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In vitro binding of an isopropanolic extract of black cohosh to selected central nervous receptors

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Extracts of black cohosh (Actaea/Cimicifuga racemosa) exert estrogen-agonistic and antagonistic effects. Recent data further propose feedback control of CNS receptor-concentrations in situ, as well as direct neurotransmitter properties as candidate contributors to their clinical efficacy in the treatment of menopausal complaints. We investigated the binding of an isopropanolic extract (iCR, Remifemin®) of black cohosh to 21 subtypes of three classes of central nervous system receptors (dopamine, serotonin, and GABA). Tests were based on the displacement of radiolabelled natural ligands. A dose dependent decrease in receptor-bound radioactivity corresponding to the displacement of natural ligands by black cohosh was measured with a scintillation counter. iCR showed greatest affinities (IC50 < 15 μg herbal dry matter/ml) towards the 5-HT1A, 5-HT1D, 5-HT7, and GABAA receptors: An IC50 of 3 μg/mL at the 5-HT1A receptor, an IC50 of 77 μg/mL at the 5-HT1B receptor, an IC50 of 15 μg/mL at the 5-HT1D receptor, and an IC50 of 4 μg/mL at the 5-HT7 receptor. The IC50 at the GABAA receptor was in the same range, i.e. 3 μg/mL, while the IC50 at the D4.4 receptor was at a higher concentration, i.e. 368 μg/mL. of special interest is the finding that within the wide concentration range (10 ng/ml–1000 μg/mL) tested, iCR did not influence serotonin transport, nor did it interfere with serotonin secretion or release. The high affinity of iCR to selected central nervous receptors suggests an association between CNS receptor-mediated effects and an efficacious treatment of menopausal symptoms.

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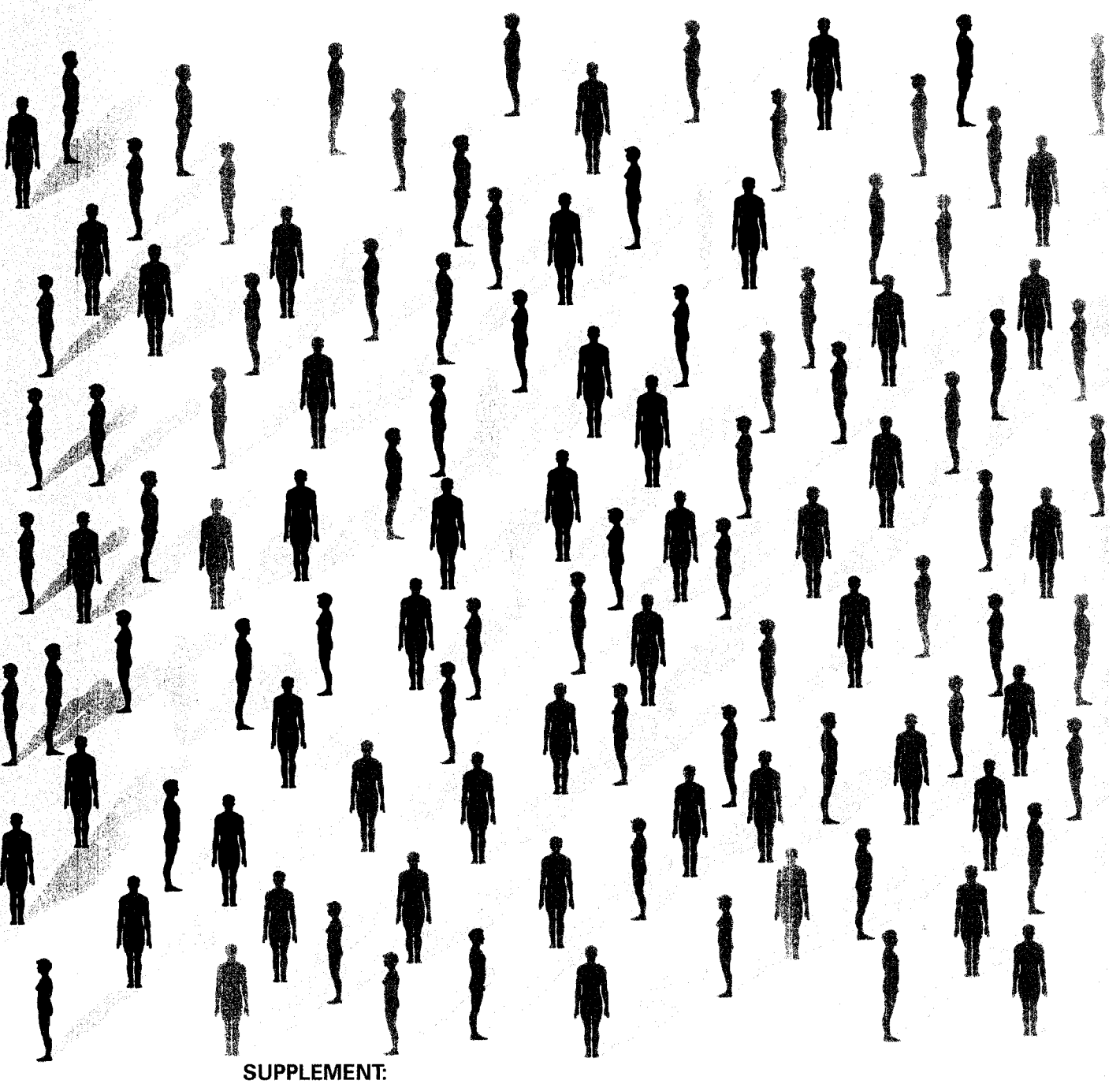

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