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Review Article

Pharmacological Scientific Evidence For The Promise Of Tribulus Terrestris

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ABSTRACT

Throughout history, many different cultures have recognized the potential use of Tribulus terrestris for prevention and treatment of various diseases. Recent studies support the effects of Tribulus terrestris and its extracts in a wide range of applications. These studies raised the possibility of revitalization of therapeutic values in different diseases. It has diuretic, aphrodisiac, Antiurolithic, immunomodulatory, anti-diabetic, absorption enhancing, hypolipidemic, cardio tonic, nervous system tonic, hepatoprotective, anti-inflammatory, analgesic, antispasmodic, anticancer, antibacterial, anthelmintic, parricidal, and anti-cariogenic activities. However, the exact mechanism of Tribulus terrestris and their long-term effects are not fully understood. Further studies are needed to elucidate the pathophysiological mechanisms of action of Tribulus terrestris as well as its efficacy and safety in treatment of various diseases

INTRODUCTION

Tribulus terrestris (family-Zygophyllaceae), commonly known as Gokshura or Gokharu or puncture vine, has been used for a long time in both the Indian and Chinese systems of medicine for treatment of various kinds of diseases. Its various parts contain a variety of chemical constituents which are medicinally important, such as flavonoids, flavonol glycosides, steroidal saponins, and alkaloids. It has diuretic, aphrodisiac, antiurolithic, immunomodulatory, antidiabetic, absorption enhancing,

hypolipidemic, cardiogenic, central nervous system, hepatoprotective etc. activities The fruits of T. terrestris plant are slightly astringent in taste



Fig no 1. Gokshru plant

Taxonomical classification

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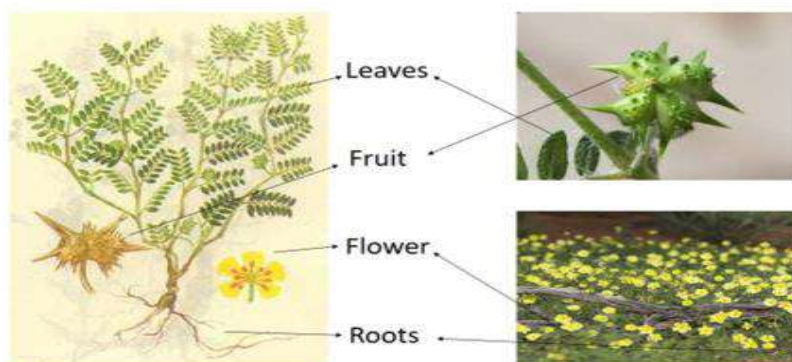
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Kingdom: Plantae
Division: Phanerogams
Subdivision: Angiospermae
Class: Dicotyledonae
Subclass: Polypetalae
Series: Disciflorae

Order: Giraniales
Family: Zygophyllaceae
Genus: Tribulus
Species: terrestris Linn

BOTANICAL DESCRIPTION



T. terrestris is a creeping annual (occasionally perennial) herb, and the plant, especially the fruits, has traditionally been utilized for sexual health advantages. *T. terrestris* can flourish in various soil types, but it particularly prospers in loose, arid, sandy soils found near dunes or in loose, fertile soils along the borders of fields. Additionally, it thrives in dense soils, particularly when they are damp or rich in nutrients, and in compressed soils along highways. Its' fruit is triangular, with spines on all four corners. It is greenish-yellow in color while the leaves are green 2. *T. terrestris* Is a tiny prostrate herb with silky hairs. Pinnate leaves are small, opposite, and have 4–8 pairs of spear-shaped leaflets. Small yellow petal blooms and prickly fruits distinguish *T. terrestris*. The fruits have 1 cm diameter woody burr with sharp spines. A woody star-shaped structure encloses the seeds (carpels). The root is slender, fibrous, cylindrical, and light brown when young 3.

