

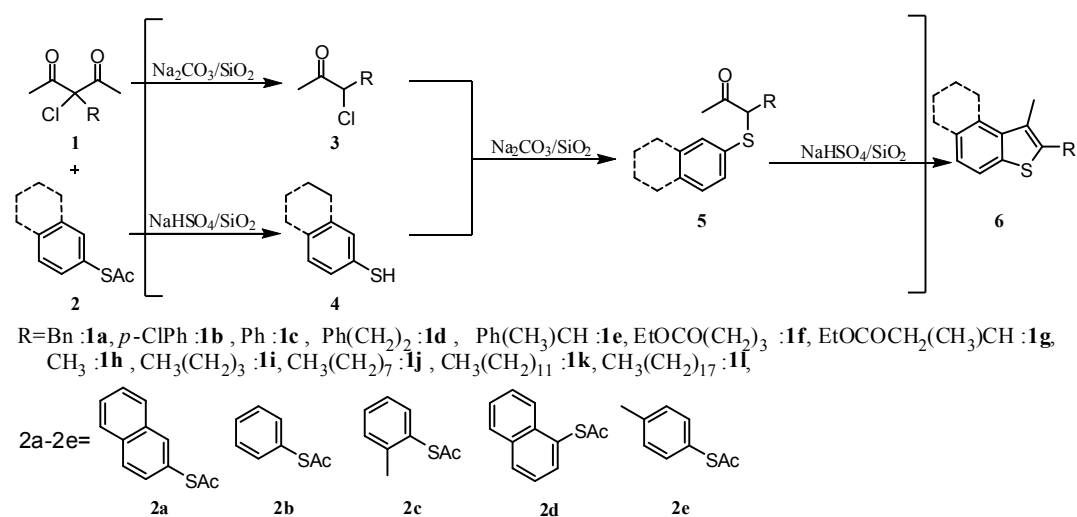
The title

One-pot synthesis of aryl thiophenes using NaHSO₄/SiO₂ and Na₂CO₃/SiO₂

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A novel one-pot reaction was developed for the synthesis of aryl thiophenes [6] from 3-substituted-3-chloro-pentanediones [1] and aryl thioacetates [2] using NaHSO₄/SiO₂ and Na₂CO₃/SiO₂. The reaction proceeded by initial conversion of 1 and 2 to α-halo ketones [3] and aryl mercaptans [4], respectively, using corresponding base and acid catalysts, followed by the reaction of 3 and 4 to give α-sulfanyl ketones [5] by Na₂CO₃/SiO₂, and successive cyclization to 6 by NaHSO₄/SiO₂; e.g. 2-benzyl-1-methyl-naphtho[2,1-b]thiophene [6aa] was obtained quantitatively from the reaction of 1a (1.0 mmol) and 2a (1.1 mmol) at 135 °C for 1 h in chlorobenzene. More than 40 aryl thiophenes were easily synthesized by using this method.



Scheme 1