

## SYNTHESIS OF 6,7-DIMETHOXYDEOXYPEGANINE AND ITS ANALOGS

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Continuing investigations on the production of derivatives of deoxypeganine [1-3], we have performed the synthesis of the previously unknown 6,7-dimethoxydeoxypeganine and its analogs. The aminomethylation of 4-nitroveratrole (I) with N-hydroxymethylpyrrolidone (IIa), piperidone (IIb), and caprolactam (IIc) in concentrated sulfuric acid gave 4,5-dimethoxy-2-nitrobenzylpyrrolidone (IIIa), -piperidone (IIIb), and -caprolactam (IIIc), respectively. The reduction of (IIIa-c) with stannous chloride in hydrochloric acid gave the corresponding 2-amino-1,5-dimethoxybenzylpyrrolidone (IVa), -piperidone (IVb), and -caprolactam (IVc). On being treated with water-abstracting agents, compounds (IVa-c) cyclized into 6,7-dimethoxydeoxypeganine and its analogs (Va-c), which are difficult to obtain by other methods.

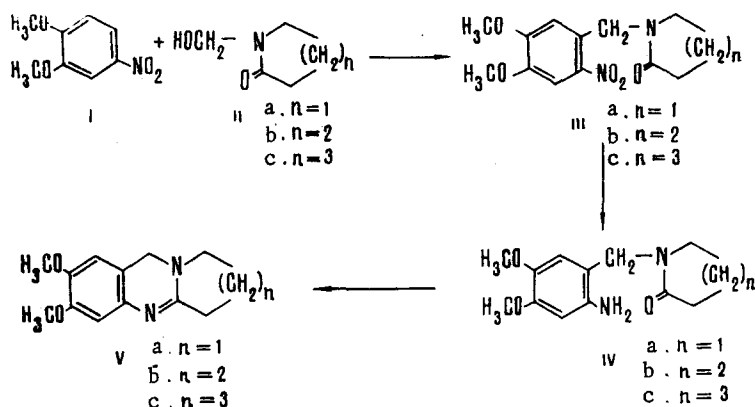


TABLE 1

Reaction product	Yield, %	mp (solvent for recrystallization), °C	R <sub>f</sub> (chloroform-ether, 1:1, Al <sub>2</sub> O <sub>3</sub> )	mol. wt. (by mass spectroscopy)
IIIa	10	104-105 (ethyl acetate + hexane)	0.26	280
IIIb	9	152-154 (ethyl acetate + hexane)	0.20	294
IIIc	7	146 (ethyl acetate)	0.50	—
IVa	56	128-129 (ethyl acetate + hexane)	0.06	250
IVb	39	96 (hexane)	0.05	—
IVc	50	109-110 (hexane)	0.26	—
Va	35	164-165 (benzene-hexane)	0.05	232
Vb	43	84-86 (hexane)	0.05	246
Vc	44,4	124-125 (hexane)	0.19	260

Note: The yields of (IVa-c) were calculated on the (IIIa-c), and those of (Va-c) on the (IVa-c).

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