STUDIES DIRECTED TOWARDS TOTAL SYNTHESIS OF SAFRAMYCIN, [I].

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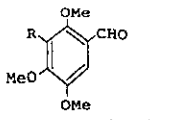
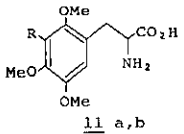
A right-hand half (3) of saframycin, isolated from *Streptomyces lavendulae*, was synthesized to explore the effective route directed to a total synthesis of saframycin as follows.

An amino group of 11 a,b, which was easily prepared from benzaldehyde derivative (10 a,b) using a known procedure, was protected by chloromethylcarbonate and the carbamate produced was condensed with N-methylaminoacetal *via* mixed anhydride to give the amide (12 a,b) in good yield. Refluxing of 12 a,b in CF_3CO_2H led directly to the double cyclized product, hexahydro-1,5-imino-3-benzazocine derivative (13 a,b) in nearly quantitative yield. Two carbonyl groups in 13 a,b were reduced with $LiAlH_4$ in refluxing Et_2O to give the diamine (14 a,b). Oxidation of the hydroquinone dimethylether (14 a,b) to the quinone (3 a,b) was accomplished by HNO_3 at r.t. in good yield.

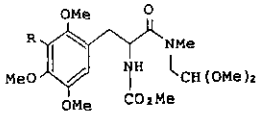
Cyclization of 6 including 12 a,b with catalytic amount of HCl at r.t. afforded generally 3,4-dihydropyrazinone derivatives (7) in excellent yield. The compound (7), having a highly reactive enediamine group, is considered to be a usefull and versatile synthetic intermediate for construction of heterocyclic compounds containing a piperazine ring.



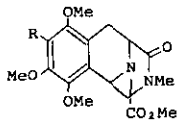
10 a (R=H)
b (R=Me)



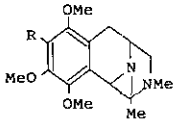
11 a,b



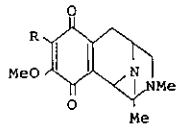
12 a,b



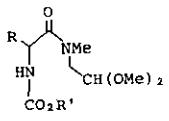
13 a,b



14 a,b



3 a,b



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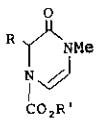

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