

Supporting Information
For
**One-Pot Synthesis of Polyfunctionalized Quinolines *via* a Copper-
Catalyzed Tandem Cyclization**

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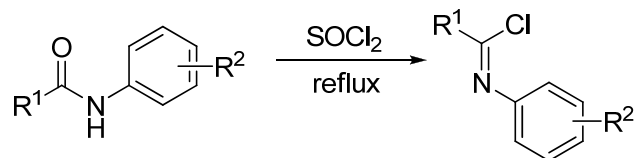
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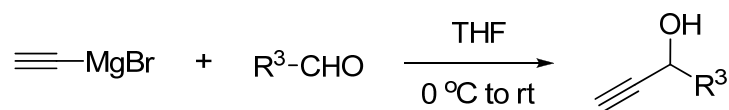
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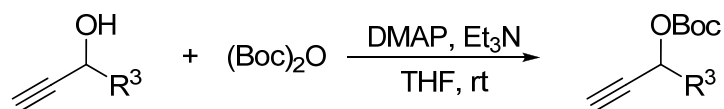
1. General procedure for substrates



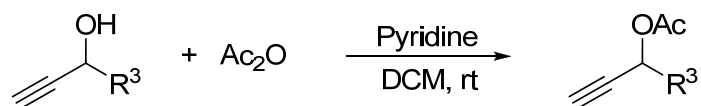
The amide (1.0 mmol) was added to SOCl_2 (2 mL, 27.5 mmol) at room temperature under a N_2 atmosphere. Then, the resulting solution was heated to reflux for 2 hours. Corresponding imidoyl chloride was obtained by the removal of excessive SOCl_2 , and the crude product could be directly used in the next step.



To a solution of the aldehyde (5 mmol) in dry THF (5 mL) was added ethynylmagnesium bromide (6 mmol) at 0 °C under N_2 atmosphere. The resulting solution was stirred for 1 hour at room temperature. The reaction was monitored by TLC, and then quenched by adding 20 mL water and extracted with ether (3×10 mL). The combined organics were dried over anhydrous Na_2SO_4 . After removal of solvent the residue, left was purified by flash column chromatography with silica gel using mixture of petroleum ether and ethyl acetate to give the title substrates.



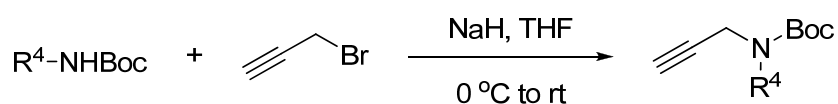
A mixture of $(\text{Boc})_2\text{O}$ (5 mmol), Et_3N (6 mmol) and DMAP (1 mmol) in THF (10 mL) were stirred at room temperature, followed by dropwise addition of the propargyl alcohol derivative (4 mmol). The reaction was monitored by TLC, and then quenched with aqueous NH_4Cl (20 mL) and extracted with ether (3×10 mL). The organic phase was washed with brine after which it was dried over anhydrous Na_2SO_4 , filtered and evaporated under reduced pressure. The crude product was purified by flash column chromatography on silica gel to give the title substrates.



To a solution of the propargyl alcohol derivative (4 mmol) in DCM (10 mL) was added pyridine (6 mmol) and acetic anhydride (5 mmol) at room temperature, and stirring was continued for 1 h. The reaction mixture was diluted with aqueous NH_4Cl (20 mL) and extracted with ether (3×10 mL). The combined organics were dried over anhydrous Na_2SO_4 . The crude product was purified by flash column chromatography on silica gel to give the title substrates.



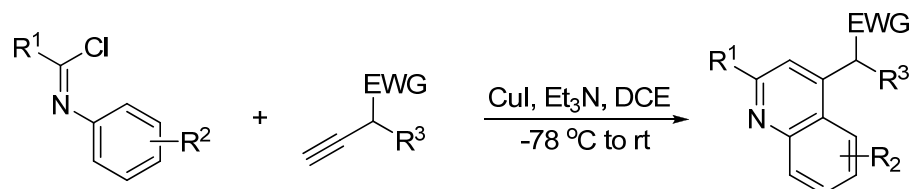
A mixture of amine (10 mmol), Et_3N (15 mmol) and DMAP (1 mmol) in DCM (20 mL) were stirred at room temperature, followed by dropwise addition of the $(\text{Boc})_2\text{O}$ (12 mmol). The resulting solution was stirred for 6 hours, and then quenched with aqueous NH_4Cl (20 mL) and extracted with ether (3×10 mL). The organic phase was washed with brine after which it was dried over anhydrous Na_2SO_4 , filtered and evaporated under reduced pressure. The crude product was purified by flash column chromatography on silica gel to give the title substrates.



Sodium hydride (6 mmol, 60% in mineral oil) was added to a solution of substrates (5 mmol) in dry THF (10 mL) at 0°C . The resulting mixture was stirred for 30 minutes at room temperature. Then, propargyl bromide (6 mmol) in dry THF (5 mL) was added slowly at 0°C and the solution was stirred for 2 h at room temperature. The reaction was monitored by TLC, and then quenched by adding 20 mL water and extracted with ether (3×10 mL). The combined organics were dried over anhydrous Na_2SO_4 . After removal of solvent the residue, left was purified by flash column

chromatography with silica gel using mixture of petroleum ether and ethyl acetate to give the title substrates.

2. General procedure for the reaction



Under nitrogen condition, CuI (0.05 mmol) was successively added to a 25mL vial equipped with a stir bar at -78°C . A solution of the imidoyl chloride (0.5 mmol) in DCE (2 mL) was added using a syringe. Then, the corresponding alkyne (0.6 mmol) was added to the mixture. Et₃N (0.75 mmol) was added at last. The reaction was stirred for 30 minutes at -78°C , and stirred for another 12 hours at room temperature. Solvent was removed in vacuoto leave a crude mixture, which is purified by silica gel column chromatography to afford pure product.

3. The ¹H and ¹³C NMR spectra of compounds

