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Review

Tribulus terrestris Linn.: A review article

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Tribulus terrestris **has long been used as a tonic and aphrodisiac in Unani system of medicine. It has been used in India and Pakistan as a treatment for impotence and as a stimulant to enhance sexual drive and performance (Brown et al., 2001).** ***T. terrestris*** **has diuretic and uricosuric effects. In this review article introduction, description, active constituents and medicinal uses of** ***T. terrestris*** **have been given herewith.**

Key words: *Tribulus terrestris*, active constituents, medicinal uses.

INTRODUCTION

Tribulus terrestris L. is found to be growing in subtropical areas around the world. It is commonly known as Gokhru belonging to the family Zygophyllaceae, widely distributed throughout India. The fruits of *T. terrestris* L. have been used in traditional Chinese medicine for the treatment of eye trouble, edema, abdominal distention, emission, morbid leucorrhea, sexual dysfunction and veiling. Roots and fruits are useful in rheumatism, piles, renal and vesical calculi, menorrhagia, impotency, premature ejaculation, general weakness etc. The fruits, flowers and leaves are shown in Figures 1 and 2. It is a very potent diuretic and tonic drug (Selvam, 2008). The steroidal saponin constituents obtained from *T. terrestris* exhibit antimicrobial and cytotoxic effects (Bedir et al., 2002; Protich et al., 1983; Chu et al., 2003; Li et al., 2002). The phytochemical investigation of the aerial parts of *T. terrestris* of has resulted in the isolation of the novel furostanol saponin 1, named tribol, together with the known spirostanol saponins 2 and 3 and sitosterol glucoside (Conrad et al., 2004). An HPLC-ELSD-ESI-MS method has been developed for the analysis of the steroidal saponins in the aerial parts of *T. terrestris* (De Combarieu et al., 2003). Saponins from *T. terrestris* (STT) exert its cytotoxic effect on liver BEL-7402 cells by inducing apoptosis (Sun et al., 2004). *T. terrestris* exerts significantly antihyperlipidemic effects (Jiji et al., 2009). Chronic intake of a complex dietary supplement containing DHEA (Jameel et al., 2004), androstenedione and herbal extracts increases serum androgen levels, it has minimal effect on immune function in middle aged men (Kohut et al., 2003; Protich et al., 1983). Gynaecomastia has also been reported due to intake of a *T. terrestris* (Jameel et al., 2004).

T. terrestris is a natural stimulant of Luteinizing hormone (LH) which signals the body to produce more of its own testosterone (Neychev et al., 2005; Antonio, 2000). Clinical studies showed *T. terrestris* improved reproductive function, including increased concentration of hormones such as estradiol, with testosterone being very slightly influenced, thereby improving reproductive function, libido and ovulation (Gauthaman, 2002; Tomova, 1978).

Tribulus terrestris **Linn.**

Tibbi name:	Gokhru
English name:	Caltrop
Botanical name:	*T. terrestris*
Family:	Zygphyllaceae
Part used:	Seeds

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Figure 1. Fruit of *T. terrestris.*

Figure 2. Leaves and flowers of *T. terrestris.*

Description

It is a tap rooted herbaceous perennial plant that grows as a summer annual in colder climates. The stems radiate from the crown to a diameter of about 10 cm to over 1 m, often branching. They are usually prostrate, forming flat patches, though they may grow more upwards in shade or among taller plants. The leaves are pinnately compound with leaflets less than a quarter-inch long. The flowers are 4 to10 mm wide, with five lemon-yellow petals. A week after each flower blooms, it is followed by a fruit that easily falls apart into four or five single-seeded nut lets.

The nutlets or "seeds" are hard and bear two to three sharp spines, 10 mm long and 4 to 6 mm broad point-to-point. It is a trailing and spreading herb, densely covered with minute hair. Leaves compound, in opposite pairs, leaflets 3 to 6 pair, upto 8 cm long. Flowers are usually silky, white or yellow, solitary, arises from the axils of leaves. Ovary briskly, style short and stout. Fruits are globose, spinous or tuberculate; consisting of fine hairy or nearly glabrous, often muriculate and woodi cocci, each with two pairs of hard sharp spines, one pair longer than the other. Fruit often cling to clothes and bodies of animals. Seeds are many in woodi cocci. Plant is widely distributed in different parts of India upto 3000 m altitude. Steroidal saponin and diosgenin is isolated from this plant. It is very rich in protein and calcium. Dried fruit contain semi-drying oil, peroxides, diastase, traces of glucosides, resins, protein and large amount of inorganic matters. From the roots, stem and leaves, sitosterol and srtigmasterol were also isolated.

MECHANISM OF ACTION

T. terrestris extract has been shown to stimulate luteinizing hormone (LH) release from the pituitary gland. It may also have some peripheral effects as manifested by increased pubic hair in some hypogonadal test subjects.

