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Microwave-assisted synthesis of 1, 8-naphthyridines in the solid state using silica sulphuric acid as catalyst

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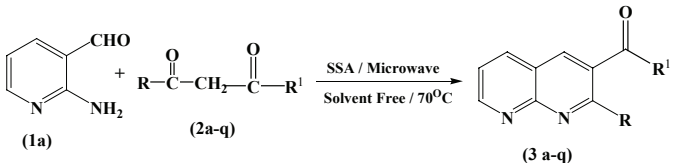
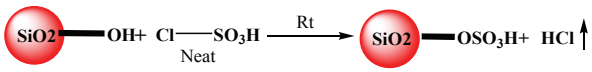
Naphthyridines are an important class of pharmaceutically active compounds as they have excellent biological activities, antibacterial, antimycobacterial, antitumor, antiinflammatory, antiplatelet, gastric antisecretary, antiallergic, local anaesthetic and benzodiazepine receptor activity. Nalidixic acid, for example, possesses strong antibacterial activity and used mainly for the treatment of urinary tract infections with gram negative pathogens. In addition, gemifloxacin is antimicrobial and antibacterial. It is felt to develop a simple and environmentally safe, solvent free method to synthesize 1,8-naphthyridine derivatives, as traditional methods need longer reaction time period and tedious working procedure. The Friedlander condensation of 2-aminonicotinaldehyde(1a) with carbonyl compounds(2a-q) containing α-methylene group in the presence of silica sulphuric acid (**SSA**) under solvent-free condition and microwave irradiation afforded 1,8-naphthyridines(3 a-q) in good yields (75-98%) in a short reaction time period (4-10 min). The present high yielding protocol for the preparation of 1, 8-naphthyridines provides a better alternative to the existing methods due to its shorter reaction time period, simpler reaction procedure and the formation of cleaner products that can be used for synthetic applications without further purification.



Silica sulphuric acid was easily prepared by reaction of silica gel with chlorosulphonic acid. The reaction is very clean and not requiring any work-up procedure because the evolved HCl gas can be removed from the reaction vessel immediately.



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