Plantas com efeito antiinflamatório e analgésico

Paula Viñas
José de Felippe Junior

Patented antiinflammatory plant drug development from traditional medicine.


Resumo: Patents secured on antiinflammatory plant drugs derived from 38 plants are reviewed. An attempt has been made to compare the modern and traditional use of plant drugs and to establish the relevance of folk claims in developing modern drugs. The role of plant botanicals such as saponins, terpenes, flavonoids, alkaloids, etc. in alleviating inflammatory diseases including arthritis, rheumatism, acne skin allergy and ulcers is highlighted. Chemicals that alleviate swelling are derived from plants including grape, boswellia, turmeric, devil's claw and some essential oils such as clove, eucalyptus, rosemary, lavender, mint, myrrh, millefolia and pine are patented and used as mixed formulations. Plants containing polysaccharides are the most potent in curing inflammatory diseases.

Mast cells and mast cell mediators as targets of dietary supplements.

Ann Allergy Asthma Immunol;93(2 Suppl 1):S24-34, 2004 Aug. Theoharides TC; Bieyory L

Resumo: OBJECTIVE: To review the increasing amount of data that support or dispel the use of dietary supplements in the treatment of inflammatory conditions that involve mast cells, such as allergies, arthritis, and chronic pelvic pain syndrome. DATA SOURCES: A search was conducted in MEDLINE for natural substances, dietary supplements, flavonoids, and proteoglycans for their in vitro or in vivo effects on allergic and inflammatory conditions. STUDY SELECTION: Studies were selected for inclusion because of the impact factor of the journal, the definitive nature of the findings, the soundness of the study design, and the expert opinion of the authors. RESULTS: Dietary supplements include a large group of products, such as vitamins, minerals, plant, or animal extracts, as well as herbal preparations that are often called medicinal herbs. Many of the available dietary supplements contain a multitude of ingredients, the source and/or purity of which is seldom disclosed; some of these may have biologic effects of their own or may interact with other supplements or drugs, often leading to adverse effects. The most well-documented evidence published to date is on the inhibitory action of natural compounds, especially flavonoids, on mast cells and allergic symptoms. Some flavonoids have weak inhibitory activity, whereas others may have no benefit or may be detrimental. Sulfated proteoglycans could provide synergic therapeutic benefits but require further study. CONCLUSIONS: Combining the most active flavonoids with proteoglycans could be helpful in treating inflammatory conditions. However, a complete list of active ingredients and their source, purity, and exact concentration should be a requirement for nutraceuticals to be standardized, compared, and promote their safe use.

A neutrophil multitarget functional bioassay to detect anti-inflammatory natural products.

J Nat Prod;65(1):32-41, 2002 Jan. Johansson S; Göransson U; Lujendijk T; Backlund A;Claeson P; Bohlin L Division of Pharmacognosy, Department of Medicinal Chemistry, Biomedical Centre, Uppsala University, PO Box 574, S-751 23 Uppsala, Sweden.

Resumo: A multitarget functional bioassay was optimized as a method for detecting substances interacting with the inflammatory process of activated neutrophil granulocytes, mainly to release elastase detected by p-nitroanilide (pNA) formation. Using this bioassay, 100 fractionated extracts of 96 plants were screened, with results presented in a manner that links recorded biological activity to phylogenetic information. The plants were selected to represent a major part of the angiosperms, with emphasis on medicinal plants, Swedish anti-inflammatory plants, and plants known to contain peptides. Of the tested extracts, 41% inhibited pNA formation more than 60%, and 3% stimulated formation. The extract of Digitalis purpurea enhanced pNA formation, and digitoxin, the active compound, was isolated and identified. Plant extracts that exhibited potent nonselective inhibition (>80% inhibition) were evaluated further for their ability to inhibit isolated elastase and trypsin enzyme. The inhibitory effect of most tested extracts on the isolated enzyme elastase was similar to that of NF-κB- and MLP-induced pNA formation. Compared to trypsin, inhibition of elastase by extracts of Rubus idaeus and Tabernaemontana dichotoma was significantly higher (80% and 99%, respectively). Inhibition of trypsin by the extract of Reseda luteola was high (97%). Orders such as Lamiales and Brassicales were shown to include a comparably high proportion of plants with inhibitory extracts.

abacate

Neutralization of the hemorrhagic effect induced by Bothrops asper (Serpentes: Viperidae) venom with tropical plant extracts


Organic extracts representing 48 species included in 30 families of Costa Rican tropical plants were evaluated for their ability to neutralize hemorrhagic activity induced by the venom of the snake Bothrops asper. A bioassay in mice was used, based on intradermal injection of either venom or venom-extract mixtures followed by the measurement of hemorrhagic activity. Total inhibition of hemorrhage was observed with the ethanolic, ethyl acetate and aqueous extracts of Bursera simaruba, Clusia torresii, C. palmana, Croton draco, Persea americana, Phoebe breneesii, Pimenta dioica, Sapindus saponaria, Smilax cuculmeca and Virola koschnyi. Chemical analysis of these extracts identified catequines, flavones, anthocyanines and condensed tannins, which may be responsible for the inhibitory effect observed, probably owing to the chelation of the zinc required for the catalytic activity of venom's hemorrhagic metalloproteinases.

Novel nitric oxide and superoxide generation inhibitors, persenone A and B, from avocado fruit.


Kim OK, Murakami A, Nakamura Y, Takeda N, Yoshizumi H, Ohigashi H.

