

HORRIBLE WEED OR MIRACLE HERB? A REVIEW OF *BIDENS PILOSA*

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Abstract

This article is a review of the medicinal plant *Bidens pilosa*.

Reference

Connelly P. Horrible weed or miracle herb? A review of *Bidens pilosa*. Journal of the Australian Traditional-Medicine Society 2009;15(2):77-79. (25 references)

Keywords

Herbal medicine; medicinal plant review; phytomedicine; *Bidens pilosa*.

A member of the Asteraceae family, the weed *Bidens pilosa* Linn. is found throughout the world, probably originating in South America. First noted in Linneus's *Species Plantarum* (1753)⁽¹⁾, this weed has acquired a variety of common names: cobblers pegs, grab-a-leg, Spanish needles, pitchforks, stickybeak, hairy tickweed and many more. When it was introduced to Australia is unknown, but it is now prevalent in the Northern Territory, South Australia, Queensland and New South Wales, and is a major crop weed, outcompeting native species in tropical areas⁽²⁾.

B. pilosa is an erect annual which grows to an average height of 60 cm although it can reach 2 m in favourable conditions. The leaves are opposite and divided into three to five lance-shaped segments with serrated edges. Bright green whilst young, leaves can develop tinges of red and brown on maturity. Flowers are mostly yellow but can have white elements (ray florets) which can be present for periods of the flower's development. The seeds are black or dark brown, slender and about 1cm long, clustered on the end of the stalk. They possess three tiny prongs at one end, which adhere to clothing and animals⁽²⁾.

There are several varieties of *B. pilosa*. One, *B. pilosa* Linn var. *radiata*, which is found in tropical America and Japan, has been analysed and shown to contain active constituents including flavonoids, polyacetylenes, flavone glycosides, chalcones, auronones and phenylpropanoids⁽³⁾. *B. pilosa* also contains calcium, iron and zinc, as well as beta-carotene^(4,5).

Recent research is showing a useful side to this plant. Searches of ProQuest, CINAHL, Wiley Interscience and PubMed databases showed a number of papers observing significant health benefits of *B. pilosa* as a botanical medicine. Very few of the papers on this herb concerned testing on humans; most used rodents or performed in vitro experiments on cultured cell lines. It is obvious that a large amount of research remains to be performed on *B. pilosa*. This article will review fifteen of the papers, examining the potential health benefits from its use as a herb.

B. pilosa has been used as a folk remedy in many third-world countries for eons. Wounds, colds and flu, fever, hepatitis and jaundice, glandular sclerosis, rheumatic conditions, neuralgia, smallpox, colic, diarrhoea, diuretic, pain, snake bite, conjunctivitis, anaemia, haemorrhage and rectal prolapse are just a few of many traditional uses of this herb^(4,6-8).

The body of serious research on *B. pilosa* has grown over the last decade. As the trend towards evidence-based medicine became more pronounced, research on this herb began as an attempt to validate its traditional use. In the process, a number of studies have shown its potential as an antimalarial^(9,10), anticancer^(6,11-13), antidiabetic⁽¹⁴⁻¹⁷⁾, anti-inflammatory and antiallergy^(3,18,19), antihypertensive and smooth muscle relaxant^(7,8), antimicrobial/antiviral (including herpes)^(20,21), antipyretic⁽⁶⁾, oxytocic⁽²²⁾, and nutritive^(4,5,23). As noted above, most intensive research on this herb is in its infancy, but these studies show promise for the use of *B. pilosa* as a possible complement or alternative to pharmaceutical drugs for the early stage of some of these conditions.

Malaria

Malaria is a major disease problem in many areas of the world. *Bidens* spp are widespread in Brazil, where malaria parasites have exhibited increasing resistance to pharmaceutical drugs. *B. pilosa* has been one of the most promising and potent botanical anti-malarials, with a very high rate of

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reduction of parasitaemia in in vitro cultures of *Plasmodium falciparum*, the most deadly malarial strain, as well as other less dangerous types of malaria⁽⁹⁾. A later murine study confirmed this effect in vivo, with a reduction in parasitaemia of up to 60% in mice infected with *P. berghei*. Dosages given were 250 and 500 mg/kg body weight. Herb samples collected under different conditions were also tested, showing little variation in efficacy⁽¹⁰⁾.

Cancer

Cancer is another area where *B. pilosa* has shown promise, specifically as an anti-angiogenic agent. *B. pilosa* Linn var. *radiata* extract was used on a variety of cultured cell lines. The ethyl acetate fraction was shown to have a strong inhibitory effect on tube formation and proliferation in human umbilical vein endothelium cells, and the authors conclude that this line of research is very promising⁽¹³⁾.

Bidens pilosa L. var. *minor* (Blume) Sherff was used in an in vitro experiment on five leukaemic cell lines. Results were dose-dependent, but were significant on all cell lines. Dosages of 100, 250 and 500 mcg/mL were tested, with significant results on all but one line which was only moderately affected in comparison, but still showed a positive effect. The authors suggest that metabolism in vivo may produce more active constituents, and that more research on the use of *B. pilosa* as a leukaemia treatment looks worthwhile⁽¹²⁾.

Diabetes

Diabetes is one disease where *B. pilosa* is one of many plants that has been used as a folk remedy in many parts of the world. A survey of plants traditionally used in Trinidad and Tobago found that *B. pilosa* and *Bidens alba* were both commonly used in the management of hypertension and diabetes⁽¹⁶⁾.

There is good evidence for continuing research on *B. pilosa* in the prevention and management of diabetes, both types I and II. A murine study published in 2000 found two polyacetylenic glucosides derived from the aerial parts of *B. pilosa*, and administered in combination in a 3:2 ratio was shown to have a significant hypoglycaemic effect⁽¹⁷⁾.

