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MICROWAVE ASSISTED SOLVENT FREE FRIEDLANDER SYNTHESIS OF 1, 8-NAPHTHYRIDINES

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ABSTRACT

1, 4 - Diazabicyclo [2.2.2] octane (DABCO) catalyzed Friedlander condensation of 2-aminonicotinaldehyde **(1)** with carbonyl compounds containing α-methylene group **(2a-g)** has been achieved in solvent – free condition under microwave irradiation to give 1, 8-naphthyridines **(3a-g)**.

Key words : Friedlander condensation, 2-Aminonicotinaldehyde, DABCO, Microwave, 1, 8-Naphthyridines.

INTRODUCTION

In the last few years microwave–induced organic reaction enhancement (MORE) chemistry has gained popularity as a non-conventional technique for rapid organic synthesis and many researchers have described accelerated organic reactions and a large number of papers has appeared forming the synthetic utility of MORE chemistry in reactive organic synthesis[1-10]. It can be termed as 'e-chemistry' because it is easy effective, economical and eco–friendly and is believed to be a step towards green chemistry.

Naphthyridine derivatives continued to be of great interest due to a wide spectrum of their biological activity. Antibiotics of this group are being widely used for the diagnostics and chemotherapy of infectious diseases of humans including AIDS. Some of new 1, 8-naphthyridine derivatives including benzo[1, 8]naphthyridine have recently been patented as growth regulators, fungicides, bactericides, herbicides, insecticides and nemathocides of new generation[11-13], and they are also starting material for many naphthyridine derivatives. Thus due to their great biological importance and employment

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of these compounds as starting material for the synthesis of various linearly tri– and tetracyclic heterocycles of biological interest, the development of effective ways to synthesize these compounds utilizing inexpensive reagents continues to be an active area of research for synthetic organic chemists[14, 15].

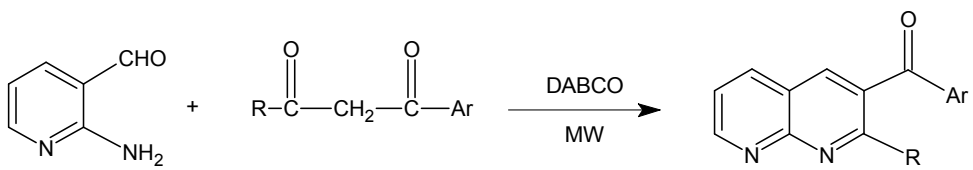
DABCO catalyzed organic reactions[16-22] are gaining importance owing to their inexpensive nature and special catalytic attributes in heterogeneous reactions. In view of this and in continuation of our ongoing program to develop environmentally benign protocols, We, herein, report DABCO catalyzed Friedlander synthesis of 1, 8-naphthyridines under microwave irradiation afforded 1, 8-naphthyridines (**3a-g)** in fairly good yields, avoids pollution problems, reduces reaction time and is completed in a few minutes.

EXPERIMENTAL

Melting points were determined in an open capillary tube with a Buchi melting point apparatus and are uncorrected. Elemental analyses were carried out using Perkin-Elmer 240C CHN-analyzer. IR spectra were recorded on a FT-IR spectrophotometer. ^{1}H NMR spectra was run in (DMSO-d_6) solvent at 300 MHz and 75 MHz on a NMR spectrophotometers (chemical shifts in δ ppm).

General procedure

To a mixture of 2–aminonicotinaldehyde (0.01 mole) and active methylene compound (0.01 mole) were mixed with DABCO (20 mol %) and mixture was subjected to microwave irradiation at 600W for specified time (Table 1). The completion of reaction was checked by TLC and poured in ice-cold water and worked up with dil. HCl. The solid separated was filtered, dried and recrystallized from acetonitrile afforded **(3a)**, as white power (86%), m. p. 215ºC. Similarly the reaction was extended to selected few other active methylene compounds (**2b-g)** and in all cases respective 1, 8-naphthridine derivatives (**3b-g)** were obtained in 74-86% yields.



(1) **(2 a-g)** **(3 a-g)**

Table 1 : DABCO catalyzed Friedlander synthesis of 1, 8 –naphthyridines

Compound	R	Ar	Time (min)	Yield (%)
3a	CH_3	C_6H_5NH	5.5	86
3b	CH_3	p- $CH_3C_6H_4NH$	5.0	74
3c	CH_3	p- $CH_3OC_6H_4NH$	6.0	80
3d	CH_3	p-ClC_6H_4NH	5.0	82
3e	C_6H_5	C_6H_5NH	5.5	78
3f	CH_3	C_6H_5	4.5	70
3g	C_6H_5	C_6H_5	5.0	76

CONCLUSION

In conclusion, the presented synthetic procedure is convenient, simple and high yielding microwave-assisted method for the synthesis of naphthyridines. Hence, in search of an efficient method and in continuation of our work on microwave assisted organic synthesis of condensed heterocycles, we have investigated a new, simple, enviro-friendly method for the preparation of naphthyridines under microwave irradiation.

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