

# ONE STEP SYNTHESIS OF ARYL-ACRIDINES USING AROMATIC ACID

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**Abstract :** One step preparation of aryl-acridines has been reported using barium chloride as a catalyst under microwave condition. The cyclization reaction involving mixture of diphenyl amine and aromatic acid under solvent free condition using barium chloride as a catalyst leads to formation of 9-aryl-acridine. Formation of title compounds was checked by TLC and confirmed by IR, <sup>1</sup>H-NMR Mass spectrometry.

**Keywords :** Barium chloride, microwave method, acridines.

## I. INTRODUCTION

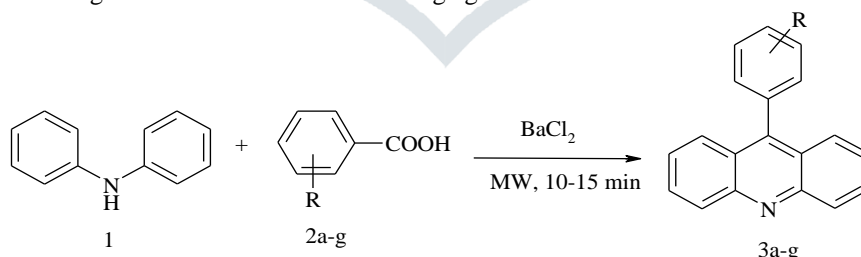
Barium chloride is an ionic water-soluble salt mostly used inexpensive, commercially available catalysts that can be easily separated and reused[1]. The use of barium dichloride as a Lewis acid catalyst in the synthesis of substituted coumarins via Pechmann cyclocondensation proved the catalytic efficiency under thermal and solvent-free conditions[2]. The extensive investigation was done by exploring one pot Biginelli reaction using barium chloride under different solvents. The high yield, mild and solvent-free reaction conditions explains the synthetic utility in accord with green chemistry criteria[3].

Microwave technique for one-pot cyclocondensation provides a number of advantages in synthesis of a series of novel five and six member ring containing nitrogen and in cyclization 1,3 dicarbonyl compounds with compound of nucleophilic character at atmospheric pressure in open vessel[4]. High density microwave irradiation has matured into a reliable and useful methodology for accelerating time consuming reactions[5]. Acridine is widely exploited pharmacophore in synthetic chemistry having practical application in the medicinal sciences. From the extensive literature survey it has been found that acridine and their derivatives exhibit anti-inflammatory, anti-tumour, antimalarial and anticancer activities[6-8]. The use of acridine nucleus as a vector leads to numerous clinical trials for DNA-targeting drugs studies is well known application and studies on acridine derivatives have been published recently, focusing on their therapeutics properties against cancer, parasites and bacteria[9-11].

Keeping in view of biological significance, Here-in we report an efficient MW synthesis of 9-aryl-acridines using BaCl<sub>2</sub> as a catalyst under microwave condition.

## II. EXPERIMENTAL

Melting points were determined on a digital melting point apparatus (Veego, VMP-D) and are uncorrected. All chemicals used were of AR grade. All MW irradiation experiments were carried out in synthetic microwave oven with continuous irradiation of power 120W. Purity of title compounds checked by TLC till single spot is observed. The IR spectra were recorded on Agilent Cary 630 FTIR spectrophotometer using KBr disc. <sup>1</sup>H-NMR spectra were obtained on a Bruker-Avance-600 MHz spectrophotometer in CDCl<sub>3</sub> using tetramethyl silane as internal standard. Mass spectral measurements were carried out by EI method on a Jeol, JMC-300 spectrometer at 70 eV. Antimicrobial screening of title compounds was performed against *E. coli* and *S. aureus* using agar well diffusion method.



**Scheme 1:** 9- Substituted-aryl-acridines, 3a-g

### 9-phenyl-acridines, 3a

9-phenyl-acridine (**3a**) was prepared by irradiating the mixture of diphenyl amine and benzoic acid using BaCl<sub>2</sub> as a catalyst under microwave condition for 10-15 min., progress of reactions monitored by TLC, crude solid was recrystallized from absolute alcohol in cold condition and identified as 9-phenyl-acridine (**3a**).

Similarly 9-aryl-acridines (**3b-g**) were prepared by irradiating the mixture of diphenyl amine (1) and various aromatic acid (**2b-g**) using BaCl<sub>2</sub> as a catalyst under microwave condition.

## III. RESULTS AND DISCUSSION

Table 1: Analytical data compounds, **3a-g**

Compounds <b>2a-g</b>	Product <b>3a-g</b>	Yield (%)	m.p. (°C)
Benzoic acid	9-phenyl acridine	82 %	115°C
<i>p</i> -chloro benzoic acid	9-(4-chloro-phenyl) acridine	84.30 %	108°C
<i>p</i> -methoxy benzoic acid	9-(4-methoxy-phenyl) acridine	70 %	124°C
<i>p</i> -amino benzoic acid	9-(4-amino-phenyl) acridine	79.93 %	117°C
<i>o</i> -amino benzoic acid	9-(2-amino-phenyl) acridine	68 %	126°C
Pthallic acid	<i>o</i> -acridinyl benzoic acid	80 %	130°C
Cinnamic acid	9-styryl acridine	82.45 %	110°C

The diphenyl amine on cyclo-condensation with aromatic aldehydes under microwave condition using BaCl<sub>2</sub> as a catalyst resulted the title compounds 9-aryl-acridines and spectral analysis fully supported the formation of the structures of the compounds **3a-g**. The IR spectrum of compounds showed characteristic peak at 1506-1530 cm<sup>-1</sup> for aromatic carbon double bond group [12]. In <sup>1</sup>H-NMR spectrum signal at 6.8-7.2 ppm for aromatic ring and at 7.6-8.0 ppm for acridinyl ring [13] were observed. In mass spectrum base peak observed at m/z 178.22.

On observing the results, it is concluded that title compounds 9-aryl-acridines **3a-g** have been prepared by using reusable BaCl<sub>2</sub> catalyst employing microwave heating method provides clean, inexpensive protocol and study will be of great importance to those involved in drug discovery.

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