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SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF SOME BIPHENYL DERIVATIVES

K. JENNY, K. VASANTHA, B. SRAVANI, P. SINDHU, CH. ANUSHA, D. VISAGAPERUMAL[*] and N. ANBALAGAN[a]

Bapatla College of Pharmacy, BAPATLA – 522101, Dist. Guntur (A.P.) INDIA
[a]Pydah College of Pharmacy, KAKINADA – 533003, Dist. West Godavari (A.P.) INDIA

ABSTRACT

Some of the biphenyl compounds were synthesized and these compounds were screened for the antibacterial activity. The derivatives were synthesized using different substituted amines to the chloro biphenyl derivative. All the compounds were characterized using IR and NMR data. The antibacterial activity were screened against gram positive organism like *Bacillus subtilis* & *Staphylococcus epidermidis* and gram negative organism against *E. Coli* & *Pseudomonas aeruginosa*. All the compounds show a moderate to good activity against the selected strains.

Key words: Biphenyl, Chloroacetyl chloride, Amines, Anitibacterial.

INTRODUCTION

Biphenyl derivatives posses a wide range of biological activities like antimicrobial[1,2] (antifungal and antibacterial), anti-inflammatory[3], antihypertensive[4], antiviral[5], anticancer[6], diuretic[7] and antidiabetic[8] activities. These biological activities paved an idea for us to synthesize a moiety containing biphenyl group. In the present paper, the compounds are prepared as follows : Biphenyl is treated with acetyl chloride to produce 1-(biphenyl-4-yl)-2-chloroethanone, **(1)** and this compound is treated with different amine so as to prepare 1-(biphenyl-4-yl)-2-(substituted amino) ethanone **(2) (Scheme 1)**. The compounds were characterized using IR & NMR spectra and they were investigated for their antibacterial activity.

EXPERIMENTAL

The melting points were recorded by open capillary method and are uncorrected. IR

[*]Author for correspondence; E-mail: vishak_dr@yahoo.co.in

spectra were recorded on a Shimadzu FTIR 8300 spectrophotometer using KBr pellets. The ^{1}H NMR spectra were recorded in Laila Implex Labs, Vijayawada.

Synthesis of 1-biphenyl-4-yl-2-chloroethanone (1)

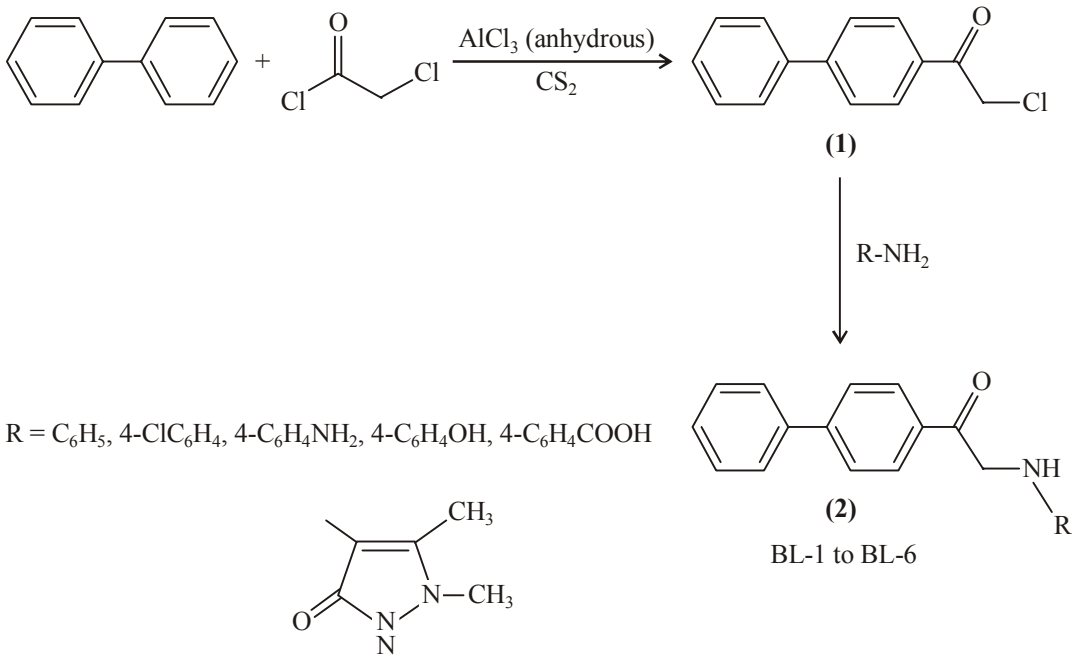
In a 250 mL three necked flask provided with a dropping funnel and reflux condenser, 0.01 mol of biphenyl, 0.01 mol of finely powdered anhydrous aluminum chloride and 35 mL of anhydrous carbon disulphide were placed. In the dropping funnel, 0.01 mol pure chloroacetyl chloride was taken and reflux is closed with a calcium chloride guard tube. The mixture was heated on a waterbath until gentle reflux commenced and chloroacetyl chloride was added dropwise slowly, the addition product had made its appearance as a curdy mass and when about three quarters of the chloroacetyl chloride was added, the reaction micture was refluxed gently for an hour. The reaction mixture was cooled and then poured slowly with stirring onto crushed ice, to which hydrochloric acid was added. The product was filtered and washed with water to remove traces of hydrochloric acid and dried. It was re-crystallized from methanol.

