261

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EFFECT OF SAW PALMETTO EXTRACT (SPE) ON AUTONOMIC RECEPTORS IN THE LOWER URINARY TRACT

Hypothesis / aims of study

The ripe berries of the American dwarf palm (*Serenoa repens*) are traditionally used to treat genitourinary problems, to enhance sperm production, breast size, or libido and as a mild diuretic [1]. Currently, SPE is almost exclusively used to treat benign prostatic hyperplasia (BPH). However, the mechanisms of action are not fully understood. Animal experiments have demonstrated antiandrogen activity, inhibition of 5-α-reductase, the enzyme that converts testosterone to its active metabolite, dihydrotestosterone [2]. α_1-Adrenoceptor antagonists and muscarinic cholinoceptor antagonists are commonly used in the treatment of men with voiding symptoms (urinary obstruction, pollakiuria and urinary incontinence) secondary to BPH. Thus, such improvement of voiding symptoms by SPE might be expected to arise from its significant antagonism of α_1-adrenoceptors and muscarinic cholinoceptors in the lower urinary tract because SPE contains many constituents. In fact, Goepel et al. [3] have shown that SPE has α_1-adrenoceptor inhibitory property. Thus, we examined the binding activities of SPE to prostatic α_1-adrenoceptors and bladder muscairnic cholinoceptors in rats.

Study design, materials and methods

Muscarinic cholinoceptors in the rat bladder and α_1-adrenoceptors in the prostate were measured by radioligand binding assays of [^{3}H]*N*-methylscopolamine (NMS) and [^{3}H]prazosin, respectively. Rats received orally vehicle or SPE (0.6, 6 and 60 mg/kg body weight/day) for 4 weeks. In the experimental BPH model, rats was injected testosterone (3 mg/kg/day, s.c.) for 4 weeks without and with oral SPE (6 and 60 mg/kg/day). After the SPE treatment, the rat bladder and prostate were excised and the homogenates were used for the radioligand binding assays of autonomic receptors.

Results

Relatively low concentrations (10-1000 μg/mL) of SPE inhibited specific binding of [^{3}H]NMS in the rat bladder and [^{3}H]prazosin in the prostate in a concentration dependent manner, and their IC_{50} values were 46.1 and 183 μg/mL, respectively. Furthermore, the repeated oral administration of SPE (0.6-60 mg/kg/day) to rats for 4 weeks brought about a significant decrease of maximal number of binding sites (Bmax) for [^{3}H]NMS in the rat bladder and a significant increase of Bmax for [^{3}H]prazosin in the prostate. Moreover, the repeated oral administration of SPE tended to improve the altered levels of autonomic receptor binding sites observed in the lower urinary tract of experimental BPH rat model.

Interpretation of results

These data suggest that SPE exerts significant binding activities of neurotransmitter receptors in the prostate and bladder, targeting sites of drugs used clinically in the treatment of BPH and overactive bladder. Moreover, SPE may improve the alteration of autonomic receptors in the lower urinary tract under the pathological condition of BPH.

Concluding message

The present study has shown that SPE orally administered may act as relatively selective agonists and/or antagonists of α_1-adrenoceptors and muscarinic cholinoceptors in the lower urinary tract. Further characterization of the SPE effects is currently under way, by using human tissues in terms of clinical significance.

References

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