PHARMACOKINETICS OF THE MAJOR COMPOUNDS DERIVED FROM TRIBULUS TERRESTRIS

The possible therapeutic benefits of the herb *T. terrestris* have led to its widespread usage in

traditional medicine. Saponin is thought to have multiple pharmacological effects and is one of the primary active chemicals in *T. terrestris* 5. Understanding the absorption, distribution, metabolism, and excretion of saponins from *T. terrestris* is crucial because it can affect the efficacy and safety of treatment 4. *T. terrestris* saponin has the following pharmacokinetic properties:

Absorption:

Saponins from *T. terrestris* are not absorbed well in the digestive tract because their molecules are big, and they are like water. But they can be taken in through the intestinal mucosa through a process called passive diffusion, especially when given with a lipid-based carrier.

Distribution:

T. terrestris saponins are present throughout the body, with large amounts in the liver, kidney, and lungs.

Metabolism:

T. terrestris saponins are extensively metabolized in the liver and changed into their aglycone forms. The cytochrome P450 enzymes control this process.

Excretion:

Saponins from *T. terrestris* are mostly eliminated through the feces, with a negligible amount also leaving the body through the urine 6.

NUTRITIONAL POTENTIAL

The green leaves of *T. terrestris* (100 g) contain 79.09 % moisture, 7.22 % protein, 1.55 % calcium, 0.08 % phosphorus, 9.22 mg iron, and 41.5 mg vitamin C. Resins, alkaloids, nitrates, and oils are all found in the powdered root extract [10]. *T. terrestris* leaves had an 84.67 percent moisture content and 19.0 percent fiber content, according to another study. On a dry weight basis, the percentages of crude protein, carbohydrate, and fat contents were found to be 13.21 percent, 46.79 percent, and 1.0 percent, respectively 7.

TRADITIONAL USES

TT is used in folk medicines as a tonic, aphrodisiac, palliative, astringent, stomachic, antihypertensive, diuretic, lithotriptic, and urinary disinfectant. The dried fruit of the herb is very effective in most of the genitourinary tract disorders. It is a vital constituent of Gokshuradi Guggul, a potent Ayurvedic medicine used to support proper functioning of the genitourinary tract and to remove the urinary stones. TT has been used for centuries in Ayurveda to treat impotence, venereal diseases, and sexual debility. In Bulgaria, the plant is used as a folk medicine for treating impotence. In addition to all these applications, the Ayurvedic Pharmacopoeia of India attributes cardiogenic properties to the root and fruit. In traditional Chinese medicine, the fruits were used for treatment of eye trouble, edema, abdominal distension, emission, morbid leukorrhea, and sexual dysfunction. TT is described as a highly valuable drug in the Shern-Nong Pharmacopoeia (the oldest known pharmacological work in China) in restoring the depressed liver, for treatment of fullness in the chest, mastitis, flatulence, acute conjunctivitis, headache, and vitiligo. In Unani medicine, TT is used as diuretic, mild laxative, and general tonic 8.

BIOLOGICAL AND PHARMACOLOGICAL ACTIVITIES

1. DIURETIC

The nitrate content present in seeds and fruit part of the TT plant is responsible for diuretic property. Furthermore, the aqueous extract of TT plant contains potassium salt in high concentration 9. The aqueous extract of the TT plant when given orally to albino rat model, the sodium, and chloride concentration in urine increased. Reported studies showed the diuretic property of the plant which helps in treating kidney disorder patients.

2. APHRODISIAC

Various reported studies showed that the saponin component of the TT plant mainly protodioscin and protogracillin possess aphrodisiac property 10. It was examined in albino rat model and was found that the protodioscin component converts testosterone into potent dehydrotestosterone very rapidly which increases the sex desire and also increases the production of RBCs 11. So is used to treat sexual related diseases such as premature ejaculation, erectile dysfunctioning. And also increase the production of sex hormones 12.

3. ANTIUROLITHIC

The experimental study was conducted on albino rats to find out the anti-urolithic activity 13. It was found that the ethanolic extract of TT fruits inhibited the growth of CaOx crystals and also possess cytoprotective activity 14. Sodium glycolate and ethylene glycol are responsible for inhibiting the stone formation when tested in various models 15.

4. ANTIDIABETIC

It was found from the reported studies that the ethanolic extract of the TT plant induces protective effect in streptozotocin-induced diabetic rats by inhibiting the oxidative stress. Furthermore, the saponin content was found to be responsible for lowering the blood sugar level 16.

