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HETEROCYCLIC CONVERSION FROM 5-AMINO-1-VINYL-4,5-DIHYDRO-1H-1,2,3-TRIAZOLES

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5-Amino-1-vinyl-4,5-dihydro-1H-1,2,3-triazoles (1), prepared by 1,3-dipolar cycloaddition reaction of vinyl azides with enamines, were generally stable at room temperature, but they could easily be converted to other heterocycles by thermolysis, photolysis, or treatment with acids.

Thermal decomposition of 1a at 80 °C in dimethyl sulfoxide gave N-vinylimines (2a), while other triazoles were much more stable under similar conditions. Regioselective [4+2] cycloaddition of 2a as a 1-amino-2-azabutadiene with various dienophiles lead to pyridine derivatives (3) in 11 – 44 % yields.

Irradiation to 1 with 100 W high pressure mercury lamp gave 1-pyrrolines (4) which deaminated to pyrroles (5) (not 6) in 19 – 83 % yields. The 1-vinylaziridines (7) would be formed after loss of nitrogen, and selective ring cleavage followed by ring closure would lead to 4.

Treatment of 1 (R^5 is not H) with acetic acid in dichloromethane at 0 °C immediately caused deamination to give the corresponding 1-vinyl-1H-1,2,3-triazoles (8) in 40 – 62 % yields. On the other hand, 1 ($R^5 = H$) only slowly caused evolution of nitrogen. Treatment with 2 molar amount of p-toluenesulfonic acid at 0 °C for 2 h gave the corresponding N-vinylimines (2) (46 – 64 % yields) and/or their derivatives.