Botanical monograph

Hypericum perforatum (St. John's Wort) — a medicinal herb used in antiquity and still of interest today

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Common or perforate St. John's Wort *(Hypericum perforatum)* is an attractive plant which grows on sunny hillsides and dry meadows and at the edges of pinewoods. It owes its name to the fact that it is in flower on the feast of St. John the Baptist on June 24th, a phenomena which may in part account for its long use in folk medicine. While recent studies have focused on the antiretroviral effects of hypericin and psuedohypericin, two key components of the plant, this article examines the more traditional use of the plant. A discussion of hypericum-induced photosensitization is also included.

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BOTANY

St. John's Wort is a perennial plant which arises from an abundantly branched, spindle-shaped root stock and reaches a height of 20 to 100 cm. The upright stem, branching in its upper part, is terete, with two raised lines, glabrous, and gives the appearance of being slightly pruinose. Towards the apex it bears numerous glands. Its leaves are opposite and are elongated elliptic to ovate in outline. They are sessile, entire and glabrous. When held up against the light they show numerous translucent dots. These dots are glands within the leaf in which volatile oils are formed. The golden yellow flowers, appearing at the time of the summer solstice, are carried at the tips of the upper branches and form a spreading cymose inflorescence. The sepals of the individual flowers are ovate to lanceolate with a narrow acute tip; they too are more or less abundantly dotted with translucent or black, punctate to linear glands.

When the flowers are crushed, blood-red juice exudes and stains the fingers blue-violet. This phenomenon has given rise to many legends and fabulous uses for the plant. The golden yellow petals, roughly elliptic in shape, also bear glands which are visible as black dots or translucent and dark lines. Within the flower are numerous stamens, often up to 50 in number, usually grouped into three bundles. The ovary is broad to ovoid in shape. The pistil carries three long styles. The fruit is a capsule with several chambers containing numerous seeds. Botanically, St. John's Wort was previously assigned to the GUTTIFERAE, but nowadays it is included together with certain related genera in another family, HYPERICACEAE. It is a widely distributed plant, found in all parts of Europe except the high alpine regions and extending eastwards far into Russia. It has been introduced into China, Australia, New Zealand, North Africa, North and South America and the Canary Isles.

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Historical notes

The scientific name *Hypericum* is derived from the Greek word "hyperikon." The herb was used by the Greek physician Dioscorides, who practised in Imperial Rome in the first century B.C. It is also mentioned by the Roman naturalist Pliny, who was active at the same time. The origin of the word is uncertain, but there can be no doubt that St. John's Wort was employed as a medicinal plant in antiquity. The specific name "*perforatum*" (pierced by small holes) refers to the translucent dots in the leaves. Another widely used German name "Hartheu" (literally "hard hay") indicates that the hard stems yield very poor hay. For this reason farmers do not welcome it on their meadows.

In antiquity *Hypericum* was employed as a remedy for sciatica and for making poultices for burns. The juice of the fruits, mixed with honey-water, was also taken by mouth. Hippocrates used *Hypericum* as a cooling and anti-inflammatory remedy. In the sixteenth century it was adopted with great enthusiasm by Paracelsus, who describes its use externally as a remedy for alleviating the pain of contusions and for the treatment of wounds:

"Its virtue is beyond all description, how great it truly is and what can be achieved with it...It is not possible that any better remedy for wounds will be found in any country."

The great herbals of the sixteenth and seventeenth centuries, such as those of Hieronymus Bock (1565) and Matthiolus (1585, new edition 1616) give similar indications and go further to describe *Hypericum* as a haemostatic, diuretic and emmenagogue remedy. It was prescribed for a variety of totally disparate complaints, including sciatica, apoplexy and even bladder calculi. At that time its use was often linked with magical beliefs. For example, St..John's Wort was believed to ward off the temptations of the devil and to drive out evil spirits. It was considered to be outstandingly potent during its flowering season (June - August) and in particular on St. John's Day (June 24th). Even today it is gathered at this time, a practice which is not entirely unreasonable, since the concentration of volatile oils is then at its highest. St. John's Wort was even strewn upon roofs to protect houses from being struck by lightning.

One ancient belief which influenced its use as a remedy for wounds and for arresting bleeding was the doctrine of signatures. This was based on the idea that the therapeutic merits of a plant could be deduced from its shape and colour, and from the attributes of its juice. The fact that the flowers of St. John's Wort make the fingers red when they are crushed was seen as a sign pointing to its use for stopping bleeding. A somewhat more scientific approach was adopted in the eighteenth century. Haller (1) whose Medizinisches Lexikon appeared in 1955, used the herb not only for treating wounds but also as a vermifuge, diuretic and emmenagogue, these applications being based on his personal experience. His opinions were confirmed by Hecker in 1814, who himself studied the herb, and also by Bohn, Leclerc (2) and the renowned Kneipp (3). The latter advocated *Hypericum* as a balsam for local swellings, lumbago, gout and sprains. Fresh leaves steeped in olive oil were employed for these purposes, after being placed in the sun or close to a stove.

