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Synthesis of new 6-hydroxypyrimidine-4(3*H*)-one derivatives

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Abstract

In this paper, 6-hydroxypyrimidine-4 (3*H*)-one derivatives are considered as promising syntones for the creation of new biologically active substances. This is useful since the pyrimidine fragment is a structural component of nucleic acid bases (cytosine, thymine, uracil), uric and orotic acids, coenzymes (flavins and xanthins), a number of vitamins (folic acid, thiamine, pyridoxine, riboflavin). It is worth noting that the pharmaceutical market is widely represented with antitumor (methotrexate, imatinib, tegafur); antiviral (stavudine, zalcitabine, lamivudine, zidovudine, acyclovir, idoxuridine); immunostimulatory (isophone) and sedative drugs (phenobarbital, sodium ethaminal) based on compounds including the pyrimidine cycle.

The purpose of the present work is to develop a method for producing new 2,3-diphenyl-5-(alkyl/phenyl)-6-hydroxypyrimidin-4(3*H*)-ones, proving their structure and individuality by NMR spectroscopy and mass spectrometry, elemental analysis and thin-layer chromatography.

As a method of producing new 6-hydroxypyrimidin-4(3*H*)-ones, a method of condensing *N*-phenylbenzenecarboxymidamide with 2-substituted propanedioyldichlorides in the medium of an aprotic non-polar solvent – *o*-xylene is proposed. The desired products are isolated from the reaction mass using solvent distillation and a reprecipitation method. It was found that maximum yields are achieved with constant stirring of a suspension of *N*-phenylbenzenecarboxymidamide with a solution of 2-substituted propanedioyl dichloride in *o*-xylene and further heating of the reaction mass at 144 °C for 4 hours.

The individuality of the synthesized compounds was confirmed by thin layer chromatography on Sorbfil® plates in the methanol-dichloroethane (1:9) system, and their structure was proved using modern physicochemical analysis methods: proton magnetic resonance spectroscopy, C^{13} NMR spectroscopy, mass spectroscopy and elemental analysis.

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