Efficient and divergent synthesis of polyfunctionalized 2-pyridones from β -keto Amides

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Supporting Information

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I. Experimental section

1. General procedure for the preparation of 3a-h

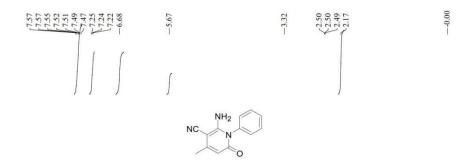
To a solution of β -Keto Amides 1 (1.0 mmol) and malononitrile 2 (1.1 mmol) in CH₂Cl₂ (10 mL) was added Et₃N (1.0 mmol) in one portion. The mixture was well stirred for 6 hours at reflux. When consumption of 1 was complete (TLC), the mixture was washed with saturated sodium chloride solution (10 mL \times 3) and dried over anhydrous MgSO₄. The organic solvent CH₂Cl₂ was then removed under reduced pressure and the residue was purified by recrystallization from ethanol to give product 3a-h.

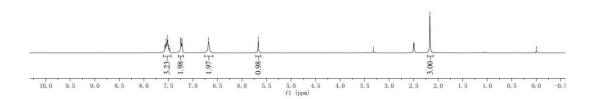
2. General procedure for the preparation of 4a-h

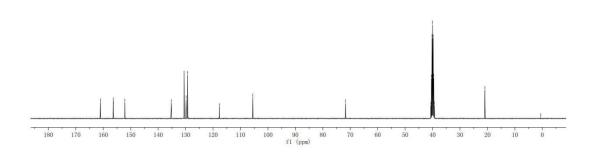
To a solution of β -Keto Amides 1 (1.0 mmol) and malononitrile 2 (1.1 mmol) in DMF (10 mL) was added 'BuOK (1.0 mmol) in one portion. The mixture was well stirred for 4 hours at room temperature. When consumption of 1 was complete (TLC), the mixture was poured into sat. aq NaCl (50 mL) under stirring. The precipitated solid was collected by filtration, washed with water (3×20 mL) and dried in vacuo to afford the product **4a-h**.

II. 1 H NMR and 13 C NMR spectra copies

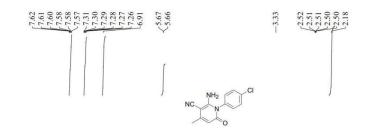
Compound **3a**

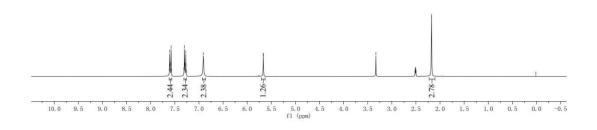


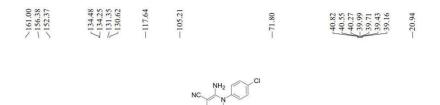


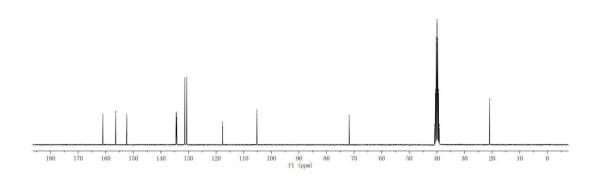


Compound **3b**

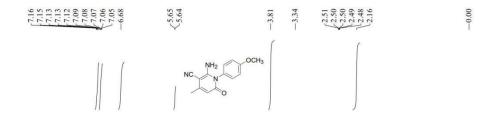


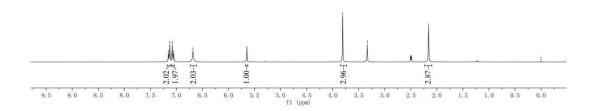


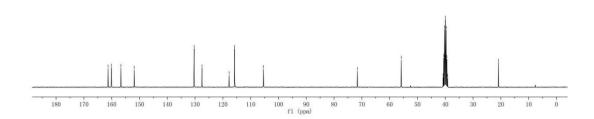




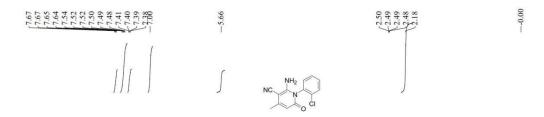
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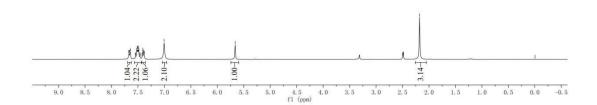


