

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

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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.

