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RESEARCH ARTICLE

Synthesis and antibacterial activity of 1-(-4-Methyl Phenyl) -2-(3-Bromo Phenyl) -4- (4-substituted benzylidene) -5-imidazolones

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ABSTRACT

The proposed work involves synthesis of some new imidzolones from bromo substituted oxazolones in presence of zeolite as a catalyst. The bromo substituted oxazolones in turn were obtained from bromo benzoyl glycine and variedly substituted aromatic aldehydes in presence of acetic anhydride and anhydrous sodium acetate. The eight variedly substituted compounds were prepared by this method. Reflux time in the synthesis of 5-imidazolones was significantly reduced by employing Zeolite as a catalyst. The characterisation of these compounds was made by chemical properties, elemental analysis as well as spectral analysis (like IR,^{1}H-NMR). The synthesized compounds were screened for antimicrobial activity against the test organisms *E.coli, S.typhi, P.vulgaris, B.subtilis, S.aurus* and *S.pneumoniae*. The compounds containing -OCH_3,-$N(CH_3)_2$,-NO_2 and -OH group showed maximum activity against *S.typhi B.subtilis* and *E.coli* pathogens.

Keyword: Bromo Benzoyl Glycine, Oxazolones, Zeolite Catalyst 5-Imidazolones.

INTRODUCTION

Imidazolones are well known bioactive compounds. They are believed to be associated with several pharmacological activities. Many natural products are believed to contain imidazolones. For example leucetta [1-2] and Oroidin [3] families have been identified which contained either a 2-aminoimidazol or 2-imidazolone moiety [4-5]. Fozooni and Tikdari [6] reported the synthesis of imidazolones from 5(4H)-oxazolones and ammonium acetate under microwave irradiation using graphite as support in an eco friendly process. Bhardwaj et al [7] studied microwave induced synthesis and pharmacological properties of 4-(substituted-benzylidene-2-phenyl (-5-pyridine-4-yl-1,3,4-thaidiazol-2-yl)-5-imidazolones. Solankee and co-workers [8] reported antibacterial evaluation of some novel 5-imidazolones prepared by reaction between different azalactones and thiophene-2-ethylamine . Yutilov and Svertilova [9] reported a new method for the preparation of condensed imidazolones by the action of diethyl pyrocabonate on aromatic o-diamines. Solankee [10] reported a series of 1-(5'-bromofuran -2'-carboxamido)-2-phenyl-4-(benzylidene)-5-imidazolones and their evaluation for antibacterial activity. AINashefet et al [11] described a novel method for the synthesis of 2-imidazolones which involved generation of superoxide ion electrochemically by reduction of oxygen or by dissolving potassium superoxide in ionic liquids which reacted with alkyl imidazolium cations of imidazolium based ionic liquids and converted them into 2-imidazolones.

Ming and co-workers [12] reported a direct synthesis of 2-alkoxy 4H-imidazole-4-ones by aza-wittig reaction of iminophosphorane with phenyl isocyanate to give carbodiimide which on subsequent reaction with ROH in presence of RONa gave target compounds. Some of these compounds showed significant fungicidal activity. Bart Roman et al [13] carried out a straight forward and convenient preparation of 25 novel imidazolium salts from the corresponding 1H-isochromene [3,4-d] imidazolones by employing either quaternisation or anion metathesis strategy. Anitha sadula [14] synthesized novel chalcone linked arylideneimid-azolones and found them as potential antimicrobial and antioxidant agents. Kedar and Deshmukh [15] reported zeolite catalysed synthesis of 1-(4-methylphenyl)-2-phenyl-4-(4- substituted benzylidene) -5-imidazolones. Chopra et al [16] reported microwave assisted synthesis of some 5- substituted imidazolone analogues as the most active xanthine oxidase inhibitors. Due to diverse applications of 5-imidazolones it was thought quite interesting to synthesize some new target compounds containing different substituents employing yield improving and easy to workout methodology.

Thus our main objective was to synthesize some new imidazolones in a such manner as to reduce the reflux time and increase percent yield of the products.

EXPERIMENTAL

In this work, several variedly substituted oxazolones were prepared by condensation of bromobenzozyl-gylcine with substituted aldehydes in acetic anhydride in presence of anhydrous sodium acetate. Oxazolones thus obtained were further condensed with p-toluidine in presence of zeolite as a catalyst to afford the formation of 1-(4-methylphenyl)-2-bromophenyl-4-(benzylidene)-5-imidazolones.

Sheme I: Synthesis of 2-(3-bromophenyl)-4-(4-methoxybenzylidene)-5-oxazolone.