One known, (2R)-(12Z,15Z)-2-hydroxy-4-oxoheneicosa-12,15-dien+ ++-1-yl acetate (1), and two novel compounds, persenone A (2) and B (3), have been isolated from avocado fruit (Persea americana P. Mill), as inhibitors of superoxide (O2(-)) and nitric oxide (NO) generation in cell culture systems. They showed marked inhibitory activities toward NO generation induced by lipopolysaccharide in
combination with interferon-gamma in mouse macrophage RAW 264.7 cells. Their inhibitory potencies of NO generation (1, IC(50) = 3.6; 2, IC(50) = 1.2; and 3, IC(50) = 3.5 microM) were comparable to or higher than that of a natural NO generation inhibitor, docosahexaenoic acid (DHA; IC(50) = 4.3 microM). Furthermore, compounds 1-3 and DHA markedly suppressed tumor promoter 12-O-tetradecanoylphorbol-13-acetate-induced O(2)(-) generation in differentiated human promyelocytic HL-60 cells (1, IC(50) = 33.7; 2, IC(50) = 1.4; 3, IC(50) = 1.8; and DHA, IC(50) = 10.3 microM). It is notable that they were found to be suppressors of both NO- and O(2)(-) -generating biochemical pathways but not to be radical scavengers. The results indicate that these compounds are unique antioxidant agents, preferentially suppressing radical generation, and thus may be promising as effective chemopreventive agents in inflammation-associated carcinogenesis.

abacaxi

Antithrombolytic effect of bromelaine following third molar removal
Hotz G, Frank T, Zoller J, Wiebelt H.

A placebo-controlled double-blind study of 100 patients with impacted and/or dislocated lower wisdom teeth was conducted to examine the tolerance and antithrombolytic efficacy of bromelaine--a mixture of proteolytic enzymes from ananas comosus. Treatment was started 1 day prior to third molar surgery with a daily dose of 3 x 80 mg and was continued for a total of 6 days. On the 1st day following surgery, linear measurement (distance: tragus-pogonion) showed swelling to be 7.5% lower under drug treatment than in the placebo group. Two-dimensional image evaluation increased this difference to 15.9%, which however still failed to attain the significance level of 20%. Between the 3rd and the 7th postoperative day, no differences were found between the two groups regarding the extent of soft tissue swelling or the speed of edema resolution.

Bromelain, the enzyme complex of pineapple (Ananas comosus) and its clinical application.
An update.
Taussig SJ, Batkin S.
Department of Food Science and Human Nutrition, School of Tropical Agriculture, University of Hawaii, Honolulu.

After a short description of the uses of pineapple as folk medicine by the natives of the tropics, the more important new pharmaceutical applications of bromelain, reported between 1975 and 1978, are presented. Although the exact chemical structure of all active components of bromelain is not fully determined, this substance has shown distinct pharmacological promise. Its properties include: (1) interference with growth of malignant cells; (2) inhibition of platelet aggregation; (3) fibrinolytic activity; (4) anti-inflammatory action; (5) skin debridement properties. These biological functions of bromelain, a non-toxic compound, have therapeutic values in modulating: (a) tumor growth; (b) blood coagulation; (c) inflammatory changes; (d) debridement of third degree burns; (e) enhancement of absorption of drugs. The mechanism of action of bromelain affecting these varied biological effects relates in part to its modulation of the arachidonate cascade.

Aipo

Anti-nociceptive and anti-inflammatory effects of some Jordanian medicinal plant extracts.
Atta AH, Alkofahi A.
Department of Veterinary Basic Sciences, Faculty of Veterinary Medicine, Jordan University of Science and Technology, Irbid.

The anti-nociceptive effect of ethanolic extract of 11 traditionally used Jordanian plants was studied by using the acetic acid-induced writhing and hot-plate test in mice. The anti-inflammatory effect of these plants was determined by xylene-induced ear oedema in mice and cotton pellet granuloma test in rats. Mentha piperita, Cinnamonum zeylanicum, Apium graveolens, Eucalyptus camaldulensis, and Ruta graveolens possess an anti-nociceptive effect against both acetic acid-induced writhing and hot plate-induced thermal stimulation. M. piperita, Jasminum officinale, Commiphora molmol, and Beta vulgaris possess an anti-inflammatory effect against acute (xylene-induced ear oedema) and chronic (cotton-pellet granuloma) inflammation. The anti-nociceptive and anti-inflammatory effects were dose dependent. These data affirm the traditional use of some of these plants for painful and inflammatory conditions.

Anti-inflammatory activity of some Iraqi plants using intact rats.
Al-Hindawi MK, Al-Deen IH, Nabi MH, Ismail MA.
Biological Research Centre, Scientific Research Council, Jadiriyah, Baghdad, Iraq.

Five plants (Myrtus communis, Apium graveolens, Matricaria chamomilla, Withania somnifera and Achillea santolina) grown in Iraq were assessed for their anti-inflammatory activity on intact rats by measuring the suppression of carrageenan-induced paw edema produced by 1/10 of the intraperitoneal LD50 doses for the respective 80% ethanol extracts. Acetylsalicylic acid was used as the standard drug.

Aloesporum

Evaluation of antioxidant activity of some natural polyphenolic compounds using the Briggs-Rauscher reaction method.
Cervellati R, Renzulli C, Guerra MC, Speroni E.
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A new method based on the inhibitory effects of antioxidants on the oscillations of the hydrogen peroxide, acidic iodate, malonic acid, and Mn(II)-catalyzed system (known as the Briggs-Rauscher reaction), was used for the evaluation of antioxidative capacity. With this method, which works near the pH of the fluids in the stomach (pH approximately 2), a group of natural compounds present in fruits and vegetables or in medicinal plants assumed to have antioxidative capacity, was tested successfully. The aim of the present study is to evaluate the antioxidative properties of some active principles contained in vegetables and aromatic plants, namely, cynarin (from Cynara scolymus), rosmanic acid (from Rosmarinus officinalis), echinacoside (from Echinacea species), puerarin (from Pueraria lobata), and oleuropein (from Olea europea). Also studied with the Briggs-Rauscher reaction method was the antioxidative activity of cyanidin 3-O-beta-glucopyranoside (from Citrus aurantium) in order to compare the results with those obtained by other methods. The conclusions on the dependency of the antioxidative activity on the pH of the testing system are given.

Zapolski-Downar A, Zapolski-Downar A, Naruszewicz M, Siennicka A, Krasnodebska B, Koździej B.
Chair of Clinical Biochemistry and Laboratory Diagnostic, Regional Ctr. Atherosclerosis Research, Pomeranian Academy of Medicine, ul. Powstancow Wlkp. 72, PL-70-111, Szczecin, Poland.

