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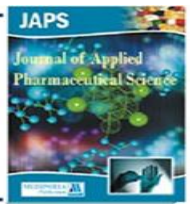

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Phytopharmacological review on *Acanthospermum Hispidum*

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ABSTRACT

Acanthospermum Hispidum (Family:Asteraceae) is an annual plant which is native to tropical America. This plant is cited as a weed in cotton culture in Brazil, and it is also used as a medicinal plant. The leaves and flowering tops of the plant have antimicrobial activity. The ethanolic extract of leaves and flowering tops gives activities against wide range of pathogenic bacteria. Crushed herb is use in the form of the paste to treat the skin aliments and leaf juice is used to relieve the fever. A scrutiny of the literature revealed some notable pharmacological activities of the drug like antimicrobial, antifungal, antiviral, anthelmentic, immunomodulator, abortifacient, antitrypanosomal and antileshmanial. The present review is an attempt to highlight the various ethnobotanical and traditional uses as well as the various phytochemical and pharmacological reports on *Acanthospermum Hispidum*.

Keywords: *Acanthospermum Hispidum*, hydroalcoholic, phytopharmacological, ethnobotanical

INTRODUCTION

Acanthospermum hispidum (Bristly starbur, Goat's head, Hispid starburr, Starbur; (syn. *Acanthospermum humile* Eggers) is an annual plant in the family Asteraceae, which is native to Tropical America. *Acanthospermum hispidum* is considered as an important medicinal plant of India. It is found as a weed along the roads and in moist habitats throughout India. The common name of this medicinal plant in Kannada is known as Kandlemullu. . The species is easily identifiable and grows abundantly during the rainy seasons in NE Brazil; is amenable to cultivation without lose of its phytochemical profile, and toxicological studies have showed its safety as a medicine (although more studies will be required in that direction). As such, the compilation of the accumulated knowledge concerning this species will aid in evaluating its pharmacological value, guaranting quality control of the final product, and in preparing recommendations for usages and dosages that offer both safety and efficiency to the user.Acanthospermum hispidum plant is important for its medicinal properties. It possesses antibacterial and antifungal properties. The crushed herb is used in the form of a paste to treat skin ailments and the leaf juice is reportedly used to relieve fevers.

History

Bristly Starbur appears to have been introduced into Florida in ship ballast at Pensacola in the 1800s.The scientific name of the genus, *Acanthospermum*, is from the Greek words *acantha* (thorn)and *sperma*(seed) and refers to the prickly fruit. *Hispidum* is Latin, and means rough, shaggy, prickly or bristly (David WH *et al.*, 1989)

Synonyms

Acanthospermum humile Eggers , Starburr, Bristly starbur, Goat's head, Hispid starburr.

Kingdom : Planta
Order : Asterales
Family : Asteraceae
Genus : Acanthospermum

General Description

Acanthospermum hispidum is a branched herb up to 60 cm tall. The stems of these plants are covered with bushy hairs and smaller glandular hairs. These are scattered throughout the stems. Leaves are elliptic, obovate and 1.5 cm to 7 cm long. The *Acanthospermum hispidum* plant bears yellow flowers. Some leaves can be up to 11.5 cm long. The margins of the leaves serrate to subentire gradually narrowed to base, sessile. The flowers are typical of the Aster or Daisy Family. Each head has 5-9 ray flowers. The petals (corollas) of the ray flowers are pale yellow and are about 1.5 mm long. The disc flowers in the center of the head are sterile. The fruits are flattened and triangular in shape spiny and 5 cm to 10 cm in length. These fruits are covered with stiff, hooked hairs and have either a straight or curved pair of spines at the top. The bristly appearance and grouping of several fruits in each head provides the most frequently used common name, Bristly Starbur. Each fruit, excluding the terminal spines, is 5-6 mm long. The terminal spines are strongly divergent and are about 4 mm long. These terminal spines supply yet an additional common name, Goathead.

