Korean Mountain Goat Weed (Epimedium koreanum Nakai) in experiment and clinic T.L. Kiseleva, M.A. Kiseleva

(Research Center of the Non-Commercial Organization "Professional Association naturotherapists", Moscow)

Epimedium koreanum Nakai in experiment and clinic TL Kiseleva, MA Kiseleva Scientific-research center of the NO "Professional Association of Naturotherapists" (Moscow, Russia)

SUMMARY

Horny Goat Weed (Epimedium koreanum Nakai) is one of the world's most famous herbal remedies to restore potency and aphrodisiacs. In the experiment, Horny Goat Weed leaf extract and the flavone glycoside icariin, which is part of it, reliably exhibit estrogen-like and gonadotropic properties, improve erectile function and increase libido. Icariin has a wide spectrum of pharmacological activity, in particular, anabolic, hepatoprotective, antioxidant and antihypoxant, neuroprotective and nootropic, antiosteoporotic, osteoprotective and other types of action, promotes angiogenesis, improves microcirculation and increases urine output, has a hypoglycemic effect.

Keywords: Korean Mountain Goat Weed, Epimedium koreanum, Korean Horny Goat Weed extract, icariin, herbal aphrodisiacs, erectile dysfunction, antiosteoporotic effect.

RESUME

Epimedium koreanum (Epimedium koreanum Nakai) is considered to be one of the most famous in the world herbal aphrodisiac and improving sexual dysfunction drug. In the experiment E. koreanum leaf extract and glycoside icariin, included in its composition, display estrogenic and gonadotropic properties, improve erectile function, enhance the sexual attraction. According to the literature icariin possesses an extensive spectrum of pharmacological activity, in particular, anabolic, hepatoprotective, antioxidant and antihypoxemic, neuroprotective and nootropic, osteogenic, osteoprotective and other functions. It is known to stimulate angiogenesis, improve microcirculation and increase urine output, to reduce blood sugar. These types of pharmacological action of the E.

Keywords: Epimedium koreanum, E. koreanum extract, icariin, herbal aphrodisiacs, erectile dysfunction, osteoprotective action.

One of the world's most famous herbal aphrodisiacs and remedies for restoring potency with such properties is the Korean Horny Goat Weed(Epimedium koreanum Nakai), which has been used for centuries inmedicine in East and Southeast Asia with sexual dysfunctions in both men and women [1, 3]. Horny Goat Weed is a rather rare perennial plant of the Barberry family (Berberidaceae), grows in Japan, Korea, onnortheast of China. In our country, the mountain woman is found only in the south of the Primorsky Territory and is listed in the Red Book of the Russian Federation [3].

To date, the chemical composition of the Korean Mountain Goat Weed and the spectrum of the biological activity of its extract, including its most famous constituent, icariin glycoside, have been studied in detail [21]. According to the literature, the leaves of the plant contain a complex of biologically active substances, including flavonoids, incl. their glycosides (quercitin, hyperin, icariin, icarizide, epimedins A, B, C, epimedoside), as well as alkaloids and steroid saponins [2, 3]. Flavonoids, alkaloids, and steroids, in particular, beta-sitosterol, glucopyranoside, campesterol, and others, were also found in the roots and rhizomes of the Horny Goat Weed [3, 16].

According to numerous experimental data, the Horny Goat Weed leaf extract and the flavone glycoside icariin, which is part of it, have pronounced estrogen-like and gonadotropic properties [12, 16]. It has been reliably shown that liquid extracts from plant leaves have a stronger gonad-stimulating effect in comparison with extracts from fruits and stems [2].

In accordance with the spectrum of biological activity described above, an aqueous extract of Horny Goat Weed in experiments in vivo significantly improved erectilefunction and increased libido in various animals. To date, it has been established that the molecular mechanism of this effect is associated with the ability of the Horny Goat Weed glycoside icariin to inhibit the enzyme phosphodiesterase-5 (PDE-5), which controls the blood supply to the penis. A similar mechanism of action has been described for the synthetic substance sildenafil, which is part of Viagra [5, 10, 14]. However, unlike sildenafil, icariin acts selectively on one PDE-5 enzyme without inhibiting other PDEs, due to which the Horny Goat Weed extract has no side effects such as color perception disorders and numerous complications from the cardiovascular system [6]. The absence of side effects of icariin and Horny Goat Weed extract has been confirmed experimentally. In particular,

In addition to the gonadostimulating effect, Icariin has a wide spectrum of pharmacological action. The literature describes anabolic, hepatoprotective, antioxidant and antihypoxant, neuroprotective and nootropic, antiosteoporotic and osteoprotective effects, and even radioprotective effects [21]. According to experimental data, icariin

has a hypoglycemic effect, promotes angiogenesis, improves microcirculation and increases urine output [21].

