Vassourinha

Monograph 4/1/04

Scoparia dulcis

Family: Scrophulariaceae
Synonyms: *Scoparia grandiflora, S. ternata, Capraria dulcis, Gratiola micrantha*
Other Common Names: Amruti, anisillo, banaganjei, bitterbroom, boroemia, broomweed, brum sirpi, cancharagua, escobilla, gadadahana, jyestamadhur, mastuerzo, ñuñco pichana, papada, piqui pichana, pottipooli, sweet broom, tapixava, tupixaba

Overview

Botanical Description

Vassourinha is a small erect annual in the foxglove family. It grows up to ½ m high and produces serrated leaves and small, white flowers. This plant is found in abundance in South America and the Amazon rainforest and is widely distributed in many tropical countries in the world.

Ethnobotanical Uses

In every country where vassourinha grows it holds a long history of use by indigenous peoples and herbalists. The aerial parts, leaf and root of the plant have been traditionally used in herbal medicine for their analgesic, antibacterial, antifungal, antiherpetic, anti-inflammatory, antiseptic, antispasmodic, antiviral, cytotoxic, emmenagogue, emollient, expectorant, febrifuge, hypotensive, pectoral, refrigerant and vulnerary properties.

The traditional use of vassourinha has been recorded in herbal medicine systems in the following parts of the world: Asia-Pacific,[1-9] Africa,[10-14] Central America,[15-20] South America[1,3,21-43] and India.[3,18,44-50]

Summary of the Traditional Uses of Vassourinha: [51]

Aerial Parts: Childbirth, coughs, diarrhea, expectorant, fever, stomachache.

Entire Plant: Abortive, aches, anemia, aphrodisiac, blennorrhagia, bronchitis, burns, childbirth, contraceptive, coughs, diabetes, diarrhea, dysentery, expectorant, fever, gastric disorders, headache, hemorrhoids, hepatosis, hypertension, infections, insect bites, intestinal worms, jaundice, jaundice, liver disease, malaria, menorrhagia, menstrual disorders, pain, rash, snake bites, swelling, toothache, venereal disease, wounds.

Leaf: Abortive, anemia, burns, childbirth, contraceptive, cough, diabetes, diarrhea, erysipelas, eye problems, fever, headaches, hemorrhoids, infections, insect bites and stings, intestinal worms, kidney disease, liver disorders, malaria, menstrual disorders, migraines, snake bites, stomach disorders, tonic, ulcers, urinary tract disorders, venereal disease, vomiting, wounds.

Root: Abortive, bronchitis, diarrhea, dysmenorrhea, fever, jaundice, liver disorders, malaria, menorrhagia, menstrual disorders, skin infections, stomach pains, warts.

Primary Uses

Internal

Vassourinha is primarily used in herbal medicine for upper respiratory problems and viruses, for menstrual problems, and as a natural analgesic and antispasmodic remedy when needed.[52]

External
Externally the plant has been used traditionally to treat skin wounds and infections, insect bites, snakebites, burns, rashes, eye problems, ulcers, fevers and headaches.[51,17,22,31,32,53]

Chemistry

Vassourinha is rich in flavones, terpenes and steroids. The main chemicals include scopadulcic acids A and B, scopadiol, scopadulciol, scopadulin, scoparic acids A-C and betulinic acid. Other chemicals include: acacetin, amyrin, apigenin, benzoxazin, benzoxazolin, benzoxazolinone, cirsimarin, cirsitakaoside, coixol, coumaric acid, cynaroside, daucosterol, dulcinol, dulcioic acid, friedelin, gentisic acid, glutinol, hymenoxin, ifflaionic acid, linarin, luteolin, mannitol, scoparinol, scutellarein, scutellarin, sitosterol, stigmasterol, taraxerol, vicenin, vitexin.[51]

Various chemicals in vassourinha have been documented with the following biological activity:

Anticancerous Activity

Cytotoxic Activity
Pure diterpenes extracted from vassourinha showed cytotoxicity towards six human stomach cancer cell lines.[54] Numerous *in vitro* studies have demonstrated the cytotoxic activity of the phytochemical betulinic acid against cancer cell lines including: medulloblastoma, glioblastoma, leukemia, human melanoma, neuroectodermal tumor, malignant brain tumor, neuroblastoma and ewing's sarcoma.[55-59] In human adults betulinic acid showed cytotoxic activity towards neuroectodermal tumor cells. [59]

Antitumor Activity
A scopadulcic acid B fraction *in vitro* had antitumor activity, inhibiting tumor promoters, phospholipid synthesis and various cancer cell lines.[60,61] In mice the fraction inhibited tumor promoters and skin tumors.[60]

Analgesic / Anti-inflammatory Activity

In animals scoparinol had analgesic activity. In animals the phytochemical scoparinol showed anti-inflammatory activity. [62]

Diuretic Activity

In animals scoparinol has shown a diuretic effect. [62]

Antimicrobial and Antiprotozoal Activity

Antiviral Activity
Scopadulcic acid B concentrations showed activity towards herpes simplex type 1.[63-64] In numerous *in vitro* studies betulinic acid has shown activity against HIV, inhibiting HIV replication and virus-cell fusion.[65-69]

Antimalarial Activity
Scopadulcic acid A *in vitro* had an IC50=19-27 mM against D6 *P. falciparum*, W2 *P. falciparum* and MDR *P. falciparum*.

