

NEW SYNTHESIS AND REACTIVITY OF BIOACTIVE 2-PYRONES

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Introduction & Objectives:

2-Pyrones demonstrate a whole spectrum of bioactivity and have shown antibiotic, antifungal, cytotoxic, neurotoxic, and phytotoxic activities. Simple change in the substitution pattern on the 2-pyrone ring often leads to compounds possessing new biological activity. The pyrone ring and the direct condensation in the bromoacetyl group, in particular with binucleophilic reagents, offer a versatile approach to synthesize a plethora of 4-hydroxy-6-methyl-2H-pyran-2-one analogs. In that way, we decided to study the reactivity of bromo-DHAA 2 with several binucleophilic amines.

Methodology (Material and methods):

¹H and ¹³C NMR spectra were recorded in CDCl₃ or DMSO-*d*₆ solutions on a Bruker Avance 300 spectrometer. Chemical shifts are reported in ppm using tetramethylsilane (TMS) as internal reference. ¹³C assignments were made using gradient selected heteronuclear single quantum coherence (gHSQC) and gradient selected heteronuclear multiple quantum coherence (gHMBC) experiments. Positive-ion electrospray ionization (ESI) mass spectra were acquired using a Q-TOF 2 instrument.

Results and Discussion:

3-(Bromoacetyl)-4-hydroxy-6-methyl-2H-pyran-2-one was synthesized by the reaction of dehydroacetic acid with bromine in glacial acetic acid. Novel heterocyclic products were synthesized from the reaction of bromo-DHAA with *ortho*-phenylenediamines, and *ortho*-aminobenzenethiol. The obtained new products 1-(2,4-dinitrophenyl)-7-methyl-2,3-dihydro-1H-pyrano[4,3-*c*]pyridazine-4,5-dione, 3-(3,4-dihydroquinoxalin-2-yl)-4-hydroxy-6-methyl-2H-pyran-2-one/3-(3,4-dihydroquinoxalin-2-yl)-6-methyl-2H-pyran-2,4(3H)-dione, 6-methyl-3-(3,4-dihydroquinoxalin-2-yl)-2H-pyran-2,4(3H)-dione, and (*E*)-3-(2H-benzo[*b*][1,4]thiazin-3(4H)-ylidene)-6-methyl-2H-pyran-2,4(3H)-dione were fully characterized by IR, ¹H and ¹³C NMR, and mass spectra.

Conclusion:

This condensation reaction gives substituted 2-pyrones in good yield. The results of the biological study showed that these compounds have an antifungal action.

Keywords: 2-Pyrone, bromination, condensation, biological activity.

References

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