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## Reactions of 5- $\beta$ -D-Ribofuranosyl Tetrazoles with Dipolarophiles

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REACTIONS OF 5- $\beta$ -D-RIBOFURANOSYL TETRAZOLES  
WITH DIPOLAROPHILES

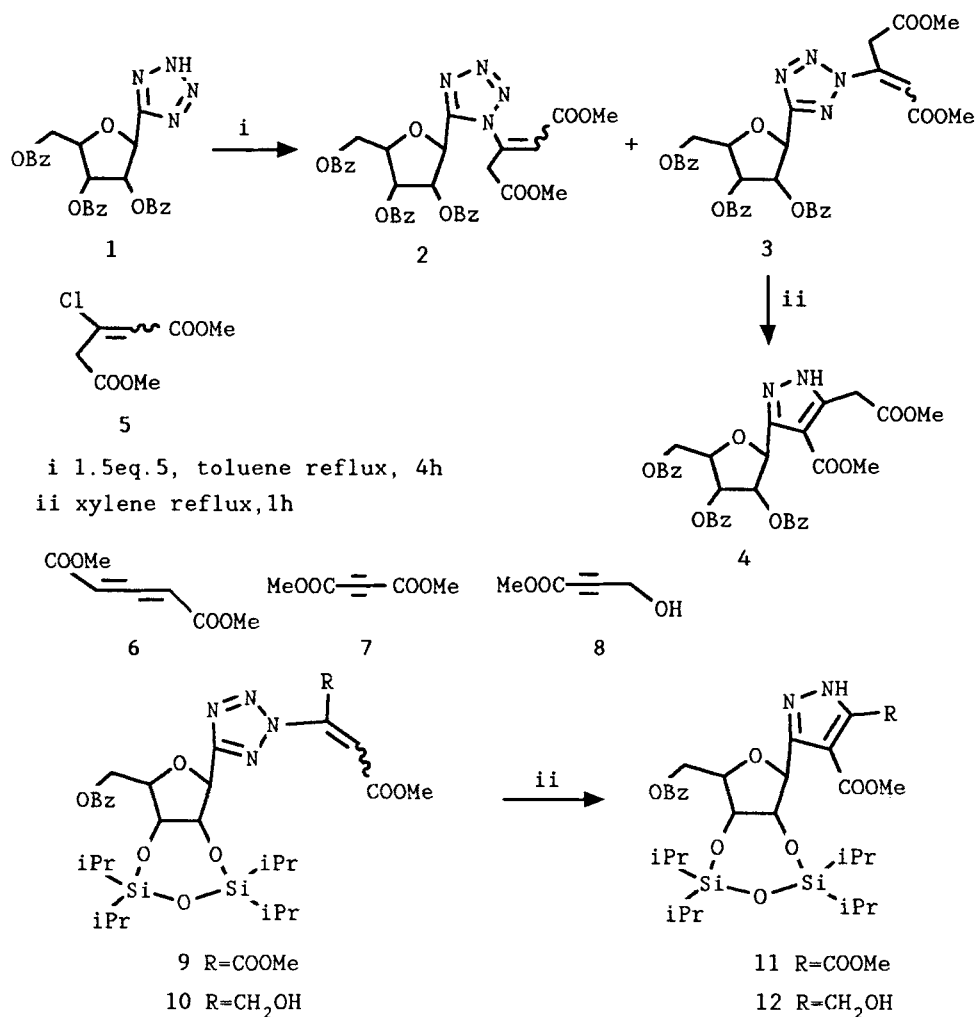
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**Abstract:** A two step transformation of protected 5- $\beta$ -D-ribofuranosyl tetrazoles into pyrazole derivatives is described.

The synthesis of 5-ribosyl pyrazoles *via* nitrilimines generated *in situ* did not give satisfying results<sup>1</sup>. Therefore, a two step cycloaddition was thought for, using milder conditions to perform first the alkylation on the tetrazole<sup>2</sup>. The alkenyl intermediate was then thermally rearranged into the anticipated pyrazole. Reactions<sup>3</sup> of protected tetrazoles (1) with dipolarophiles 5 - 8 gave N-1 and N-2 alkenyl substituted tetrazoles as major products<sup>4</sup>. Regioselective addition of allene 6 afforded N-2 isomer 3, whereas the acrylate 5 furnished a mixture of isomers 2 and 3 in an 1:4 ratio. Acetylenes 7 and 8 also yielded mixtures of N-1 and N-2 isomers (1:1). Only N-2 alkenyl isomers 3, 9 and 10 were thermally rearranged in xylene into pyrazoles 4<sup>5</sup>, 11 and 12, respectively, *via* ring opening by loss of nitrogen and subsequent intramolecular cyclization of the 1,5-dipole; only one of the two possible positional isomers (4, 11, 12) was isolated. Besides, 2',3',5'-tri-O-benzoyl- $\beta$ -D-ribofuranosylnitrile was formed upon the reaction of 3 into 4, probably originating from a zwitterionic intermediate.

The structures of products were confirmed on the basis of <sup>1</sup>H, <sup>13</sup>C and <sup>15</sup>N-NMR techniques.



## REFERENCES

- 1) Kobe, J.; Prhavc, M.; Hohnjec, M.; Townsend, L. B. *Nucleosides & Nucleotides*, **1987**, 6, 365.
- 2) Woerner, F.; Reimlinger, H. *Chem. Ber.*, **1970**, 103, 1908.
- 3) Reaction conditions i with dipolarophiles others than 5: 1.1eq.6, toluene 0°C, 1day; 1.1eq.7, xylene reflux, 5min.; 3.3eq.8 added in portions over 3 days, toluene, r.t.
- 4) Total yields of both isomers after purification by flash chromatography were up to 60%.
- 5) mp 150-152°C (from EtOH); after debenzoylation with NaOMe/MeOH: ms m/z = 330.1060 (M<sup>+</sup>[C<sub>13</sub>H<sub>18</sub>N<sub>2</sub>O<sub>8</sub>] = 330.106304).