It is speculated that the metabolites of protodioscine may also have mild androgenic properties. The exact mechanisms are still vague and current explanations are speculative at best.

ANALYTICAL SPECIFICATION FOR THE CRUDE DRUG

Macroscopic characters

Yellowish globose and spiny, each having five woody, spiny cocci and each coccus has four pointed rigid spines. Two of the larger spines are directed towards the apex and other two smaller ones are directed downwards. Each coccus contains several seeds.

Active constituents

Protodioscin, terrestrosins A-E, desgalactotigonin, F-gitonin, desglucolanatigonin, gitonin, tigogenin, furostanol glycosides, β-Sitosterol, spirosta-3,5-diene, stigmasterol, diosgenin, hecogenin, ruscogenin, Kaempferol, quercetin, tribulusamides A and B (Wu et al., 1999; Mahato et al., 1981).

Pharmacological action

T. terrestris shows aphrodisiac, diuretic, antiseptic,

anti-inflammatory,demulcent, nervine tonic, emenagogue, alterative, astringent analgesic activities. Plant and spiny fruits are used in the form of decoction or infusions in cases of spermatorrhea (Georgiev et al., 1988), phosphaturia, and diseases of the genitourinary system such as dysuria, gonorrhea, gleet, chronic cystitis, calculus affections, urinary disorders, gout, and impotence; also in utrine disorder after parturition, kidney diseases, and gravel. It is used in northern India in cough, and some diseases of the heart.

MEDICINAL USES

T. terrestris has been used as a diuretic, tonic and aphrodisiac, urinary disorders, hyperuricccemia, impotence. Tribulus has been shown to enhance sexual behaviour in an animal model. *T. terrestris* has long been a constituent in tonics in Ayurveda medicine, where in it is used as an aphrodisiac, also used diuretic and nervine in tonic, where as in Unani medicine to inhibit the formation of kidney stone. *T. terrestris* contains three groups of active phytochemicals: Dioscin, protodioscin, diosgenin and similar. These substances have effect on sexual performance and may treat various sexual disorders, they regulate sexual energy level and strength by increasing the percentage of free testosterone level for men and they affect pregnenolone, progesterone and estrogen. The hormone balancing effects of Bulgarian *T. terrestris* for women makes this herb suitable for premenstrual syndrome and menopausal syndrome (Protich et al., 1983; Huang et al., 2003). Sterols like betasitosterols or stigma substances. These protect the prostate from swelling and in combination with the X steroidal saponins, protect the prostate from cancer. Steroidal saponins currently referred to as X steroidal saponins (Sun et al., 2003). These X steroidal saponins affect the complete immune system (Toshkov et al., 1985). They have been demonstrated to possess anti-bacterial and anti-viral effects.

Bulgarian *T. terrestris* may be used internally and externally to treat herpes, and virus infections such as influenza and the common cold. *T. terristris* was found to be a rich source of calcium (Duhan et al., 1992). Studies have shown a more than 50% increase in testo-sterone levels when taking the Tribulus herb studies show that it works very well when stacked with DHEA and androstenedione. It increases testosterone levels in a different way, however, than either DHEA or andro do. Instead of being a testosterone precursor, it leads to the production of the LH. When LH levels are increased, the natural production of testosterone also increases. LH is a hormone that also deals with sex drive. *T. terrestris* increases sperm count as well as motility levels when it is taken for 30 days. This is a good supplement for men and women to increase their sex drive. Most experts recommend experimenting with 750 to 1,250 mg per day, divided among meals. A significant benefit of Tribulus is the stimulation of hormone production to a balanced level, without over stimulating the secretion of hormones. *T. terrestris* works by stimulating the anterior pituitary gland to release LH, which is responsible for stimulating the testes to produce testosterone. When scientists began studying the curative power of Tribulus, they discovered that it significantly elevates the level of several hormones: Testosterone Luteinizing Hormone; Follicle stimulating hormone (FSH) and estradiol. A significant benefit of Tribulus is the stimuration on human males and experimental animals are well known (Tomova et al., 1978).

The analgesic effect of *T. terrestris* extract and comparison of gastric ulcerogenicity of the extract with indomethacine in animal experiments

T. terrestris has been used in traditional medicine for relieving rheumatic pain and as an analgesic plant for a long time. In this investigation the analgesic effect of methanolic extract of this plant on male albino mice was evaluated by formalin and tail flick test. Extraction of the fruits of the plant was done by two different methods (suxheletion and percolation) with methanol 80%. The percolated extract was injected intraperitoneally in mice at 50, 100, 200, 400, and 800 mg/kg. The results showed that a dose of 100 mg/kg of percolated extract had the highest significant analgesic effect compared to the control group ($P < 0.01$) in formalin and tail flick test.

