$CO(NH_2)_2$–$AcONH_4$–AcOH–H_2O – A NEW SYSTEM FOR THE DIRECT CONVERSION OF α-BROMO KETONES TO 4-IMIDAZOLIN-2-ONES

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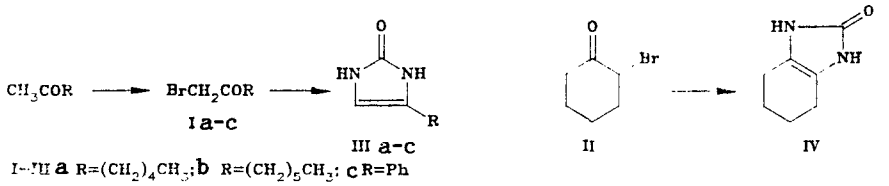
We have established that α-bromo ketones Ia-c and II react with excess $CO(NH_2)_2$ and $AcONH_4$ in aqueous AcOH (refluxing for ~3 h) to give 4-imidazolin-2-ones IIIa-c and IV in 50-75% yields.



Imidazolin-2-ones can also be obtained in a single flask from the ketones – 2-heptanone, 2-octanone, acetophenone, and cyclohexanone – by initially carrying out their bromination in a mixture of $CO(NH_2)_2$ and AcOH (18-20°C) and then, after the addition of 30% ammonium hydroxide, by heterocyclization of the intermediate α-bromo ketones (refluxing for 3 h) (the yields were ~40%).

The systems that we previously proposed for the heterocyclization of 3-bromo-2-alkanones [KCNO–$(NH_4)_2CO_3$–DMF or $CO(NH_2)_2$–$AcNEt_2$–piperidine] [1, 2] are unsuitable for the conversion of bromo ketones Ia-c and II to imidazolin-2-ones IIIa-c and IV.

The synthesized imidazolin-2-ones were identified from the PMR spectra and by comparison with genuine samples [3-5].

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