Distinguising Characteristics

The hairy stem, yellow-green florets, opposite leaves and fruits with hooked spines (two longer than the rest arising from the apex) and arranged in the form of flat stars are the distinguishing characteristics of this species (Holm *et al*., 1997).

Range

A*canthospermum hispidum* is native to Northern South America. It occurs in Brazil Grows in disturbed places in open Eucalypt forest, vine forest and disturbed places in many other types of vegetation. It was probably introduced from South America to both India and Africa, which may be one of the sources of the large number of popular names attributed to this plant. *Acanthospermum hispidum* DC. is also found in the tribal area of Koraput and Malkangiri district. Due to its role as an invasive weedy species on agricultural lands it has been the subject of many publications in India and other countries (Wagner *et al*., 1999).

Ecology

The plant is well adapted to a wide range of soil and climatic conditions. It is particularly adapted to light textured soils but also grows well in heavy textured soils. It is commonly found in cultivated upland crops, roadsides, pastures, waste areas, around corrals, and along railroads and cattle trails. Both seeds and leaves contain phenolic acids that are allelopathic to other plants. Found in a wide range of habitats. In general, the seeds of *A. hispidum* remain dormant in the soil for periods of up to eight years, reappearing at each new preparation of the soil according to the rainfall and temperature regime examined seed dormancy and related it to climatic factors, noting that dormancy could be broken by incubation in the dark for 10-30 days. Preliminary experiments undertaken by Messias & Noronha (1994) at the Pernambuco Agricultural Research Group (IPA) recommended fertilizing the soils used for cultivating this species with 15% urban compost, with additions of ammonia, phosphate and potassium (40-20-40).Cultivated specimens of *A. hispidum* will germinate on a wide variety of soils, from sandy to clay (Evani L *et al.*, 2008)

Propagation

Seed is spread when adhered to clothing, fur, etc. or as a contaminant of hay and fodder. Seeds also float and can be spread by floodwaters. A prolific seeder, however seeds are reported to be relatively short lived, approximately three years (Smith *et al.*, 2002).

Reproduction

The transition from the flowering to the fruiting phase of this species is extremely rapid, demonstrating a metabolic priority of reproduction over the elaboration of chemical defences .Flowering period is from Feb – Apr (Hyde MA *et al.*, 2011).

Fig.1 Leaves of *A. Hispidum.*

Fig. 2 Shrub of *A. Hispidum.*

Ethnobotnical Uses

Acanthospermum Hispidum (DC),(family Compositae) is a medicinal plant. *Acanthospermum hispidum* is used in traditional medicine for the treatment of jaundice, malaria, vomiting, cephalgias, head-ache, abdominal pain,convulsions, stomachache, constipation, eruptive fever, snake bite, epilepsy, blennorrhoea, hepato-biliary disorders,malaria, microbial infection and viral infections. A. *hispidum* appears to contain phytoconstituents that may be useful adjuvant for antibiotic formulations. It is used for the treatment of skin aliments and to treat cough and bronchitis. It is also used as an antifeedant (Mshana *et al.,* 2000).

General Impacts

A.hispidum is a weed problem in at least 25 crops, and is one of the three principal weeds of Zimbabwe and Brazil. It is a declared noxious weed in Hawaii, USA and Australia. The quality of sheep wool is reduced when contaminated by the spiny achenes, and livestock are harmed when the achenes penetrate the hooves, often resulting in infection and subsequent lameness. Crop yields are decreased in the presence of *A. hispidum* which competes for water, nutrients and light. Walker *et al.,* (1989) illustrated a negative linear relationship between groundnut seed yield and the period of interference from *A. hispidum*. Each week of interference reduced seed yields by 20, 205 and 134 kg/ha, respectively, over three consecutive years, and as little as two weeks of interference caused significant seed yield reductions. Full-season interference from 8 and 64 *A. hispidum* plants per 7.5 m of crop row reduced groundnut seed yields by 14% and 50%, respectively. Crop losses are also caused by interference from *A. hispidum* at harvest time. This is a major cause of soyabean yield losses in Brazil.

