

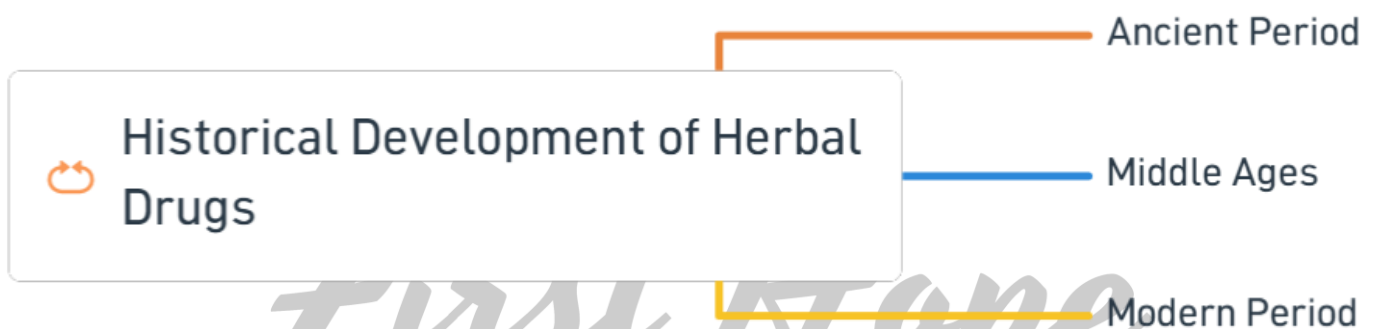
Drug Discovery and Development

History Of Herbs As Source Of Drugs And Drug Discovery

Introduction

- Medicinal plants have been used for thousands of years for the treatment of diseases.
- Ancient civilizations such as Indian, Chinese, Egyptian, and Greek systems used herbs as medicines.
- Traditional systems like Ayurveda, Siddha, Unani, and Traditional Chinese Medicine depend mainly on herbal drugs.
- Many modern drugs were discovered from medicinal plants after studying traditional herbal uses.

Historical Development of Herbal Drugs



1. Ancient Period

- Early humans used plants based on observation and experience.
- Leaves, roots, bark, fruits, and seeds were used to treat infections, pain, fever, and wounds.
- Ancient Ayurvedic texts such as Charaka Samhita and Sushruta Samhita described many medicinal plants.

2. Middle Ages

- Herbal medicine became more organized and documented.
- Arab physicians improved extraction and formulation methods.
- Herbal preparations were widely used in Europe and Asia.

3. Modern Period

- Scientists started isolating active constituents from medicinal plants.
- Development of analytical techniques improved drug discovery.
- Herbal compounds became sources for modern pharmaceuticals.

Traditional Systems of Herbal Medicine

A. Ayurveda

- Originated in India over 5000 years ago.
- Uses medicinal plants as primary treatments.
- Common plants: Ashwagandha, Tulsi, Neem, and Turmeric.

B. Traditional Chinese Medicine (TCM)

- Uses herbal remedies to maintain health and treat diseases.
- Important plants include Ginseng and Ephedra.

C. Unani System

- Uses herbal drugs along with minerals and animal products.
- Many formulations are still used today.

Contribution of Herbs to Modern Drug Discovery

- Medicinal plants provide diverse bioactive compounds.
- Traditional knowledge helps identify promising plants.
- Natural products serve as lead molecules for new drugs.
- Many modern medicines are derived directly or indirectly from plants.

Important Drugs Obtained from Herbs

Herbal Source	Active Compound	Therapeutic Use
Cinchona bark	Quinine	Antimalarial
Ephedra	Ephedrine	Bronchodilator
Papaver somniferum	Morphine	Analgesic
Digitalis	Digitoxin	Cardiotonic
Taxus species	Taxol	Anticancer
Artemisia annua	Artemisinin	Antimalarial

Importance of Herbs in Drug Discovery

- Source of new bioactive compounds.
- Provide lead molecules for drug development.
- Traditional knowledge reduces time and cost of discovery.
- Continue to supply new medicines for various diseases.

Advantages of Herbal Sources in Drug Discovery

- Plants contain structurally diverse compounds.
- Natural products show broad biological activities.
- Traditional knowledge supports therapeutic claims.
- Herbal compounds may show fewer side effects.

Limitations

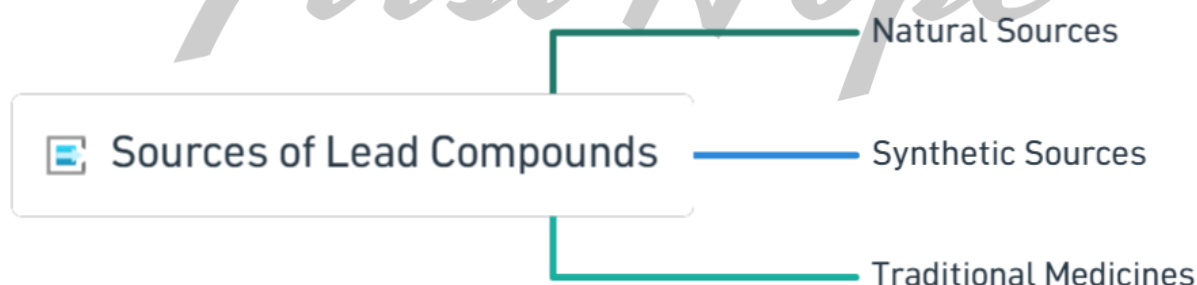
- Active constituents may be present in low quantity.
- Standardization is difficult due to environmental variations.
- Isolation and purification may be complex.
- Some herbal compounds show toxicity.

