

COMPLETE CONCEPT



B.PHARMA | 5 SEMESTER INDUSTRIAL PRODUCTION, Estimation & Utilization of Phytoconstituents







B. PHARMA 5TH SEM ONE SHOT NOTES

UNIT-4

SINDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION

CONTENTS

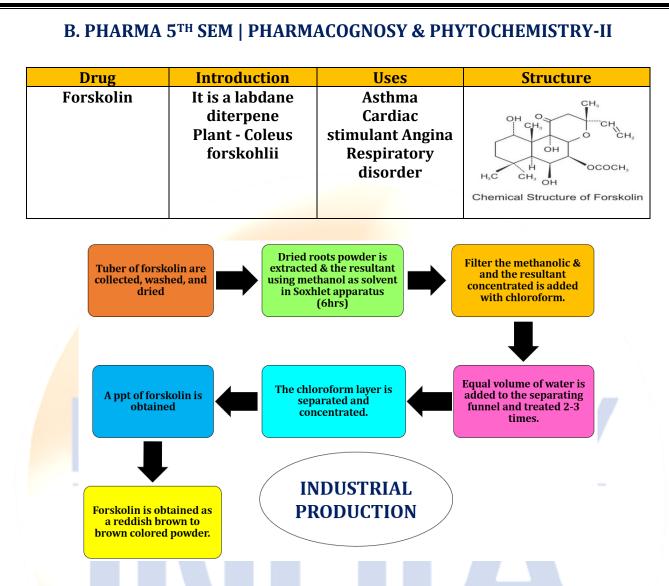
- Forskolin
- Sennoside
- Artemisinin
- Diosgenin
- Digoxin
- Atropine
- Podophyllotoxin
- Caffeine
- Taxol
- Vincristine & vinblastine

INTRODUCTION

- Before coming to the consumer market, a drug goes through a number of procedures for production in industries.
- Industrial production of a drug involves common procedure like isolation, extraction, production, and development of the product.
- Industrial production of a drug involves the following steps;
 - 1. Herbs or their parts to be studied are selected and collected.
 - 2. The genuine raw material is isolated from the bulk.
 - 3. The desired active constituents are extracted from the raw material using various extraction processes.
 - 4. The extracted active constituents are incorporated into desired dosage form and large-scale manufacturing or production.
 - 5. The prepared dosage form goes through quality control and quality assurance, where the prepared drug is analyzed to guarantee that it fulfils all the essential parameters
 - 6. The product is packaged and stored.
 - 7. Finally, the product is delivered to the consumer market.

1. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF FORSKOLIN

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Estimation

- HPLC is used to estimate forskolin content in the raw material, which should contain more than 1% of forskolin on a dry basis.
- The raw material is analyzed by isocratic liquid chromatography using a HPLC system, equipped with a dual pump, a manual injector, and a photodiode array or UV detector supported by suitable software.

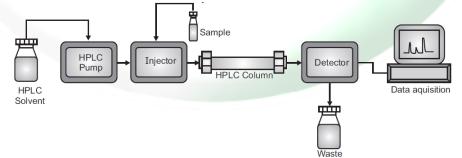


Figure: Diagrammatic representation of High-performance liquid chromatography

- ✓ Detector- Photo diode Array detector or UV detector.
- ✓ Column: ODS (Octadecylsilane)
- ✓ Mobile phase: acetonitrile: water (50:50)
- ✓ Wavelength: 220 nm
- ✓ Flow rate: 1.6ml/min
- ✓ Injecting volume: 10 microlitre
- Standard preparation: dissolve 10 mg forskolin in 15 ml acetonitrile and make up volume up to 25 ml
- Sample preparation: dissolve 250 mg of sample extract in 25 ml acetonitrile and make up volume up to 100 ml

 $\frac{As}{Astd} \times \frac{Wstd}{STDd} \times \frac{Sd}{Swt} \times \frac{Pstd}{100} \times 100$

- Procedure:
- Inject the 10 μ l of standard and sample
- Record the chromatogram.
- Calculate the percentage of forskolin content from the peak areas