It is currently believed that oxidative stress and inflammation play a significant role in atherogenesis. Artichoke extract exhibits hypolipemic properties and contains numerous active substances with antioxidative properties in vitro. We have studied the influence of aqueous and ethanolic extracts from artichoke on intracellular oxidative stress stimulated by inflammatory mediators (TNF-alpha and LPS) and ox-LDL in endothelial cells and monocytes. Oxidative stress which reflects the intracellular production of reactive oxygen species (ROS) was followed by measuring the oxidation of 2',7'-dichlorofluorescin (DCF) to 2',7'-dichlorofluorescein (DCF). Aqueous and ethanolic extracts from artichoke were found to inhibit basal and stimulated ROS production in endothelial cells and monocytes in dose dependent manner. In endothelial cells, the ethanolic extract (50 microg/ml) reduced ox-LDL-induced intracellular ROS production by 60% (p<0.001) while aqueous extract (50 microg/ml) by 43% (p<0.01). The ethanolic extract (50 microg/ml) reduced ox-LDL-induced intracellular ROS production in monocytes by 76% (p<0.01). Effective concentrations (25-100 microg/ml) were well below the cytotoxic levels of the extracts which started at 1 mg/ml as assessed by LDH leakage and trypan blue exclusion. Penetration of some active substances into the cells was necessary for inhibition to take place as judged from the effect of preincubation time. These results demonstrate that artichoke extracts have marked protective properties against oxidative stress induced by inflammatory mediators and ox-LDL in cultured endothelial cells and monocytes.

Llorach R, Espin JC, Tomas-Barberan FA, Ferreres F.
Department of Food Science and Technology, CEBAS-CSIC, P.O. Box 4195, Murcia 30080, Spain.

The present study reports a fast, economical, and feasible way to extract antioxidant phenolics from artichoke byproducts: raw artichoke (RA), blanched (thermally treated) artichoke (BA), and artichoke blanching waters (ABW). These byproducts represent a huge amount of discarded material in some industries. Two protocols, with possible industrial applicability, based on both methanol and water extractions were used. Phenolic contents (expressed as caffeic acid derivatives) (grams per 100 g of dry extract) were 15.4 and 9.9 for RA when extracted with methanol and water, respectively; 24.3 and 10.3 for BA when extracted with methanol and water, respectively; and finally, 11.3 g of phenolics/100 mL of ABW. Therefore, methanol extracts yielded more phenolics than water extracts, especially when BA byproducts were used. The higher amount of phenolics in BA could be due to the inactivation of polyphenol oxidase (PPO) at the industrial scale (due to blanching process), avoiding PPO-catalyzed oxidation of these phenolics, a phenomenon that could occur in RA byproducts. Artichoke extracts from industrial byproducts showed a high free radical scavenging activity (versus both DPPH* and ABTS*+ radicals) as well as capacity to inhibit lipid peroxidation (ferrocyanate method). According to these results, the use of artichoke extracts from industrial byproducts as possible ingredients to functionalis foodstuffs (to decrease lipid peroxidation and to increase health-promoting properties) is suggested.

Gebhardt R.
Physiologisch-Chemisches Institut, University of Tubingen, Germany.

Primary rat hepatocyte cultures exposed to tert-butylhydroperoxide (t-BHP) or cumene hydroperoxide were used to assess the antioxidative and protective potential of water-soluble extracts of artichoke leaves. Both hydroperoxides stimulated the production of malondialdehyde (MDA), particularly when the cells were pretreated with diethylmaleate (DEM) in order to diminish the level of cellular glutathione (GSH). Addition of artichoke extracts did not affect basal MDA production, but prevented the hydroperoxide-induced increase of MDA formation in a concentration-dependent manner when presented simultaneously or prior to the peroxides. The effective concentrations (down to 0.001 mg/ml) were well below the cytotoxic levels of the extracts which started above 1 mg/ml. The protective potential assessed by the LDH leakage assay and the MTT assay closely paralleled the reduction in MDA production and largely prevented hepatocyte necrosis induced by the hydroperoxides. The artichoke extracts did not affect the cellular level of glutathione (GSH), but diminished the loss of total GSH and the cellular leakage of GSSG resulting from exposure to t-BHP. Chlorogenic acid and cynarin accounted for only part of the antioxidative principle of the extracts which was resistant against tryptic digestion, boiling, and other treatments but was slightly sensitive to alkalization. These results demonstrate that artichoke extracts have a marked antioxidative and protective potential. Primary hepatocyte cultures seem suitable for identifying the constituents responsible for these effects and for elucidating their possible mode of action.

Alexin de Jardim

Nattermann Research Laboratories, Cologne, F.R.G.

Rosmarinic acid (RA) is a naturally occurring compound, isolated from Rosmarinus officinalis or Melissa officinalis which inhibits the in

and/or inflammatory nature were screened for in vitro antibacterial and anti-inflammatory activities. Antibacterial activity was tested using the agar diffusion method while anti-inflammatory activity was tested using the cyclooxygenase (COX-1) assay. All the compounds showed in vivo anti-inflammatory activity against ear edema in mice produced by t-butyl hydroperoxide. RA (0.1-10 mg/kg i.m.) did not inhibit t-butyl hydroperoxide-induced paw oedema in the rat, indicating selectivity for complement-dependent processes.

Alfavaca - Manjericão

**Mechanism of action of antiinflammatory effect of fixed oil of Ocimum basilicum Linn.**


College of Pharmacy (University of Delhi), Pushp Vihar, New Delhi, India.

Resumo: Fixed oil of O. basilicum was found to possess significant antiinflammatory activity against carrageenan and different other mediator-induced paw edema in rats. Significant inhibitory effect was also observed in castor oil-induced diarrhea in rats. It also inhibited arachidonic acid- and leukotriene-induced edema. The results of antiinflammatory activity of O. basilicum support the dual inhibition of arachidonate metabolism as indicated by its activity in inflammation models that are insensitive to selective cyclooxygenase inhibitors. On the basis of these findings, it is possible to conclude that O. basilicum may be a useful antiinflammatory agent which block both cyclooxygenase and lipoxigenase pathways of arachidonic acid metabolism.


College of Pharmacy (University of Delhi), Pushp Vihar, New Delhi, India.

