Synthesis and antibacterial activity of new 2-*N*-substituted 2,5-dihydrofurans

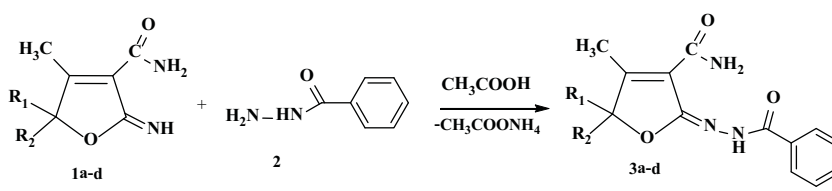
G. Tokmajyan and L. Karapetyan

Yerevan State University, Yerevan, Armenia

E-mail: lkarapetyan@ysu.am

Compounds comprising 2-oxo-2,5-dihydrofuran moiety are of interest both for the range of pharmacological properties and for their chemistry. In view of the ubiquity of this fragment in a variety of biologically active compounds, the synthesis of various analogs is important in gauging their potential as a source of chemotherapeutics.

The 2-imino-2,5-dihydrofuran structure is related to 2-oxo-2,5-dihydrofuran fragment. Unsaturated γ-iminolactones are promising class of heterocyclic compounds. There has been a continuous interest in the development of new efficient and convenient procedures for the preparation of various 2-imino-2,5-dihydrofuran derivatives [1-6] and in their synthetic applications, in the study of their properties for the detection of structure and bioactivity relations.



a.R_1=R_2=CH_3, b. R_1=CH_3, R_2=C_2H_5, c. R_1,R_2=$(-CH_2-)_4$, d. R_1,R_2=$(-CH_2-)_5$,

A new series of 2-*N*-substituted 2,5-dihydrofurans were successfully synthesized by the reaction of 2-imino-2,5-dihydrofuran-3-carboxamides with benzohydrazide in glacial acetic acid. This synthetic approach allowed the efficient and practical preparation of required compounds with minimal synthetic effort and might open a new avenue for the synthesis a variety of heterocyclic systems of biological significance.

Synthesized compounds **3a-d** were screened *in vitro* for their antibacterial activity against Gram-positive (*Staphylococcus aureus* – 209p, 1) and Gram-negative (Shigell Flexneri 6858, Esherichia coli 0–55) bacteria, by the agar diffusion technique. The antibacterial activity of compounds **3a-d** was compared with that of the standard drug furazolidone. The obtained compounds exhibited moderate to defined activities compared with furazolidone against both Gram-positive and Gram-negative bacteria. The type of substituents in the 5th position of 2,5-dihydrofuran ring contributes to the inhibition of bacteria growth. Compounds **3a** and **3d** gave better inhibition of the bacteria growth than compounds **3b** and **3c.**

References

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