ture of 1.00 g (1.92 mmoles) of **2d**, 200 ml of dry Et_2O, and 0.539 g (4.80 mmoles) of KO-*t*-Bu (K & K Laboratories) was stirred at room temperature for 30 min (progress of reaction followed by ir spectroscopy). The reaction mixture was filtered with suction and the filtrate was evaporated under reduced pressure at 35°. The solid residue was recrystallized from heptane to afford 0.441 g (64%) of **1a**: mp 100.5–102.0°; ir, 1832 cm^{-1}; nmr τ 7.47 (2 H, s), 7.67–8.60 (14 H, m), 8.75 (18 H, s). *Anal.* ($C_{32}H_{34}N_2O_2$) C, H, N.

1,3-Bis[1-(1-adamantyl)-2-oxo-3-aziridinyl]adamantane (1b).—A mixture of 1.00 g (1.48 mmoles) of **2e**, 200 ml of dry Et_2O, and 0.414 g (3.70 mmoles) of KO-*t*-Bu (K & K Laboratories) was stirred at room temperature for 30 min, and worked up as described above to furnish, after recrystallization from heptane, 0.456 g (60%) of **1b**: mp ~180–190° dec; ir, 1832 cm^{-1}; nmr τ 7.40 (2 H, s), 7.41–8.79 (44 H, m). *Anal.* ($C_{34}H_{46}N_2O_2$) C, H, N.

Derivatives of 2,5-Dimethoxy-4-methylamphetamine (DOM)[1]

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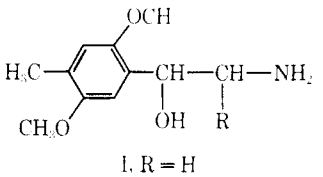
During the course of our investigation on psychotomimetic compounds,[2,3] 2,5-dimethoxy-4-methylphenethanolamine (I) and 2,5-dimethoxy-4,α-dimethylphenethanolamine (II) were synthesized. These two compounds might possess hallucinogenic and/or sympathomimetic properties.



I, R = H
II, R = CH

Experimental Section[4]

1-(2,5-Dimethoxy-4-methylphenyl)-2-nitroethanol.—To a stirred mixture of 3.6 g (20 mmoles) of 2,5-dimethoxy-*p*-tolualdehyde and 2.4 g (40 mmoles) of $MeNO_2$ in 200 ml of EtOH was added a solution of 0.8 g (20 mmoles) of NaOH in 10 ml of H_2O. A precipitation occurred witin a few seconds. The mixture was stirred at room temperature for 15 min and then poured into 4 ml of AcOH and 300 g of crushed ice. The resulting mixture was stirred for 1 hr and fluffy yellow crystals contaminated with a brown gummy substance were collected on a filter. The crystals were easily separated from the gummy substance to yield 0.45 g which was recrystallized from *n*-C_6H_{14} giving 0.2 g of needles, mp 91–92°. When the brown gummy substance was washed with 100 ml of hot *n*-C_6H_{14} and filtered, a second crop of 1.3 g of product, mp 89–90°, was obtained. Recrystallization of the second crop of crystals from benzene–C_6H_{14} gave 1.0 g (total yield, 25%), mp 90–91°. *Anal.* ($C_{11}H_{15}NO_5$) C, H, N.

Evaporation of the C_6H_{14}, the mother liquor of the first crop of product, gave 1.7 g of solid (mp 70–75°) which was primarily the unreacted aldehyde.

2,5-Dimethoxy-4-methylphenethanolamine.—A mixture of 1.7 g (7 mmoles) of 1-(2,5-dimethoxy-4-methylphenyl)-2-nitroethanol in 25 ml of absolute EtOH and 200 mg of 5% Pd–C catalyst was shaken with H_2 at 2–3 atmosphere for 2.5 hr. The filtered solution was evaporated *in vacuo* leaving 1.4 g (94%) of product, mp 97–100°. Recrystallization from C_6H_6–Et_2O gave 700 mg (47%) of white solid, mp 111–112°. *Anal.* ($C_{11}H_{17}NO_3$) C, H, N.

When the mother liquor was treated with Et_2O–HCl, 300 mg of HCl salt, mp 167–168° was obtained. Recrystallization from EtOH–Et_2O gave 200 mg, mp 171–172°.

