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File: ■ Burdock (*Arctium lappa*)
■ Pharmacology

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RE: Pharmacology of Burdock

Chan YS, Cheng LN, Wu JH, et al. A review of the pharmacological effects of *Arctium lappa* (burdock*). Inflammopharmacology*. Oct 28, 2010. [Epub ahead of print]. doi:10.1007/s10787-010-0062-4.

In traditional Chinese medicine (TCM), one-year-old burdock (*Arctium lappa*) root is used to treat conditions that result from "the accumulation of toxin in the body," such as sore throat, rashes, boils, and skin problems. *Arctium* species also have a long history of use in Western herbal medicine. This review article summarizes the current state of scientific literature on burdock.

The main biologically active constituents isolated from burdock are tannin, arctigenin, arctiin, β-eudesmol, caffeic acid, chlorogenic acid, inulin, trachelogenin 4, sitosterol-β-D-glucopyranoside, lappaol, and diarctigenin. Burdock has anti-inflammatory effects mediated through the inhibition of inducible nitric oxide synthase (iNOS) expression, inhibition of nitric oxide (NO) production, inhibition of proinflammatory cytokine expression, inhibition of nuclear factor-κβ (NF-κβ), antioxidant enzyme activation, and free radical scavenging. Burdock extract has been shown to inhibit "degranulation and release of cysteinyl leukotrienes (Cys-LTs) by peripheral blood mononuclear cells (PBMCs)" in vitro. Constituents of burdock seeds and leaves inhibit NO production, including lappaol F, diarctigenin, and arctigenin. Lappaol F and diarctigenin also inhibit NO production stimulated by lipopolysaccharide in vitro, and diarctigenin has been shown to directly target the NF-κβ-activating signaling cascade.

Arctigenin inhibits iNOS expression and the production of NO by suppressing NF-κβ activation and the inhibition of I-κβα phosphorylation and p65 nuclear translocation. Arctigenin also inhibits the expression of tumor necrosis factor-α (TNF-α) and interleukin-6 (IL-6) in vitro. The suppressive effect on TNF-α expression may be mediated through effects on mitogen-activated protein (MAP) kinases. A methanolic burdock extract has demonstrated inhibitory effects on cyclooxygenase-2 (COX-2) messenger ribonucleic acid (mRNA) expression. Burdock possesses in vivo anti-inflammatory effects, including dose-dependent inhibition of carrageenan-induced rat paw edema, as well as ethanol and carbon tetrachloride (CCl_4)-induced hepatotoxicity in rats. Burdock has also been shown to suppress intoxication induced by CCl_4 and

acetaminophen in mice. Burdock's hepatoprotective effects have been linked to decreases in oxidative stress, and its anti-inflammatory effects are linked to free radical scavenging and antioxidant properties.

Arctigenin inhibits Akt phosphorylation, which is stimulated when cancer cells are glucose-deprived. This inhibition decreases the rate of glucose formation leading to cancer cell death. The compound has demonstrated anticancer effects on several cancer cell lines, including PANC-1 and AsPC-1. Antioxidant compounds from burdock roots may suppress cancer metastasis, and root extracts have been shown to protect cells from toxins and to prevent mutations. Tannin is one of the most biologically active constituents isolated from burdock, but it is also linked to toxic effects, including stomach upsets, nephrotoxicity, and hepatic necrosis.

The roots and/or fruit of burdock may possess hypoglycemic effects. Sitosterol-β-D-glucopyranoside inhibits alpha glucosidase, which plays a role in breaking down sugars. Inulin, also found in burdock, acts "on cell surface receptors to keep the blood glucose level constant, therefore improving the tolerance to high glucose level." A total lignan fraction from burdock has shown antidiabetic effects in an alloxan-induced mouse diabetes model. A lyophilized burdock leaf extract has shown antimicrobial effects against oral microorganisms, including *Bacillus subtilis*, *Candida albicans*, *Lactobacillus acidophilus*, and *Pseudomonas aeruginosa*. Chlorogenic acid from burdock leaves has shown restraining effects against *Escherichia coli*, *Staphylococcus aureus*, and *Micrococcus luteus*. The leaves of burdock may be useful in the treatment of tooth and gum diseases and skin problems, but clinical research is needed for confirmation. Polyacetylene burdock constituents have demonstrated antibacterial and antifungal effects. Phenolic constituents from burdock, including caffeic acid and chlorogenic acid, possess antiviral effects against herpes viruses (HSV-1, HSV-2) and adenoviruses (ADV-3, ADV-11). In addition, arctigenin has shown in vitro and in vivo activity against human immunodeficiency virus type 1 (HIV-1).

Burdock lignans are antagonists of platelet-activating factor (PAF) receptors and calcium and have shown hypotensive effects. Arctiin protects against carcinogenesis induced by 2-amino-1-methyl-6-phenylimidazo[4,5-b]pyridine (PhIP). The most commonly reported adverse effect of burdock is contact dermatitis. There are reports of contact dermatitis caused by topical use of burdock root oil and massage oil with burdock extract. There is one case report of anaphylactic shock in a Japanese man who had eaten cooked burdock root on several occasions. Symptoms included whole-body urticaria, breathing difficulties, and low blood pressure.

Burdock has a long history of medicinal use and potential in the treatment of chronic diseases; however, clinical trials are needed to confirm burdock's biological activities, which include antiviral, anti-HIV, anticancer, antimutagenic, antimicrobial, antidiabetic, antioxidant, and anti-inflammatory effects.

—Marissa Oppel-Sutter, MS

The American Botanical Council has chosen not to reprint the original article.