PHARMACOLOGICAL ACTIVITIES OF *ACANTHOSPERMUM HISPIDUM*

Abortifacient and teratogenic activity

I.P. Lemonica *et al.,* (1994) reported that the aqueous extracts of Acanthospermum hispidum have abortive and /or teratogenic effect. In order to evaluate the possible abortive and/or teratogenic effect of these plant extract, female Wistar rats were treated with the aqueous extract of *Acanthospermum Hispidum*. Doses of 0,150,300 and 600 mg/kg were daily administered by gavage during the organogenic period. The animals were sacrificed at term. There was no significant change in the mean weight of the fetuses, and no change in the percentage of post implantation loss in the treated groups. However, there was an increase in the number of external malformations and this was related to dose. No internal malformations were observed in fetuses at term, but there was a significant incidence of foetuses with visceral anomalies . The tendency of the pregnancy to continue or terminate did not change with the treatment.

Antiviral activity

Artur Summerfield *et al.,* (1997) investigated the antiviral activity of Acanthospermum Hispidum. They found that Incubation of the alphaherpesviruses pseudorabiesvirus (PRV) and bovine herpesvirus 1 during infection of cell cultures with an extract prepared from the leaves of *Acanthospermum hispidum* impaired productive replication of these viruses in a concentration-dependent manner whereas propagation of classical swine fever virus, foot-and-mouth disease virus and vaccinia virus was not affected. Delineation of the mechanism of the antiviral activity demonstrated inhibition of alphaherpesvirus attachment to and, to a lesser extent, penetration into the cells. In contrast, viral gene expression was not inhibited by the extract when added after entry of virions into the target cells.

Interleukin-2 dependent selective activation of porcine γδ T Lymphocytes

A.Saalmuller *et al.,* (1998) were found that an extract from the leaves of *Acanthospermum hispidum* was shown to have a costimulatory activity on porcine T lymphocytes activities by different stimuli ;by the mitogen conA,by allogeneic stimulator cells in a MLC or by viral antigen in a secondary in vitro immune response.

Antimicrobial activity

T.C. Fleischer (2003) reported that the ethanolic extract of the leaves and flowering tops of *Acanthospermum hispidum* DC showed varying degrees of activity against a wide range of pathogenic bacteria .The activity resided mostly in the polar fractions of the alcoholic extract;being only slight in the non-polar fraction .No activity was observed for the aqueous extract of the fresh plant material.

Antiplasmodial activity

S. Sanon et al., (2003) investigated tha*t* alkaloid extract of *Acanthospermum hispidum* have antiplasmodial activity .The extract of *Acanthospermum hispidum* was tested in vitro against two reference clones of *Plasmodium falciparum*: the W2 chloroquine-resistant and the D6 chloroquine-sensitive strains. Significant inhibitory activity was observed with *A. hispidum* (IC_{50}=5.02 µg/ml). Antiplasmodial activity was also evaluated against six *Plasmodium falciparum* isolates from children between 4 and 10 years old. The IC_{50} values for the alkaloid extract was in the range 25–670 ng/ml. These results indicated that *P. falciparum* wild strains were more sensitive to the alkaloid extracts than strains maintained in continuous culture. Moreover, the alkaloid extracts exhibit good in vitro antimalarial activity and weak cytotoxicity against three human cell lines (THP1, normal melanocytes, HTB-66).

