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SYNTHESIS OF 6-HYDROXY-4-(2'-di-*n*-PROPYLAMINOETHYL) INDOLE

Teresa Lee and Joseph G. Cannon
Division of Medicinal Chemistry and Natural Products, College of Pharmacy
The University of Iowa, Iowa City, Iowa 52242 U.S.A.

The literature reveals no examples of simple indole ring systems which, like the title compound 1, bear a functionalized alkyl side chain at position 4 and a 6-oxygen substituent. The projected synthetic approach to this system began with an appropriately substituted benzene derivative and required unequivocal conversion of this into the indole having the required substituents at 4 and 6.

Methyl 3,5-dinitro *o*-toluate 2 was converted into the tosylate ester 3 by a four step sequence beginning with regioselective reduction of the 5-nitro group of 2. The tosylate ester 3 was subjected to the Batcho-Leimgruber modification of the Reissert indole synthesis to give 4. The carbomethoxy group of 4 was converted into the aldehyde, and this was treated with a Wittig reagent to give the enol ether 5. Treatment of 5 with di-*n*-propylamine and Hg^{++} catalyst gave the enamine 6. This transvinylation of an enol ether with an amine represents a novel route to enamines. The side chain double bond of 6 was reduced and the N- and O-tosyl groups were cleaved with *n*-butyllithium. Classical tosyl ester cleaving reagents either failed to deblock the C-6 oxygen, or destroyed the molecule.