4-methoxy benzalehyde and 3- bromobenzoylgylcine were taken in equimolar (0.05mol) proportion and dissolved in acetic anhydride. To this solution, added 4gm of anhydrous sodium acetate. The reaction mixture was refluxed for two hours and kept overnight. The crytalline solid formed was washed with water-ethanol mixture and recrytallised from ethanol.
Yield : 60%
Melting point : 115^0C
Molecular formula : $C_{17}H_{12}NO_3Br$

IR : 3164cm-1 (Ar,C-H str);3067cm-1(aliph,C-H str);1638cm-1(C=O str);1537(C=N);1432(Ar,C=C str);1297(C-N str);1250(C-O str);692(C-Br str)

^{1}H-NMR (DMSO) : 7.92-8.05(d,1H,Ar-H);7.88-7.89(d,2H,Ar-H);7.83-7.85(d,1H,Ar-H);7.60-7.62(d,2H,Ar-H);7.33(s,1H,Ar-H);7.29(s,1H,Ph-CH);6.93-6.94(t,1H,Ar-H);3.88(s,3H,Ar-OCH3)

Elemental analysis for $C_{17}H_{12}NO_3Br$(358):

Calculated : C,56.98%; H,3.35%; N,3.91%; Br,22.34%;
Found : C,56.95%; H,3.32%; N,3.88%; Br,22.28%;

Scheme II: Synthesis of 1-(4-methylphenyl)-2-(3-bromophenyl)-4-(4-methoxy benzylidene)-5-imidazolone.

Equimolar mixture of 2-(3-bromophenyl)-4-(4-methoxybenzylidene) -5-oxazolone (0.005M) and P-toulidine (0.005M) was dissolved in ethanol. One gram of zeolite was added to this solution The reaction mixture was refluxed for two and half hours. It was allowed to cool, acidified with dil HCl.An yellowish solid formed was washed 2-3times with cold water and recrystallised from ethanol.

Yield : 55%
Melting Point : 150^{0}C
Molecular weight : 447
Molecular formula : $C_{24}H_{19}N_2O_2Br$

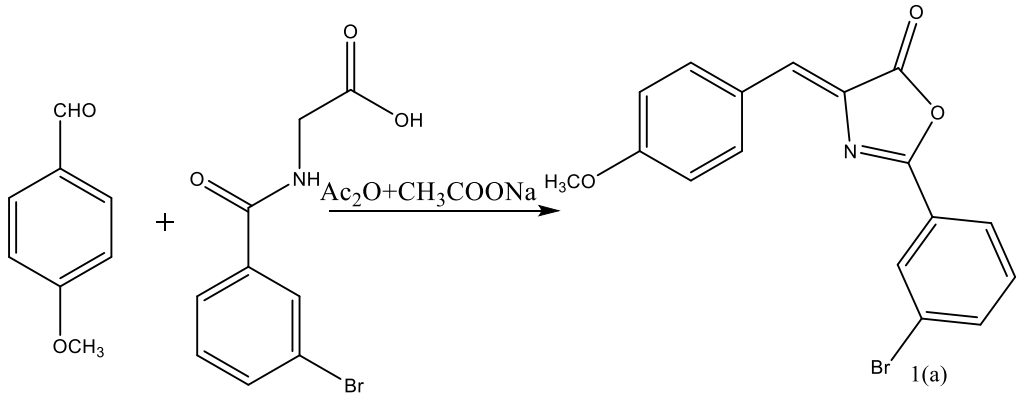
IR:3056cm-1(Ar,C-Hstr);2924(C-HstrCH3);1648 (C=Ostr); 1601(C=Nstr);1510 (Ar,C=Cstr)1327(C-Ostr); 1252 (C-N str);697(C-Br str).

^{1}H-NMR(DMSO): 8.44 (s,1H,Ar-H);8.07(s,1H,Ph-CH); 7.83-7.85(d,2H,Ar-H);7.56-7.59(m,4H,Ar-H);7.49-7.51 (t,1H,Ar-H);6.99-7.01(d,2H,Ar-H);6.88-6.91(d,2H,Ar-H); 3.86 (s,3H,Ar-oCH3);2.34(s,3H,Ar-CH3).