The anti-osteoporotic effect of icariin deserves special attention. Horny Goat Weed is the most commonly used ingredient in traditional Chinese medicine for the treatment of osteoporosis [7]. The effectiveness of Horny Goat Weed extract in osteoporosis is due to an increase in the formation of bone matter (icariin in the experiment stimulates the proliferation and differentiation of osteoblasts), a decrease in its resorption due to inhibition of the differentiation and activity of osteoclasts [9, 13, 15, 22, 23] and a decrease in urinary calcium excretion [4].

To date, the neuroprotective and nootropic effects of icariin have been well studied. In particular, Horny Goat Weed extract in experiments prevents neuronal death under conditions of induced stress, hypoxia and hypoglycemia, promotes the formation of new neuronal synapses, and improves cognitive functions [11, 17]. The sum of the water-soluble substances of the Horny Goat Weed extract has an immunostimulating [1] and anti-inflammatory [18] effect. The inhibitory effect of extracts from Horny Goat Weed has been described in vitro for viruses poliomyelitis, ECHO 6.9, Coxsackie A, B [3]. In addition, the antitumor activity of hornwort flavonoids has been experimentally confirmed [21].

Icariin and preparations based on it are also used in sports medicine as an anabolic agent. The ability of this substance to increase the production of nitric oxide, improve blood circulation in muscles and transport of nutrients and oxygen, as well as prevent free radical tissue damage during exercise (antioxidant effect) is known [8, 19, 20, 24, 25]. By binding to androgen receptors, icariin acts like testosterone to stimulate muscle growth, and it also increases bone strength by stimulating osteoblasts [8, 19, 24]. Thus, the listed types of pharmacological action of biologically active substances of the Korean Horn goat Weed extract serve as the basis for a wide therapeutic use of preparations from it. Currently, in traditional Chinese and Korean medicine, Horny Goat Weed preparations are successfully prescribed for anemia, heart failure and other diseases of the heart and blood vessels, insomnia, neuroses, psychasthenia, tinnitus, urinary disorders, impotence, joint pain and rheumatism [2, 3] ... The flavonoid complex of Horny Goat Weed extract has phytoestrogenic properties, which determines the effectiveness of using the plant in women with dysmenorrhea, infertility, sexual dysfunctions, osteoporosis (including prophylaxis), arterial hypertension during menopause [3, 24]. Today, a fairly large assortment of preparations based on the extract of the Korean Hornet Goat Weed is presented on the world market. The main factor that determines the quality and effectiveness of the extract of this plant is can be considered a standard quantitative content of icariin, the concentration of which can vary over a wide range. The best are drugs made from wild-growing raw materials of the Horny Goat Weed, although manufacturers of biotechnological products (based on cell culture) are trying

compete with them due to the low cost of the production process, keeping silent about the peculiarities of the technological cycle and the composition of nutrient media for growing tissue cultures.

In the Russian Federation, the only high-quality extract of the wild Horny Goat Weed, produced in the DPRK, has been registered as a biologically active food supplement - a source of flavonoids. The dietary supplement contains 100% Horny Goat Weed extract, standardized by the content of icariin - not less than 20.0 mg / 1 g. The recommended daily dosage of this extract is 2 g (1/3 tsp), which must be dissolved in 600 ml of warm water and take 200 ml x 3 times a day with meals. In accordance with the registration documentation, when the product is consumed in the recommended dose (2 g), at least 40 mg of flavonoids (icariin) enter the human body, which is 130% of the adequate level of consumption in the daily diet.

There are currently no medicinal preparations approved for medical use based on the Korean Mountain Goat Weed in the Russian Federation. The types of pharmacological action identified by us as a result of the information and analytical study carried out can serve as the basis for a targeted clinical study and further therapeutic use of Horny Goat Weed preparations in both men and women.

