ORIGINAL ARTICLE

Different chemo types of Gokhru (*Tribulus terrestris*): A herb used for improving physique and physical performance

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Tribulus terrestris in India is recommended as diuretic, demulcent and aphrodisiac while in traditional Chinese medicines it is used to calm the liver. Currently male impotency is being cured using saponins from *T. terrestris* of Bulgarian origin. The change in therapeutic practices with geoclimatic regions have been scientifically elaborated by using the molecular marker techniques, for proper chemo type selection and standardization of herb to fulfill the therapeutic requirement based on quality, quantity of content and efficacy, for targeted therapy and production of quality products with finger prints as claimed in the product.

Key words: Geo-climatic regions, saponins, therapeutic properties, *Tribulus terrestris*

INTRODUCTION

Tribulus terrestris extract is being used as an ingredient of food supplements in USA and Europe, with claims of general stimulating action. Under such circumstances it is necessary to have the information about the origin of herb used and its standardization before going to any business, so that desired impact can be achieved. It is to be noted that the same species is being used for different remedies in Ayurveda, TCM and in Bulgaria, with a history of successful and widely accepted treatment. So to understand such chemo type differences, preliminary investigations can be made using Thin Layer Chromatography (TLC) / High Performance Thin Layer Chromatography (HPTLC) finger prints and confirmatory results by HPLC- ELSD to differentiate / select the proper chemo type, where the morphological / taxonomic differentiation is not certain. Different parts have different utility - leaves are diuretic, its tonic increases menstrual flow, cures gonorrhea, a decoction is useful as a gargle for mouth troubles and painful gums and reduces inflammation. The seeds of *T. terrestris* are regarded as cooling, diuretic, tonic, aphrodisiac and are useful in painful micturition, urinary disorders and impotence. In some countries seeds are reputed tonic and astringent and used for cough, scabies, anemia and ophthamia. The root of *T. terrestris* is good for stomachic and appetizer, diuretic and carminative.[1] The average moisture content in the green plant [Figs. 1 and 2] is 65.7% (post flowering stage) and oven dried chemical composition is as; crude protein 12.06%, crude fiber 27.78%, total carbohydrate 68.61%, total ash 16.72% and calcium 4.21%. The dried plant, when young (pre-flowering stage) is refused by animals. However it could be used as a valuable source of feed after the removal of incriminating factors, by extracting the dried plant with 5% tartaric acid in 90% alcohol.[2] Recently more than 20 saponins have been identified in *T. terrestris,* of which diosgenin, gitogenin and chlorogenin are in the leaf tissue. The freshly expressed juice of the aqueous extract of the whole plant contains inorganic nitrates, mostly potassium nitrate. The diuretic property of the plant is due to the nitrates. The fruit contains alkaloid, resin, fat, ascorbic acid, minerals (14%) and essential oils. The amount of ascorbic acid increases from roots to fruits in *T. terrestris*.[3] In general saponins, flavonoids, alkaloids, lignanamides and cinnamic acid amides are of therapeutic values, of which spirostanol and furostanol saponins are main ingredient. Many pharmaceutical preparations / food supplements are on sale, in market, based on saponins content. The object of article is to select the best chemo-type for desired preparation.

VARIATION IN SAPONIN COMPOSITION AND CONTENT WTH GEOGRAPHICAL REGIONS

Tribulus terrestris saponins have been found to posses various pharmacological activities, based on which several sexual diseases in men and women are being cured and being used for cardiac treatment. Studies on *T. terrestris*, using HPLC-ELSD (High Performance Liquid Chromatography-Evaporative Light Scattering Detector) analytical technique,[4] concluded with large

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Figure 1: Gokharu (*Tribulus terrestris*): Whole plant

variation in both saponin composition and saponin content, depending on the geographical regions of the world. Most of the phytochemical investigations described in literature refer to *T.terrestris* from Chinese, Indian and of Bulgarian origin, while there are limited studies for saponins from the same herb of Turkey, Moldova, South Africa, Australia, Azerbeidjan and Romania. Careful examination of data from literature reveals the difference in the saponins composition [Table 1][4-6] is a cause of different bioactivity of the herb at different regions.