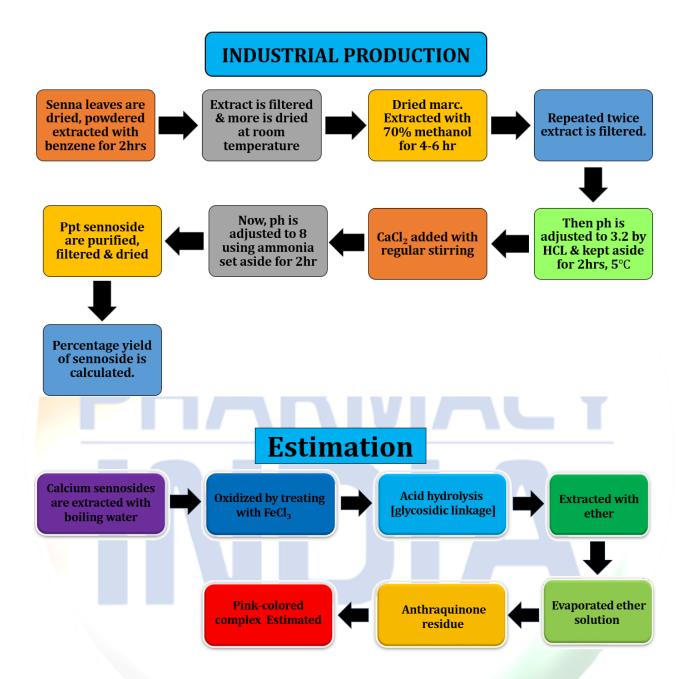
where, A- area of the sample

- Astd- area of the standard
- Wstd- weight of the standard (in mg)
- STDd- standard dilution
- Sd- sample dilution
- Swt- sample weight in miligrams
- Pstd- purity of standard

2. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF SENNOSIDE

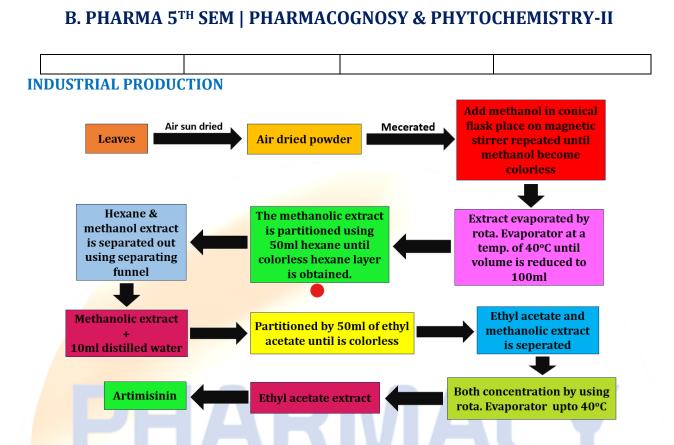
| Drug | Introduction | Uses | Structure |
|-----------|--|---|--|
| Sennoside | Sennosides are the anthraquinone glycosides Plant- cassia angustifolia Family- Leguminosae | Laxative Malaria Jaundice Gout | O-glu O OH 9 9 COOH 0-glu O OH |





3. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF ARTEMISININ

| Drug | Introduction | Uses | Structure |
|-------------|------------------------------------|--|----------------------------------|
| ARTEMISININ | It is sesquiterpenes lactone | Anticancer Malaria, parasitic worm | |
| | Plant- artemisia annua | Acute liver injury | H ₃ C CH ₃ |
| | Family- Asteraceae | | |



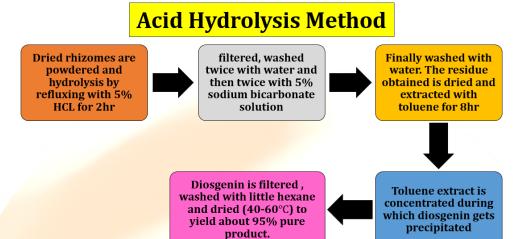
ESTIMATION

- It can be estimated by TLC densitometric scan. Dissolve the standard and sample both in chloroform and perform the TLC using mobile phase petroleum ether: Ethyl acetate (1:2).
- Dry the plate and sprayed with either ρ -di methyl amino benzaldehyde (densitometric scan at 600 nm) or 2 percent solution of vanilline-sulphuric acid (densitometric scan 560 nm).
- Compare the spot of standard and sample. Sesquiterpene can also be estimated by HPLC with UV detection (220 nm). Sesquiterpene can or cannot be converted into their derivative which can absorb UV radiation.
- In alkaline medium open the oxygen containing heterocycles then converted into lactol in acidic medium.
- It can be also estimated using GC-MS technique
- by estimating the degradation product (artemisnin is thermal degradable).

4. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF DIOSGENIN

| Drug | Introduction | Uses | Structure |
|-----------|-------------------------------|--|-----------|
| DIOSGENIN | Plant- Dioscorea deltoidea | Rheumatic arthritis Oral | |
| | Family- Dioscoreaceae | contraceptives Reduce the serum cholesterol level | HO |