5. ANALGESIC



The study was conducted in male mice using tail flick test and formalin to find out the analgesic activity of the TT plant. It was found that the methanolic extract of TT plant possesses analgesic activity when given in 100 mg/kg dosage in male mice 17.

6. ANTHELMINTIC

From the reported studies, it was found that the beta sitosterol d-glucoside and tribulosin extracts of the TT plant showed anthelmintic property 18.

7. ANTIFUNGAL

The saponin content extracted from TT plant was studied against fluconazole resistant yeast. It was found that saponin component of TT plant showed in vitro and in vivo antifungal property by destroying the cell membrane, killing fungi or by weakening the virulence of *Candida albicans* 19.

PHYTOCHEMICALS CONSTITUENTS OF GOKSHURA

T. terrestris *T. terrestris* plant contain number of chemical constituents named as steroids, saponins, flavonoids, alkaloids, vitamins, tannins, unsaturated acids, resins, nitrate potassium, aspartic acid, and glutamic acid. This plant is the richest source of calcium 4.21%, crude protein 12.06%, ether extract 2.61%, total ash 16.72%, phosphorus 0.25%, and total digestive nutrients 55.63% 20.

1. STEROIDAL SAPONINS

The saponin content is mostly found in leaves and roots and is absent in stem and seeds. The total 108 saponin components are reported to be isolated from TT plant out of which 58 are spirostane saponins and 50 are furostane saponins. The most considerable saponins found in the TT plant are spirostanol and furostanol. Protodioscin and protogracillin are the two steroidal saponins that are considered to carry great biological properties 21. The other two new steroidal glycosides extracted from the aerial parts are neohecogenin glucoside of tribulosin, six-glycoside quercetin,

eight glycosides of iso-hamnein, and four glycosides of kaempferol 22.

2. FLAVONOIDS

The leaves and fruit part of TT plant are a source of flavonoids components named as kaempferol, kaempferol-3-glucoside, kaempferol-3-rutinoside, and tribuloside. The derivatives of quercetin component extracted are quercetin, isoquercitrin, rutin, quercetin-3-o-agent, quercetin-3-gentr, quercetin-3-O-rha-gent, and quercetin-3-O-gent-7-O-glu are flavonoids derived from its parent structure 23,24. Isorhamnetin, isorhamnetin-3-O-glu, isorhamnetin-3-O-gent, isorhamnetin-3-O-rutinoside, isorhamnetin-3-O-gentr, isorhamnetin-3,7-di-O-glu, isorhamnetin-3-O-p-coumarylglu, isorhamnetin-3-O-gent-7-O-glu, isorhamnetin-3-O-gentr-7-O-glu with isorhamnetin as the parent structure 25,26. Kaempferol, kaempferol-3-O-glu, kaempferol-3-O-gent, kaempferol-3-O-rutinoside, kaempferol-3-O-gent-7-O-glu, and tribuloside with kaempferol as the parent structure 27,28 .

3. ALKALOIDS

The alkaloids extracted from the leaf, fruit and roots of TT plant are tribulusamide C, tribulusterine, tribulosin A, harmine, harman, harmmol, tribulusimide C, terrestriamide, N-trans-coumaroyltyramine, N-trans-caffeoyltyramine, and terretribisamide 29-31.

4. OTHER

The fruit part of the plant also contains essential oils, fixed oil, nitrates gitogenin rhamnose rutin, sterols, reducing sugar, ruscogenin, neogitogenin, hecogenin, and campesterol. The root part of the plant possesses phytosterols, amino acids, campesterol, stigma sterol, β -sitosterol, trillin, and furostanol of glycoside. The other organic acids extracted from the TT plant are succinic acid, benzoic acid 32, vanillic acid, 2-methyl benzoic acid, ferulic acid, palmitic acid monoglyceride, docosanoic acid and tribulus acid. Alanine and threonine are the two major amino acids extracted



from TT plant. Furthermore, it contains coumarin, emodin, physcion 33, uracil nucleic acid, and 4-ketopinoresinol represents the chemical structures of some major phytochemical constituents of TT plant.

MATERIALS AND METHODS

1. COLLECTION AND IDENTIFICATION OF PLANT MATERIALS

Tribulus terrestris fruits were collected from the coastal region of Surat, Guajrat, Maharashtra during the month of August-September.