CONCLUSIONS

- 1. The carried out information and analytical research allowed to reveal the spectrum of experimentally confirmed pharmacological activity of galenic extracts and individual biologically active substances of the Korean Hornbeam (Epimedium koreanum Nakai).
- 2. Leaf extract and flavone glycoside icariin, have a pronounced estrogen-like and gonadotropic properties, improve erectile function and increase libido due to the ability to selectively inhibit phosphodiesterase-5 (PDE-5), which controls the blood supply to the penis, without exerting a depressing effect (unlike sildenafil) on other PDEs.
- 3. The effectiveness of Horny Goat Weed extract in osteoporosis is due to an increase in the formation of bone substance (icariin in the experiment stimulates the proliferation and differentiation of osteoblasts), a decrease in its resorption due to inhibition of the differentiation and activity of osteoclasts and a decrease in calcium excretion in the urine.
- 4. Discovered results experimental research, also confirming the following types of action of the extract: anabolic, hepatoprotective, antioxidant and antihypoxant, neuroprotective and nootropic, radioprotective; icariin: hypoglycemic, promoting angiogenesis, improving microcirculation and increasing urine output.
- 5. Experimentally confirmed the absence of a negative effect on indicators of blood pressure and respiration, on the reproductive system of animals, as well as other side effects of icariin and Horny Goat Weed extract.
 - 6. Revealed as a result of information and analytical research

spectrum pharmacological activity maybe serve basis for targeted clinical study and further use of Horny Goat Weed preparations in both men and women.

Literature

- 1. Efremov A.P., Shreter A.I. Herbalist for men. M .: Asadal, 1996. 352 s.
 - 2. Choi Taesop. Medicinal plants. M .: Medicine, 1987 .-- 608 p.
- 3. Shreter A.I. Directory "Natural raw materials of Chinese medicine" (in 3 volumes) / A.I. Schreter, B.G. Valentinov, E.M. Naumova. M .: Terevinv, 2004. Vol. 1. 506 p.
- 4. Chen KM, Ge BF, Ma HP, Liu XY, Bai MH, Wang Y. Icariin, a flavonoid from the herb Epimedium enhances the osteogenic differentiation of rat primary bone marrow stromal cells. –Pharmazie. 2005. 60 (12). P. 939-942.
- 5. Chiu JH, Chen KK, Chien TM, Chiou WF, Chen CC, Wang JY et al. Epimedium brevicornum Maxim extract relaxes rabbit corpus cavernosum through multitargets on nitric oxide / cyclic guanosine monophosphate signaling pathway. Int. J. Impot. Res. 2006. 18 (4). P. 335–342.
- 6. Dell'Agli M., Galli GV, Dal Cero E., Belluti F., Matera R., Zironi E., Pagliuca G., Bosisio E. Potent inhibition of human phosphodiesterase-5 by icariin derivatives. J. Nat. Prod. 2008 .-- 71 (9). P. 1513-1517.
- 7. Hsieh TP, Sheu SY, Sun JS, Chen MH, Liu MH Icariin isolated from Epimedium pubescens regulates osteoblasts anabolism through BMP-2, SMAD4, and Cbfa1 expression. Phytomedicine. 2010 .-- 17 (6). P. 414-423.
- 8. Huang J., Yuan L., Wang X., Zhang TL, Wang K. Icaritin and its glycosides enhance osteoblastic, but suppress osteoclastic, differentiation and activity in vitro. Life Sci. 2007. 81 (10). P. 832-840.
- 9. Huiping Ma, Xirui He, Yan Yang, Maoxing Li, Dingjun Hao, Zhengping Jia. The genus Epimedium: An ethnophar-macological and phytochemical review. Review Article Journal of Ethnopharmacology. 2011 .-- 134 (3). P. 519-541.
- 10. Iang Z., Hu B., Wang J. et al. Effect of icariin on cyclic GMP levels and on the mRNA expression of cGMPbinding cGMP-specific phosphodiesterase (PDE5) in penile cavernosum. –J. Huazhong Univ. Sci. Technol. Med. Sci. 2006 .-- 26 (4). P. 460-462.
- 11. Liu B., Zhang H., Xu C., Yang G., Tao J., Huang J., Wu J., Duan X., Cao Y., Dong J. Neuroprotective effects of icariin on corticosterone-induced apoptosis in primary cultured rat hippocampal neurons. J. Brain Res. 2011. 1375. P. 59–67.
- 12. Makarova MN, Pozharitskaya ON, Shikov AN, Tesakova SV, Makarov VG, Tikhonov VP Effect of lipid-based suspension of Epimedium koreanum Nakai extract on sexual behavior in rats // J. Ethnopharmacol. 2007.
- 13. Mok SK, Chen WF, Lai WP, Leung PC, Wang XL, Yao XS, Wong MS Icariin protects against bone loss induced by estrogen deficiency and activates estrogen receptor-dependent osteoblastic functions in UMR 106 cells // Br. J. Pharmacol. 2010 .-- 159 (4). P. 939-949.
- 14. Ning H., Xin ZC, Lin G., Banie L., Lue TF, Lin CS Effects of icariin on phosphodiesterase-5 activity in vitro and cyclic guanosine monophosphate level in

