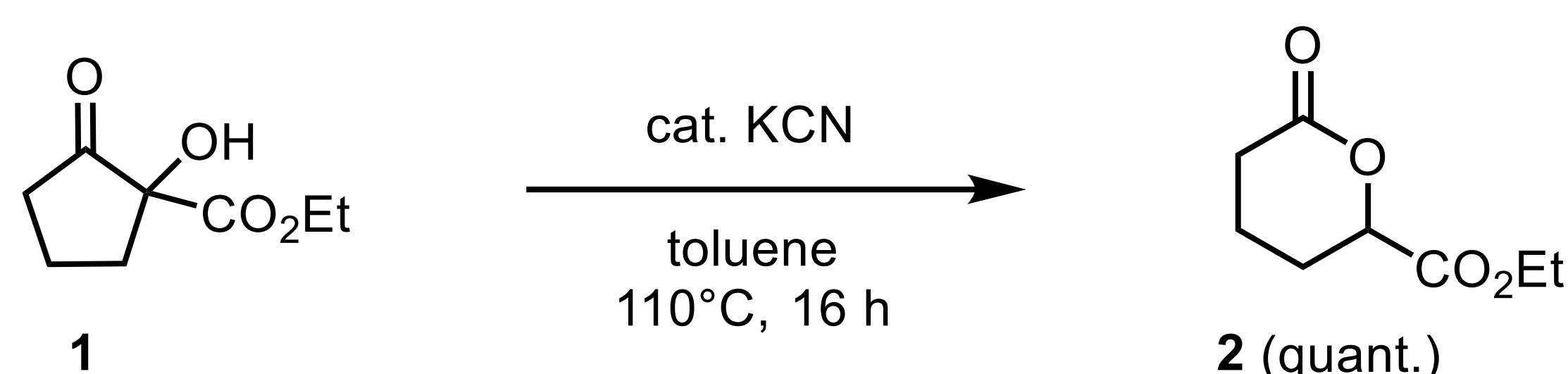


$\alpha$ -Amino- $\beta$ -oxoesters were prepared from the respective azides by reduction with  $\text{PBU}_3$ ,  $\text{Zn}/\text{AcOH}$  or  $\text{H}_2/\text{cat. Pd}$ . Some of the obtained title compounds were converted into the corresponding lactams by ring transformation.

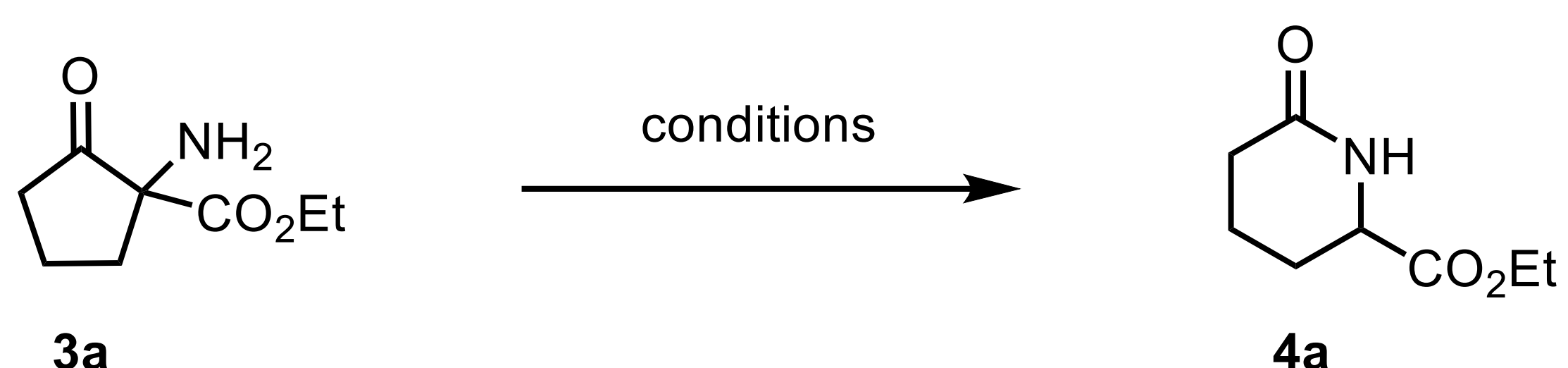
### Introduction and Preliminary Work

Recently, a convenient method to synthesize lactones **2** from the corresponding  $\alpha$ -hydroxy- $\beta$ -oxoesters **1** was developed in our research group (Scheme 1).<sup>[1]</sup> This lactone formation was achieved by ring transformation of the corresponding  $\alpha$ -hydroxy compounds.