2,5-Dimethoxy-4-methylpropiophenone.—To a solution of 15.2 g (0.1 mole) of 2,5-dimethoxytoluene and 9.2 g (0.1 moles) of *n*-C_3H_7COCl in 125 ml of CS_2 was added portionwise 13.4 g (0.1 mole) of $AlCl_3$ at such a rate that the temperature of the reaction mixture remained between 0 and 10°. (The addition required about 30 min.) After stirring at room temperature for 3 hr, the dark green mixture was decomposed by pouring into 80 ml of crushed ice and 5 ml of concentrated HCl and then filtered to yield 3.1 g of solid, mp 76–77°. The filtrate was extracted twice with 50 ml of $CHCl_3$. The $CHCl_3$ extracts were combined, dried (Na_2SO_4), and evaporated *in vacuo* leaving 15.6 g, mp 74–76°, which was recrystallized from 95% EtOH to give 8.4 g, mp 76–77°. Concentration of the mother liquor gave a third crop of 5.6 g, mp 76–77°. The total yield of the reaction was 17.1 g (82%): ir (CCl_4) 5.68 and 5.76 μ (aromatic 1,2,4-substitution); nmr (CCl_4) τ 2.8 (singlet, C_6-H), 3.3 (singlet, C_3-H). *Anal.* ($C_{12}H_{16}O_3$) C, H.

2,5-Dimethoxy-4-methyl-α-isonitrosopropiophenone.—MeONO [prepared from 5.5 g (80 mmoles) of $NaNO_2$ and 4.2 g (100 mmoles) of MeOH by the dropwise addition of 4.0 g (40 mmoles) of H_2SO_4 in 10 ml of H_2O] and HCl gas were bubbled for 1 hr into a solution of 10.4 g (50 mmoles) of 2,5-dimethoxy-4-methylpropiophenone in 200 ml of Et_2O. The addition of HCl was continued for an additional 0.5 hr. During the addition the solution turned red and gradually a precipitation occurred. After stirring overnight at room temperature the precipitate was filtered: yield, 7.5 g of yellow solid, mp 124–128°. The filtrate was extracted 3 times with 25-ml portions of 2 *N* NaOH and the aqueous solution reextracted with 50 ml of Et_2O. The Et_2O extract was dried (Na_2SO_4), and evaporated *in vacuo* giving 3.9 g, mp 130–132°. Both crops of product were combined and recrystallized from benzene to yield 8.9 g (75%) of bright yellow solid, mp 132–134°. *Anal.* ($C_{12}H_{15}NO_4$) C, H, N.

2,5-Dimethoxy-4,α-dimethylphenethanolamine.—A mixture of 4.7 g (20 mmoles) of 2,5-dimethoxy-4-methyl-α-isonitrosopropiophenone, 75 ml of EtOH, 5 ml of concentrated HCl, and 0.5 g of 5% Pd–C catalyst was shaken with H_2 at 2–3 atm until the consumption of H_2 ceased. The filtered solution was evaporated *in vacuo* and the oily residue washed with Et_2O to yield 4.0 g (89%) of solid, mp 233–234°. Recrystallization from EtOH gave 1.4 g, mp 237–238°. Addition of Et_2O to the mother liquor afforded additional 0.6 g of product, mp 236–238°, thereby increasing the yield to 44%. When the first 1.4 g of product was recrystallized once more from EtOH, 0.7 g of solid, mp 247–248°, was yielded. *Anal.* ($C_{12}H_{19}NO_3$·HCl) C, H, N.

A small amount of the HCl salt was converted into the free amine and recrystallized from CCl_4 to give a solid, mp 130–133°.

1-Substituted 2,5-Dimethylpyrroles

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Surprisingly few examples appear in the literature of pyrroles substituted in the 1 position with a heterocyclic nucleus. We wish to report the synthesis of 21 1-heterocyclic substituted 2,5-dimethylpyrroles (Table I). These compounds were tested for chemotherapeutic activity in the following screening programs: *in vitro* and *in vivo* antibacterial, *in vivo* anticoccidial,

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(2) B. T. Ho, W. M. McIsaac, R. An, L. W. Tansey, K. E. Walker, L. F. Englert, Jr., and M. B. Noel, *J. Med. Chem.*, **13**, 26 (1970).

(3) B. T. Ho, L. W. Tansey, R. L. Balster, R. An, W. M. McIsaac, and R. T. Harris, *ibid.*, **13**, 134 (1970).

(4) Melting points were taken on a Mel-Temp apparatus and are corrected. Where analyses are indicated only by symbols of the elements or functions, analytical results obtained for those elements or functions were within ±0.4% of the theoretical values. Ir spectra of all the compounds were compatible with the assigned structures.