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Invited Lecture

General Synthetic Approach for the Straightforward Synthesis of Biological Active Condensed Pyrazoles

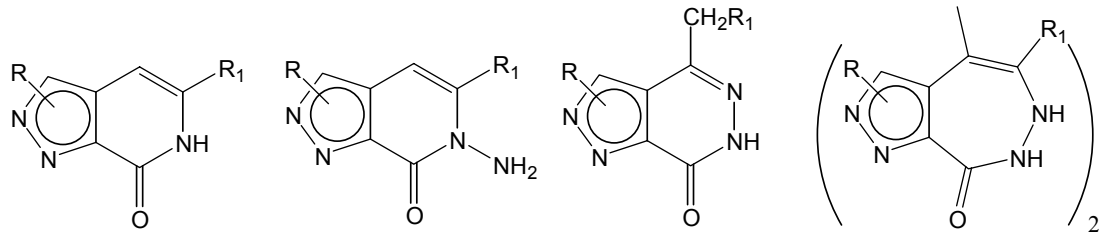
Sergei F. Vasilevsky, Elena V. Mshvidobadze

Institute of Chemical Kinetics and Combustion,
630090, Novosibirsk, Russian Federation
e-mail: vasilev@ns.kinetics.nsc.ru

Condensed pyrazoles are very promising systems in searching of compounds with high and diverse biological activities. One of the main and general approaches to the synthesis of condensed heterocyclic systems based on the heterocyclization of functionally substituted of vicinal alkynylarenes and -hetarenes.

For best understanding of the cyclization rules we carried out comparative investigation of behavior of various acetylenic derivatives in series of benzene and pyrazole, including all position isomers of the last one. We have found some peculiarities of behaviour not only of vicinal alkynylpyrazole in cyclization in comparison with their benzene analogies, but also different direction depending on mutual arrangement of functional group and triple bond in the pyrazole ring and even from structure of constituent at C-atom of triple bond.

Understanding of synthetic and mechanistic peculiarities of the cyclization of hydrazides allowed to us to prepare series of fused pyrazoles with all possible variants of the arrangements of "pyrazole's", "pyridine's" and "pyridazine's" atoms of nitrogen in the fused systems.



Thus, in the present work we showed that the cyclization in the series of pyrazole is general route to the condensed pyrazolo-pyridones, -N-aminopyridones, -pyridazinones and -diazepinones.

These compounds are very attractive in searching of new effective drugs. Investigation of 35 synthesised compounds by the computer method PASS (Prediction of Activity Spectra for Substunces) (Prof, V.V. Poroikov, Moscow) showed that above mentioned fused pyrazoles are potential highly effective substances with antituberculosic, antiinflammatory, antiarhytmic properties.

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