In German folk medicine St. John's Wort was treasured as a remedy for promoting the return of menstruation in cases of amenorrhoea and for the relief of other uterine afflictions, but it was also employed for the treatment of trigeminal neuralgia, catarrhal conditions of the bronchial mucosa and even for bedwetting in children. Demec (1989) points out that St. John's Wort was also widely employed in Russian folk medicine.

Chemistry

The plant (Herba Hyperici) contains hypericin (0.5-0.7%) together with certain pigments which are not easily separated and also flavonoids (0.5-2%) including hyperoside, a flavone with the aglycone quercetin. Whereas hypericin forms violet crystals (molecular weight 504.43), hyperoside exists as pale yellow needles (molecular weight 464.39). In addition there are volatile oils (0.05-0.9%) together with a pinene and sesquiterpene, rutin, quercitin, tannins (3.8-10%), nicotinic acid or nicotinamide, choline, pectin, phlobaphene and rhodan.

One substance recently isolated is "hyperforin," a derivative of phloroglucinol with six phenyl groups. It displays antimicrobial activity against staphylococci and streptococci.

Photosensitization

Some time ago it was observed that grazing animals which had consumed *Hypericum* developed skin lesions on exposure to the sun's rays, the most conspicuous changes being areas of erythema, ulceration and necrosis of unpigmented skin regions. This phenomenon was observed in white sheep in Tarentino in the nineteenth century; their wool fell out and the tissues of the head became swollen. In some cases the condition was fatal.

Black animals, however, were unaffected. In horses which had consumed hay containing *Hypericum*, the upper lip turned white and suddenly swelled up.

This condition soon became known under the names "Hartheukrankheit" or "hypericism." In 1934 Horsley (4) identified the pigment hypericin as the cause of the photosensitizing effect. The photosensitivity of the experimental animals was further intensified by administering the wax isolated from *Hypericum* in conjunction with hypericin, although the wax by itself had no effect. This showed that so-called concomitant substances can be of importance in the effects produced by plants. Nowadays the effect of *Hypericum perforatum* on the skin in subjects exposed to sunlight is well known. It is an acute sensitization phenomenon, of the kind denoted by the term "photosensitization," introduced into medical terminology by Hausmann in 1931.

Animals affected by this condition become extremely restless and roll about on the ground. The chin and lips swell up and in the most severe forms they may die of convulsions. The same manifestations occur when animals are given pure hypericin in phosphate buffer solution by subcutaneous injection or by mouth. For example, 1 mg of hypericin is sufficient to kill a white or hooded rat weighing 100 g within two hours, if it is exposed to solar radiation. If not exposed to light, the rat survives. Even in small amounts, hypericin, given to animals which are then exposed to light, causes haemolysis of the red blood cells, an action like that produced by certain porphyrins.

Skin lesions may also occur in human beings who have taken St. John's Wort internally, but only if they are of blonde coloration with little or no skin pigment and if they are exposed to intense sunlight.

Medicinal uses

Hypericum perforatum is a therapeutic agent of exceptional potency. In 1938 Madaus (5) made a detailed study of its medicinal uses, drawing his data from a questionnaire circulated among practitioners and from a study of the literature. He designates *Hypericum* as "Amica for the nerves," a valuable remedy in cases of damage to the nerve substance caused injuries or resulting from anaemia, and in disorders caused by excessive intellectual efforts. He also established that it was extensively employed, both internally and externally, for puncture wounds and incised wounds, contusions, and painful wounds and scars following operations; it was also used for the treatment of various forms of neuralgia (trigeminal neuralgia, migraine, sciatica), neuroses, neurasthenias, hysteria, general restlessness and insomnia.

It is still used for similar purposes today. As a rule, the entire herb is administered in the form of Herba Hyperici as an infusion or as the fresh juice, or alternatively in the form of special preparations standardized in terms of hypericin content. Another widely used product is the oil of St. John's Wort (Oleum Hyperici).

It is manufactured by special procedures designed to ensurse that hypericin—the active constituent—is extracted in the most concentrated possible form. The oil is employed internally, and also in many cases externally, chiefly as a remedy for promoting wound healing.