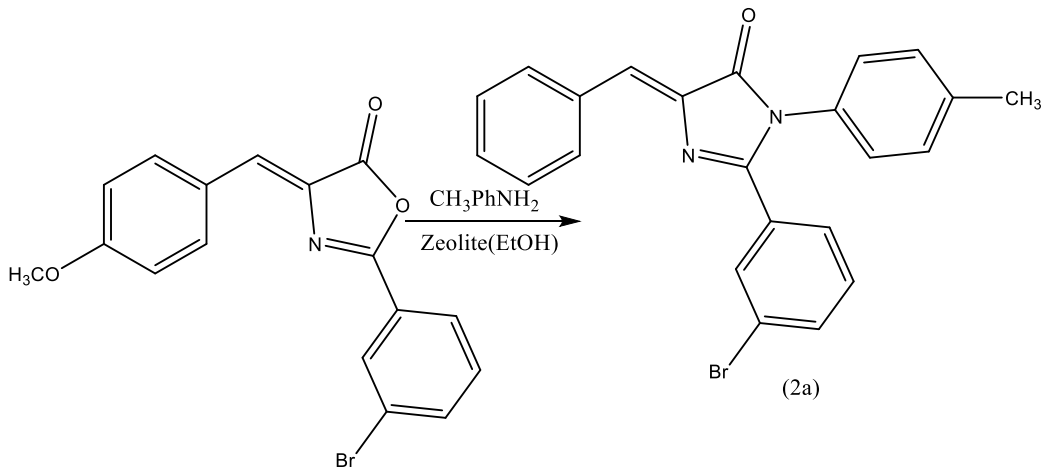
Elemental analysis for $C_{24}H_{19}N_2O_2Br$ (447)

Calculated : C,64.42%; H,4.25%; N,6.26%; Br,17.89%;
Found : C,64.35%; H,4.21%; N,6.20%; Br,17.84%;

REACTION



SCHEME 1: Synthesis of oxazolones.



SCHME 2 : Synthesis of imidazolones.

RESULTS AND DISCUSSION

We synthesized hitherto unreported bromo substituted 5-imidazolones by the condensation of bromo substituted oxazolones with p-touldine. Bromo substituted oxazolones were obtained by the condensation of aromatic aldehydes with bromo benzoyl glycine in presence of acetic anhydride and anhydrous sodium acetate. The target compounds are given in the following table.

Antimicrobial Activity

Method for the determination of antimicrobial activity

The newly synthesized eight compounds 2(a-h) were screened for their antimicrobial activity against the test organisms *E.coli, S.typhi, P.vulgaris, B.subtilis, S.aurus* and *S.penumoniae* by using agar disc diffusion method [17] at concentration of 100 µgm/ml in DMF as a solvent. Each standardized test organism (0.1ml)was spread on the solidified sterile agar plates.

Table 1 : Synthesized compounds, their %yield and melting point

Sr. No	Compound	Percent yield (%)	Melting point (^{O}C)
1	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(4-methoxybenzylidene)-5-imidazolone(2a)	55	150
2	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(4-dimethylaminobenzylidene)-5-imidazolone(2b)	58	180
3	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(3,4.5-trimethoxybezylidene)-5-imidazolones(2c)	63	140
4	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(4-nitrobenzylidene)-5-imidazolone(2d)	70	165
5	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(4-chlorobenzylidene)-5-imidazolone(2e)	68	175
6	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(4-hydroxy-3-methoxybenzylidene)-5-imidazolone(2f)	65	210
7	1-(4-methyl phenyl)-2-(3-bromophenyl)-4-benzylidene-5-imidazolone(2g)	55	160
8	1-(4-methylphenyl)-2-(3-bromophenyl)-4-(2-hydroxybenzylidene)-5-imidazolone(2h)	62	205

Table 2 : Antimicrobial activity of 5-imidazolones.

Sr.No	Compounds	*E.coli*	*S.typhi*	*P. vulgaris*	*B.subtilis*	*S.aureus*	*S.pneumoniae*
1	2a	S(10mm)	S(15mm)	**R**	**R**	S(12mm)	S(14mm)
2	2b	**R**	S(14mm)	S(12mm)	S(15mm)	S(12mm)	**R**
3	2c	S(12mm)	**R**	S(10mm)	S(10mm)	**R**	**R**
4	2d	S(15mm)	**R**	S(10mm)	S(10mm)	S(10mm)	S(10mm)
5	2e	S(14mm)	**R**	S(10mm)	S(10mm)	S(10mm)	S(10mm)
6	2f	S(14mm)	S(10mm)	**R**	**R**	**R**	S(10mm)
7	2g	R	S(10mm)	**R**	S(10mm)	S(10mm)	S(10mm)
8	2h	S(15mm)	**R**	S(10mm)	S(10mm)	S(10mm)	S(10mm)

CONCLUSION

Thus it was possible for us to reduce reflux time and increase percent yield of newly synthesized products. The use of zeolite as a catalyst afforded rapid synthetic route to 5-imidazolones and also easy work up of the products. The synthesized compounds were screened for antimicrobial activity against the test organisms *E.coli, S.typhi, P.vulgaris, B.subtilis, S.aurus* and *S.penumoniae*. The compounds containing $-NO_2$,-Cl and -OH group as a substitutent showed antibacterial activity against maximum number of organisms .The compounds containing $-OCH_3$,$-N(CH_3)_2$,$-NO_2$ and -OH groups showed maximum activity against *S.typhi B.subtilis* and *E.coli* pathogens respectively.

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