

## PHARMACOLOGY AND TOXICOLOGY

### SCREENING OF PERUVIAN ETHNOBOTANICALS FOR 5HT<sub>1A</sub> AND 5HT<sub>2A</sub> RECEPTOR BINDING ACTIVITY <sup>MAS</sup>

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Late in 1995, plants of ethnobotanical importance were collected in southeastern Peru. Many of these plants have been used by natives for treatment of headache. Since headache, especially migraine, is thought to involve serotonergic mechanisms, we have started to screen crude 70% ethanol extracts for *in vitro* receptor binding activity in two systems, 5HT<sub>1a</sub> and 5HT<sub>2a</sub>. About two dozen specimens have been examined. Only three of these specimens have significant activity at 5HT<sub>1a</sub> receptors, but nearly half of the specimens demonstrate substantial binding activity at 5HT<sub>2a</sub> receptors. As quantified by displacement of tritiated ketanserin, three specimens give approximately 90% binding at 1/100 dilution of the crude extract. These samples have also been tested for concentration-dependent binding and are considered to be lead samples at this time. Protocols for identification of active principles from these high priority samples involve standard solvent partitioning followed by HPLC fractionation. HPLC fractions are then retested pharmacologically. The single highest priority plant, *Petiveria alliacea*, has shown outstanding activity following fractionation. The long-term goal of this work is to develop superior anti-migraine drugs.