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AN ANOMALOUS REACTION BETWEEN 2-AMINO-4-METHYLOXAZOLE AND ALDEHYDES: ARYL AND ALKYL HYDROXYMETHYLATION AT THE 5-POSITION

Humaid R. Khan* and G. Crank

Chemistry Department,* University of Waikato, PB, Hamilton, New Zealand.

Department of Organic Chemistry, UNSW, PO Box 1, Kensington, Australia.

Summary 2-Amino-4-methyloxazole gives 5-substituted products when treated with aldehydes instead of expected Schiff bases.

Reactions of aldehydes and amines, including heterocyclic amines, are generally straight forward and give the expected imines or Schiff bases[1,2,3].

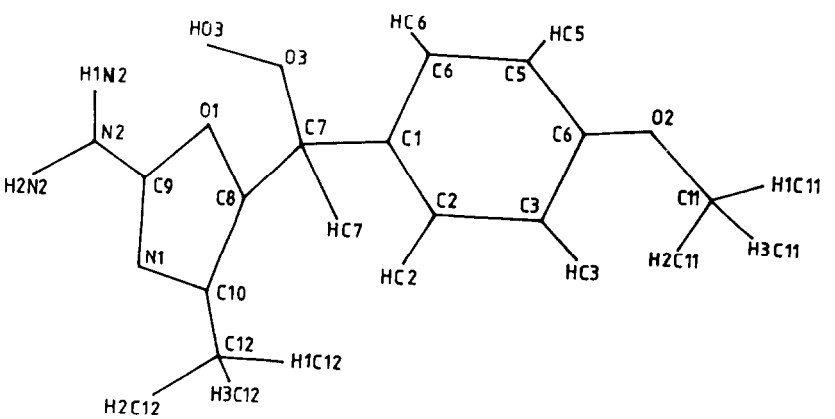
However, when an equimolar ratio of 2-amino-4-methyloxazole(1) and anisaldehyde was heated in dry toluene, no Schiff base was formed. Instead the sole product of this reaction was colourless crystalline solid (mp 150-151°C) formed in 77% yield.

Elemental analysis and mass spectrum (MW234) confirmed that product had a molecular composition $C_{12}H_{14}N_2O_2$ rather than $C_{12}H_{12}N_2O$ (for expected Schiff base). It was then identified as 2-amino-4-methyl-5-(α-hydroxy-4'-methoxy benzyl) oxazole by spectral interpretation and crystallographic analysis.

The nmr spectrum had peaks at δ1.87 (3H, 4-CH_3) 3.37 (3H, 4'-OCH_3) 5.59 (S, 1H, *CH*-OH) 6.30 (2H, exchanged with D_2O, NH_2) 6.86 (2h, 2'- and 6'H) 7.26 (α, 3H, 3' and 5'Ar H+OH) one proton exchanged with D_2O.

The important peaks in this nmr spectrum were at δ6.30 and 7.26 for NH_2 and *OH* groups.

However for confirmation the compound was subjected to crystallographic analysis on an ENRAF-NONIUS CAD-A diffractometer and the structure was solved by using MULTON-80 software.



The generality of the reaction is shown in Scheme 1 and Table 1, products IV to VI having spectral data which correlate closely with those of III.

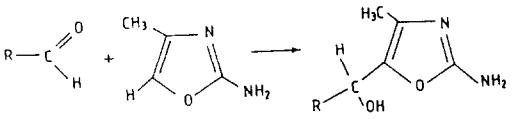


Table 1.

	ALDEHYDE	PRODUCT	mp	yield %
1.	Anisaldehyde	III R(p-$CH_3OC_6H_4$)	150-151°C	77
2.	Benzaldehyde	IV R(Ph)	144-145°C	69
3.	p-Nitrobenzaldehyde	V R(p-$O_2NC_6H_4$)	352-354°C	87
4.	Propionaldehyde	VI R(CH_3-CH_2)	113-115°C	62

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