Course: Drug discovery

Introduction to drug discovery

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Drugs from natural products

Natural product – It is a chemical compound or substances produced by living organisms found in nature.

It has a pharmacological or biological activity for use in pharmaceutical drug discovery and drug design.

Many natural product can be synthesized artificially once complete structure is studied.

However, not all natural products can be fully synthesized due to their complex structures and expensive on an industrial scale.

Eg: Penicillin, Morphine and paclitaxel (Taxcol)

(only from natural sources)

(1 tree is needed to collect bark for a single dose)

Plants: Many higher plants contain novel antimicrobial and antiviral properties.

It has no side effects.

In developed nation all clinically used drugs have been produced by in *vitro* synthesis.

Semisynthetic compounds: Sometimes harvesting a bio-synthetic intermediate from the natural source are used to formulate a drug than the final compound.

The intermediate product may be converted to the final product by conventional synthesis.

Natural sources

Natural product may be extracted from the following

a) Tissues of terrestrial plants
b) Marine organisms (sponges, coral reefs, diatoms)
c) Microorganisms fermentation broths

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A crude extract from these sources may contain novel compounds

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Chemical diversity in nature is based on biological and geographical diversity

Advantages

1. Intermediate may be easily extracted than final product.

2. The product may allow the possibility of synthesizing analogues of final product.

Screening of Natural Products

Usually, the natural product compound has some form of biological activity and that compound is known as the active principle.

This compound (structure) can act as a lead compound.

Some medicines are developed from a lead compound from natural sources

- Can be produced by total synthesis or
- Can be a starting point for a semisynthetic compound
- Can act as a template for a structurally different total synthetic compound

Most biologically active natural products are secondary metabolites with many complex structures.

This may be an extremely novel compounds and makes many lead compounds.

Natural source is slow and expensive.

The Plant Kingdom

- Plants have been a rich source of lead compounds.
- Many of these lead compounds are useful drugs and others are used to synthesis drugs (basis).
- Recently anticancer agent isolated from a yew tree bark called "PACLITAXEL" (TAXOL) and anti malarial agent artemisinin from *Artemisia annua.*
- Only few plants have been studied and majority have not been studied.

The Microbial World

- Microorganisms like bacteria and fungi are rich source for discovering drugs and lead compounds.
- Mostly, all microorganisms produce large variety of antimicrobial agents.
- Microorganism have become very important after the discovery of penicillin.
- Soil and water samples are being analysed from all over the world for new compounds.

Some microbial metabolites are used as lead compounds in other fields.

Eg: 1. Asperlicin from *Aspergillus alliaceus* used against a peptide hormone called Cholecystokinin (CCK) involved in control of appetite.

2. Fungal metabolite lovastatin is the lead compound for a series of drugs to lower cholesterol levels.
3. Another fungal compound called Ciclosporin is used to supplies the immune response after transplantation operations.

The Marine World

- Recent years there has been a great interest from marine life for new drugs.

- Organisms like corals, sponges, fish and marine microbes have tremendous scope for potential chemical includes

1. Anti inflammatory
2. Antiviral and
3. Anticancer apart from antibacterial activity

Animal Sources

Animals can sometimes be source for new lead compounds.

Eg: African clawed frog:

1. Antibiotic peptides were extracted from skin
2. Analgesic compound from skin

Venoms and Toxins

Venoms are toxins from animals, plants, snakes, spiders, scorpions, insects and microorganisms are extremely potent for many new compounds.

Thank you