FORMATION OF 1-METHYL[1,2,4]TRIAZOLO[4,3-*a*]QUINAZOLIN-5(4*H*)-ONES BY REACTION OF 2-HYDRAZINOQUINAZOLIN-4(3*H*)-ONES WITH ACETYL ACETONE

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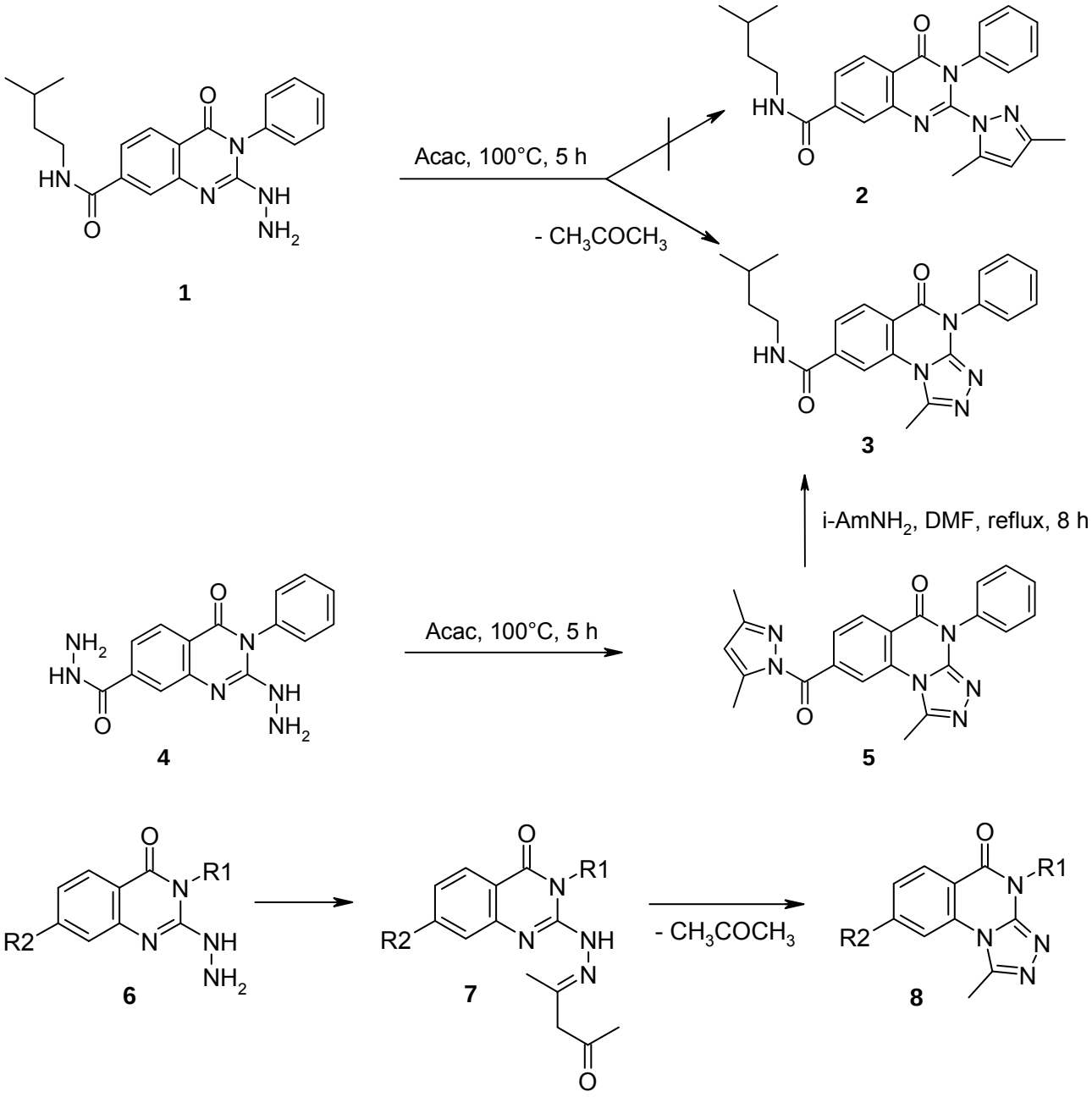
Search for innovative biologically active substances among quinazolin-4-one derivatives has apparent interest, which is due to wide range of their pharmacological properties.

Development of new effective and technological synthetic schemes both improvement of existing schemes for synthesis of various quinazolin-4-one derivatives could be useful for direct synthesis of potentially active pharmaceutical ingredients. However, despite the high practical significance of quinazolin-4-one derivatives, there are known not so much methods for their synthesis, although this class of compounds has every reason to be considered as a source of perspective molecules for applied and fundamental investigations in chemical both pharmaceutical fields.

The aim of our investigations is enhancement of assortment of biologically active substances among [1,2,4]triazolo[4,3-*a*]quinazolin-5(4*H*)-one derivatives – as potential active pharmaceutical ingredients. In continuing of our investigations in this field we studied reaction of 2-hydrazinoquinazolin-4(3*H*)-ones with acetyl acetone.

When 2-hydrazino-*N*-(3-methylbutyl)-4-oxo-3-phenyl-3,4-dihydroquinazoline-7-carboxamide **1** was refluxed in acetyl acetone during 5 hours, we obtained 1-methyl-*N*-(3-methylbutyl)-5-oxo-4-phenyl-4,5-dihydro[1,2,4]triazolo[4,3-*a*]quinazoline-8-carboxamide **3** instead of expected 2-(3,5-dimethyl-1*H*-pyrazol-1-yl)-*N*-(3-methylbutyl)-4-oxo-3-phenyl-3,4-dihydroquinazoline-7-carboxamide **2**. In analogous conditions 2-hydrazino-4-oxo-3-phenyl-3,4-dihydroquinazoline-7-carbohydrazide **4** was changed into 8-[(3,5-dimethyl-1*H*-pyrazol-1-yl)carbonyl]-1-methyl-4-phenyl[1,2,4]triazolo[4,3-*a*]quinazolin-5(4*H*)-one **5**. By reaction of pyrazolide **5** with *i*-amylamine the substitution of pyrazole group occurred with amide **3** formation.

The obtained experimental results can give assumption, that 2-hydrazinoquinazolin-4(3*H*)-ones react with acetyl acetone via acetone removal from intermediate compound **7** with formation of annelated triazole ring but not pyrazole.



The structure and individuality of synthesized compounds has been proven by elemental analysis and 1H NMR spectroscopy data. The structure of 1-methyl-*N*-(3-methylbutyl)-5-oxo-4-phenyl-4,5-dihydro[1,2,4]triazolo[4,3-*a*]quinazoline-8-carboxamide **3** was confirmed by LCMS data in addition.

Our preliminary estimation of biologic activity of their compounds by computer program PASS showed the perspectivity of investigations for searching of new biologically active substances of diversified action with lower toxicity among quinazolin-4-one derivatives with annelated heterocyclic rings.