The fresh leaves of St. John's Wort are pounded in a mortar and mixed with a fatty oil, preferably olive oil or sunflower oil. The mixture is placed in well sealed containers and left to macerate in moderate warmth for approximately six weeks. It is then exposed to sunlight. The resulting product is known as oil of St. John's Wort. In colour it is ruby red, and when viewed in incident light it displays a dark red or orange fluorescence (Oleum Hyperici). The internal uses of the oil have recently been the subject of closer attention. It has become evident that the action of St. John's Wort is in fact not predominantly sedative but on the contrary antidepressive. It has now gained an established place in the therapy of depressive states. However, it must not be forgotten that such depressive states are chronic conditions which usually require prolonged treatment. The mood-enhancing effect is by no means rapid in onset. The product has to be taken for a considerable time, in most cases for some 2-3 months. The earliest responses will not appear until at least 2-3 weeks have elapsed. There need be no fear of harmful effects, provided that the patients protect themselves against intense solar radiation.

Injectable preparations of St. John's Wort have been devised with the object of achieving a swifter response, but in most cases an oral preparation is sufficient.

When using one of the manufactured products, the usual dosage is 30 drops or two sugar-coated tablets three times daily; after 14 days the dose can be reduced to 30 drops or one sugar-coated tablet twice daily. St. John's

Wort juice is also available as ready-for-use preparations. The initial dose is two dessertspoonfuls three times daily; after 14 days this can be reduced to one dessertspoonful three times daily or two dessertspoonfuls every morning and every evening. Treatment should be continued for at least 4-6 weeks. When using manufactured products it is important to adhere carefully to the directions given on the package insert, since the available products differ widely in the amounts of active substance which they contain.

Although St. John's Wort is a psychotropic medicinal plant, its status in the realm of phytotherapy is not so unequivocally defined as that of certain other products such as *Rauwolfia*, which is a phytotranquillizer. In view of its mood-enhancing, euphoriant action it is better termed a phytoantidepressive. However, its antidepressive effect is not as clearcut and intensive as that of some synthetic drugs. For this reason *Hypericum* is not really appropriate in cases of genuine endogenous depression or true melancholia, but it is quite suitable in cases of reactive or neurotic depression in which the diagnosis is not ascertainable with the same degree of certainty.

Its use extends to the state known as "autonomic dystonia," a condition perhaps better designated as "psychoautonomic syndrome." St. John's Wort has also been successfully employed in cases of nocturnal enuresis, generally known as bedwetting.

The fact that St. John's Wort acts centrally on the brain can no longer be doubted, as is apparent from the investigations of Dittman, Hermann and Paleske (6). They showed that a complete extract of *Hypericum perforatum* produces specific and clearly reproducible inhibition of anaerobic glycolysis in brain tumour slices.

Oil of St. John's Wort is also a proven remedy for certain other conditions such as functional gastritis and gastric ulcer. In such cases a regular course of treatment (one teaspoonful on an empty stomach every morning and again every evening) will effect rapid improvement. Inflammatory conditions of the large intestine and internal haemorrhoids can be treated by administration of small retention enemas of warmed St. John's Wort oil, which should if possible be retained overnight.

Hypericum perforatum occupies a special place in homoeopathy. It has been the subject of numerous drug provings, which Leeser (7) painstakingly listed in his "Lehrbuch der Homopathie" (Textbook of Homoeopathy) and Scholer (8) reviewed in 1942. Its predominant tendency towards the nervous system is clearly evident from this work. There are also certain facts which seem to provide evidence in favour of homoeopathic treatment for depressions.

Lastly, the homoeopathic proving symptoms in the realm of peripheral nerves point towards the existence of links with various forms of neuralgia and neuritis. On the other hand the index symptom (pain occurring after injuries or operations and their sequelae) has not so far been validated by the results of provings, though it is supported by adequate empirical experience. In the provings there is repeated mention of painful skin eruptions of urticarial type. Daniel (9) made a thorough study of the plant in 1939 and 1949. He advocates *Hypericum perforatum*, in expert hands and given in appropriate dilution, as an outstanding remedy for the treatment of depressive states, especially in the menopause, following head injury and associated with early cerebral arteriosclerosis. Chronic skin conditions, occurring predominantly in areas exposed to light and made worse by solar radiation, constitute a further category of indications for treatment with *Hypericum*. As conventional dosages, the mother tincture up to D3 (3X) is recommended. *Hypericum* is also a component of several compound homoeopathic remedies. In these it is recommended for the treatment of neuroautonomic hyperexcitability. *Hypericum* has a long history as a medicinal plant. It was employed by the physicians of classical antiquity and today it still has a valuable place in the resources of natural healing.

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