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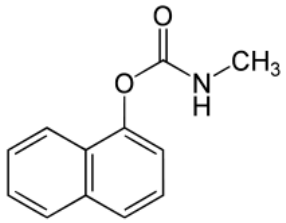
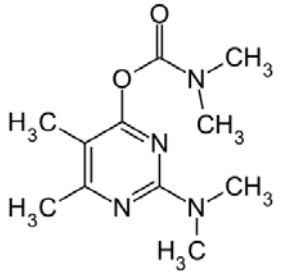
Synthesis of Heterocyclic Carbamates with Potential Activity in Plant Protection





Aryl- and heteroaryl carbamates such as ***Carbaryl*** or ***Pirimicarb*** are known since several decades as plant protection agents. Both carbamates are insecticides and act as inhibitors of the enzyme acetylcholinesterase. Because many pests develop resistances, new carbamate structures are of interest in plant protection research.

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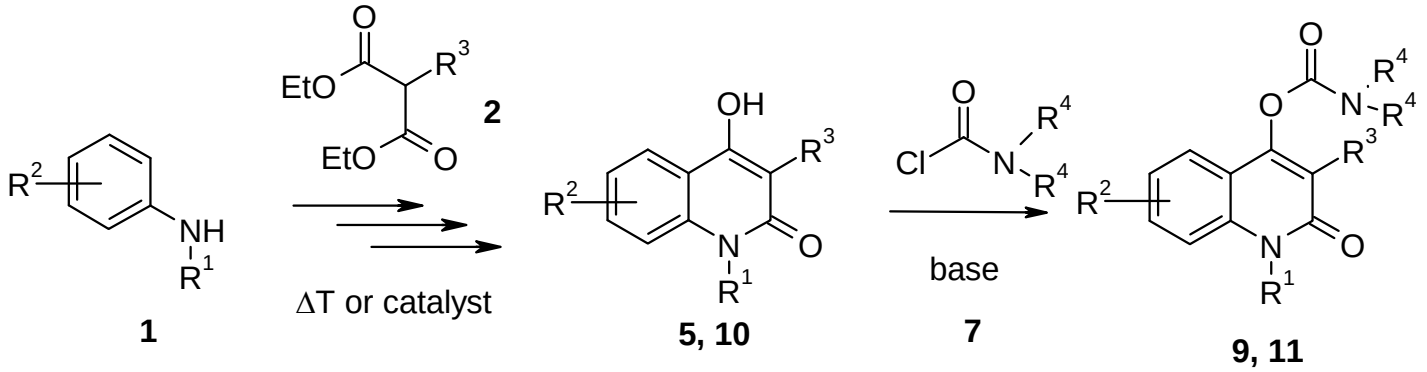
Carbamates from 4-hydroxyquinolones



R^1: H, Alkyl, Aryl
R^2: H, Alkyl, OMe, Cl
R^3: H, Alkyl, Aryl
R^4: Alkyl, Aryl

4-Hydroxyquinolines **5** (R^2=H) and **10** (R^2 = alkyl, aryl) are obtained from anilines **1** and malonates **2** by thermal or catalytic induced cyclization. They react with alkyl/arylisocyanates **6** or dialkylcarbamoylchlorides **7** under basic conditions to 4-carbamoyloxy-quinolones **8,9,11,12.**

