(4-AMINO-5-MERCAPTO-4H-[1,2,4]TRIAZOL-3-YL)-DIPHENYLMETHANOL AS BUILDING BLOCK IN THE SYNTHESIS BIOLOGICALLY ACTIVE SUBSTANCES

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It is well known that diphenylcarbinol fragment is a pharmacophore, which is present in the structure of many medicinal substances. The aim of this work was to obtain compounds combining this pharmacophore with the other functional groups, which may undergo further chemical transformations. This plan of our experimental work was realized using benzilic acid derivatives. Benzoin 1 has been used as the starting compound for this synthesis. Oxidation of benzoin with concentrated nitric acid resulted in diketone 2. Dibenzoyl 2 was converted to benzilic acid 3 by benzilic rearrangement. Further ethyl ester of benzilic acid 4 was prepared by esterification of 2 with ethyl alcohol; compound 4 has been used to prepare corresponding hydrazide 5. Target (4-amino-5-mercapto-4H-[1,2,4]triazol-3-yl)-diphenylmethanol 7 was obtained in good yield in two steps after the treatment of 5 with carbon disulfide followed by further cyclization of addition product 6 with hydrazine.

It is important to mention that the derivative of mercaptoaminotriazole modified with diphenylcarbinol fragment has not been reported before. To our opinion this compound may be used as a building-block for synthesis of variety of biologically active compounds with diphenylcarbinol fragment. The structure of all of the compounds was confirmed by modern instrumental methods of organic analysis.