Enzyme Modulation

Scopadulcic acid B fraction in rabbits and *in vitro* inhibited H(+),K(+)-ATPase.

In vivo and *In vitro* Research and Pharmacological Actions

Anticancerous Activity

Cytotoxic Activity
A methanol extract of the aerial parts of the plant at 50 mcg/ml was active against human oral epidermoid carcinoma Ca-9kb (66% inhibition) *in vitro*. Crude extracts from aerial plant parts demonstrated cytotoxicity towards six human stomach cancer cell lines.

Antispasmodic Activity

In the guinea pig an ethanol extract of the entire plant inhibited histamine-induced muscle contractions at ED50=0.74 mg/ml. An ethanol-water extract also inhibited ACH-induced spasms. The opposite occurred in rats. At 183 mcg/ml an ethanol extract of the entire plant produced muscle spasms.

Extracts of vassourinha at 3 mcg/ml had a smooth muscle relaxant effect on the rabbit aorta, versus potassium chloride and norepinephrine-induced contractions.

Analgesic Activity

A water extract of the entire plant given intragastrically to mice at 1 gm/kg inhibited acetic acid-induced writhing.

Anti-inflammatory Activity

Entire plant ethanol extracts at 0.5-1 gm/kg given intragastrically to rats had anti-inflammatory activity. A water extract at 0.5 gm/kg also showed anti-inflammatory activity.

Cardiovascular Activity

Entire plant ethanol and water extracts given intravenously to both dogs and rats at 0.1-0.5 mg/kg increased blood pressure. A chloroform extract, however, had a hypotensive effect. At 25 mcg/ml an ethanol extract had a positive inotropic effect in rats.

Antimicrobial and Antiprotozoal Activity

Antifungal Activity
A water extract of the leaf showed inhibitory activity towards *Fusarium oxysporum* and *F. sp. lentis*.

Antibacterial Activity
Chloroform, methanol, ethanol and water extracts have shown *in vitro* antibacterial activity towards several gram negative and positive organisms including: *Klebsiella pneumoniae, Proteus mirabilis, Pseudomonas aeruginosa, Staphylococcus aureus, Staphylococcus pyogenes* and *Bacillus subtilis*.

Molluscicidal
Ethanol and water extracts at 1000 ppm had weak activity against *Biomphalaria glabrata* and *Biomphalaria straminea*.

Hypoglycemic Activity

Oral administration of water extracts of the leaves at 0.15, 0.30 and 0.45 g/kg for 45 days reduced blood glucose, glycosylated haemoglobin and increased total haemoglobin in rats. Reduction in body weight was also prevented. The improvement in glucose tolerance was considered comparable to glibenclamide.

Central Nervous System Activity

Water and ethanol extracts at 1 gm/kg given intragastrically to mice potentiated barbiturates. In rats 2 mg/kg was inactive.

In the human adult 10 mcg/ml of an ethanol entire plant extract inhibited the radioligand binding to the following: dopamine receptors in the human frontal cortex; adrengeric-receptors in the human frontal cortex; muscarinic receptors in human hippocampus tissues and adenosine NMDR receptor channel complex. At 100 mcg/ml it inhibited radioligand binding to serotonin receptors in the human frontal cortex and the binding of 3h-rauwolscine to serotonin receptors.

Antioxidant Activity

Vassourinha mitigated the generation of hydroxyl radicals.

Mechanism of Action

Anticancerous Activity

Cytotoxic, anticancerous and antitumor activity is thought to be due to the terpenes scopadulcic acid and betulinic acid.

- Scopadulcic acid B inhibited tumor promoters and phospholipid synthesis.
- Betulinic acid acted directly on the mitochondria to induce cell apoptosis.
- Betulinic acid inhibited topoisomerase I (AE1006) and aminopeptidase N activity.

Antispasmodic Activity

Vassourinha is able to inhibit histamine- and ACH-induced muscle spasms.

Antimicrobial Activity

Betulinic acid in vassourinha is able to inhibit HIV replication and inhibit the virus' fusion to cells. Another chemical in vassourinha, scutellarein, has reverse transcriptase inhibitor activity.

Central Nervous System Activity

Radioligand binding studies show that vassourinha is able to increase dopamine, serotonin and adenosine brain neurotransmitters, and have an effect on muscarinic and adrengeric receptors in the brain.

Antioxidant Activity

Mitigated hydroxyl free radicals.

Dosage

Internal
Crude Preparations, leaf.
2–3 g twice daily
Infusion: 1 cup of a standard infusion twice daily. 1 cup (150 ml) boiling water poured over approximately 2 grams of dried leaf. Steep, covered, for 5-10 minutes.

External
Leaf: Infusion applied externally.

Duration of Administration

Duration of administration varies per complaint. No adverse effects have been associated with long-term ingestion.

Contraindications

Pregnancy and Lactation: A water extract of the root had a uterine stimulant effect in rats and should not be used during pregnancy and lactation.

Drug Interactions

May potentiate SSRI medications.
May potentiate barbiturates.
May potentiate hypoglycemic medications.

Side Effects

None reported.

Safety Rating

Not Rated.

In one toxicity study an ethanol-water extract of the entire plant given IP to mice had a LD50 at 1 gm/kg. In another study an ethanol extract of the entire plant given at 0.1 gm/kg IP to rats resulted in death in 6 hours. However, in another study both ethanol and water extracts of the entire plant, given intragastrically to rats or mice at 2 gm/kg had no toxicity.

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