Phytopharmaceuticals – fighting disease with natural substances

Phytopharmaceuticals are herbal medicines whose efficacy is down to one or several plant substances or active ingredients. They have been used for treating diseases since time immemorial. This traditional knowledge is still the basis for many medicinal products made from plants or parts thereof. Herbal medicines have been produced in Baden-Württemberg for many generations.

Plants produce an incredible variety of natural compounds. It is therefore not surprising that humans make use of this huge diversity. Historical sources show that the use of medicinal plants goes way back to the Bronze Age. Europe has a culture of using medicinal plants that starts with Hildegard von Bingen, continues with Friedrich Sertürner who was the first to isolate morphine in pure form and ends with the modern-day production of herbal medicines.

Plant extracts as the basis for ointments, tablets and teas

The secret of phytopharmaceuticals, i.e. herbal medicines lies in plants. Plants or parts thereof are used for the production of herbal medicines. In pharmacology, crude drugs are, amongst other things, defined as the naturally occurring, unrefined material of plant, animal or microbial origin used for medicine. Herbal crude drugs (also called herbal material, starting material) are therefore plants or parts (e.g. flowers, seeds, fruit and stems) thereof in an unprocessed state, in either fresh or dried condition, whole or reduced in size by grinding or chopping. They usually contain a large number of chemical compounds with or without medical effect. They are the basis for finished herbal products, i.e. phytopharmaceuticals. Herbal crude drugs are processed into herbal extracts (i.e. herbal preparations) by drying, extracting, etc., thus leading to an enrichment of the bioactive compounds. Phytopharmaceuticals, i.e. herbal medicines, therefore consist of several compounds with a therapeutic effect. Herbal medicines are sold in dry form as granules, tablets, capsules and lozenges. Medicinal oils such as arnica flower oil can be used to make ointments.

Phytotherapy is not the same as homoeopathy
The flavonoid quercetin is synthesised through the polyketide pathway and large quantities of quercetin are found in lovage (Levisticum officinale).

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**Alkaloids and amines are formed from amino acids.** Other secondary metabolites include polyketides, steroids and phenylpropanoids. Around 80,000 unique chemical structures have been isolated from secondary plant metabolites, which also vary considerably in their chemical structure, resulting in a huge number of closely related structures. Plants produce different secondary metabolites in different developmental phases. Secondary metabolites are important for communicating and interacting with other organisms and with the environment. Tomatoes are an excellent example for illustrating the effect of certain secondary metabolites as defense barriers. The glycoalkaloids tomatine and dehydrotomatine protect green tomatoes from being eaten by herbivores, and from infection by fungi and lichens. They are gradually degraded as the tomatoes mature. Ripe tomatoes contain little or no tomatine and dehydrotomatine and are safe to eat. Some secondary plant metabolites are formed only when the plant is infested by microbial pathogens. These so-called phytoalexins have an antimicrobial effect.1,2

**Active plant substances for treating congestive heart failure**

The example of tomatoes shows that active plant ingredients are not necessarily individual active ingredients, but a mixture of several. Only a few herbal ingredients are used for therapeutic applications without further processing. Digitoxin is one such compound. It is isolated in pure form from purple foxglove (Digitalis purpurea) and is effective as a cardiac glycoside for treating congestive heart failure. However, in the majority of cases natural compounds are used as models for chemically synthesised pharmaceutical substances: salicylic acid, which is produced by the plant Filipendula ulmaria (commonly known as meadowsweet), and a salicylic acid derivative, acetylsalicylic acid, which is produced by willows, have a long tradition of reducing pain and fever, but have been produced using chemical methods for over a century.3

**St. John’s wort is a well-known herbal medicine**

St. John’s wort is an excellent example for illustrating the variety of structures and effects of secondary plant metabolites. The herbal medicine (Hyperici herba) is extracted from dried Hypericum perforatum flowers and aerial parts. Amongst other things, the preparation contains naphthodianthrone hypericin (antiviral effect), the phloroglucinol derivative hyperforin (antibacterial effect) as well as other flavonoids (hyperoside) and xanthones. The presence of all these substances in the finished medicinal product, which is produced from hydroalcoholic extracts (ethanol 50-60%, methanol 80%), is determined during the production process using thin-layer chromatography. While the antiviral and antibacterial effects of the herbal substance are derived from hyperforin and hypericines, the actual effects are also provided by other active ingredients, which have been isolated and identified. For this reason, St. John’s wort is being increasingly used in the form of pure extract for the treatment of viral diseases such as cold sores and shingles. The antiviral activity is caused by hypericin and hypericinidin, which is also effective against Mycobacterium tuberculosis. The antibacterial effect is caused by the mixture of hypericins and hyperforins. In addition, Hypericum perforatum contains a large number of other secondary plant compounds, such as hypericin and hypericinidin (antiviral effect), the phloroglucinol derivative hyperforin (antibacterial effect) as well as other flavonoids (hyperoside) and xanthones. The presence of all these substances in the finished medicinal product, which is produced from hydroalcoholic extracts (ethanol 50-60%, methanol 80%), is determined during the production process using thin-layer chromatography.

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mechanism of action in the plant’s proven antidepressant effect is not yet known. In this case, the total extract exerts the medical effect, and is thus the active ingredient of the medicine.¹

St. John’s wort extract is a popular herbal medicine for treating depression. © Dr. Willmar Schwabe

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existing drugs and identify new indications for known medicinal plants. Researchers from the Institute of Naturopathic Medicine and Clinical Pharmacology at the University of Ulm, in cooperation with colleagues from France and Tunisia, have shown in the mouse model that arglabin, which has promising antitumour activity, also has the ability to reduce the progression of type 2 diabetes mellitus. Arglabin is extracted from plants of the Artemisia genus, including mugwort.9,10

Ongoing research therefore contributes to the discovery of new areas where known secondary plant metabolites can be used.

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