

P3

Agonistic Effects of Composite Drugs and Component Fractions of the Oriental Drugs on the Benzodiazepine Receptor

Dong-Ung Lee, Jeoung-Hee Ha¹, Byung-Soo Kang² and Kap-Duk Lee³

Department of Biochemistry, College of Natural Science, Dongguk University, Kyongju, ¹Department of Pharmacology, College of Medicine, Yeungnam University, Taegu, ²College of Oriental Medicine, and ³Department of Chemistry, Dongguk University, Kyongju, Korea

This study was attempted to evaluate an agonistic activity to benzodiazepine receptor of several medicinal plants. which have been used as sedatives in oriental medicine. The activities of the methanol extracts of composite preparation of oriental drugs were compared with those of the simple drugs, furthermore, the active fraction was found out from the simple preparation. Inhibitory effects of composite preparations, *Cyperus rotundus/Acorus gramineus*, *Thuja orientalis/Euphoria longan*, *Thuja orientalis/Albizia julibrissin*, on the binding of [³H]Ro15-1788. a selective benzodiazepine receptor antagonist to benzodiazepine receptor of rat cortices, were observed to be lower than those of corresponding simple preparations. These unexpected results suggest that some components of the composite drugs may rather act as an obstacle, not to show the synergistic effect. The methanol extracts of *Cyperus rotundus* having the highest activity were fractionated using polar and nonpolar solvents to give ethylacetate and hexane fractions, respectively. The ethylacetate fraction containing relatively polar components exhibited much higher activity than the hexane fraction, which consists of nonpolar ingredients. Moreover, the ethylacetate fraction enhanced a [³H]flunitrazepam. a selective benzodiazepine receptor agonist. binding to benzodiazepine receptor. However, in the presence of GABA, this fraction inhibited [³H]flunitrazepam binding, and these positive GABA shift supported the strong possibility of agonistic activity to benzodiazepine receptor. As a result. it may be concluded that the substance or substances with neurochemical properties characteristic of a benzodiazepine receptor agonist may contribute to the sedative property of *Cyperus rotundus*.