Lead Structure Selection Process

Definition of Lead Compound

- A lead compound is a chemical substance that shows promising biological activity against a specific disease target.
- Lead compounds serve as the starting point for development of new drugs.

Sources of Lead Compounds



A. Natural Sources

- Plants
- Microorganisms
- Marine organisms

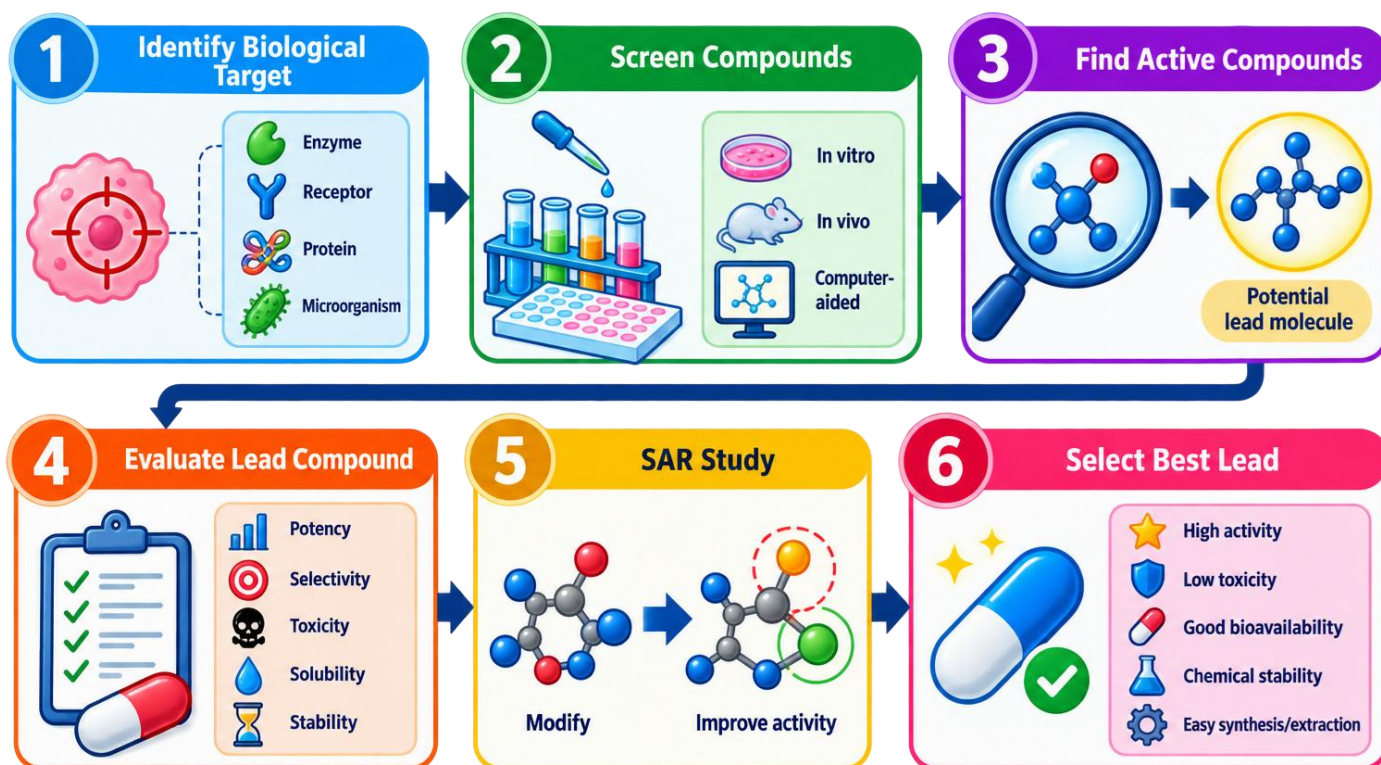
B. Synthetic Sources

- Chemical libraries
- Combinatorial chemistry

C. Traditional Medicines

- Ayurvedic and herbal formulations
- Ethnomedicinal information

Steps in Lead Structure Selection



1. Identification of Biological Target

- Scientists first identify the target responsible for disease.
- **The target may be:**
 - Enzyme
 - Receptor
 - Protein
 - Microorganism

2. Screening of Compounds

- Natural or synthetic compounds are tested for biological activity.
- **Screening may be:**
 - In vitro screening
 - In vivo screening
 - Computer-aided screening

3. Identification of Active Compound

- Compounds showing significant activity are selected.
- These compounds become potential lead molecules.

4. Evaluation of Lead Compound

- **The lead compound is evaluated for:**

- Potency
- Selectivity
- Toxicity
- Solubility
- Stability

5. Structure-Activity Relationship (SAR) Study

- Chemical structure is related to biological activity.
- Functional groups responsible for activity are identified.
- Unwanted groups are modified or removed.

6. Selection of Best Lead

- **The final lead should have:**
 - High therapeutic activity
 - Low toxicity
 - Good bioavailability
 - Chemical stability
 - Easy synthesis or extraction

Characteristics of an Ideal Lead Compound

- Strong pharmacological activity
- Minimal adverse effects
- Good absorption and distribution
- Suitable metabolic stability
- Economical production

Importance of Lead Structure Selection

- It reduces time and cost in drug development.
- It increases the probability of obtaining a successful drug candidate.
- It helps identify molecules with better therapeutic potential.

Example from Herbal Sources

A. Artemisinin

- Artemisinin was isolated from *Artemisia annua*.
- It showed strong antimalarial activity.
- Scientists selected it as a lead compound for antimalarial drug development.



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