Resumo: Ocimum sanctum fixed oil and linolenic acid found to possess significant antiinflammatory activity against PGE2, leukotriene and arachidonic acid-induced paw edema. The effects of Ocimum, viz. O. basilicum and O. americanum also containing linolenic acid in varying proportions, also showed significant inhibition of edema against carrageenan, PGE2, leukotriene and arachidonic acid-induced paw edema. The relative amounts of O. basilicum containing maximum percentage of linolenic acid showed higher protection. The results suggest that linolenic acid is the most important antiinflammatory agent and is capable of block the cyclooxygenase and lipoxigenase pathways of arachidonate metabolism and could be responsible for the antiinflammatory activity.

**MISCELÂNEA**

**Myo-inositol-derived glycolipids with anti-inflammatory activity from Solanum lanceolatum.**

J Nat Prod;68(7):1031-6, 2005 Jul. Herrera-Salgado Y; Garduño-Ramírez ML; Vázquez L; Rios MY; Alvarez L

Resumo: Lanceolitols A1-A7 (1-7) and B1-B7 (9-15), two series of new myo-inositol-derived glycolipid analogues, were isolated from the leaves of Solanum lanceolatum. Their structures were elucidated on the basis of spectroscopic analysis (1H NMR, 13C NMR, 1H-1H COSY, HMQC, HMBC, and HRFABMS), as well as chemical analysis. All the compounds showed significant in vivo antiinflammatory activity against ear edema in mice produced by 12-O-tetradecanoylphorbol-13-acetate (TPA). In vitro enzyme inhibition studies showed that the mixture of lanceolitols A1-A7 inhibited by 58.56% phospholipase A2 from bee venom, while the mixture of lanceolitols B1-B7 was cyclooxygenase-2 (COX-2) inhibitors (IC50 = 237 microM).

**Anti-inflammatory activities of Aller-7, a novel polyherbal formulation for allergic rhinitis.**


Natural Remedies Research Center, Bangalore, India.

Resumo: Allergic rhinitis is an immunological disorder and an inflammatory response of nasal mucosal membranes. Allergic rhinitis, a state of hypersensitivity, occurs when the body overreacts to a substance such as pollens or dust. A novel, safe polyherbal formulation (Aller-7/NR-A2) has been developed for the treatment of allergic rhinitis using a unique combination of extracts from seven medicinal plants including Phyllanthus emblica, Terminalia chebula, Terminalia bellirica, Abizia lebbeck, Piper nigrum, Zingiber officinale and Piper longum. Since inflammation is an integral mechanistic component of allergy, the present study aimed to determine the antiinflammatory activity of Aller-7 in various in vivo models. The efficacy of Aller-7 was investigated in compound 48/80-induced paw edema both in Balb/c mice and Swiss Albino mice, carrageenan-induced paw edema in Wistar Albino rats and Freund's adjuvant-induced arthritis in Wistar Albino rats. The trypsin inhibitory activity of Aller-7 was also determined and compared with ovomucoid. At a dose of 250 mg/kg, Aller-7 demonstrated 62.55% inhibition against compound 48/80-induced paw edema in Balb/c mice, while under the same conditions prednisolone at an oral dose of 2 mg/kg exhibited 44.7% inhibition. Aller-7 significantly inhibited compound 48/80-induced paw edema at all three doses of 175, 225 or 275 mg/kg in Swiss Albino mice, while the most potent effect was observed at 225 mg/kg. Aller-7 (120 mg/kg, p.o.) demonstrated 31.3% inhibition against carrageenan-induced acute inflammation in Wistar Albino rats, while ibuprofen (50 mg/kg, p.o.) exerted 68.1% inhibition. Aller-7 also exhibited a dose-dependent (150-350 mg/kg) anti-inflammatory effect against Freund's adjuvant-induced arthritis in Wistar Albino rats and an approximately 63% inhibitory effect was observed at a dose of 350 mg/kg. The trypsin inhibitory activity of Aller-7 was determined, using ovomucoid as a positive control. Ovomucoid and Aller-7 demonstrated IC50 concentrations at 1.5 and 9.0 microg/ml, respectively. These results demonstrate that this novel polyherbal formulation is a potent anti-inflammatory agent that can ameliorate the symptoms of allergic rhinitis.

**Antibacterial and anti-inflammatory activities of some plants used for medicinal purposes in Kenya.**

J Ethnopharmacol;87(1):35-41, 2003 Jul. Matu EN; van Staden J Research Centre for Plant Growth and Development, School of Botany and Zoology, University of Natal Pietermaritzburg, Private Bag X01, Scottsville 3209, South Africa.

Resumo: Aqueous, hexane and methanol extracts of 12 plant species, traditionally used in Kenya for treatment of ailments of infectious and/or inflammatory nature were screened for in vitro antibacterial and anti-inflammatory activities. Antibacterial activity was tested using the agar diffusion method while anti-inflammatory activity was tested using the cyclooxygenase (COX-1) assay. All the antibacterial activity was against Gram-positive bacteria with nine plant species showing some activity against Staphylococcus aureus. The highest activity was found in the methanol extracts of Maytenus senegalensis, Plectranthus barbatus, Zanthoxylum chalybeum, Zanthoxylum usambarensense and hexane extracts of Speranthus matutinianum. All the plant species showed some anti-inflammatory activities. In most cases, methanol extracts caused higher inhibition than aqueous and hexane extracts.

**Inhibition of Propionibacterium acnes-induced mediators of inflammation by Indian herbs.**

Phytotherapy;10(1):34-8, 2003 Jan. Jain A; Basal E Department of Microbiology, King George's Medical College, Lucknow, India. amita602002@yahoo.com

Resumo: Propionibacterium acnes, an anaerobic pathogen, plays an important role in the pathogenesis of acne by inducing certain inflammatory mediators. These mediators include reactive oxygen species (ROS) and pro-inflammatory cytokines. In the present study,
ROS, interleukin-8 (IL-8) and tumor necrosis factor-alpha (TNF-alpha) were used as the major criteria for the evaluation of anti-inflammatory activity. To prove the anti-inflammatory effects of herbs, polymorphonuclear leukocytes (PMNL) and monocytes were treated with culture supernatant of P. acnes in the presence or absence of herbs. It was found that Rubia cordifolia, Curcuma longa, Hemidesmus indicus, and Azadirachta indica caused a statistically significant suppression of ROS from PMNL. Sphaeranthus indicus caused a smaller, still significant suppression of ROS. Aloe vera had no effect on ROS production. In the case of proinflammatory cytokines, maximum suppression was observed by Azadirachta indica and Sphaeranthus indicus, followed by Hemidesmus indicus, Rubia cordifolia, and Curcuma longa. Aloe vera showed insignificant inhibitory activity. Thus, these herbs show anti-inflammatory activity by suppressing the capacity of P. acnes-induced ROS and pro-inflammatory cytokines, the two important inflammatory mediators in acne pathogenesis.

