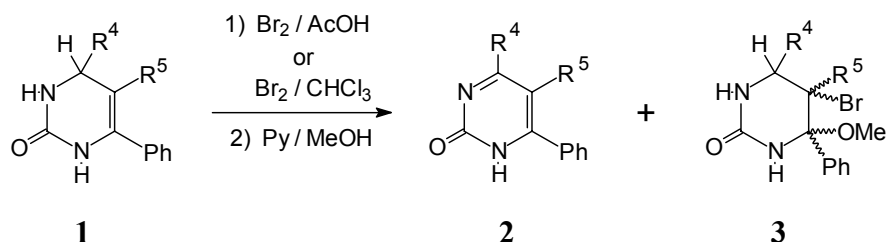


Dehydrogenation Stability of 5-Substituted 4-Aryl-6-phenyl-3,4-dihydropyrimidin-(1*H*)-2-ones, Possessing Antiarrhythmic Activity

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Some substituted 4-aryl-5-nitro- and 4-aryl-5-carbalkoxy-3,4-dihydropyrimidin-(1*H*)-2-ones show properties of Ca-channel modulators [1]. Recently it has been established, that 6-phenyl substituted derivatives of these structural groups possess high antiarrhythmic activity [2]. Stability of partly unsaturated heterocyclic fragment in these compounds to dehydrogenation is important for preservation of their biological activity. Now we investigate behaviour of 5-substituted 4,6-diaryl-3,4-dihydro-(1*H*)-pyrimidin-2-ones at action of some oxidizing reagents and in reaction of bromination-dehydrobromination.



$R^4 = \text{Ph}, \text{C}_6\text{H}_4\text{Cl-3}, \text{C}_6\text{H}_4\text{OMe-4}, \text{C}_6\text{H}_3\text{Br-3-OMe-4}; R^5 = \text{NO}_2, \text{COOEt}$

Bromination-dehydrobromination. Depending on reaction conditions and the nature of substituents (4-Ar, 5-NO₂, 5-COOEt) bromination of compounds **1** leads to different products – **2** and **3**.

Action of oxidizers. Dihydropyrimidin-2-ones **1** (where R⁵ = NO₂) are stable on the action of *p*-chloranil in boiling xylene, and also to air O₂ at heating in DMF or DMSO, whereas 5-COOEt analogue dehydrogenated at the same conditions with formation of pyrimidinones **2**.

Thus, the dihydropyrimidine ring possesses the lowered ability to dehydrogenation in comparison with 1,4-dihydropyridine analogues.

[1] Kappe C.O. *Eur. J. Med. Chem.*, 2000, v. **35**, p. 1043; Remennikov G.Ya. *Chem. Heterocycl. Compd.*, 1997, v. **33**, p. 1369.

[2] Voevoda, T.V., Tolstikova, T.G.; Sedova V.F., Shkurko O.P., Tolstikov G.A. *Dokl. Akad. Nauk*, 2001, v. **379**, p. 261.