Volume 8 Issue 5



Organic CHEMISTRY

Trade Science Inc.

An Indian Journal Short Communication

OCAIJ, 8(5), 2012 [187-189]

Studies of antibacterial activity of some new N-alkyl and N-alkyloxy phthalimides

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ABSTRACT

In the present investigation, all the synthesized compounds of phthalimides have been tested for their bacterial potency against different bacteria, such as *Bacillus subtilis, Escherichia coli, Proteus vulgaris and Staphylococcus aureus* species. © 2012 Trade Science Inc. - INDIA

KEYWORDS

Neat reaction technology; N-alkyl phthalimide; N-alkyloxy phthalimide; Antibacterial activity; SAR.

INTRODUCTION

Imide group is an interesting functionality, due to its wide presence in the natural products and in the pharmacologically active compounds. Compounds containing phthalimide moiety are distinguished by their potent fungicidal action^[1-3]. The well known products namely, Capton [N-(tri chloro methyl-thio) tetra hydro phthalimide], Folpet [N-(tri chloro methyl- phthalimide] has industrial importance as the starting material for producing anthranilic acid by Hoffmann degradation and a large number of primary amines can be produced by the Gabriel synthesis^[4].

Phthalimides are important synthetic intermediates to prepare primary amines, agricultural pesticides and also used in preservatives, pigments and pharmaceuticals^[5-7]. The phthaloyl group is a well-established protective group for primary amines^[8] in various types of compounds, particularly peptides^[9], aminoglycosides^[10,11] and β -lactum antibiotics^[12].

MATERIALS AND METHOD

On the basis to established an effective structure activity relationship we have been synthesized compounds of N-alkyl and N-alkyloxy phthalimides by Neat Reaction Technology that is if neat reactants subjected to microwave irradiation gave the required products more quickly and with better yield in comparison to the traditional methodologies. (Scheme 1).

The homogenous mixture quickly turned solid at room temperature and led to the isolation of pure phthaloyl compounds in good yield with shorter reaction period. And tested of all synthesized compounds their bacterial potency such as *Bacillus subtilis*, *Escherichia coli*, *Proteus vulgaris and Staphylococcus aureus* species.

ANTIBACTERIALACTIVITY

Bioassay is an important and crucial in evaluation

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of bioactivity of the compounds and helpful to establish structure-activity relationships (SAR).



b) -p-CH₃-C₆H₄ d) -p-OCH₃-C₆H₄ f) -C₁₀H₇ Scheme 1

The bacterial strains were subcultured on nutrient agar and paper disc agar method was used for the evaluation of antibacterial activity^[13,14]. To each Petri plate, 20 ml of sterilized medium was added. After the agar had set, 10 % of inoculum (suspension culture) was added to each Petri plate and spread thoroughly by rotatory motion of the plate. Sterilized Whatman No. 1 filter paper discs (6 mm diameter) were thoroughly moistened with a 20 mg/ml solution of the compound(s) derivative(s) in acetone and placed on the seeded agar plates. Paper discs moistened with acetone, were placed on the surface of seeded Petri plates as a control. The plates were incubated at 37°C for 24 hrs. A clear zone of inhibition around the paper disc demonstrated the relative susceptibility of the bacteria to the synthesized derivatives. The bactericidal potency is proportional to the diameter (mm) of the zone of inhibition. The experiments were performed in duplicate and the average of the measured zones of inhibition was considered.

The influence of antibacterial activity on structural modification, consider an aniline as a parent, their modification that is substituted N-chloro acetyl aryl amines (**1a-f**) and these compounds coupling with phthalimide (**4a-f**) as well as N-hydroxy phthalimide (**5a-f**) moieties.

All these compounds were evaluated for antibacterial efficacy against four bacteria species, viz. (*Ba*- *cillus subtilis, Escherichia coli, Proteus vulgaris and Staphylococcus aureus*). The results are summarized in TABLE 1.

TABLE 1 : Antibacterial activity	of all	synthesized	com-
pounds			

	Zone of inhibition in mm at concentration					
Compounds	of 20 mg / ml					
	E. coli	B. subtilis	P. vulgaries	S. aureus		
Aniline		09		08		
1a	15	14	34	17		
1b		10	16	13		
1c	09	10	32	10		
1d	08		25	11		
1e	07		22	08		
1f	10	10	24	16		
4a	10	13	13	14		
4b		12	08	15		
4c		12	08	22		
4d		17	10	18		
4e		14	10	12		
4f		13	11	11		
5a		11	07	08		
5b		11	06	10		
5c	06	10	06	07		
5d	10	09	07	08		
5e		09	07	10		
5f	08	10	08	10		

RESULTS AND DISCUSSION

All the synthesized compound possesses antibacterial activity. N-chloro acetyl aryl amines compounds (**1a-f**) shows higher activity than N-alkyloxy phthalimides (**5a-f**), while N-alkyl phthalimide compounds (**4a-f**) than the compounds (**1a-f**), except (**1a**) and (**1f**).

In overall antibacterial bioassay, all the synthesized compounds showed very good antibacterial potency against all four test bacteria species than parent, aniline, at 2 % test concentrations.

The activity order among these three series (1a-f), (4a-f) and (5a-f) compounds was found to be as

1a-f > 4a-f > 5a-f > Parent-Aniline

The bioassay clearly reveals that the structural modification from parent aniline to N-chloro acetyl

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aryl amines (**1a-f**) and then coupling with phthalimides (**4a-f**) and N-hydroxy phthalimide (**5a-f**) will be beneficial in the field of pest management for designing the active molecules.

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