Shigehiko Sugasawa and Ryuji Tachikawa: Synthesis of 3',4':3",4"Tetramethoxy-1,4,5,8-tetrahydro-(1',6':2,3; 1",6":6,7dibenzoquinolizine).

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For pharmacological evaluation, the benzoquinolizine derivative (I) mentioned in the title was prepared. The synthesis of this compound has already been described by Sugasawa and Kakemi¹ many years ago, starting from the formyl derivative of bis(3,4-dimethoxybenzyl)methylamine (II) via three steps. It was now found that the double ring closure of this amine (II) to give (I) can be achieved in one step by treating the former with formaldehyde and aqueous hydrochloric acid:

Experimental

The amine (II) hydrochloride (0.5 g.) was mixed with formalin (3 cc., J. P. VI) and HCl (6 cc. of 2N) and the whole was refluxed for 2 hrs. On cooling, the white solid that separated was collected on a filter and purified from dil. HCl, forming white needle crystals of m.p. $270-272^{\circ}$; yield, 0.35 g.

The free base forms colorless rhombs from dioxane and melts at 283~283.5° with decomposition. These were proved to be identical by direct comparison with the specimens prepared by the former method.

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¹⁾ S. Sugasawa, K. Kakemi: Ber., 73, 782 (1940).