**Anti-inflammatory activity of Chinese medicinal vine plants.**

J Ethnopharmacol;85(1):61-7, 2003 Mar. Li RW; David Lin G; Myers SP; Leach DN

**Conclusions:** In recent years, the multi-subunit organization of the IKK complex represents an attractive target for pharmaceutical intervention. Our finding that parthenolide targets this kinase complex provides a potential basis for the anti-inflammatory properties of parthenolide. In addition, these results may be useful in the development of additional anti-inflammatory agents.

Feverfew extracts and the sesquiterpene lactone parthenolide inhibit intercellular adhesion molecule-1 expression in human synovial fibroblasts. The ability to inhibit both degranulation of azurophil granules and superoxide generation in primed leukocytes indicates that the NADPH oxidase responsible for this later effect is inhibited, pointing to a role for parthenolide in the inhibition of this enzyme. The anti-inflammatory activity of parthenolide is also manifested by suppression of pro-inflammatory cytokines and induction of the anti-inflammatory cytokine TGF-beta.

**Antimicrobial activity**

Resumo: Anti-inflammatory activities of ethanol extracts from nine vine plants used in traditional Chinese medicine to treat inflammatory conditions were evaluated against a panel of key enzymes relating to inflammation. The enzymes included cyclooxygenase-1 (COX-1), cyclooxygenase-2 (COX-2), phospholipase A2 (PLA2), 5-lipoxygenase (5-LO) and 12-lipoxygenase (12-LO). The vine plants studied were: the stem of Spatholobus suberectus Dunn, the stem of Trachelospermum jasminoides Lehm., the root from Tripterygium wilfordii Hook. f., the stem of Sinomenium acutum Rehder and Wilson, the stem of Piper kadsura (Choisy) Ohwi, the stem of Polygonum multiflorum Thunb., the root and stem from Tinospora sinensis (Lour.) Merril, and the stem of Clematis chinensis Osbeck. All of the plant extracts showed inhibitory activities against at least one of the enzymes in various percentages depending upon the concentrations. The extract from S. suberectus was found to be active against all enzymes except COX-2. Its IC(50) values were 158, 54, 31 and 35 microg/ml in COX-1, PLA2(2), 5-LO and 12-LO assays, respectively. T. jasminoides showed potent inhibitory activities against both COX-1 (IC(50) 35 microg/ml) and PLA2(2) (IC(50) 33 microg/ml). The most potent COX-1, COX-2 and 5-LO inhibition was observed in the extract of T. wilfordii with the IC(50) values of 27, 125 and 22 microg/ml, respectively. These findings of this study may partly explain the use of these vine plants in traditional Chinese medicine for the treatment of inflammatory conditions.

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**Antinflammatory activity of Chinese medicinal vine plants.**

J Ethnopharmacol;85(1):61-7, 2003 Mar. Li RW; David Lin G; Myers SP; Leach DN

Resumo: A water-soluble hydroxycinnamate-derived polymer (>1000 kDa) from Symphytum asperum Lepech. (Boraginaceae) showed a strong anti-inflammatory activity against acute ear inflammation in mice. The polymer inhibited the increase in ear thickness in response to croton oil (n = 5). The activity of 0.75 mg/ear polymer was comparable to that of 6 mg/ear hydrocortisone 21-hemisuccinate sodium salt (inhibition 35.0%). The possible chemical constituents in the extracts and fractions were investigated using thin layer chromatography and specific color reagents. These tests showed that steroids might be one class of anti-inflammatory compounds in S. asperum. The polymer was found to be stable in vivo, and it was able to inhibit the increase in ear thickness in response to croton oil in mice. The polymer showed similar anti-inflammatory activity (inhibition 85.2%) to that of 6 mg/ear hydrocortisone 21-hemisuccinate sodium salt (inhibition 64.8%). The polymer had no effect on ear thickness in response to croton oil (n = 5). These findings of this study may partly explain the use of these vine plants in traditional Chinese medicine for the treatment of inflammatory conditions.

**Feverfew extracts and the sesquiterpene lactone parthenolide inhibit intercellular adhesion molecule-1 expression in human synovial fibroblasts.**

J Agirc Food Chem;49(8):3942-6, 2001 Aug. Barthomeuf CM; Debaton E; Barbakadze VV; Kemertelidze EP UMR-INSERM U-484, Laboratoire de Pharmacognosie et Biotechnologies, Université d’Auvergne, Faculté de Pharmacie, Place H. Dunant, 63001 Clermont-Fd Cedex, France. Chantal.Barthomeuf@u-clermont1.fr

Resumo: A water-soluble hydroxycinnamate-derived polymer (>1000 kDa) from Symphytum asperum Lepech. (Boraginaceae) strongly reduced the diphenylpicrylhydrazyl radical (IC(50) approximately 0.7 microg/mL) and inhibited the nonenzymatic lipid peroxidation of bovine brain extracts (IC(50) approximately 10 ng). This polymer exhibited only a low hydroxyl radical scavenging activity in the Fe(3+)-EDTA-H(2)O(2) deoxyribose system (IC(50) > 100 microg/mL) but strongly decreased superoxide anion generation in primed leukocytes and the nonenzymatic lipid peroxidation of bovine brain extracts (IC(50) approximately 10 ng). This polymer inhibited the increase in ear thickness in response to croton oil (n = 5). The activity of 0.75 mg/ear polymer was comparable to that of 6 mg/ear hydrocortisone 21-hemisuccinate sodium salt (inhibition 35.0%). The possible chemical constituents in the extracts and fractions were investigated using thin layer chromatography and specific color reagents. These tests showed that steroids might be one class of anti-inflammatory compounds in S. asperum. The polymer was found to be stable in vivo, and it was able to inhibit the increase in ear thickness in response to croton oil in mice. The polymer showed similar anti-inflammatory activity (inhibition 85.2%) to that of 6 mg/ear hydrocortisone 21-hemisuccinate sodium salt (inhibition 64.8%). The polymer had no effect on ear thickness in response to croton oil (n = 5). These findings of this study may partly explain the use of these vine plants in traditional Chinese medicine for the treatment of inflammatory conditions.

