling process, the green color changes to a little brown. After drying, they become a little shriveled and black in color. So that is how they obtain their color.

Preparation

- They begin bearing in 2 to 5 years and may produce for as long as 40 years.
- The fruits are picked when they begin to turn red.
- The collected fruits are immersed in boiling water for about 10 minutes, which causes them to turn dark brown or black in an hour.
- Then they are spread out to dry in the sun for three or four days.



Raw Black pepper fruits

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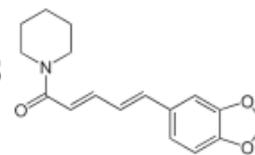
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Now, about the other peppers—when you say white pepper or red pepper—they are obtained from the same plants. Red pepper is basically obtained from the ripe fruits and dried, whereas white pepper happens when you remove the outer coating. You will see the internal endosperm part is completely whitish. So the crushed endosperm gives you the white pepper.

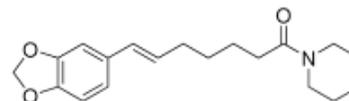
So the spiciness or the pungency of your black pepper is due to what are called mild kinds of alkaloids. So they are very neutral in nature. So you can see here a piperidine. Attached to an amide. So this is your piperine, which is the main chemical constituent.

Chemical Constituents

- Piperine and its isomers
Isopiperine, Chavicine and
Isochavicine.
- Piperolien A and B, Pipernolaline,
Piperchabamide B and C, 1-
cinnamoylpiperidine, piperanine, N-
trans-feruloyl piperidine, etc
- The essential oil includes α -
pinene, β -pinene, β -caryophyllene,
limonene, and δ -3-carene as major
components.
- Other compounds include phenolics,
flavonoids, and steroids, lignans,
terpenes, chalcones etc .



Piperine



Piperoline A

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Now this piperine may isomerize to different different forms and you might get isopiperine, chavicine or isochavicine. Apart from that, depending upon the length and the attached functionality, you might have other alkaloids also coming in, chiefly amide alkaloids. This includes your pipernolaline, piperchabamide B, C. Then you have your cinnamoylpiperidine, piperanine, and N-transferuloyl piperidine.

There are numerous others which are also dimers or, in some cases, joint alkaloids that have been functionalized during the biosynthetic process. If you take the plants and extract them in solvents, you will see that you get what is called a black pepper resin. So the essence of this black pepper is basically due to compounds such as pinenes, alpha and beta pinenes, caryophyllene, limonene, and your delta carotene.

These are the major components of the oil. So these are responsible for aroma, flavor, as well as pungency put together. So black pepper resin is basically what goes as a flavorant in your food substances. Apart from it, black pepper is also known to contain phenolic compounds such as tannins, flavonoids. It also contains steroids, certain lignans, terpenes, as well as jar cones that have been reported.

Now uses black pepper is used in Ayurveda as well as many form of traditional medicine as an analgesic, antipyretic and antioxidant. Now this is often given in combination not

alone and it also has one more important activity that is it is bioenhancer. So you must have come across recently during the COVID epidemic, where apart from your main actives, ashwagandha, your black pepper and curcumin were also given in which the black pepper had to play an important role, definitely as an anti-infective, but also as a bio-enhancer to other phytochemicals. Because of its pungency, it's used as ruby fish shinged. The burning sensation is known to improve the appetite, increase the digestive power and that is the reason you will see many of your digestive tablets, even your hajj mola contains your black pepper.

Uses

- It works as an analgesic, antipyretic and antioxidant.
- It utilizes as a rubefacient.
- It improves appetite, increases digestive power, and also has antimicrobial activities.
- It is used in the treatment of fever, colic, dysentery, piles, and infections of worms.
- In reduces inflammatory responses by inhibiting lipopolysaccharides.
- It has a protective effect on the key liver enzymes.

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It has antimicrobial properties because of the alkaloidal content. It is used in treatment of fever, colic pain, dysentery or piles and in certain cases for even infection of worms. It is known to be anti-inflammatory or it reduces inflammation. By inhibiting mostly the lipopolysaccharides. And it is known to have a protective effect on key liver enzymes.

That is another reason numerous Ayurvedic churners use black pepper as a combination along with the actives. So these are the example of three herbs. What we did today is reserpine. Vinca and piperine. If you want to read further on this topic, here are a few references, and thank you everyone for your patient listening.

Thank you.