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A Rapid and Efficient Synthesis of 2, 4, 6-Triarylpyridines under Microwave Irradiation

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Abstract: An rapid and efficient synthesis of 2, 4, 6-triarylpyridines is reported using substituted benzaldehydes, substituted acetophenones and ammonia as starting materials under microwave irradiation in the presence of PEG-400.

Keyword: 2,4,6-Triarylpyridines, substituted benzaldehydes, substituted acetophenones, ammonia, microwave irradiation.

It was well known that pyridine ring systems have represented an important class of compounds not only for their theoretical interest but also because they displayed strong biological activity[1]. Moreover, pyridine derivatives have remarkable versatility in synthetic organic chemistry as intermediates in the preparation of nature products and as ligands used in asymmetric synthesis[2]. Therefore, a wide range of procedures for the synthesis of pyridines have been reported and especially in the case of the preparation of 2, 4, 6-triarylpyridines[3]. It was reported that the reaction of pyrylium salts and aqueous ammonia in ether at room temperature for 2 h leads to 2, 4, 6-triarylpyridines[4], but the scope of this reaction has not been explored. In this paper, we first prepared a series of pyrylium salts with different ring substituents in the absence of solvent under microwave irradiation[5], and then easily obtained 2, 4, 6-triarylpyridines from pyrylium and ammonia using PEG-400 as PTC under microwave irradiation. The reactions are shown in **Scheme 1** and the corresponding results are shown in **Table 1**.

Scheme 1

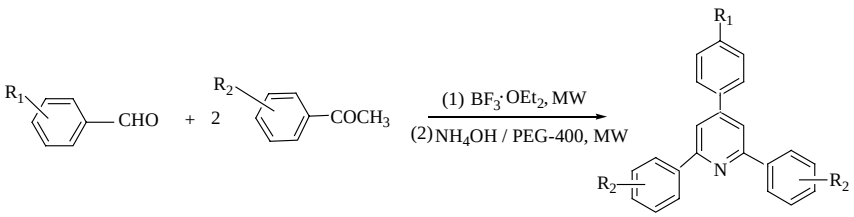

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Table 1 Synthesis of 2,4,6-triarylpyridines under microwave irradiation[a]

Entry	R_1	R_2	m.p(lit[3b])	yeild[b]	Recrystallization solvent
3a	H	H	133-135 (136-137)	96	EtOH
3b	H	4-Cl	188-190 (189-190)	94	MeCOOEt
3c	H	4-CH_3	158-160 (159-160)	95	EtOH
3d	H	4-Br	195-196 (192-194)	94	MeCOOEt
3f	4-CH_3	H	119-121(118-118.5)	92	EtOH
3g	4-CH_3	4-CH_3	178-180 (176-177)	91	MeCOOEt
3h	2-Cl	H	109-111 (112-113)	93	EtOH
3i	4-Cl	H	128-130(129-130[3c])	92	EtOH

a: All products were characterized by ^{1}H NMR, MS and elemental analysis b: Isolated yield

To determine the optimum condition of this reaction, we investigated the effects of microwave irradiation power and time in the presence of PEG-400. It was found that the highest yield of compounds can be obtained in 300 W for 3 min.

In summary, the application of microwave and PTC offers a simple mild, inexpensive and practical method for rapid synthesis of 2, 4, 6-triarylpyridines in excellent yield using substituted benzaldehydes and substituted acetophenones and ammonia as starting materials.

General procedure: According to the literature[5], we prepared a series of pyrylium salts in the absence of solvent. The dried 2, 4, 6-triarylpyrylium salts (0.1 g) suspended in aqueous ammonia (1 mL), followed by addition of PEG-400 (0.1 mL). Then the mixture was irradiated in microwave (300 W) in an open flask for 3 min. TCL was used for monitoring the completion of the reaction. The reaction mixture left to cool to room temperature. The 2, 4, 6-triarylpyridines were filtered and recrystallized once or twice from appropriate solvent to analytical purity.

Acknowledgments

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