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*Scutellaria baicalensis* Georgi is one of the most important medicinal herbs in traditional Chinese medicine. The object of this study was to determine the effects of water extracts of *Scutellaria baicalensis* (SB) and *Scutellaria baicalensis* metabolite (SBM) on the anxiolytic-like activities in the elevated plus-maze (EPM) test. The water extracts of SB (100, 200, or 400 mg/kg), and SBM (100 mg/kg) were orally administered to male SD rats for 3 days. All rats were subjected to behavioral tests for the anxiolytic activity at 3 days. By the administration of SB (100, 200, or 400 mg/kg) and SBM (100 mg/kg), significantly increased in time-spent and arm entries into the open arms of the EPM by compared with the control group. Furthermore, those anxiolytic-like activities of SB were antagonized by flumazenil (a GABA<sub>A</sub> antagonist, 3 mg/kg), not by pindolol (a 5-HT<sub>1A</sub> antagonist, 10 mg/kg). SB and SBM did not cause myorelaxant effects in the horizontal wire test at any dosage regimen. Therefore, these findings suggest that the SB and SBM promote an anxiolytic-like activities in rats mediated by GABAergic nervous system

**[PD3-16] [ 2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function ]**

### **Anxiolytic-like effects of extracts from *Albizzia julibrissin* bark in the elevated plus-maze in rats**

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The purpose of this study was to characterize the putative anxiolytic-like effects of the aqueous extract of *Albizzia julibrissin* stem bark using the elevated plus maze (EPM) in rats. The water extract of *Albizzia julibrissin* was orally administered at 10, 50, 100 or 200 mg/kg to adult male SD rats, 1 h before behavioral evaluation in an EPM, respectively. Control rats were treated with an equal volume of saline, and positive control rats buspirone (1 mg/kg). Single or repeated treatment (for 7 days) of the water extract of *Albizzia julibrissin* (at 100 or 200 mg/kg) significantly increased time-spent and arm entries into the open arms of the EPM, and decreased time-spent and arm entries in the closed arms of the EPM versus saline controls ( $P < 0.05$ ). However, no changes in the locomotor activity and myorelaxant effect in any group versus the saline control. In addition, the anxiolytic-like effects of *Albizzia julibrissin* extract were abolished by pindolol (10 mg/kg, i.p), a 5-HT<sub>1A</sub> receptor antagonist. These results suggest that *Albizzia julibrissin* is an effective anxiolytic agent, and that it acts via the serotonergic nervous system.

**[PD3-17] [ 2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function ]**

### **Green Tea Catechins as a BACE1 ( $\beta$ -Secretase) Inhibitor**

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In the course of searching for BACE1 ( $\beta$ -secretase) inhibitors from natural products, the ethyl acetate soluble fraction of green tea, which was suspected to be rich in catechin content, showed potent inhibitory activity. (-)-Epigallocatechin gallate, (-)-epicatechin gallate, and (-)-gallocatechin gallate were isolated with IC<sub>50</sub> values of  $1.6 \times 10^{-6}$  M,  $4.5 \times 10^{-6}$  M, and  $1.8 \times 10^{-6}$  M, respectively. Seven additional authentic catechins were tested for a fundamental structure-activity relationship. (-)-Catechin gallate, (-)-gallocatechin, and (-)-epigallocatechin significantly inhibited BACE1 activity with IC<sub>50</sub> values of  $6.0 \times 10^{-6}$  M,  $2.5 \times 10^{-6}$  M, and  $2.4 \times 10^{-6}$  M, respectively. However, (+)-catechin, (-)-catechin, (+)-epicatechin, and (-)-epicatechin exhibited about ten times less inhibitory activity. The stronger activity seemed to be related to the pyrogallol moiety on C-2 and/or C-3 of catechin skeleton, while the stereochemistry of C-2 and C-3 did not have an effect on the inhibitory activity. The active catechins inhibited BACE1 activity in a non-competitive manner with a substrate in Dixon plots.