Arctostaphylos uva-ursi, Bearberry

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Arctostaphylos uva-ursi (L.) Spreng., commonly known as bearberry and Kinnickinnick, is a creeping evergreen shrub found in temperate areas of North America, Europe and Asia. Arctostaphylos, derived from the Greek words arktos, a bear, and staphyl, a bunch of grapes, refers to the common name bearberry. The other common name kinnickinnick is of American Indian origin meaning mixture, and refers to the smoking mixtures that included the dried leaves of Arctostaphylos uva-ursi. 18

A. uva-vrsi grows well in dry sandy or rocky soil at higher elevations from artic America to Alaska, south to southern New Jersey, Pennsylvania, Illinois, Michigan, Nebraska, Colorado and California. A. uva-ursi is found in coniferous forests from Oregon, Washington and California to Colorado and New Mexico. 11

In Europe \underline{A} . $\underline{uva-ursi}$ extends northward from Northwestern Ireland, Yorkshire and Central Russia. In Asia it extends northward from Lower Siberia and Kamtschatka. Its most northerly range includes Iceland and Greenland. 16

A. uva-ursi is abundant on rocky outcrops, as well as the dry floor of open woods. It stands about 6 inches in height and characteristically forms dense mats, sometimes many feet in diameter. The leaves are 1 to 1 1/2 inches long and about 1/2 inch broad, alternate, thick, shining and evergreen turning mahogany color when aged. The leaf surface is smooth and glossy, bright green to grayish green above and lighter yellowish green and reticulated below.

The leaf shape is obovate, spatulate, rounded at the apex,

narrowed at the base and entire at the margin. The odor of the leaves is slight and the taste is bitter and astringent.

The bark on the long, trailing stems is dark-brown to reddish and is somewhat shredded. The brilliant red berries, cupped by persistant, five-cleft green calyx-lobes at their bases may be nearly 1/2 inches in diameter, but are usually the size of a pea. The berries are edible, though dry and mealy. The flowers are pink to white with red markings, in terminal drooping clusters, or racemes. The corolla is ovoid, constricted at the throat and about 2 inches long, having 5 lobes that are short, acute and recurved. The roots are thick, ligneous and creeping. 16

Medicinal uses of <u>A. uva-ursi</u> have been noted as early as the thirteenth century, probably as a diuretic. Today, the fresh leaves are dried and powdered and used to treat many disorders, but its main use is as a diuretic and mild disinfectant for the urinary tract. 19, 26, 10 It has also been used as an astringent, as a hemostatic and as an excellent remedy in nephritic disorders. 16 Conditions for which it is used also include chronic cystitis, kidney stones, and bronchitis, usually in the form of a tea or tincture. 14 Because it has a specific action on the urinary organs, it has been used in cases of gravel, ulceration of kidney and bladder, catarrh, gleet, leukorreha, and menorrhagia. 3

Medicinal uses include a decoction prepared by the Thompson Indians of British Columbia as a remedy for blood spitting, and a decoction of the leaves and stems that is used as a wash for sore eyes. ²² The Menominee Indians of northern American used

the leaves as a seasoner to enhance the taste of female remedies, and it has also been used to treat uterine hemorrhages. 21 The Chippewa Indians cooked the berries with meat as a seasoning for the broth and they mixed dried pulverized leaves with red willow and smoked them for headaches. They also used the leaves as a charm by smoking them in a pipe to attract game. 4.

The dried leaves are used as the source of the drug in all preparations. 19, 10, 1 The leaves can be gathered and carefully dried at midday in late summer for the best results, making sure to gather only green and perfect foliage. 3 Considerable amounts of the leaves have been exported from Barcelona and Spain, and much has been gathered for the market in California, northern United States and Canada. 26

The constituents of the leaves include arbutin; tannic, gallic and ellagic acids; ericolin; calcium oxalate; and a crystalized resinous substance called ursone (ursolic acid). The three principles arbutin, ericolin (glycosides), and ursone are common to the family Ericaceae. 19, 26, 10 One iridoid glucoside is present also in the leaves. It is characterized by the cyclopentanopyran ring system and is called monotropein. Fresh leaves and twigs and A. uva-ursi are used to isolate this iridoid, by using chromatographic separation. 9

The powdered drug made from the dried leaves has a hay-like odor, and bitter taste. It is greenish-brown and contains yellow tannin masses and prisms of calcium oxalate. ¹⁹ The active chemical agent in the powdered leaves is the drug arbutin, and commercial preparations of the leaves are from either this crude powder or from extracts of arbutin. ¹⁴

When arbutin is taken orally, it breaks down in the human system by hydrolysis to form hydroquinone, a powerful disinfectant and antiferment. Hydroquinone then combines with glucuronic acid or sulfuric acid and is excreted through the kidney in this form. Caution should be taken when using the drug arbutin because hydroquinone is toxic. The symptoms of poisoning include titinnus, vomiting, delerium, convulsions, and collapse. Death may result. 14

When the leaves of \underline{A} . $\underline{uva-ursi}$ are used as a crude drug, gallotannin (gallic acid) prevents enzymes from splitting arbutin. This explains why crude plant extracts are more effective medicinally than pure arbutin. 25

Arbutin is an effective urinary disinfectant only if the following two conditions are realized:

- 1. The non-toxic glycoside should be given in large doses.
- The urine must be alkaline because the active compound, hydroquinone, must be generated from its inactive esters.^{7, 14}

Much contradiction about the suitability of arbutin for therapy of urinary infections is caused by insufficient knowledge of the mode of action. Consequently the drug is often applied in an inefficient way. 7

The official preparation of the drug is a fluid extract with a dose of 15 to 60 grams. ¹⁹ For another preparation of the drug the fresh leaves are to be chopped and pounded to a pulp, adding the pulp to dilute alcohol and straining through a cloth. This liquid is allowed to stand eight days, then filtered to obtain the drug. ¹⁶

When preparing the drug you must consider that the arbutin content in the leaves reaches a maximum in the growing period between October and December, and a minimum in April and May. 12, 13

Other less important active agents in <u>A. uva-ursi</u> are the tannic acids. These include both gallic and ellagic acids. The most well-known property of tannins is their astringency, due to their ability of precipitating proteins. They are mainly used as an ingredient in some ointments and suppositories for treating hemorrhoids. ¹⁴

Of some of the phenolic acids found in tannins, gallic acid is probably the one of most common occurence. Ellagic acid is a secondary product formed on hydrolysis of some tannins which are actually esters of hexaoxydiphenic acid. The hydrolyzable tannins are often complex mixtures containing several different phenolic acids esterified to different positions of the sugar molecule. The "tannic acid" of commerse is actually a mixture of free gallic acid and various galloyl esters of glucose. 18

The tannins in <u>A. uva-ursi</u> leaves have been used experimentally to kill the freshwater snail <u>Biomphalaria glabrata</u>, which is the intermediate host of schistosomiasis, one of the most widespread parasitic diseases in tropical and subtropical countries. Thus the tannins found in <u>A. uva-ursi</u> may have uses for controlling schistosomiasis in humans by controlling the disease-transmitting snails. One of the remaining major constituent in the leaves of bearberry are not medicinal but are present in large enough quantities to be mentioned. They include Ursolic acid (found in the waxy coating of the leaves), calcium oxalate and ericolin

(an amphorous, very bitter glucoside). There are also other common constituents in the leaves such as gums and resins. 18 , 26

Many of the chemicals in <u>A. uva-ursi</u> are common to many plants in the family Ericaceae, and other species of the genus <u>arctostaphylos</u> contain principles similar to <u>uva-ursi</u>. ¹⁰

<u>A. glauca</u>, a small California evergreen, is used as an astringent, and <u>A. gaultherea</u> is used as an astringent, a diuretic and a stimulant. ¹⁹ The leaves of trailing arbutus (<u>Epegla repens</u>) contain eriocolin and possibly arbutin, ¹⁰ and <u>A. chimaphilia</u> contains arbutin and is used as a diuretic. ²⁶ It is also interesting to note that some California tribes fermented the berries of <u>A. manganita</u> to produce an alcoholic drink. ⁵

The leaves of <u>A. uva-ursi</u> have also been used as a smoking material by many of the northwestern American Indian tribes, such as the Chippewa Indians. <u>A. uva-ursi</u> is a major constituent for many smoking mixtures, commonly referred to as kinnickinnick. In the 1800's, it was common to see bunches of this plant hanging in Indian houses on the northwest coast just as one saw bunches of tobacco hanging up in backwoods Kentucky homes. ¹⁷ The leaves today are still used by many Indians and sold commercially. The Great Northwest Fur and Trading Post sells <u>A. uva-ursi</u> for \$6.00 per 1/4 pound and \$10.00 per 1/2 pound.

The smoke produced by burning <u>A. uva-ursi</u> leaves have strong narcotic properties with symptoms similar to the effects of alcohol. ¹⁷ Some Indians stupify themselves for a short period of time by swallowing quantities of smoke, which, after being retained in the stomach and lungs for a while, is poured out in

volumes from the mouth and nostrils. 23, 8

Reagan describes an Indian of the Quillayute tribe of the Pacific Northwest who became intoxicated by smoking bearberry leaves and danced in the fire until the soles of his feet were burned to a crisp and deformed for life. Several years earlier another old Indian got drunk on the narcotic inhaled from the smoke and fell in the fire, burned his feet almost off, burned his hands badly, burned his nose completely off, and also part of his lips. 17

No evidence exists in the literature that <u>A. uva-ursi</u> has been cultivated from seed, but it is known that it is notoriously difficult to transplant. To move it you should draw the young stems through a hole in a flower pot, fill the pot with soil, and leave for a year for the roots to develop. Then the stem may be severed and plant moved.³

In summary, the leaves of <u>A. uva-ursi</u> have been used historically as a diuretic and used for the past 700 years for various urinary tract disorders. The drug arbutin is the active agent in <u>A. uva-ursi</u> leaves and its breakdown in the body forms hydroquinone, a disinfectant. The drug arbutin can only be effective if given in large doses and the urine is alkaline.

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CHEMICAL CONSTITUENTS IN ARCTOSTAPHY LOS UVA-URST LEAVES:

C24H56O21-ERICOLINIA C2CAO4-CALCIUM OXALATE

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Arctostaphylos uva-ursi (from & Ge-) rard, The Herball, 1597).