- cavernous smooth muscle cells // Urology. 2006. 68 (6). P. 1350-1354.
- 15. Qin L., Han T., Zhang Q. et al. Antiosteoporotic chemical constituents from Er-Xian Decoction, a traditional Chinese herbal formula // J. Ethnopharmacol. 2008 .-- 118 (2). P. 271–279.
- 16. Shen P., Guo BL, Gong Y., Hong DY, Hong Y., Yong EL Taxonomic, genetic, chemical and estrogenic characteristics of Epimedium species // J. Phytochemistry. 2007. 68 (10). P. 1448-1458.
- 17. Wang L., Zhang L., Chen ZB, Wu JY, Zhang X., Xu Y. Icariin enhances neuronal survival after oxygen and glucose deprivation by increasing SIRT1 // Eur. J. Pharmacol. 2009. 609 (1-3). P. 40–44.
- 18. Wu J., Du J., Xu C., Le J., Liu B., Xu Y., Dong J. In vivo and in vitro anti-inflammatory effects of a novel derivative of icariin // J. Immunopharmacol. Immunotoxicol. 2011 .-- 33 (1). P. 49–54.
- 19. Xie J., Sun W., Duan K., Zhang Y. Chemical constituents of roots of Epimedium wushanense and evaluation of their biological activities. Nat. Prod. Res. 2007 .-- 21 (7). P. 600-605.
- 20.Xu HB, Huang ZQ Icariin enhances endothelial nitric-oxide synthase expression on human endothelial cells in vitro // Vascul. Pharmacol. 2007 .-- 47 (1). P. 18-24.
- 21. Yang Chen, Jian-hua Huang, You Ning, Zi-yin Shen. Icariin and its pharmaceutical efficacy: research progress of molecular mechanism Journal of Chinese Integrative Medicine. 2011 .-- 9 (11).
- 22. Yin XX, Chen ZQ, Liu ZJ, Ma QJ, Dang GT Icariine stimulates proliferation and differentiation of human osteoblasts by increasing production of bone morphogenetic protein 2 // Chin. Med. J. (Engl). 2007 .-- 120 (3). P. 204-210.
- 23. Zhang DW, Cheng Y., Wang NL, Zhang JC, Yang MS, Yao XS Effects of total flavonoids and flavonol glycosides from Epimedium koreanum Nakai on the proliferation and differentiation of primary osteoblasts. Phytomedicine. 2008 .-- 15 (1-2). P. 55–61.
- 24. Zhang G., Qin L., Shi Y. (July 2007). Epimediumderived phytoestrogen flavonoids exert beneficial effect on preventing bone loss in late postmenopausal women: a 24-month randomized, double-blind and placebo-controlled trial // J. Bone Miner. Res. 2007. 22 (7). P. 1072-1079.
- 25. Zhang ZB, Yang QT The testosterone mimetic properties of icariin // Asian J. Androl. 2006. 8 (5). P. 601-605.

Author's address

D.Pharm.Sci. Kiseleva

T.L. Professor first MGMU them. I.M.Sechenov, the president BUT "Professional Association of Natural Therapists".

kiselevaTL@yandex.ru

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