multi-membered O,S-heterocycles (O or S ring members)

21 - 182

Conformationally Restricted Tetrahydro-1-benzoxepin Analogues of Hallucinogenic Phenethylamines. — The conformationally restricted benzoxepin analogues (II) of phenethylamines (I) are synthesized as described. Evaluation of their ability to produce a discriminative stimulus in LSD-trained rats and to inhibit the accumulation of neurotransmitter monoamines in synaptosome preparations and of their affinity for radiolabeled 5-HT2A and 5-HT1A serotonin receptors shows, that only compound (IIc) fully substitutes in the drug discrimination assay but with only one-third of the potency of non-rigidified (Ia). — (MONTE, A. P.; MARONA-LEWICKA, D.; COZZI, N. V.; NELSON, D. L.; NICHOLS, D. E.; Med. Chem. Res. 5 (1995) 9, 651-663; Dep. Med. Chem., Purdue Univ., West Lafayette, IN 47907, USA; EN)