There is no significant difference in the analgesic effect of suxheleted and percolated extract. The analgesic effect of the extract was lower than morphine, 2.5 mg/kg in both tests, and higher than ASA 300 mg/kg in chronic phase of pain in formalin tests ($P < 0.05$). Pretreatment of animal with naloxone did not change the analgesia induced by the plant extract in both tests, therefore the involvement of opioid receptor in the analgesic effect of this plant was excluded. The results of ulcerogenic studies indicate that the gastric ulcerogenecity of plant extract is lower than the indomethacin in the rat's stomach. It can therefore be concluded that *T. terrestris* extract has a suitable analgesic effect and further studies are required to produce a more effective product of this plant to substitute for conventional analgesic drugs (Heidari et al., 2007).

A novel furostanol saponin from *T.terrestris* of Bulgarian origin

The phytochemical investigation of the aerial parts of *T. terrestris* of Bulgarian origin has resulted in the isolation of the novel furostanol saponin 1, named tribol, together with the known spirostanol Saponins 2 and 3 and sitosterol glucoside. The structure of tribol was determined as (25R)-furost-5(6)-ene-3β,16,26-triol-3-O-

α-rhamnopyranosyl-(1→2)-[α-rhamnopyranosyl-(1→4)]-β-glucopyranoside (1) by spectral analysis, including extensive 1D and 2D-NMR experiments (Conrad J).

The hormonal effects of *T. terrestris* and its role in the management of male erectile dysfunction – an evaluation using primates, rabbit and rat

Effects of *T. terrestris* (TT) on hormonal secretion were evaluated in primates, rabbit and rat to evaluate its usefulness in the management of erectile dysfunction (ED) (Adaikan et al., 2000). TT extract was administered intravenously, as a bolus dose of 7.5, 15 and 30 mg/kg, in primates for acute study. Rabbits and normal rats were treated with 2.5, 5 and 10 mg/kg of TT extract orally for 8 weeks, for chronic study. In addition, castrated rats were treated either with testosterone cypionate (10 mg/kg, subcutaneously; biweekly for 8 weeks) or TT orally (5 mg/kg daily for 8 weeks). Blood samples were analyzed for testosterone (T), dihydrotestosterone(DHT) and dehydroepiandrosterone sulphate (DHEAS) levels using radioimmunoassay. In primates, the increases in testosterone (T) (52%), DHT (31%) and DHEAS (29%) at 7.5 mg/kg were statistically significant. In rabbits, both testosterone (T) and dihydrotestosterone were increased compared to control, however, only the increases in DHT (by 30 and 32% at 5 and 10 mg/kg) were statistically significant. In castrated rats, increases in T levels by 51 and 25% were observed with T and TT extract respectively that were statistically significant. TT increases some of the sex hormones, possibly due to the presence of protodioscin in the extract. TT may be useful in mild to moderate cases of erectile dysfunction (Kalamegam et al., 1988).

Testosterone enhancer

T. terrestris is a testosterone enhancer. *T. terrestris* saponins appear to bind with the receptors of the hypothalamus that detect sex hormones. It in-part blocks the receptors leading to the hypothalamus misinterpreting the body's sex hormone levels as being lower than they really are. The hypothalamus signals to start the production of LH. When LH levels are increased, the natural production of testosterone also increases (Sun et al., 2003). LH is a hormone that also deals with sex drive (Milanov et al., 1985).

Effective dose

Effective doses used in clinical settings are 750 to 1500 mg per day.

DISCUSSION

Gokhru, an important herb commonly used in the folk medicine of many countries for different purposes. The fruits of the plant *T. terrestris* has been shown to exhibit diuretic, (Sangeeta et al., 1994) anti-urolithiatic, (Anand et al., 1994) CNS stimulant, (Prakash et al., 1985) antimicrobial, (Dhar et al., 1968) antifungal activities in rats, (Zhang et al., 2006) antioxidant and antihypertensive activity in rat heart (Ojha et al., 2006; Phillips et al., 2006). *T. terrestris* contains biologiacally – rich compounds as steroids, saponins, flavonoids, alkaloids and unsaturated acids, which are involved in promoting numerous physiological responses (Yan et al., 1996). Thimation. The leaves increase the menstrual flow, cure gonorrhea. The fruits are useful in urinary complaints, painful micturation and impotence. The fruits are also used to treat coughs, scabies and anexemia. The roots are said to be stomachic, appetizer, diuretic and carminative. It has also been used as medicine in India, South Africa, and Japan. Some steroidal saponins have previously been isolated from this plant as the active components (Milanov et al., 1985).

CONCLUSION

The plant *T. terrestris* has been used since centuries in Unani system of Medicine. It has been used in the treatment of sexual disorders. *T. terrestris* has also been used in traditional medicine for relieving rheumatic pain and as an analgesic plant for a long time. It is concluded that *T. terrestris* has analgesic, diuretic and uricosuric effects.

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