Antidiarrheoal activity

Abdulkarim Agunu *et al.,* (2005) investigated that the aqueous methanol extracts of *Acanthospermun hispidum* (leaves and stem-bark) 7.3% (w/w) have pharmacological activity against diarrhoea. They found that the effect of the plant extract (0.5–3.0 mg/ml) on the Jejunum of rabbit were dose related At low doses (0.5, 1.0 mg/ml), the extracts showed smooth muscle relaxation. At high doses (2.0, 3.0 mg/ml), there were no proportionate increases

in the relaxation effect with the extracts of *Acanthospermum hispidum.*

Antitumour activity

N.Deepa *et al.*, **(**2007) investigated the anti-tumor activity of 50% aqueous ethanol extract of *Acanthospermum hispidum* DC against Dalton's ascites lymphoma in mice. The extracts were prepared by cold maceration with 50% aqueous ethanol and evaporated in vacuum to dry. (Yield: 50%aqueous ethanol -8.62% w/w). The extract were suspended in water with tween 20 and used for the study. The 50% aqueous ethanol extract (300 mg/kg p.o) was administered to tumor bearing mice (DAL) and examined for changes in dead cell count, histopathology of tumor cells, haematological parameters and median survival time (MST) and the results compared with that of tumor control or 5-FU. The findings reveal that 50% aqueous ethanol extracts possess antitumor activity. Thus it is suggested that *Acanthospermum hispidum* DC appears promising for the development of phytomedicine for the treatment of cancer.

Anthelmentic activity

Harekrishna Roy *et al.*, (2010) reported that various concentrations (5-25mg/ml) of each extract of *Acanthospermum Hispidum* along with the reference samples (Piperazine citrate, Albendazole) were subjected for anthelmintic activity study. The qualitative test revealed that the petroleum ether extracts contained only terpenoids but chloroform and hydroalcoholic (Ethanol 70% v/v) extracts exhibited the presence of carbohydrates, alkaloids, glycosides, flavonoids, tannins and saponins but amino acids and steroids were absent. All the extracts showed anthelmintic activity when compared with petroleum, ether and chloroform extracts. The anthelmintic activity of hydroalcoholic extract was comparable with reference drugs.

Antitrypsomal activity

Joanne Beroa *et al.*, (2011) were found that the best growth inhibition of Trypanosoma brucet brucet (strain 427)(Tbb) was observed with the dichloromethane extracts of aerial parts of *Acanthospermum hispidum* DC.

Antibacterial activity

Alicia Bardon *et al.*, investigated that Acanthospermal B, the major sesquiterpene lactone (SL) of *Acanthospermum hispidum*, an herb widely spread in Argentina, is a selective antibacterial agent against *Enterococcus faecalis* and *Staphylococcus aureus*, but inactive on Gram-negative and *Lactobacillus*.Methicillin-resistant *Staphylococcus aureus* (MRSA) is one of the main microorganisms involved in human chronic infection. A balb\c mouse skin infection model was developed to reproduce the lesions caused by acute and chronic infections produced by MRSA. After determination of the maximum concentration of AcB unable to produce tissular injury after intradermal injection, the anti-MRSA effect of AcB was evaluated on skin, liver and spleen tissues of infected mice. AcB, at doses of 2.5 mg/kg, produced a ten times decrease of MRSA growth in skin infection. In addition, the same dose prevented the dissemination to liver and/or spleen. AcB also displayed a bacteriostatic effect, *in vitro*, on MRSA cultures at 50 µg/mL that seems to be caused by partial denaturation of total bacterial DNA and/or inhibition of the PCR reaction in not denaturized DNA. Finally, total MRSA cell wall lysis occurred at a concentration of 100 µg/mL of AcB after 2 h of exposure.

PHYTOCONSTITUENTS OF *ACANTHOSPERMUM HISPIDUM*

The aerial parts of an Argentinian collection of *Acanthospermum hispidum* afforded 26 sesquiterpene lactones, including the two guaianolides (1 and 2) having a novel oxygen bridge between C-4 and C-14, three new *cis,cis*-germacranolides and two new melampolides. Guaianolides 1 and 2 seem to derive biosynthetically from the germacranolide having the $_1D$ D_5 conformation. The structures were elucidated using extensive spectroscopic analysis (Cartagena E *et al.*, 2000).

The petroleum ether extracts of *Acanthospermum Hispidum* contained only terpenoids but chloroform and hydroalcoholic (Ethanol 70% v/v) extracts exhibited the presence of carbohydrates, alkaloids, glycosides, flavonoids, tannins and saponins but amino acids and steroids were absent (Harekrishna *et al.*, 2010).