In another study of its hypoglycaemic effects, a test of normal mice against experimental alloxan-diabetic mice (one group mild, the other severe) using a water ethanol extract of *B. pilosa* (whole plant) showed significant hypoglycaemic results in the normal and mildly diabetic mice, but no change in the severely diabetic group. The authors suggest that insulin in the body is required as a mediator for the hypoglycaemic effects of the plant extract⁽¹⁴⁾.

Cytopiloyne (a polyacetylenic glucoside) has been found to prevent type I diabetes in non-obese diabetic mice (NOD), through modulation of T cells. Specifically, it has been found to inhibit CD4+ T cells in the spleen and pancreatic lymph nodes of the NOD mice, leaving CD8+ T cells untouched. It also suppresses differentiation of Type 1 Th cells, and promotes production of Type 2 Th cells. Some in vitro experimentation with these cell lines was carried out, which showed less promising results than the in vivo experiments. The authors note that cytopiloyne works through a different

mechanism than pharmaceutical drugs for type I diabetes prevention, is far less toxic, and less suppressive of the immune system⁽¹⁵⁾.

Inflammation

Inflammation is at the root of many diseases, so given *B. pilosa*'s wide use in folk medicine for a variety of illnesses, it is not surprising that studies are uncovering its anti-inflammatory properties. Research is now revealing modulation of various inflammatory cytokines which activate cyclooxygenase-2 (COX-2) and inducible nitric oxide synthase (iNOS). Ethyl caffeate, a phenolic compound isolated from *B. pilosa*, was studied using mouse skin and in vitro cell lines, and found to markedly suppress several of these cytokines, with significant inhibition of COX-2 expression. The production of PGE2, a growth promoting factor in certain carcinoma cell lines and a mediator of inflammation was also significantly inhibited by ethyl caffeate in this study⁽¹⁸⁾.

Another study used normal human dermal fibroblasts to examine the effect of *B. pilosa* Linn. var. *radiata* (aerial parts) following induction of inflammation. *B. pilosa* was found to suppress COX-2 expression and PGE2 production⁽³⁾.

Allergies

Many allergies occur through an inflammatory pathway, and a commercial product (ClearGuard™) has now been produced, aimed at the nasal allergy market. It contains *B. pilosa*, *Cinnamomum cassia* and *Malpighia glabra*. A randomised, double-blind, placebo-controlled, double-dummy, crossover, single-centre clinical study of twenty subjects was designed to assess the efficacy of ClearGuard™ for allergy symptoms. This trial was sponsored by the manufacturer of the product.

No adverse events were reported. *B. pilosa* was included in the formula for its quercetin content, which has been shown to stabilise mast cells and basophils, decrease leukotriene synthesis and reduce the release of histamine and other mediators. The authors also referred to the study previously quoted which examined the action of ethyl caffeate on inflammatory cytokines. The result of the trial was that ClearGuard™ was shown to be safe and at least as effective as the pharmaceutical drug Loratadine⁽¹⁹⁾.

Hypertension

B. pilosa has been used as an antihypertensive in folk medicine, and studies are now confirming its efficacy. Three groups of rats (normotensive, spontaneously hypertensive, and salt-loaded hypertensive) were anaesthetised and stabilised before the solution of *B. pilosa* was administered. Several dosages were tested. All three groups registered a significant decrease in blood pressure. The hypotensive activity appears in two successive phases. The first is due, at least partially, to the effect of the extract on the cardiac pump efficiency, while the second phase may be due to both beta-receptor stimulation and muscarinic receptor-mediated vasodilation⁽⁸⁾.

The herb has also been found to be a relaxant of smooth muscle. In an in vitro study of tissue from male Wistar rat aortas which had been treated with norepinephrine and KCl, a neu-

tral leaf extract of *B. pilosa* was found to reduce the aorta resting tone, and inhibit KCl contractions, demonstrating a vasodilatory action on the tissue⁽⁷⁾.

Antimicrobial Action

B. pilosa has been found to have potent antimicrobial effects, including antiviral activity against types I and II herpes simplex (HSV) viruses. In vitro experiments using hot water extracts of the herb showed significant, dose-dependent effects against HSV. Measured against Acyclovir, *B. pilosa* at 500 mcg/mL performed equally against HSV2. Against HSV1, *B. pilosa* was more efficacious than against HSV2, but not quite as potent as Acyclovir⁽²⁰⁾. This is welcome, as the authors say that quite high dosages of the plant extract are well tolerated by human cells. Acyclovir, on the other hand, can have significant side effects including gastrointestinal upset, headache, vertigo, arthralgia, neurological reactions including convulsions, fatigue, fever, pruritus and other symptoms⁽²⁴⁾.

An in vitro study of ten medicinal plants used in Colombian folk medicine, which included *B. pilosa*, showed that this herb was active against several strains of bacteria including *Bacillus cereus* and *Escherichia coli*, outstripping the performance of Gentamycin sulfate. It was also active against *Staphylococcus aureus*⁽²¹⁾.

Conclusion

It is clear from these findings, despite the lack of high-grade human trials, that there is a great deal of promise for the use of *B. pilosa* as a botanical medicine. However, before herbalists locate and experiment with this plant, it is useful to note that *B. pilosa* is a cadmium hyperaccumulator. In a study (which also included *Matricaria chamomilla*) *B. pilosa* and *B. frondosa* were found to be excellent environmental bioremediators of cadmium pollution⁽²⁵⁾, but has no known human chelation effect. Therefore, selection and harvesting of plants for medicinal use needs to be very carefully considered, and assayed if there is any doubt as to its origins.

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