

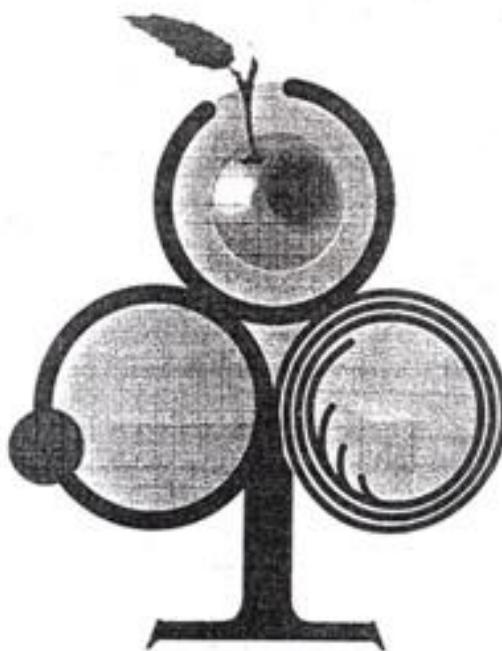
I S T C



7th INTERNATIONAL SEMINAR

Scientific Advances in Chemistry: Heterocycles, Catalysis and Polymers as Driving Forces

Abstracts



Ekaterinburg . Russia . November 2-4 . 2004

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P-021 Synthesis and reactions of triazole, quinazolinone and isoquinoline compounds

Sh.S. Akhmedova, M.H. Soliman, G.T. Kah, S. Akhmedova, M.H. Soliman, G.T. Kalidjanova, M.J. Turmukhanova, M.A. Dyussebayeva

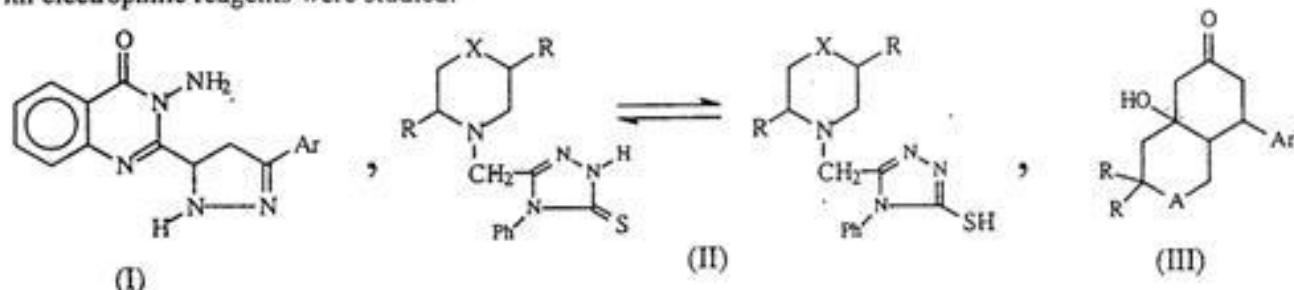
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In development of works on synthesis of nitrogen heterocyclic derivatives as the basic biophores for reception of biologically active substances, we synthesized and studied the reactivities of biheterocyclic and bicyclic compounds. The combination of structural fragments of piperidine, triazole, pyrazole and quinazolinone allows carrying out reactions with electrophilic and nucleophilic reagents.

Previously [1-2] we synthesized piperidine derivative possessing a high pharmacological activity: local anaesthetic with a wide spectrum of activity, Richlocaine, permitted for medical application and industrial production in Russia and Kazakhstan.

Oxime derivatives of piperidine showed fungicidal activity, they are also effective extraction reagents for the isolation of palladium from non-ferrous and rare metals.

Several biological activities have been reported in compounds containing 4(3H)-quinazolinone and/or pyrazole moieties [3], this prompted us to synthesize a series of compounds having the pyrazole and 4(3H)-quinazolinone moieties in one molecule. 3-Amino-2-[3-(*p*-tolyl)-4,5-dihydro-(1*H*)-pyrazole-5-yl]-4(3*H*)-quinazolinone (**I**) was synthesized from the reaction of benzoxazinone with hydrazine hydrate. The reactions of (**I**) with electrophilic reagents were studied.



Ar=*p*-tolyl Ar'=phenyl or furyl X=O, CH₂ or C=NOH A=O or N-CH₃ R=H or CH₃

It is well known that mercaptotriazoles are used in pharmaceutics as fungicidal, antibacterial and anti-inflammatory agents [4]. The 5-mercaptop-1,2,4-triazole derivatives **II** were synthesized by the action of KOH solution on thio semicarbazides. Alkylation and addition reactions of triazole **II** were studied.

Nucleophilic addition reaction of 1-methylpiperidin-4-one and tetrahydropyran-4-one with arylidene acetone led to the formation of bicyclic structure with various heteroatoms **III**.

The structures of the new synthesized compounds were confirmed by IR, H-NMR and mass spectroscopy.

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