Scutellaria baikalensis 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 baikalensis (SB) and Scutellaria baikalensis 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-spend 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 the 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 busiprone (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-spend and arm entries into the open arms of the EPM, and decreased time-spend 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 (β-Secretase) Inhibitor
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In the course of searching for BACE1 (β-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 1.6x10<sup>-6</sup> M, 4.5x10<sup>-6</sup> M, and 1.8x10<sup>-6</sup> 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 6.0x10<sup>-6</sup> M, 2.5x10<sup>-6</sup> M, and 2.4x10<sup>-6</sup> 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.