2. PREPARATION OF EXTRACTS

Tribulus terrestris fruits were a shade dried and ground to coarse powder. Every time a new powder was packed in a Soxhlet's column and extracted with petroleum ether, ethyl acetate, methanol and water in their increasing polarity at different temperature for 24 h. The extraction procedure repeated, pooled extract was evaporated at 45°C, under vacuum and stored in an airtight container.



Fig no 3 . soxhlets extraction apparatus

3. QUALITATIVE PHYTOCHEMICALS ANALYSIS

The extracts were screened for the presence of various constituents (alkaloids, saponins, tannins, anthraquinones, sterol, flavonoids, terpenoids, glycosides, simple sugars) using standard protocol 34.

4. QUANTITATIVE PHYTOCHEMICALS ANALYSIS

Spectrophotometric methods for total phenolic content and total flavonoid content while chromatographic methods i.e. HPTLC were used for determination of phytoconstituents in extracts with highest activity of Tribulus terrestris.

5. PREPARATION OF SAPONIN RICH EXTRACT

aponin rich extract were prepared according to Hassan et al 23. briefly, powder of Tribulus terrestris fruits were extracted with methanol-water (1:1, v/v) by Soxhlet's column, pooled extracts were evaporated to one-third of initial volume. Remaining aqueous extract was partitioned with butanol (1:1, v/v) overnight at room temperature using separating funnel. Upper butanol extract was collected and lower aqueous layer further partitioned with butanol to increase the yield of crude saponin extracts. Butanol extracts were pooled and evaporated to dryness.

6. QUANTITATIVE CHROMATOGRAPHIC ANALYSIS OF SAPONIN RICH EXTRACTS

Quantitative chromatographic methods i.e. HPTLC were used for determination of diosgenin and solasodine concentration in saponin rich extracts of Tribulus terrestris

7. RESIDUAL SOLVENTS ESTIMATION IN METHANOL AND SAPONIN RICH EXTRACTS

Methanol and butanol are class II and III residual solvents according to United States Pharmacopoeia respectively. Therefore, both the solvents were determined according to United States Pharmacopoeia using gas chromatography.

MARKETED PRODUCTS

PRODUCT NAME	PRICE	COUNTRY OF ORIGIN	USAGE
Natural Gokshura extract, 800mg capsule	Rs. 800	India	Body strengthening, urinary health and digestive health.
T. terrestris seeds, grade standard A-1 seed	Rs.3,500/bag	India	Enhance libido, keep the urinary tract healthy and reduce swelling.
Mysha nutrition T. terrestris capsule	Rs.999/bottle	India	Muscle growth.
Planet ayurveda Gokshura powder(100g)	RS.899/100g	India	Better functioning of urinary, reproductive and nervous system.
T. terrestris capsule, 90 capsule	Rs.165/bottle	India	Boost sex drive.
T. terrestris 1000gm	Rs.749.25	India	Increase stamina.
Djio T. terrestris extract	400/kg	India	Pharmaceuticals and healthcare.
Vuaxo herbals advance gokshura macaroot capsule	Rs. 611/bottle	India	Mens wellness.

CONCLUSION

Tribulus terrestris Linn, one of the popular and important medicinal plants of tropical and moderate areas of the world specially India and Sri Lanka. Many different cultures have used it for a number of conditions. For example, the Greeks used T. terrestris L as a diuretic and a mood-enhancer. Indians used it as a diuretic, antiseptic, and anti-inflammatory, and anti-spasmodic. The Chinese used it for a variety of liver, kidney, and cardiovascular diseases. The people of Bulgaria used T.terrestris L as a sex enhancer and to treat infertility. Recently, eastern European athletes and strength champions have used it as well. The whole plant of T.terrestris has been analyzed thoroughly for its biochemical and pharmacological activities such as diuretic, anti-hypertensive, anti-hyperlipidemic, cardioprotective, antidiabetic, anticancer, hepatoprotective, anthelmintic, antibacterial, analgesic, and anti-inflammatory, anti-oxident, anticariogenic, lavicidal anticariogenic activity, radioprotective activity. Further study should be

carried out to identify the mechanism of the pharmacological action of T. terrestris.

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