**The anti-inflammatory natural product parthenolide from the medicinal herb Feverfew directly binds to and inhibits IkappaB kinase.**

Chem Biol;8(8):759-66, 2001 Aug. Kwok BH; Koh B; Ndubuisi MI; Elofsson M; Crews CM

Resumo: BACKGROUND: Biologically active natural products continue to be useful in the exploration and control of intracellular signaling processes. For example, the sesquiterpene lactone parthenolide from the anti-inflammatory medicinal herb Feverfew (Tanacetum parthenium) appears to inhibit the pro-inflammatory signaling pathway. Parthenolide's direct molecular target, however, remains unknown. We set out to identify the molecular mechanisms of parthenolide's anti-inflammatory activity. RESULTS: A parthenolide affinity reagent was synthesized and shown to bind directly to and inhibit IkappaB kinase beta (IkKBeta), the kinase subunit known to play a critical role in cytokine-mediated signaling. Mutation of cysteine 179 in the activation loop of IkKBeta abolished sensitivity towards parthenolide. Moreover, we showed that parthenolide's in vitro and in vivo anti-inflammatory activity is mediated through the alpha-methylene gamma-lactone moiety shared by other sesquiterpene lactones. CONCLUSIONS: In recent years, the multi-subunit IkK complex has been shown to be responsible for cytokine-mediated stimulation of genes involved in inflammation and as such represents an attractive target for pharmaceutical intervention. Our findings that parthenolide targets this kinase complex provides a potential basis for the anti-inflammatory properties of parthenolide. In addition, these results may be useful in the development of additional anti-inflammatory agents.

Resumo: Previous studies have shown that extracts of the aromatic herb feverfew (Tanacetum parthenium) and one of its bioactive components, parthenolide, have anti-inflammatory properties in vivo and in vitro. We examined both crude feverfew extracts and purified parthenolide for their ability to modulate adhesion molecule expression in human synovial fibroblasts. Pretreatment of synovial fibroblasts with either feverfew extracts or purified parthenolide could inhibit the expression of intercellular adhesion molecule-1 (ICAM-1) induced by the cytokines IL-1 (up to 95% suppression), TNF-alpha (up to 93% suppression), and, less strongly, interferon-gamma (up to 39% suppression). Inhibition of ICAM-1 was dose and time dependent; as little as a 30-min pretreatment with feverfew resulted in inhibition of ICAM-1. The decrease in ICAM-1 expression was accompanied by a decrease in T-cell adhesion to the treated fibroblasts. Other herbal extracts with reported anti-inflammatory effects were similarly tested and did not decrease ICAM-1 expression. The modulation of adhesion molecule expression may be an additional mechanism by which feverfew mediates anti-inflammatory effects.

**Immunosuppressive sesquiterpene alkaloids from Tripterygium wilfordii.**

| J Nat Prod;64(5):582-7, 2001 May. Duan H; Takashi Y; Momota H; Ohmoto Y; Taki T; Ja Y; Li D |

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Resumo: Nine new sesquiterpene pyridine alkaloids [wilfornines A (1), B (2), C (3), D (4), E (5), F (8), and G (9); wilfordinines I (6) and J (7)] and six known compounds (10-15) were isolated from a clinically used extract (T(B)) of Tripterygium wilfordii. The structures of 1-9 were elucidated by spectroscopic and chemical methods. The inhibitory effects on cytokine production of 1-3 and several related compounds were evaluated. Compounds 10 and 14 showed significant inhibitory effects on cytokine production.

Lignan and phenylpropanoid glycosides from Phillyrea latifolia and their in vitro anti-inflammatory activity.

Planta Med;67(3):219-23, 2001 Apr. Díaz Lanza AM; Abad Martínez MJ; Fernández Matellano L; Recuero Carretero C; Villaescusa Castillo L; Silván Sen AM; Bermejo Benito P

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Resumo: Three phenylpropanoid glycosides (salidroside, syringin and coniferin) and one lignan (phillyrin) isolated from the leaves of Phillyrea latifolia L. (Oleaceae) were tested for interactions with the cyclo-oxygenase and 5-lipoxygenase pathways of arachidonate metabolism in calcium-stimulated mouse peritoneal macrophages and human platelets, and for their effects on cell viability. These compounds are capable of exerting inhibitory actions on enzymes of the arachidonic cascade. Phillyrin, salidroside and syringin exert a preferential effect on the cyclo-oxygenase pathway, inhibiting release of the cyclo-oxygenase metabolites prostaglandin E2 (IC50 values 45.6 microM, 72.1 microM and 35.5 microM, respectively) and to a lesser extent reducing thromboxane B2 levels (IC50 values 168 microM, 154 microM and 29.3 microM, respectively). In contrast, coniferin can be classified as a dual inhibitor, since it produces reduction in generation of both cyclo-oxygenase (IC50 values 75.2 microM for prostaglandin E2 and 619 microM for thromboxane B2) and 5-lipoxygenase metabolites, but the effects are greater against leukotriene C4 (IC50 value 63.6 microM). Structure-activity relationships of the three phenylpropanoid glycosides are discussed. Thus, like some other compounds found in medicinal herbs, our molecules possess an array of potentially beneficial anti-eicosanoid properties which may, alongside other constituents, contribute to the claimed therapeutic properties of the plant from which they are derived.

Inhibition of TNF-alpha synthesis in LPS-stimulated primary human monocytes by Harpagophytum extract SteiHap 69.


