PHYTOmed™ medicinal herbs ltd.

New!

Tribulus terrestris – Tribulus

Tribulus terrestris 2:1 Standardised Fluid extract

Common Names: Tribulus, puncture vine, bindii, gokhru

Botanical family: Zygophyllaceae

Part Used: Herb

Dosage: 50-100 ml per week

Primary Active Constituents:
Furanstanol & spirostanol steroidal saponins (including protodioscin, prototribestin, & terrestrinins); alkaloids; flavonoids (including queretin, kaempferol, tribuloside) & tannins. Vitamins C, K, Ca.

Contraindications:
Avoid in known allergy. Possible photosensitivity demonstrated in animal studies; avoid excessive UV exposure[2]. Avoid during pregnancy & lactation, as safety has not been established.

Actions:
Aphrodisiac, diuretic, antiurolithic (litholytic – dissolve urinary calculi), nephroprotective, cardioprotective, hypotensive, anti-atherosclerotic, hypoglycaemic, hypolipidemic, cytoprotective, anti-inflammatory, antioxidant, antifungal, antibacterial, hepatoprotective, analgesic, antispasmodic.

Main Indications:
Infertility, sexual dysfunction (low libido, erectile dysfunction, impotence), urinary disorders, urolithiasis (urinary stones), coronary heart disease, atherosclerosis, hypertension, diabetes, gout, cancer.

Historical Use & Research Summary

Tribulus terrestris (TT) is a small, prostrate, mat-forming annual with spiny fruit, yellow flowers and sharp, thorn-like seeds. It is considered a nuisance weed and prefers hot, dry and sandy conditions of the Mediterranean, subtropical and desert climate regions of the world[1,3].

It has been used for centuries in traditional medicine systems to treat a wide range of conditions, notably sexual dysfunctions and venereal diseases, and for conditions affecting the liver, kidney, cardiovascular and immune systems[1,4,5].

Sexual & reproductive function

TT has a long history of use as an aphrodisiac and for improving sexual function in both men and women[6]. Administration of TT to humans and animals improves libido and spermatogenesis, with protodioscin, a steroidal saponin, found to be a key active constituent[7,8].

Two recent studies demonstrated TT's potential to increase testosterone levels. A clinical trial in aging men with partial androgen deficiency demonstrated a positive effect on erectile function with TT supplementation of 750mg/d (250mg t.i.d) for three months[9]. Another trial of infertile men supplementing with 750mg (250mg t.i.d, standardised to 37.5mg protodioscin per dose) for 12 weeks found a significant improvement in sperm concentration, motility and liquefaction time as well as increased DHT (dihydrotestosterone) levels[10]. A recent RCT of post-menopausal women with sexual dysfunction found TT supplementation of 250mg t.i.d. for 90 days achieved

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Research Summary continued

significantly improved sexual function in the domains of sexual desire, vaginal lubrication and arousal[11]. Whilst TT has a beneficial effect on libido and erectile function, it is inconclusive as to whether these effects are mediated by TT's proposed androgen enhancing properties, with more recent evidence pointing to an association with endothelium and nitric oxide-dependant mechanisms[12].

Urolithiasis

Tribulus is a key component of Ayurvedic medicines used to remove urinary stones, and a recent *in vitro*/*ex vivo* combination study reported potent antiurolithic activity for a TT extract by inhibition of calcium oxalate crystal nucleation and formation[13]. The antiurolithic activity of TT is attributed to its inhibition of glycolate oxidase, an enzyme involved in oxylate formation, with quercetin and kaempherol being contributory to these effects[1]. Other *in vitro* and *in vivo* studies have confirmed dose-dependent protection against calcium oxalate crystal formation and growth, as well as cytoprotective effects against oxalate-induced cell injury[1].

Cardiovascular

While human studies are lacking, TT and its crude saponin fraction has exhibited beneficial effects in animal models of various cardiac diseases including coronary artery disease, myocardial infarction, cerebral arteriosclerosis, and the sequelae of a stroke[1]. Antihypertensive effects have been produced by methanolic and aqueous extracts[14]. Hypolipidaemic activity has been reported in a number of animal studies, including reductions in total cholesterol, LDL, VLDL and the atherogenic index, but increased HDL[15]. TT demonstrated significant suppression of oxidised LDL induced endothelial cell proliferation and apoptosis, and delayed cell decay but prolonged cell survival time, implying a stabilisation of endothelial cell function as a possible mechanism of action. In addition, TT regulated oxidative stress by decreasing ROS generation and increasing eNOS (endothelial nitric oxide synthase) generation significantly, promoting increased NO levels and improving vasodilation[16].