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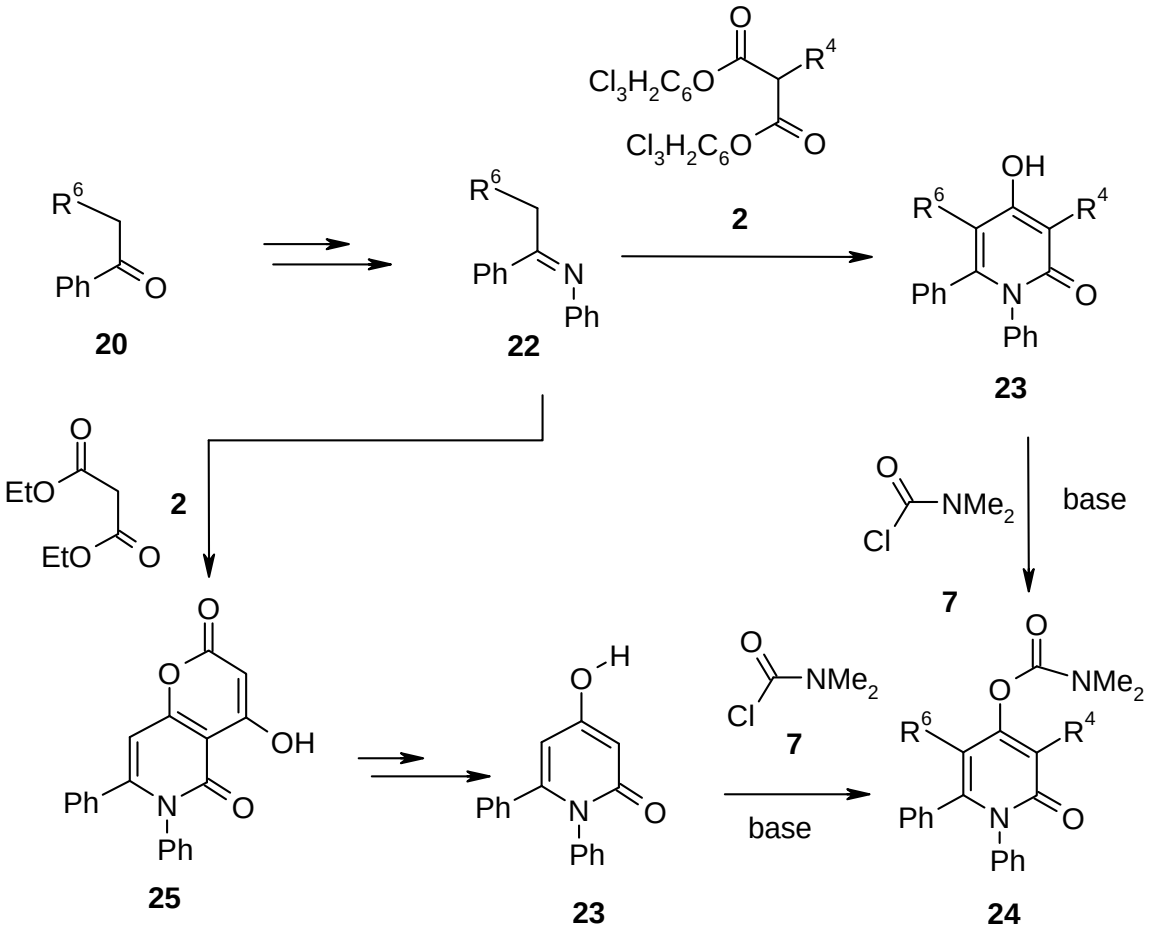
Carbamates from pyridones



Pyridones **23** are obtained from aniles **22** and malonates **2**, and further converted to carbamates **24.**

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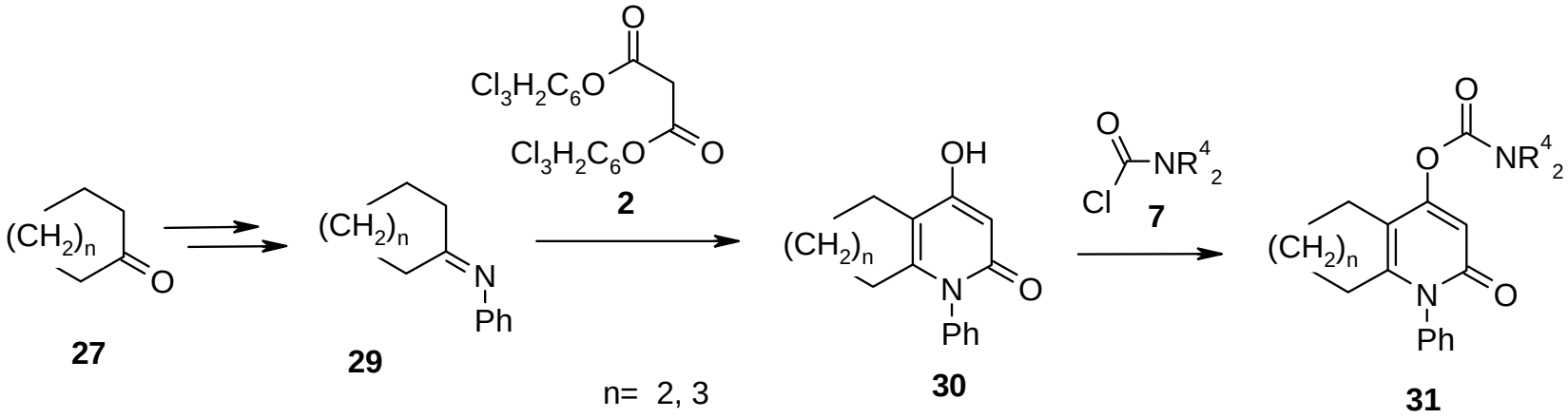
Carbamates from 4-hydroxy-tetrahydroquinolones and 4-hydroxy-cyclopenta[b]pyridones