INDUSTRIAL PRODUCTION



ESTIMATION

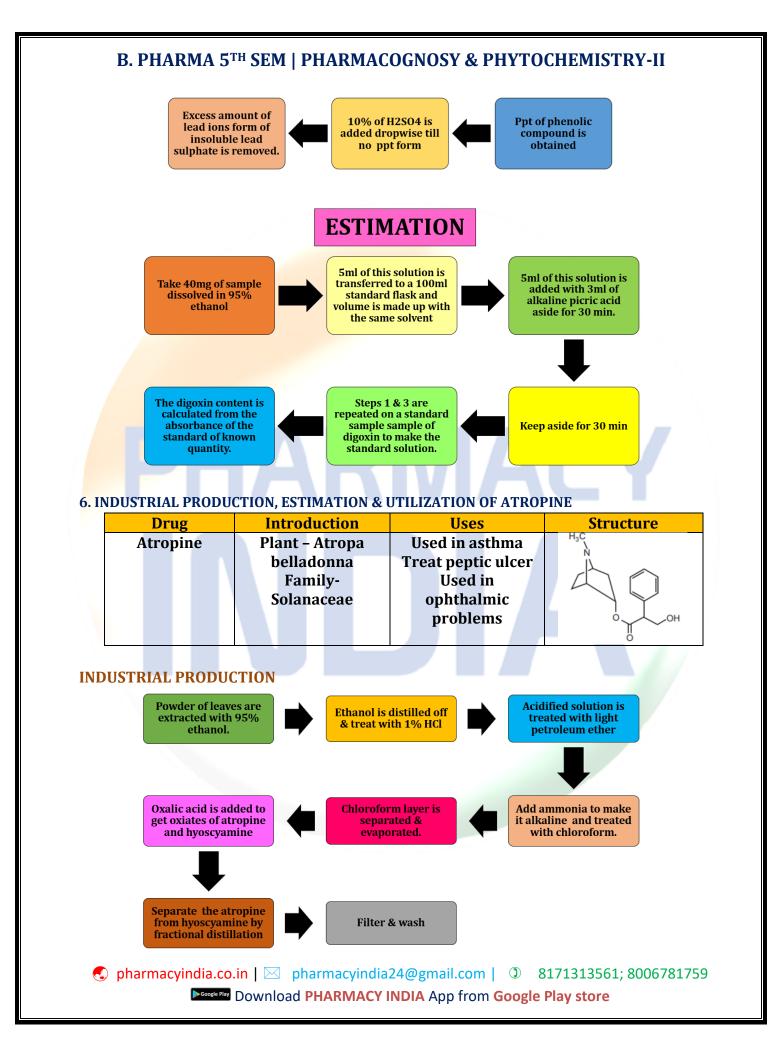
- **By TLC method**
 - Mixture of chloroform: ethanol is the solvent system.
 - Silica gel plates are used as the stationary phase
 - Antimony trichloride (SbCL3) in chloroform is the detecting agent used.

5. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF DIGOXIN

| Drug | Introduction | Uses | Structure |
|---------|------------------|----------------|-----------|
| Digoxin | It is in | Treating | |
| | the cardiac | heart failure. | |
| | glycoside class | Maintaining a | |
| | of drugs | normal | |
| | Plant- digitalis | steady & | |
| lanata | | strong | |
| | Family- | heartbeat. | |
| | plantaginaceae | | |



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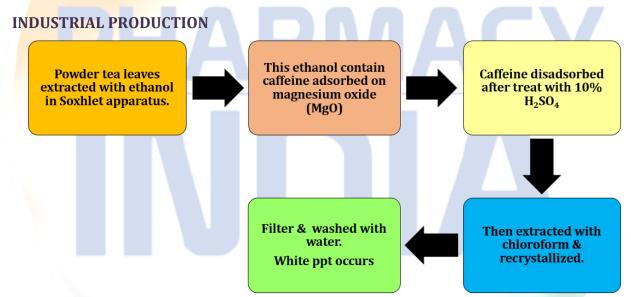


ESTIMATION

- Accurately weighed 0.5gm of atropine is dissolved in 50ml of glacial acetic acid solution.
- The resultant solution is titrated with 0.1N HCLO4 solution.
- The end point can be determined.

7. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF CAFFEINE

| Drug | Introduction | Uses | Structure |
|----------|--|--|-----------|
| Caffeine | Plant- thea sinensis Family- Rubiaceae | Bronchial smooth muscle relaxation Analgesic Diuresis | |



ESTIMATION

- Weigh accurately about 0.4g of caffeine & dissolve it in 40ml of acetic anhydride
- Cool & add 80ml of benzene & titrate with 0.1N perchloric acid determining the end point.
- Each ml of perchloric acid is equivalent to 0.01942g of caffeine.

8. INDUSTRIAL PRODUCTION, ESTIMATION & UTILIZATION OF PODOPHYLLOTOXIN

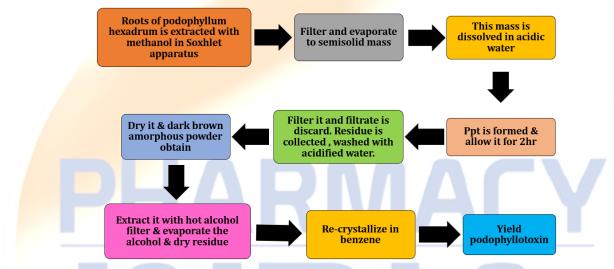
| | Drug | Introduction | Uses | Structure |
|--|------|--------------|------|-----------|
|--|------|--------------|------|-----------|

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| Podophyllotoxin | Plant- podophyllum hexadrum. | antineoplastic agent Anti- tumor | OH OH |
|-----------------|------------------------------------|---|-------|
| | Family- Berberidaceae | Anti-cancer Treat acute leukaemia | |

INDUSTRIAL PRODUCTION



ESTIMATION

- Sample 1mg podophyllotoxin is dissolved in 2ml methanol.
- Mobile phase- toluene: ethyl acetate
- Detecting agent- sulphuric acid
- Color- violet colored spot
- Rf value-0.39

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