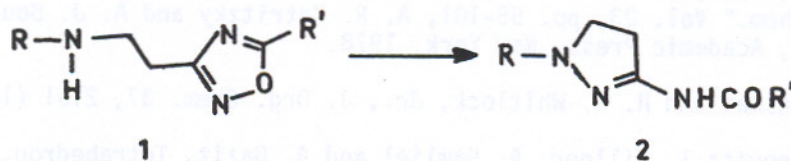


## RING-TRANSFORMATION OF 1,2,4-OXADIAZOLES

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This year we reported that 3-/2-aminoethyl/-1,2,4-oxadiazoles/1/, readily available from primary amines, undergo spontaneous or thermal ring transformation to give the pyrazolines 2 in excellent yields<sup>1</sup>. As demonstrated on an extensive series the reaction is general and provides a simple access to 3-amino-2-pyrazolines. This "azole-azoline" type ring rearrangement proceeds by a mechanism basically different from those proposed for the related "azole-azole" transformations by Boulton, Katritzky and others<sup>2</sup>.

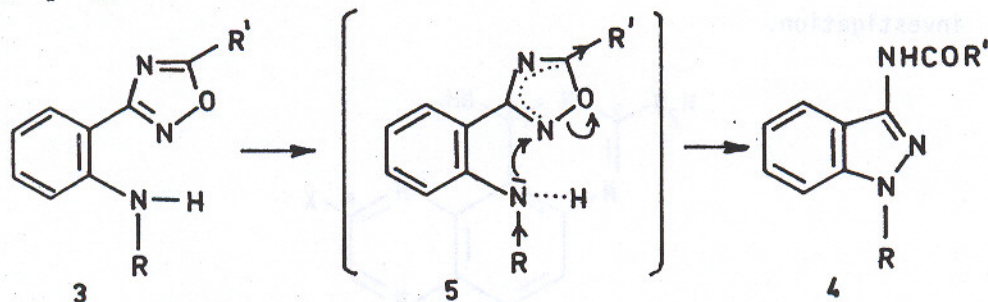


The present paper deals with the extension of our studies to 1,2,4-oxadiazoles in which the aminoethyl group was replaced by a 2-aminophenyl group /3/. 3-/2-Aminophenyl/-5-aryl-1,2,4-oxadiazoles /3a/ when heated in a solvent give the isomeric 3-aroylaminoindazoles /4a/ of novel type. In contrast the corresponding 5-alkyl derivatives /3b/ fail to rearrange under similar conditions. Enhancement of the basicity of the aromatic

amino group by alkylation facilitates ring isomerization /3c-d  $\rightarrow$  4c-d/ which becomes possible, albeit at a much slower rate, also in the 5-alkyl series /3d/.

Our results suggest that, although this transformation is of the "azole-azole" type, it nevertheless proceeds by a mechanism analogous to that operative with the aminoethyl derivatives 1 and probably involves the condensed tricyclic intermediate 5.

A detailed investigation of this novel ring transformation is in progress.



#### References:

- <sup>1</sup>D.Korbonits, E.M. Bakó, and K. Horváth, J.Chem.Research /S/, 1979, 64-65; J.Chem.Research /M/, 1979,0801-0875.
- <sup>2</sup>/a/ A.J. Boulton, "Lectures in Heterocyclic Chemistry", Utah, 1974,2,45.
- /b/ A. Sultan Afridi, A.R. Katritzky, and C.A. Ramsden, J.Chem. Soc.,Perkin Trans.1,1976,315, and references therein.