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1-NITROCYCLOHEXENE IN REACTIONS WITH HIDRAZINE AND GUANIDINE DERIVATIVES

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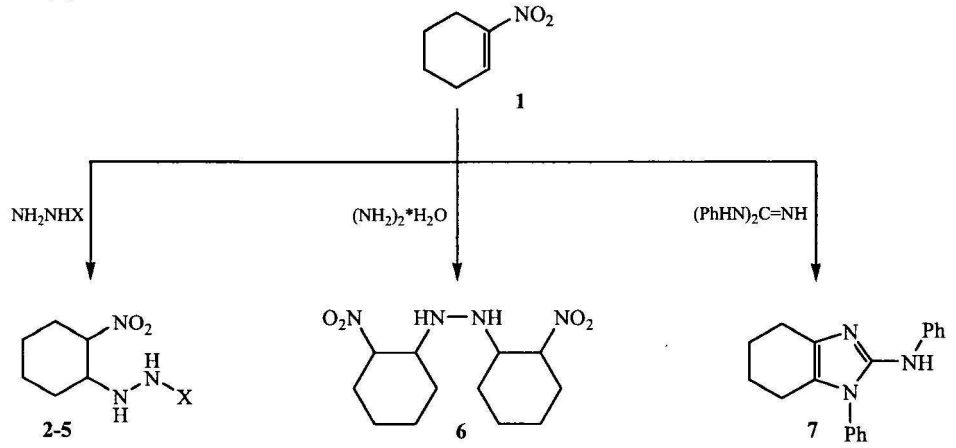
Cyclic nitroolefins are key structures for obtaining functionalised mono- and multyring systems that have many useful applications. For example 1-nitrocyclohexene is a basic compound for precursors of biologically active alkaloids [1,2] and substances that reveal cytotoxic activity [3]. Adducts of interactions between 1-nitrocyclohexene and some N-nucleophiles can also be utilized for the synthesis of such biologically active substances.

In our research, chemical behavior of 1-nitrocyclohexene in reactions with some hidrazine (phenylhidrazine, benzoic acid hidrazone, semicarbazide, and thiosemicarbazide) and guanidine (cyano- and diphenylguanidine) derivatives was investigated. It was shown that 1-nitrocyclohexene easily reacts with the above mentioned compounds at room temperature in ethanol solution to give 1-nitro-2-hidrazonocyclohexanes (**2-5**) (with the yields 40-78%). It is remarkable that product (**4**) was obtained as diasterioisomeric mixture (in 1:1 ratio) while other products were stereospecific. It is worth noticing that thiosemicarbazide acted as N-nucleophile. Interaction between 1-nitrocyclohexene (**1**) and typical N,N-binucleophile – hydrazine hydrate, leads to the product of bis-addition (**6**).



X = Ph (**2**), C(O)Ph (**3**), $C(O)NH_2$ (**4**), $C(S)NH_2$ (**5**)

The results of intreactions between 1-nitrocyclohexene and guanidine derivatives, carried out in similar way, were conditioned by the activity of the reagent. Addition to the double C=C bond was not observed in case of cyanoguanidine. Nevertheless, reaction with diphenylguanidine yielded a crystal product; the structure of this product was attributed to N,1-diphenyl-4,5,6,7-tetrahydro-1H-benzimidazole-2-amine (**7**) (24%) on the basis of spectral data and elemental analysis. It is obvious that the discussed interaction is multistep and involves nucleophilic addition and transformation of nitromethine fragment into carbonyl function (Nef reaction) with following heterocyclization.

Structures of all compounds were determined by IR and NMR spectroscopy.

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