Diabetes

A double-blind, placebo controlled clinical trial involving 98 type-2 diabetic women who received 500mg capsules of TT extract twice daily (after breakfast and dinner) for three months demonstrated a significant blood glucose lowering effect (fasting blood sugar, 2-hour post-prandial and HbA1c) and significantly reduced total and LDL cholesterol compared with placebo[17].

Cancer

The steroidal saponin Terrestrosin D (TED) found in TT was found to suppress prostate cancer growth both *in vitro* and in *in vivo* animal models in a dose-dependent manner. *In vitro*, TED induced cell-cycle arrest and apoptosis in pancreatic cancer and endothelial cells leading to suppressed tumour growth and angiogenesis. *In vivo*, TED also induced apoptotic cell death and inhibited angiogenesis in xenograft tumour cells mice at 50mg/kg dose without overt toxicity to normal cells[18]. An *in vitro* study of an aqueous extract of TT found it blocked proliferation and induced apoptosis in liver cancer cells through inhibition of NF-kB signalling by decreasing the level of NF-kB subunit p50 and causing an accumulation of IkB-alpha (a regulatory protein that inhibits NF-kB). In addition, TT extract inhibited MMP-2 and MMP-9 which are related to tumour invasion and metastasis[19].

Performance enhancement / erogenic activity

In recent years, TT has been touted for increasing muscle strength and enhancing athletic performance by virtue of its claimed ability to increase testosterone levels. Several human studies investigating this in trained athletes failed to demonstrate a statistically significant increase in testosterone levels or improved training outcomes, body composition or exercise performance over and above placebo[20,21,22]. However, a recent small study of uncertain methodological quality found a positive improvement in anaerobic alactic glycolytic muscular power and aerobic capacity when energy is produced in the aerobic pathway in young male athletes by supplementing with TT totalling 1875mg/d for 20 days[23].

References

1. Chhatre S et al, *Pharmacogn Rev* 2014; 8(15):45-51
2. Mazaro-Costa R et al, *J Sex Med* 2010; 7(3):3695-3714
3. Council of Heads of Australasian Herberia, *Tribulus terrestris* [Internet] 2011
4. World Health Organisation, *WHO monographs on selected medicinal plants Vol 4,* 2009.
5. Natural medicines, *Tribulus* [Internet] 2015
6. Rowland D et al, *J Sex Marital Ther* 2003; 29(3):185-205
7. Kotta S et al, *Pharmacogn Rev* 2013; 7(13):1-10
8. Tahvilsadeh M et al, *Andrologia* 2016; 48(8):860-79
9. Roaiah MF et al, *J Sex Martial Ther* 2016; 42(4):297-301
10. Salgado RM et al, *Andrologia* 2016:1-6
11. Postigo S et al, *Rev Bras Ginecol Obstet* 2016; 38(3):140-6
12. Neychev V et al, *J Ethnopharmacol* 2016; 179:345-55
13. Sharma I et al, *Pharm Biol* 2016; 55(1):701-11
14. Phillips, OA et al, *J Ethnopharmacol* 2006; 104(3):351-5
15. Khan S et al, *Int J Biomed Res* 2011; 2(1):98-101
16. Jiang Y et al, *Chin J Integr Med* 2015; 22(3):193-200
17. Samani NB et al, *J Evid Based Comp Alt Med* 2016; 21(4):91-7
18. Wei S et al, *Pathobiology* 2014; 81(3):123-32
19. Kim HJ et al, *J Ethnopharmacol* 2011; 136(1):197-203
20. Brown GA et al, *Int J Sport Nutr Exerc Metab* 2000; 10(3):340-59
21. Rogerson S et al, *J Strength Cond Res* 2007; 21(2):348-53
22. Antonio J et al, Int J Sport Nutr Exerc Metab 2000; 10(2):208-215
23. Milasius K et al, Acta Medica Lithuanica 2010; 17(1-2):65-70

Tribulus terrestris
Suggested Combinations

Infertility
- Dong Quai
- Withania
- American or Korean Ginseng

Sexual dysfunction
- American or Korean Ginseng
- Withania
- Shatavari

Urinary stones
- Gravel root
- Crataeva