**Scheme 1.** Cyanide catalyzed ring transformation of compound **1**.

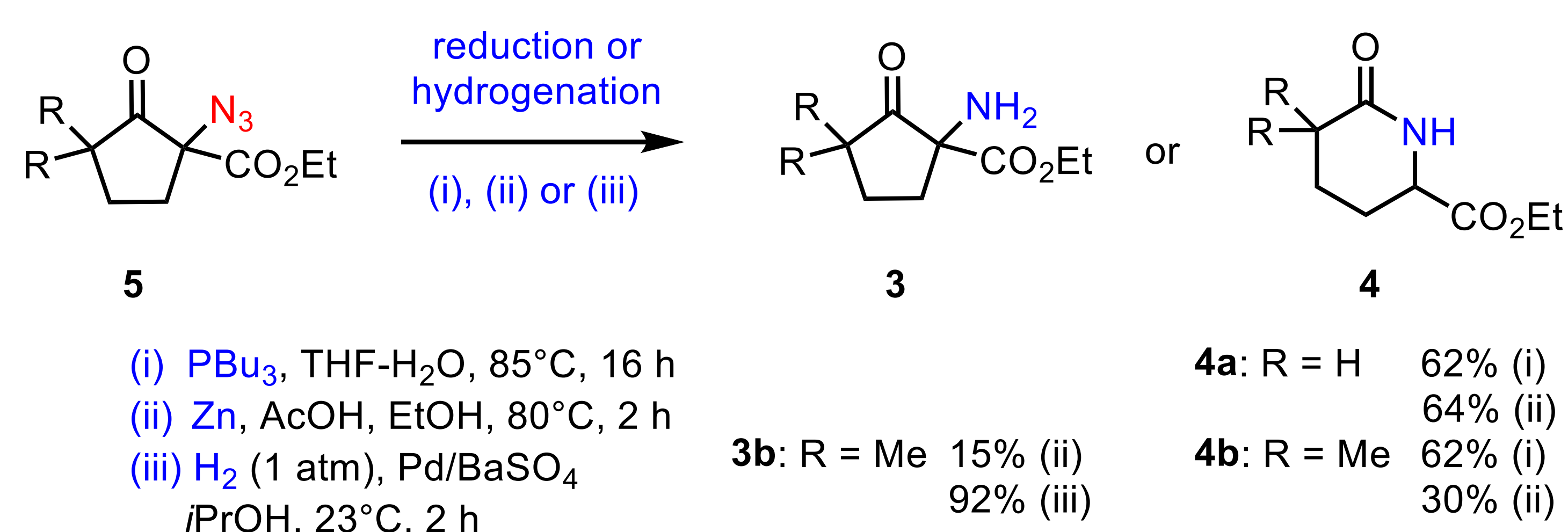
There are several methods to prepare lactams, e. g. through condensation reactions or with the aid of coupling reagents. The above mentioned observation inspired us to explore the possibility of an analogous ring transformation of  $\alpha$ -amino- $\beta$ -oxoesters **3**, which would lead to the corresponding lactams **4** (Scheme 2).