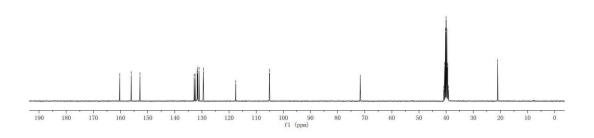




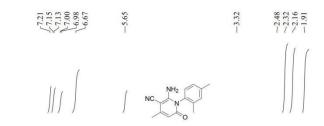
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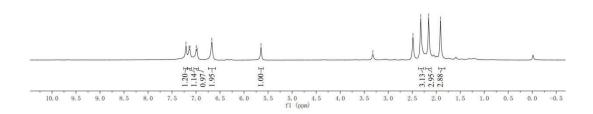


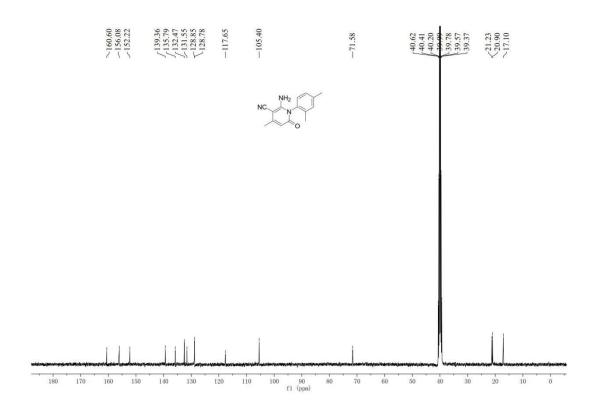




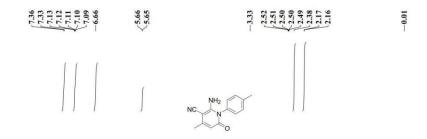
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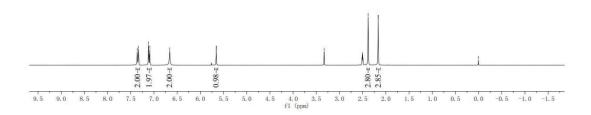


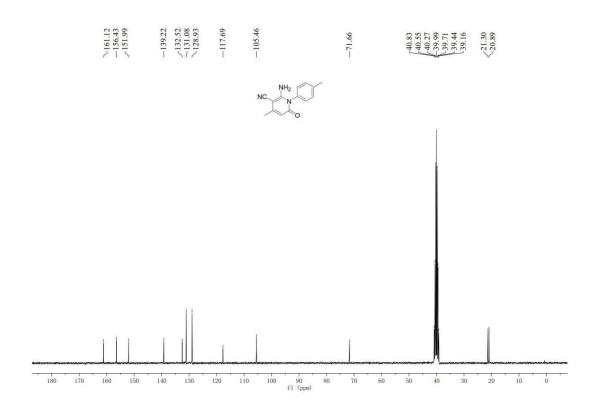




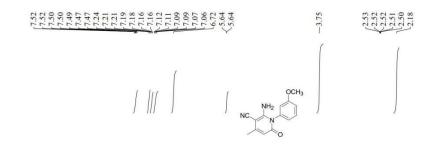
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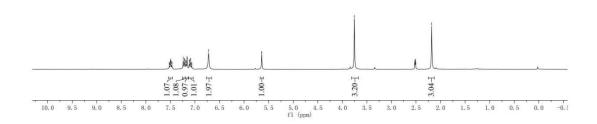






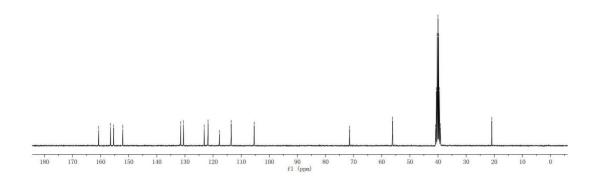
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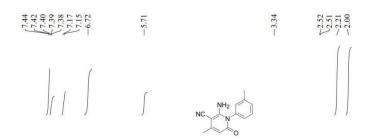


