4TH BELGIAN ORGANIC SYNTHESIS SYMPOSIUM

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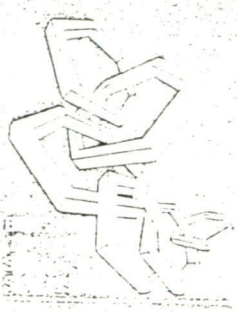

SIMPLE SYNTHESIS OF ALICYCLIC 1,3-AMINO ALCOHOL ANALOGUES OF CNS-ACTIVE COMPOUNDS

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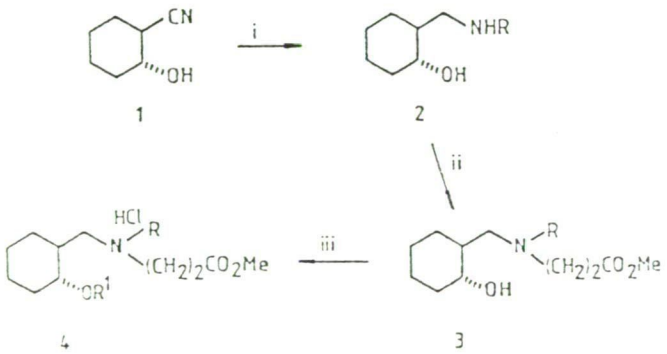
1,3-Amino alcohols are compounds of considerable chemical and biological importance, and much work is therefore proceeding in connection with their synthesis and reactions. In a recent paper[1] we described a simple method for the synthesis of the title compounds, using *trans*-2-cyanocyclohexanol (1) as a versatile synthon.

In the present lecture we discuss the synthesis of a series of 2-aminomethyl-1-cyclohexanol derivatives (4) with minor structural differences of the previously described *Tramadol*, *Ciramadol* and their modified derivatives,[2,3] which exert marked effects on the central nervous system.



i: 10% palladium on charcoal/H_2/R-NH_2, R = H, Me, *i*-Pr, *n*-butyl, cyclohexyl/50 °C/50 atm; ii: methyl acrylate/room-temp.; iii: acyl chlorides in chloroform, R^1 = benzoyl, 3,4-dimethoxy- or 3,4,5-trimethoxybenzoyl, xanthene-9-carbonyl.

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[1] F. Fülöp, I. Huber, G. Bernáth, H. Hönig, P. Seufer-Wasserthal: *Synthesis*, 43 (1991).
[2] J. P. Yardley, *et al*: *J. Med. Chem.*, *33*, 2899 (1990).
[3] B. Eising, G. Blaschke: *Arch. Pharm.*, *9*, 719 1991.