**Scheme 2.** Proposed ring transformation furnishing lactams **4**.

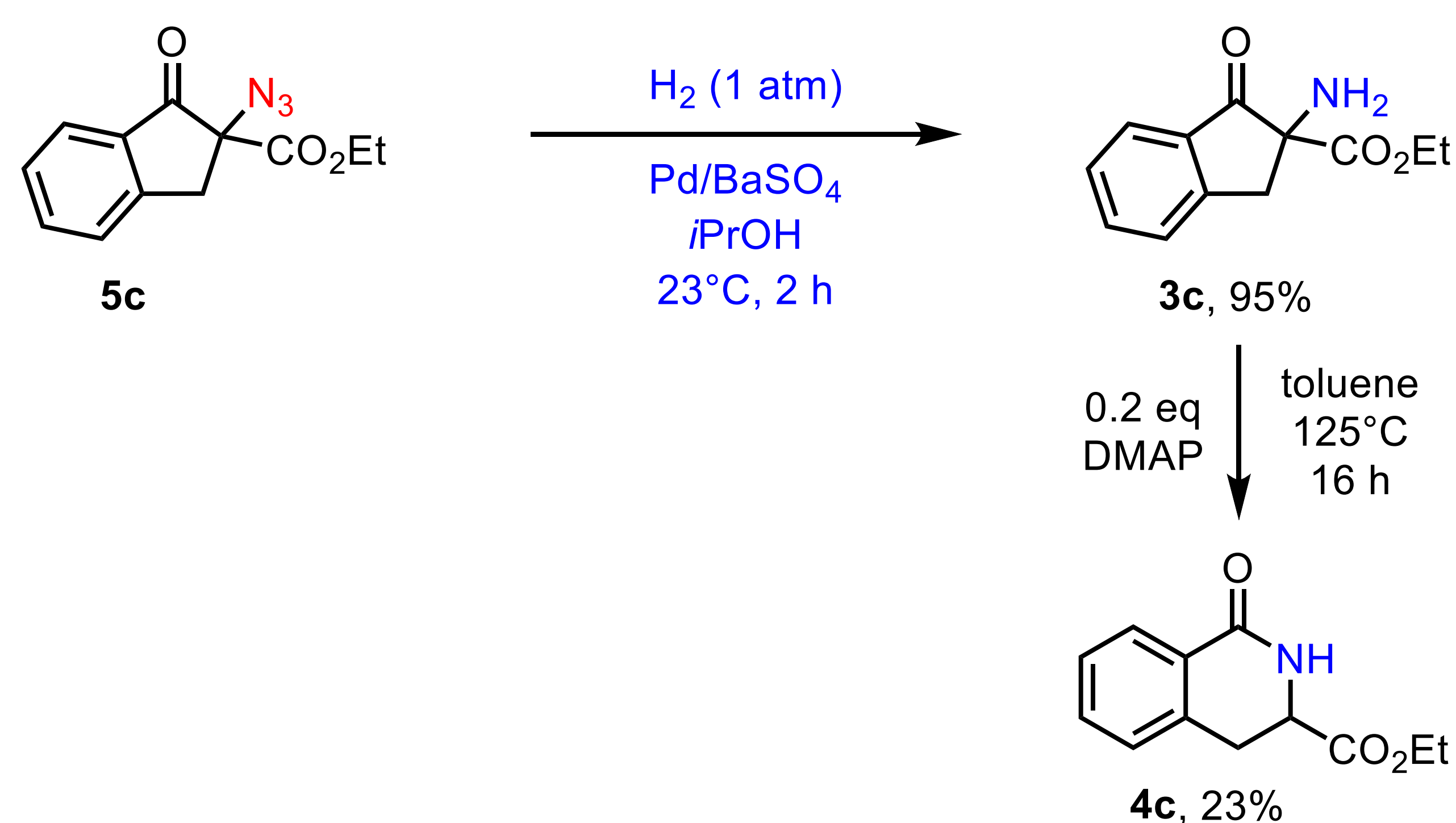
### First Results

Our first studies confirmed a possible ring transformation in some cases. The amino compounds **3** were obtained from the corresponding azido compounds **5** by reduction, either with  $\text{PBU}_3$  or  $\text{Zn}/\text{AcOH}$ , or catalytic hydrogenation (Scheme 3). The ring transformation of compounds **3a** and **3b** proceeded spontaneously.



**Scheme 3.** Reduction or catalytic hydrogenation of the azides **5** in order to obtain the amines **3** or lactams **4**.

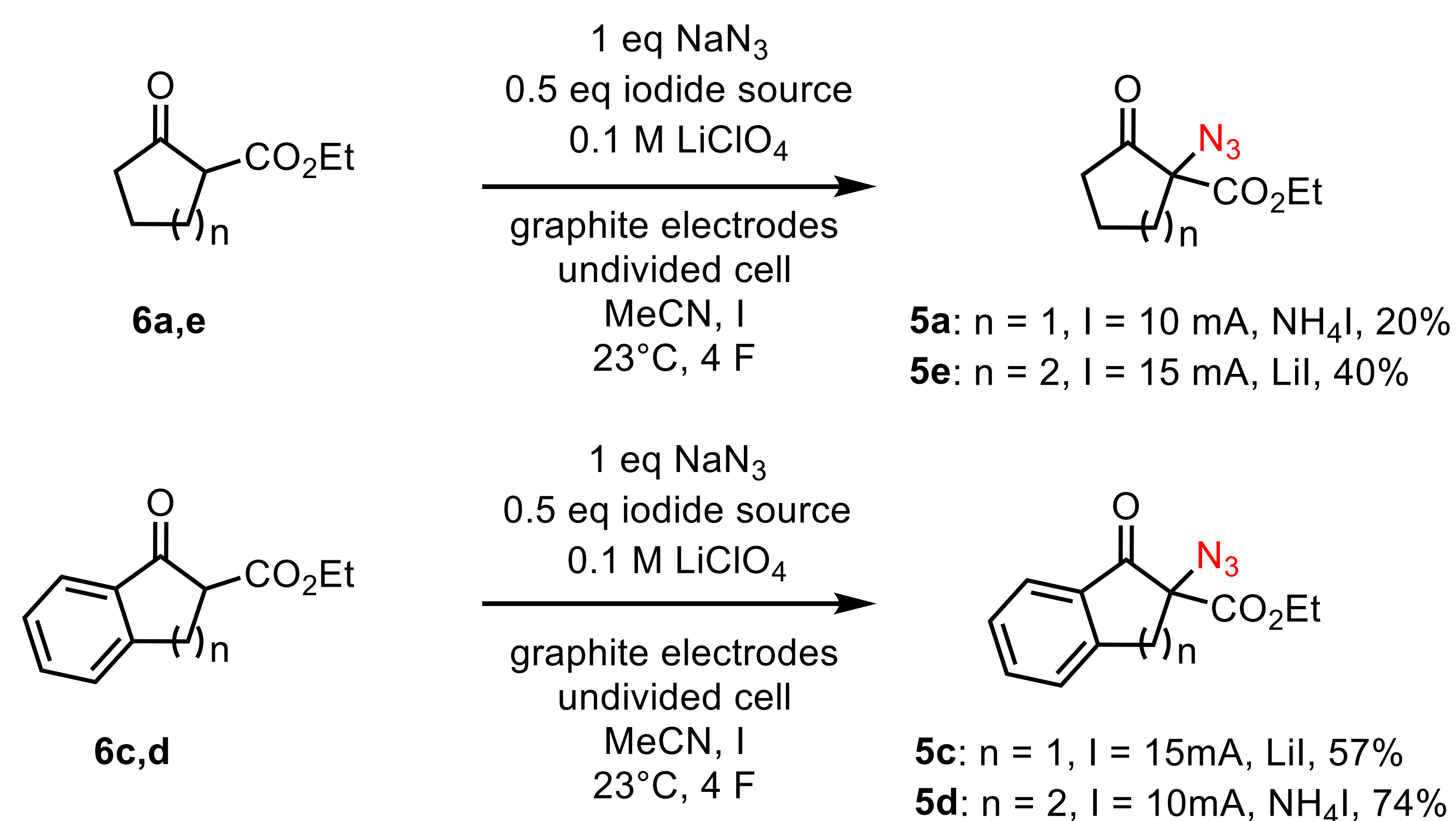
On the other hand, the ring transformation of indanone derivative **3c** did not proceed spontaneously, but required the aid of a catalytic amount of DMAP (Scheme 4).