Synthesis of 1-(biphenyl-4-yl)-2-(substituted amino) ethanone (2)

A mixture of 0.01 mole of acetyl chloride derivative of biphenyl was taken in dry round bottom flask and ethanol was added as solvent. Different aromatic amines were added in 0.01 mole concentrations and refluxed for 2 hr. After reflux, the reaction mixture was added to crushed ice. The precipitate formed was filtered and recrystallized using distilled alcohol. The physical data of the synthesized compounds are recorded in Table 1.

RESULTS AND DISCUSSION

In vitro antibacterial activity was done for the synthesized compounds against the gram positive organism like *Bacillus subtilis* NCIM 2063, *Staphylococcous epidermidis* NCIM 2493 and Gram negative organism like *Escherisia coli* NCIM 2118, *Pseudomonas aeruginosa* NCIM 2036 by cup plate method[9,10]. Three different concentrations of 500, 250, and 100 µg per well was prepared for all compounds. Ciprofloxacin was used as a standard reference and DMF was used as a control. The petri dishes were incubated at 37 ± 1^{o}C for 24 hr. The diameter of zone of inhibition for each compound was measured in millimeter and the results are presented in Table 2. This study reveals that the most of the compounds exhibited inhibitory activity. All the compounds had shown good activity, when screened against *Bacillus subtilis*. BL-3 and BL-4 had shown mild activity against the *Staphylococcous epidermidis*, *Escherichia coli* & *Pseudomonas aeruginosa* and all other compounds show good activity with this organism.



Scheme

Table 1: Physical data of the synthesized comounds

Comp.	R	MP (^oC)	% Yield	R_f	Spectral data
BL-1	C_6H_5	146	68	0.30	IR (cm^{-1}): 1558, 1689, 3392, 1215, 1398 ^1H NMR (δ): 4 (s, 1H, NH), 4.32 (s, 2H, CH_2), 6.1-8.2 (m, 14 H, ArH)
BL-2	4-ClC_6H_4	104	72	0.22	IR (cm^{-1}): 1557, 1690, 3363, 1214, 835
BL-3	4-$C_6H_4NH_2$	101	67	0.18	IR (cm^{-1}): 1514, 1602, 3056, 1281, 1403, 3382
BL-4	4-C_6H_4OH	107	60	0.10	IR (cm^{-1}): 1558, 1601, 3055, 1216, 1512, 2918
BL-5	4-C_6H_4COOH	105	62	0.15	IR (cm^{-1}): 1558, 1600, 3363, 1214, 1397, 1689, 2941 ^1H NMR (δ): 4.1 (s, 1H, NH), 4.33 (s, 2H, CH_2), 6.2-8.4 (m, 14H, ArH), 11.2 (s, 1H. CO-OH)
BL-6	4-Antipyrine	108	58	0.26	IR (cm^{-1}): 1599, 1689, 3362, 1213, 1396

Table 2: Antibacterial activity

Compound	Zone of inhibition (mm) of the synthesized compounds											
	Bacillus subtilis			***Staphylococcous epidermidis***			***Escherisia coli***			***Pseudomonas aeruginosa***		
	500 µg/ well	**250 µg/ well**	**100 µg/ well**	**500 µg/ well**	**250 µg/ well**	**100 µg/ well**	**500 µg/ well**	**250 µg/ well**	**100 µg/ well**	**500 µg/ well**	**250 µg/ well**	**100 µg/ well**
BL-1	26	25	24	15	13	12	11	9	8	20	13	10
BL-2	27	26	25	16	15	14	12	11	10	18	14	12
BL-3	14	13	12	3	2	1	3	2	0	1	0	0
BL-4	16	15	12	3	2	1	4	2	1	4	2	0
BL-5	26	24	23	13	13	14	13	8	5	15	14	12
BL-6	25	24	23	14	13	12	11	10	6	16	14	11
Standard		29			18			18			19	

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