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Resumo: Harpagophytum procumbens (Devil's Claw) is often used in the supportive treatment of inflammatory and degenerative diseases of the skeletal system. Here we studied the anti-inflammatory properties of the Harpagophytum extract SteiHap 69 (Steiner Harpagophytum procumbens extract 69) on primary human monocytes, a useful model of peripheral inflammation. After eliminating lipopolysaccharides of bacterial origin, SteiHap 69 prevented the LPS-induced synthesis of tumour necrosis factor alpha (TNFalpha) in stimulated primary human monocytes in a dose-dependent manner. Harpagide and harpagoside had no effect on LPS-induced TNFalpha-release. Our data provides evidence that the Harpagophytum extract SteiHap 69 has anti-inflammatory properties. Further studies are required in order to elucidate the molecular mechanism of Devil's claw anti-inflammatory effects.

**Effects of antinflammatory triterpenes isolated from Leptadenia hastata latex on keratinocyte proliferation.**


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Resumo: Several triterpenes isolated from Leptadenia hastata latex were tested for their anti-inflammatory activity. Lupeol (1), its acetate (2) and palmitate (3) esters were found to be the main anti-inflammatoriy constituents in the croton oil-induced ear oedema test. Furthermore, lupeol hemisuccinate (4), synthesized from lupeol, exhibited a higher activity than lupeol in the test. These results prove that the triterpenes play a pivotal role in the topical antiinflammatory effect of this latex. In addition, an in vitro model of human skin keratinocytes (epidermal explants) cultured at an air-liquid interface on a de-epidermized human dermis (DED) was used to investigate the effects of lupeol esters on skin repair in vitro. Compared with the control, compounds 2 and 3 improved keratinocyte proliferation at a concentration of 5 microM in the culture medium; however, they remained less active than compounds 1 and 4. In contrast to compound 1, all the lupeol esters (2-4), and particularly compound 4, induced a good differentiation of keratinocytes with a well-formed stratum corneum without parakeratosis. These results substantiate the topical use of Leptadenia hastata latex in traditional medicine and showed that both antinflammatory activity and the effect on keratinocyte proliferation of compound 1 could be improved by its hemisuccinylation; on the contrary, esterification by acetylation or palmitoylation decreased these activities.

Analgesic and antiinflammatory activities of an extract from Parkia biglobosa used in traditional medicine in the Ivory Coast.


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Resumo: In the Ivory coast, Parkia biglobosa (Mimosaceae) is used in traditional medicine as an analgesic drug, especially against dental fibroblasts.

pain. Of the three extracts obtained from the plant bark, the hexane fraction was studied to determine its analgesic and/or antiinflammatory activities. The results show that this extract possesses a marked analgesic activity when evaluated with the abdominal writhing test in mice, but, like paracetamol, was ineffective with the hot-plate method, a feature suggesting a peripheral mechanism of action. This activity was accompanied by an antiinflammatory effect, somewhat weaker than the analgesic one.

**Inflammation and Native American medicine: the role of botanicals.**


Resumo: There is a growing interest in medicinal botanicals as part of complementary medicine in the United States. In particular, both physicians and consumers are becoming aware of the use of herbs by Native American societies; many botanicals sold today as dietary supplements in the United States were used by Native Americans for similar purposes. Yet, these supplements represent only a small number of the >2500 different plant species from vascular taxa, and >2800 species from all taxa, known to have been prized for their medicinal properties by the indigenous inhabitants of the North American continent. We review some of the studies of the immunomodulatory activities of botanicals used by native peoples of North America, the bioactive constituents responsible for those activities, and the mechanisms by which these constituents might modulate the immune system. We focus particularly on 3 species of purple coneflower (ECHINACEA:) because of the widespread use of purple coneflower in the United States to boost immunity and prevent upper respiratory infections. Seven of the 10 most common botanicals sold in the United States were used extensively by Native Americans. However, there are few data to support such use and even less information about drug toxicity or interactions.

**Proof of efficacy of Kamillosan(R) cream in atopic eczema.**


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Resumo: Kamillosan(R) cream contains chamomile extract as active principle manufactured from the chamomile sort Manzana which is rich in active principles and has been proved not to exhibit a chamomile-related allergen potential. For this reason Kamillosan(R) cream is suited for local therapy of atopic eczema. In a partially double-blind, randomized study carried out as a half-side comparison, Kamillosan(R) cream was tested vs. 0.5% hydrocortisone cream and the vehicle cream as placebo in patients suffering from medium-degree atopic eczema. After a 2-week treatment Kamillosan(R) cream showed a mild superiority towards 0.5% hydrocortisone and a marginal difference as compared to placebo.

**Sesquiterpene lactones are potent inhibitors of interleukin 8 gene expression in cultured human respiratory epithelium.**

Cytokine;12(3):239-45, 2000 Mar. Mazor RL; Menendez IY; Ryan MA; Fiedler MA; Wong HR

Resumo: Sesquiterpene lactones, derived from Mexican-Indian medicinal plants, are known to have potent anti-inflammatory properties but the mechanisms of this effect are not completely understood. Recent data demonstrated that sesquiterpene lactones were potent inhibitors of the pro-inflammatory transcription factor NF-kappaB. Because activation of NF-kappaB is involved in the regulation of the chemokine interleukin 8 (IL-8), we hypothesized that the sesquiterpene lactones, isohelenin and parthenolide, would inhibit IL-8 gene expression in cultured human respiratory epithelium. Incubating A549 cells with tumour necrosis factor alpha (TNF-alpha) induced IL-8 mRNA expression and secretion of immunoreactive IL-8. Pretreatment with either isohelenin or parthenolide inhibited TNF-alpha-mediated IL-8 gene expression in a concentration-dependent manner. Pretreatment with either compound inhibited TNF-alpha mediated activation of the IL-8 promoter and TNF-alpha-mediated nuclear translocation of NF-kappaB. In addition, pretreatment with isohelenin or parthenolide inhibited TNF-alpha-mediated degradation of the NF-kappaB inhibitory protein, I-kappaBalpha. We conclude that sesquiterpene lactones are potent in vitro inhibitors of IL-8 gene expression in cultured human respiratory epithelium. The most proximal mechanism of inhibition appears to involve inhibition of I-kappaBalpha degradation. Stabilization of cytoplasmic I-kappaBalpha leads to inhibition of NF-kappaB nuclear translocation and of subsequent IL-8 promoter activation. The ability of sesquiterpene lactones to modulate IL-8 gene expression may explain, in part, their anti-inflammatory effects.