BIOLOGICAL APPLICATIONS OF *TRIBULUS TERRESTRIS* EXTRACT

In the treatment of sexual disorders: The furastanolic type saponins (protodioscin and protogracilin etc.), from *T.terrestris*, has stimulating effect on spermatogenesis by increase in the amount of Luteinizing Hormone (LH) produced by Pituitary gland, which stimulate the secretion of male hormone 'Testosterone', resulting in significant improvement in quality and quantity of sperm.[7] Sperm needs 80 days to mature, so it is recommended that person who is hoping for improved sperm quality should take the extract for at least this period, with constant supervision of his medical doctor. A composite drug containing *T. terrestris* fruit with *Mucuna pruriens* (seeds) *Glycerrhiza glabra* (stem) *Withania somnifera* (roots), *Tinospora cordifolia* (stem) *Meristica fragrans* (fruit) has been tried on 52 male patients of sexual dysfunction for four weeks, an excellent improvement in erection, duration of coitus and ejaculation and post coital satisfaction.[8]

Figure 2: Gokharu (*Tribulus terrestris*): Aerial portion

***Tribulus terrestris* extract for the muscular growth:** *T. terrestris* extract improves the body's ability to build muscle mass and strength by promoting the production luteinizing hormone, thereby stimulating the secretion of testosterones, resulting in the development of male-like characters (i.e. strong muscles and strength) with increase in sex drive, as well as production of red cells, contributing to improvement in blood circulation and good oxygen transport.[8] In this way it works only within body's natural limits, supporting the balanced natural hormone levels. So it is claimed that *T. terrestris* is not a hormone supplement. It only helps to improve strength in conjunctions with an exercise programme that places the muscles under strain and allow them to recover.

***Tribulus terrestris* as adaptogenic:** Multi-herbal formulation in Ayurveda with *T. terrestris* exerts significant adaptogenic activity. Stress induced paradigms were found to be reversed by the multi-herbal preparation.[9]

***Tribulus terrestris* in the treatment of cardiac diseases:** The clinical trial shows that a soponin of *T. terrestris* have action of dilating coronary artery and improving coronary circulation, so recommended for treating angina pectoris. Chinese drug named 'Xinnao Shutong' is made of crude saponins of Chinese *T. terrestris*, which has significant effect in the treatment of coronary disease, myocardial infraction and cerebral diseases.[10]

Antimicrobial activity of *Tribulus terrestris*: The bacterial activity of *T. terrestris* varies depending on the origin and plant's part used. The ethanolic extract of the fruit and leaves of Indian herb has activity against *E. coli* and *S. aurues*, but ethanolic extract of *T. terrestris* from Yeman has no detectable anti–bacterial activity, against any of the reference bacteria. The methanolic extract of the same herb grown in Iran has anti-bacterial activity. The activity is reported due to spirosaponins, present in the herb.[11]

Table 1: Composition of saponins in *T. terrestris* with geographical regions

Types of saponins	Compound	Herb origin
Spirosaponins of tigogenin /neotigogenin type	Tigogenin	Ch, Au
	Neotigogenin	In
	Gitogenin	Ch
	Tribulosin	In
Spirosaponins of gitogenin /neogitogenin type	Gitogenin	Ch, SA, In, R
	Neogitogenin	In
	F-Gitogenin	Ch
Spirosaponins of hecogenin /neohecogenin type	Hecogenin	Bul, Ch, In
	Agovoside A	Ch
Spirosaponins of diogenin/ yamogenin type	Diosgenin	Bul, SA, In, R, Au, T
	Tribestin	Bul
	Dioscin	Bul, In, M
Derivatives of hecogenone	Dihydrohecogenone	Ch
	Mono oxy-derivative	Ch
Derivatives of 25R-spiro -4ene-3, 12-dione	All compounds	Ch
Derivatives of 25R-spiro-4ene-12-one	All compounds	Ch
Derivatives of tigogenin/ neotigogenin type	Terrestrosin	Ch
	Neoprotodioscin	Bul
	Terrestrinin	Ch
Furostanol derivatives of gitogenin/neogitogenin type	Terrestrosin F	Ch
	Terrestrosin G	Ch
Furostanol saponins of hecogenin/ neohecogenin type	All compounds	Ch
Furostanol derivatives of diosgenin/yamogenin	Protodioscin	Bul, Ch, In, M
	Methyl protodioscin	Bul
	Terrestrosin	Ch
	Prototribestin	Bul
	Methyl prototribestin	Bul
	Protogracillin	Bul
Pseudofurostenol saponins of neogenin/neohecogenin type	All compounds	Ch
Spirosaponins of sarsasapogenin type	All compounds	Ch
Pseudo furostanol saponins of sarsasapogenin type	All compounds	Ch

Au=Australia, Bul=Bulgaria, Ch=China, In=India, M=Moldova, R=Romania, SA=South Africa, T=Turkey

Cytotoxic activity: *T. terrestris* of different regions (Bulgaria, China and India) and different parts of plants (stem and fruit) shows that only the spiro compounds exhibit remarkable activity. The inhibitory effect of saponin mixture from Chinese origin on Bcap37 breast cancer cell has potent inhibitory effect.[12]