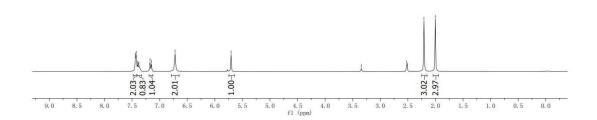






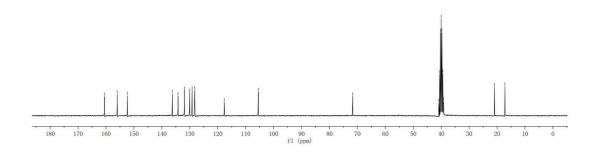
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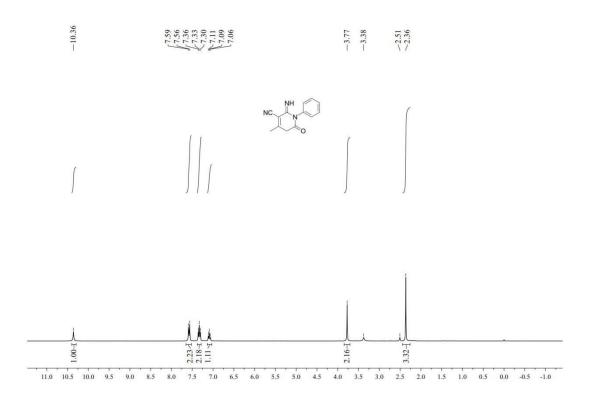


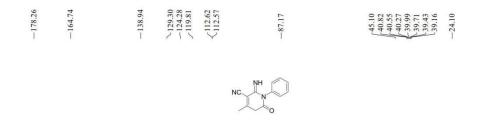


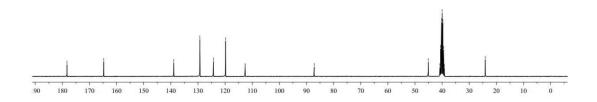




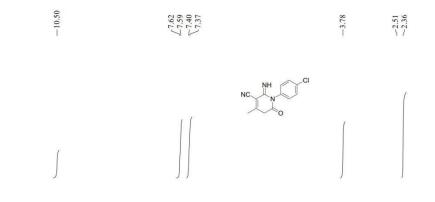
Compound 4a

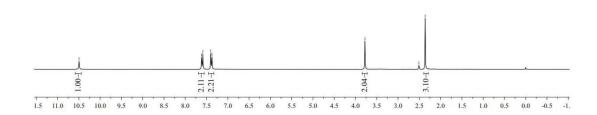


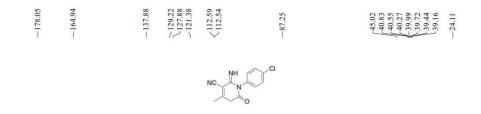


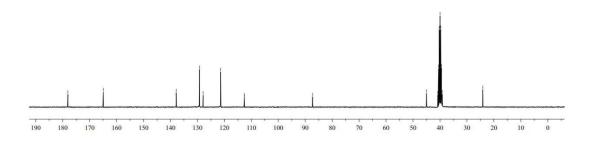


Compound **4b**

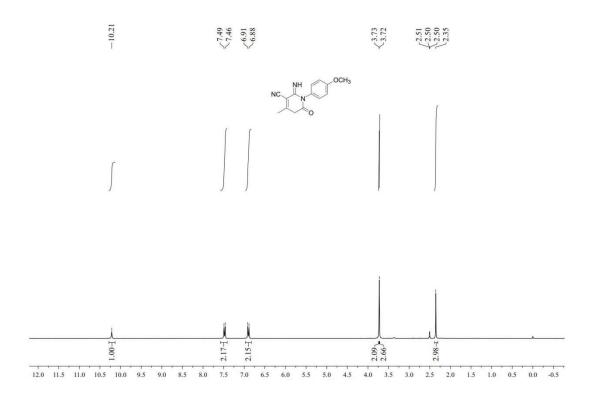






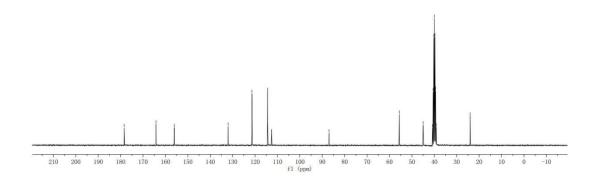


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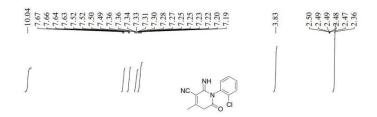