**Scheme 4.** Hydrogenation of **5c** and subsequent treatment of **3c** with a catalytic amount of DMAP furnishing the lactam **4c**.

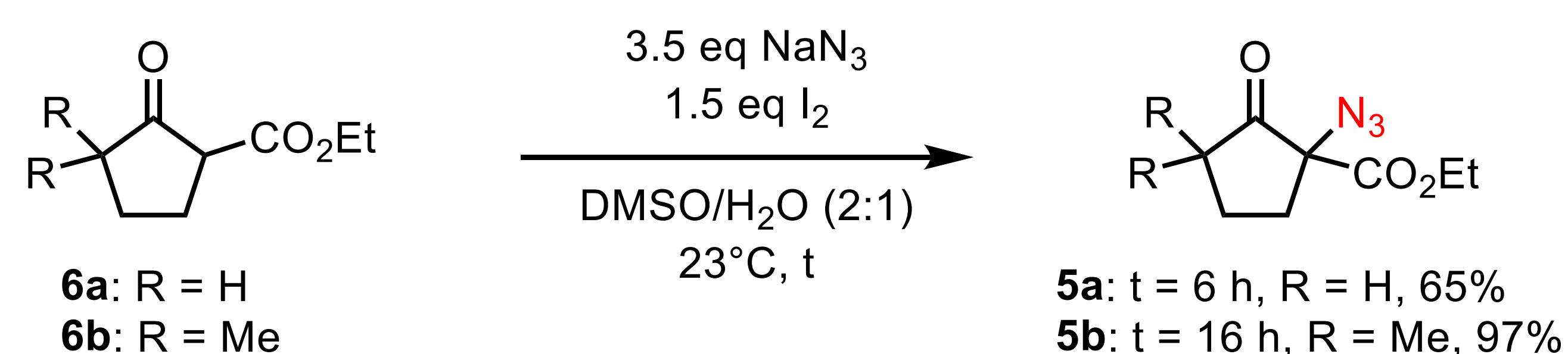
### Synthesis of the Azides

For atom economic reasons, we chose to investigate whether the azides **5** could be prepared electrochemically, which was achieved in some cases (Scheme 5).



**Scheme 5.** Electrochemical synthesis of azido compounds **5**.

Alternatively, the azides **5** could be prepared in a conventional setup with diiodine as oxidizing agent.<sup>[2]</sup> This presumably proceeds via an  $\alpha$ -iodo species (Scheme 6).



**Scheme 6.**  $\alpha$ -Azidation of oxoesters **6** following the literature.<sup>[2]</sup>

[1] D. Kieslich, J. Christoffers, *Org. Lett.* **2021**, *23*, 953-957.

[2] T. Yang, X. Fan, X. Zhao, W. Yu, *Org. Lett.* **2018**, *20*, 1875-1879.