Cyclohexanonanil (**29**, n=2) and cyclopentanonanil (**29**, n=3) cyclize with reactive malonates **2** to fused pyridones **30**, which form carbamates **31** by reaction with carbamoylchlorides **7**

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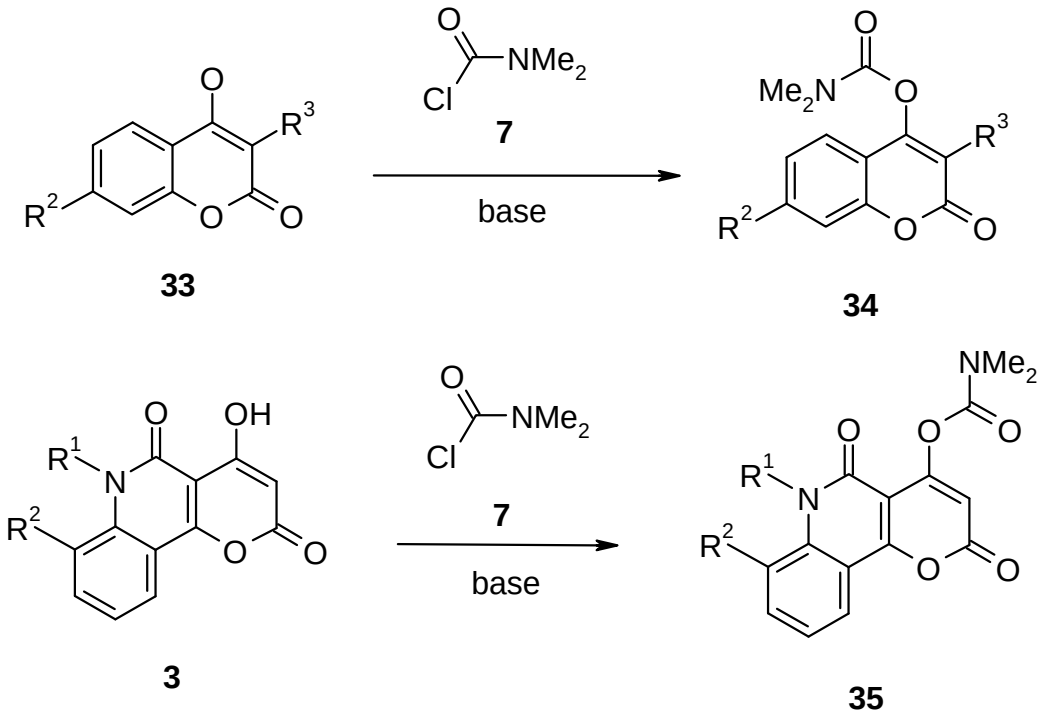
Carbamates from pyrones and fused pyrones



Pyrones such as coumarins **33** or pyranoquinolines **3** give carbamates **34** and **35.**

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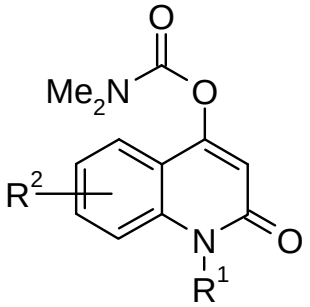
Conclusion



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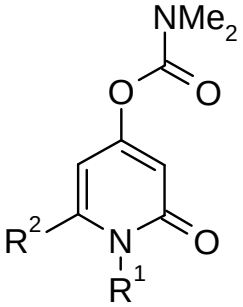

16

The evaluation of the biological activity shows, that representatives from structures **9** and **16** exhibit strong plant protection properties.