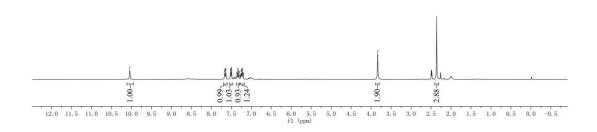


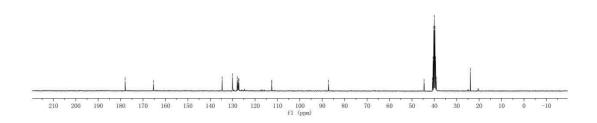




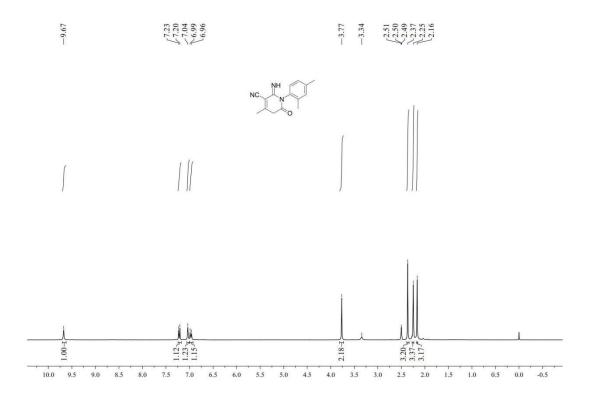
Compound 4d

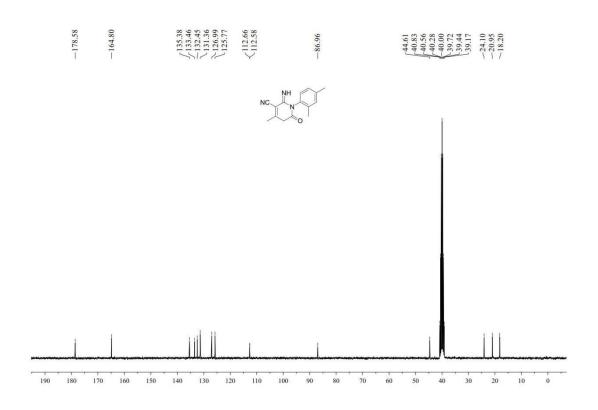




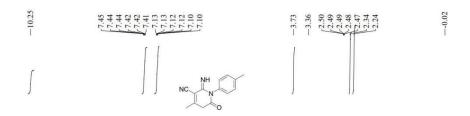


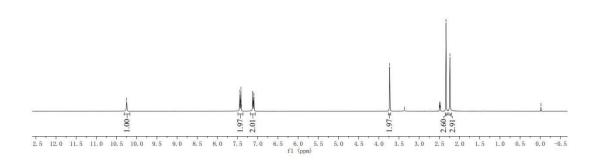
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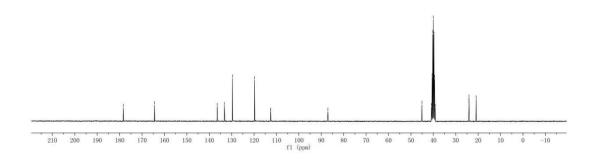




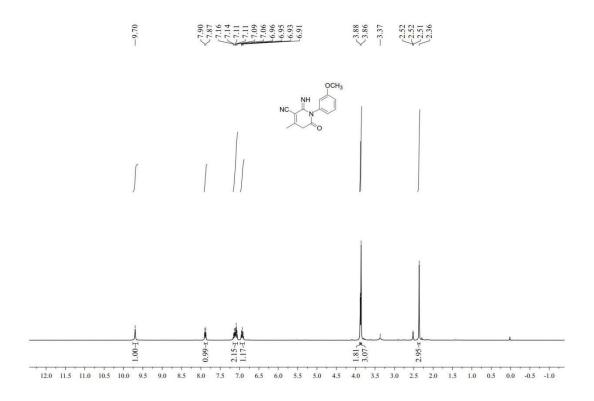
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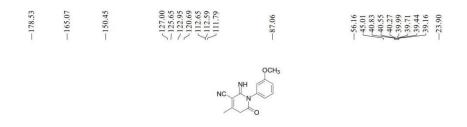


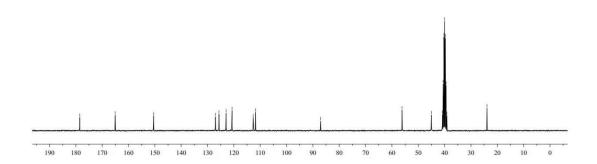




Compound 4g







Compound 4h