***Tribulus terrestris* in diabetes management**: In a comparative study for hypoglycemic and hypolipidemic properties of *T. alatus* and *T. terrestris*[13] in streptozotocin-induced hypoglycemic rats, 50 mg/kg body wt. concentration of alcoholic extract of *T. alatus* and *T. terrestris*, produces significant decrease in blood glucose level, after 2, 4 and 6 hours of treatment as compared to untreated diabetic rats. After 4 and 6 hours of treatment, the percent of reduction in blood glucose level produced by *T. alatus* extract was significantly higher (74±2.2) (74±1.0) when compared with that of *T. terrestris* (59±5.7), (58±4.8). The percentage of reduction in both these groups was higher than that seen in glibenclamide treated group (31.2±0.8) and (31.8±0.8). After three weeks of treatment, blood glucose level in diabetic rats treated with *T. alatus* and *T. terrestris* decreases (83-84%) to below normal level, similar to glibenclamide (84% reduction).Treatment of diabetic rats with *T. alatus* extract resulted in significant decreases of serum triglycerides (TAG), total cholesterol (TC), high density lipoprotein cholesterol (HDL-$_C$) and low density lipoprotein cholesterol (LDL-c) as compared to untreated diabetic rats and the value came down significantly below those in the normal healthy control group. However treatment of diabetic rats by *T. terrestris* extract resulted in significant decrease in TAG, TC and LDL-c as compared to untreated diabetic rats. TC and LDL-c were equal to those of control group and HDL-c was significantly higher (36±1) than that of control group (27±2.7) an indication for better health however it was much lower (17±1.1) in case of *T. alatus* extract treated group.

Anthelmintic activity: The 50% methanolic extract of Indian *T. terrestris* (whole plant) has been reported as anthelmintic activity, it is due to the tribulosin and sitosterol glycosides.[14]

*Tribulus terrestris i*s a famous herb traditionally used by different civilizations for different purposes. In Ayurveda, the herb is known for anti-urolithic, diuretic and aphrodisiac while in Traditional Chinese Medicine (TCM), it is used for eye trouble, edema, abdominal distention, sexual dysfunction and veiling. In Bulgaria as a folk medicine, it is used for blood purification and haemorrhoids while in south Aphrica it is used as tonic for diarrhea and disease of throat and eyes. In the 'Shern-Nong Pharmacopoeia' (the oldest known pharmacological work in China) *T. terrestris* is described as a highly valuable drug to restore the depressed liver.

CONCLUSION

Various preparations of *T.terrestris* in market justify their existence as the synthetic compound offers the benefit of fast action and instant erection in erectile dysfunction (ED) patients but requires each and every time to initiate, while protodioscin address the root cause of the imbalance and deficiency, allows its users to regain ability. *T. terrestris* preparations are array for diabetic patients as on clinical trial on diabetic and non-diabetic male patients with ED or reduced libido, when treated with protodioscin (Libilov) for three months,[15] improved sexual drive was reported in 67% of non-diabetic ED men and 53% of diabetic ED patients. The tonic activities of *T. terrestris* is due to intensifying protein synthesis and enhancing the activity of enzymes associated with energy metabolism, resulted increase in iron absorption from small intestines and inhibit lipid per-oxidation during stress, finally leads to more muscular strength and stamina.[16] The HDL-C is known for protection

against oxidative damage of cell membrane and reverse cholesterol transport.[17] The alcoholic extract of *T. terrestris* revitalizes the degenerated β-cells of islet of Langerhans in pancreas.[13] Flavonoids are known to remove LDL-c from blood by increasing the LDL receptor densities in liver and binding to apolipoprotein B.[18] Phytochemical analysis of *Tribulus* shows that major chemical constituents are flavonoids, steroidal saponins, alkaloids and lignanamides which are common over 150 plant extracts used for the treatment of diabetes.[13] The role of *Tribulus* flavonoids in the whole reaction mechanism is matter of search, to explain the possible mechanism and discover a new mile stones in diabetes management. Similar studies are also needed to be taken on medicinal plants, in-view of traditional usage and clinical results for new drug discovery.

The suitable selection of chemo-type of *T. terrestris* for preparations of neutraceuticals/ food supplements can be observed[10] with the object of achieving better health benefits and guaranteeing the quality for claims, made on product, with finger prints. The activity of products made from *T. terrestris* depends on the composition and concentration of saponins, which is influenced by geographical factors. Practical difficulties in selection of target chemo-types, is being overcome by latest advances in the molecular detection technologies[4,10] and their sensitivity of structure elucidation. Chemical screening of species, where potential application varies, especially in traditional usage, with geoclimatic conditions, provides a clue for a different application as *T. terrestris* of Bulgarian origin for treating erectile dysfunction.[4] Similar studies are also needed to be taken into account, as different preparations from plants harvested from different locations may yield different results.

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