**Effects of Mahonia aquifolium ointment on the expression of adhesion, proliferation, and activation markers in the skin of patients with psoriasis.**

Forsch Komplementarmed;6 Suppl 2:19-21, 1999 Apr. Augustin M; Andrees U; Grimme H; Schöpf E; Simon J

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Resumo: OBJECTIVE: To examine the effects of topical therapy with Mahonia aquifolium on the expression of pathogenetically relevant molecules in psoriatic skin by immunohistochemistry. STUDY DESIGN: Prospective-randomized, half-side comparison study with subsequent immunohistochemical assessment of biopsies. METHODS: The study areas were treated with Mahonia aquifolium ointment 3/ daily and with dithranol in rising concentrations 1/ daily, respectively. Biopsies of lesional skin from the test areas were carried out in 49 patients a) prior to therapy and b) 4 weeks after the start of therapy. Immunohistochemical stainings were performed with the following monoclonal antibodies: anti-ICAM-1, CD3, HLA-DR, keratin 6, -keratin 16, -Ki-67. Evaluation of staining was made by two independent examiners using established semiquantitative scores. RESULTS: Marked staining with all of the cited monoclonal antibodies was observed in the lesional skin prior to therapy. After 4 weeks of therapy there was a marked reduction in the expressions of ICAM-1, CD3, HLA-DR at sites treated with dithranol. The expression of Ki-67 was not reduced by either therapy. CONCLUSIONS: These results indicate efficacy of Mahonia aquifolium and dithranol in psoriatic skin both on cellular cutaneous immune mechanisms and on the hyperproliferation of keratinocytes. The effect of dithranol appears to be more potent than that of Mahonia aquifolium.

The molecular mechanism of inhibition of interleukin-1beta-induced cyclooxygenase-2 expression in human synovial cells by Tripterygium wilfordii Hook F extract.

[So] Source: Inflamm Res;48(11):575-81, 1999 Nov. Maekawa K; Yoshikawa N; Du J; Nishida S; Kitasato H; Okamoto K; Tanaka H; Mizushima Y; Kawai S

Institute of Medical Science, St Marianna University School of Medicine, Miyamae, Kawasaki, Japan.

OBJECTIVE: Several extracts of Tripterygium wilfordii Hook F (TWHF) have been reported to be effective in patients with rheumatoid arthritis. We investigated the effect of multi-glycosides of TWHF (GTW), a TWHF extract, on interleukin (IL)-1beta stimulated human rheumatoid synovial cells. MATERIALS AND METHODS: IL-1beta-stimulated synovial cells were used to detect the effects of GTW on cyclooxygenase (COX)-1 and COX-2 activities, expression of COX protein and mRNA, and nuclear transcription factors in experiments using respective reporter plasmids. RESULTS: GTW inhibited prostaglandin E2 production by IL-1beta-stimulated synovial cells in a concentration-dependent manner, and also inhibited COX-2 protein and mRNA expression in a similar fashion to dexamethasone. However, GTW did not act as a glucocorticoid agonist. GTW repressed IL-1beta-induced nuclear factor-kappaB activity, but did not have a significant influence on activating protein-1 activity. CONCLUSION: The anti-rheumatic effect of GTW or TWHF may be partly mediated through the inhibition of prostaglandin E2 production in human synovial cells due to suppression of COX-2 mRNA, possibly via inhibition of nuclear factor-kappaB activity.

Immunosuppressive diterpenoids from Tripterygium wilfordii.
J Nat Prod;62(11):1522-5, 1999 Nov. Duan H; Takaishi Y; Momota H; Ohmoto Y; Taki T; Jia Y; Li D. Faculty of Pharmaceutical Sciences, University of Tokushima, Shomachi 1-78, Tokushima 770-8505, Japan.

A clinically used extract of Tripterygium wilfordii afforded three new diterpenoids-3beta,19-dihydroxyabieta-8,11,13-triene (triptobenzene L) (1); 12,19-dihydroxy-3-oxoabieta-8,11,13-triene (triptobenzene M) (2); and 19-hydroxy-3,7-dioxo-abieta-8,11,13-triene (triptobenzene N) (3)-along with 14 known diterpenoids. The structures of 1-3 were established on the basis of spectroscopic studies. Of the known compounds, the stereochemistry at C-4 of triptonediol (4) was reassigned. Tripterifordin (8) and 13-epi-manoyl oxide-18-oic acid (9) showed significant inhibitory effects on cytokine production.

Anti-inflammatory activity of hamamelis distillate applied topically to the skin. Influence of vehicle and dose.

The anti-inflammatory activity of hamamelis distillate has been evaluated with respect to drug concentration (0.64 mg/2.56 mg hamamelis ketone/100 g) and the effect of the vehicle (O/W emulsion with/without phosphatidylcholine (PC) in an experimental study. The effects were compared with those of chamomile cream, hydrocortisone 1% cream and 4 base preparations. Erythema was induced by UV irradiation and cellophane tape stripping of the horny layer in 24 healthy subjects per test. Skin blanching was quantified by visual scoring and chromametry. Drug effects were compared with one another and with an untreated control area, as well as with any action due to the vehicle. UV-induced erythema at 24 h was suppressed by low dose hamamelis PC-cream and hydrocortisone cream. Hydrocortisone appeared superior to both hamamelis vehicles, hamamelis cream (without PC) and chamomile cream. The latter preparation was also less potent than hamamelis PC-cream. Erythema 4 to 8 h after the stripping of the horny layer was suppressed by hydrocortisone (P < or = 0.05). Inflammation was also less pronounced following low dose hamamelis PC-cream and chamomile cream. Hamamelis PC-cream, however, appeared less potent than hydrocortisone. In general, visual scoring was more discriminatory than chromametry. The results have demonstrated an anti-inflammatory activity of hamamelis distillate in a PC-containing vehicle. A fourfold increase of drug concentration, however, did not produce an increase in activity.