The sesquiterpene lactones found in *A. hispidum* are chemically distinct from other sesquiterpenoids due to the presence of an α-methylene-γ-lactone system, many containing carbonyl α,β-unsaturated and epoxies, which are part of a larger family of compounds with a wide spectrum of biological activity, including anti-microbial and anti-tumor activities (Evani L *et al.*, 2008).

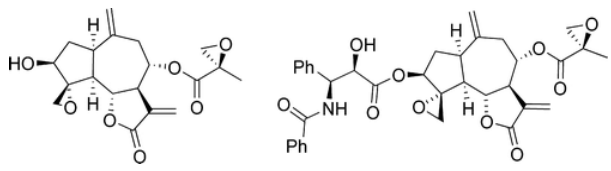
Acanthospermum Hispidum contain a noncrystalline melampolides acanthospermal B (Herz W *et al.*, 1975)) .

The sweetness of *Acanthospermum Hispidum* was traced due to large amounts of sugars and polyols by taste-guided fractionation, which were identified and quantified using gas chromatography/mass spectrometry (Raouf AH *et al.*, 1990).

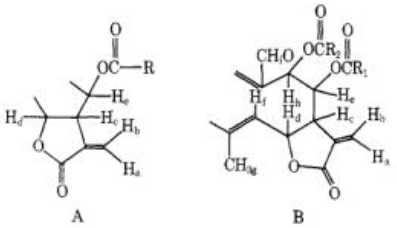
The leaves of *Acanthospermum hispidum* contain certain polyphenolic compounds which is responsible for activity (Nair *et al.*, 1985).

Acanthospermum hispidum also contain triacontane, *N*-butil eicosante and *N*-heptacosanol (Mathur et al., 1976).

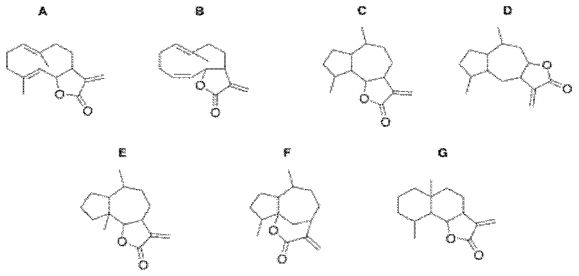
Two new flavones, namely 5,7,2',5'-tetrahydroxy-3,4'-dimethoxyflavone and 5'-acetoxy-5,7,2'-trihydroxy-3, 4'-dimethoxyflavone were successfully isolated from the leaves of Acanthospermum hispidum DC and identified by UV-Vis, IR, 1H-NMR and EI-MS techniques (Theresa *et al.*, 2011).



Guaianolides (1 and 2)



Acanthospermal A and B



Structures of some sesquiterpene lactones: A: Germacranolides, B: Heliangolides, C+D: Guaianolides, E: Pseudoguaianolides, F: Hypocretenolides,G: Eudesmanolides.

N-Triacontane

Me- $(CH_2)_{26}$-OH

N-heptacosanol

Fig.3: Structures of some phytoconstituents isolated from *Acanthospermum Hispidum.*

CONCLUSION

Acanthospermum Hispidum has been ethnomedicinally used as a therapeutic agent for a variety of diseases ,as we have illustrated in this article .In this systematic review ,the pharmacologic studies conducted on *Acanthospermum Hispidum* indicates the immense potential of the plant in the treatment of diarrheoa, as an antiviral, antitrypsomal, antiplasmodial, antimicrobial , antitumour, anthelmentic and many more. Carbohydrates, alkaloids, glycosides, flavonoids, tannins, terpenoids and saponins are responsible for its pharmacological activities. From the literature survey it was found that the leaves extract are more beneficial. Also the isolation of phytoconstituents has been done on aerial parts but no work has been done on the stem part and particularly on roots. So this part has to be explored by the researchers.

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