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A NEW CONVENIENT SYNTHESIS OF 3(2H)-FURANONES

R. Antonioletti, F. Bonadies, A. Scettri*

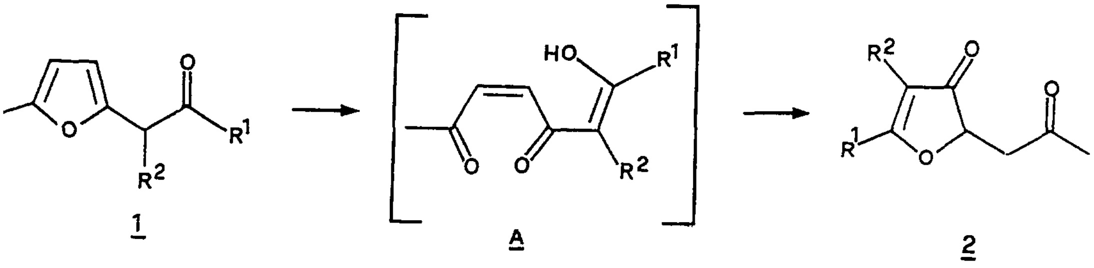
Centro di Studio per la Chimica delle Sostanze Organiche Naturali del C.N.R.
Dipartimento di Chimica, Università "La Sapienza", P.le A. Moro 5, I-00185
Roma, ITALY.

Abstract: A selective oxidative fission of the heterocyclic nucleus of 5-methyl-2-(acylmethyl)furans or 2-(5-methyl-2-furyl)-1,3-dicarbonyl compounds by m-chloroperbenzoic acid allows the easy synthesis of the 3(2H)-furanone system.

The 3(2H)-furanone ring represents the central structural element of many natural products characterised by important biological activity as antitumor agents (jathropone, the eremantholides, geiparvarin),[1] flavouring matters,[2] etc.

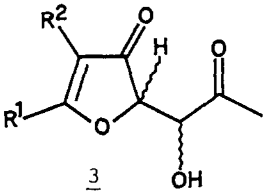
Nevertheless, a rather limited number of general methods of synthesis of the 3(2H)-furanone system has been reported in the past years and, often, they involve key-intermediates whose preparation requires multistep sequences.[1, 2]

Now, we report a very simple procedure that allows the one-pot conversion of the easily available furan derivatives 1[3] into the 3(2H)-furanones 2.



a): R^1 = -Me ; R^2 = -H 75%[4,5]
b): R^1 = -Ph ; R^2 = -H 82%
c): R^1 = -Me ; R^2 = -COOEt 56%
d): R^1 = -Ph ; R^2 = -COOEt 58%
e): R^1 = -Me ; R^2 = -COMe 55%

In fact, by treatment with m-chloroperbenzoic acid (m-CPBA) under controlled reaction conditions, compounds 1 undergo a selective oxidation of the furan nucleus, leading to the open intermediate A. A is not isolable since an internal Michael addition of the enolic -OH on the activated C-C double bond of the enedicarbonyl moiety occurs in very fast way, yielding the furanones 2. It has to be noted that the conversion shows to proceed satisfactorily, although the unavoidable and significant formation of an overoxidation byproduct, 3, causes a decreasing of yield in the case of the starting materials 1c-e.



3

3c : 28%[5]
3d : 22%
3e : 39%

On the ground of ^{1}H-NMR data compounds 3 show to be a mixture of diastereoisomers, whose separation has failed in spite of several attempts: however, further investigations are in progress to explain and depress the origin of 3.

In a typical experimental procedure 30 ml of 0.3 M solution of m-CPBA in $CHCl_3$ are added dropwise over a period of 5 hours to 5 ml of 1 M solution of 1 in $CHCl_3$ at -10°C. Then the mixture is stirred overnight at -5°C. Furanones 2 and 3 are obtained through column chromatography on silica gel, previously washed with 2 N aqueous hydrochloric acid, by elution with benzene-diethyl ether mixtures.

References

1) Smith III, A.B., Levenberg, P.A., Jerris, P.J., Scarborough, R.M., Wovkulich, P.M., J. Am. Chem. Soc.,(1981), 103, 1501 and references therein
2) Andersen, S.H., Sharma, K.K., Torsell, K.B.G., Tetrahedron, (1983), 39 2241 and references therein
3) D'Ascoli, R., D'Auria, M., Piancatelli, G., Scettri, A., Tetrahedron, (1979), 35, (2905)
4) All the yields refer to isolated, chromatographically pure, compounds
5) Spectral data (IR, ^{1}H-NMR and MS) are in agreement with the proposed structures. Satisfactory elemental analyses have been obtained for all compounds.

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