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Synthesis and Characterisation of 1, 2 Diphenyl -4-(Benzylidine)-5-Imidazolones

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Abstract

Unsaturated imidazolones are the nitrogen analogues of oxazolones and form an important class of heterocyclic compounds They are reported to show several pharmacological activities .The work involves preparation of oxazolones from benzoylglycine and substituted aldehydes in presence of anhydrous sodium acetate and acetic anhydride .These oxazolones were further reacted with aniline in presence of Zeolite as a catalyst to afford the formation of -5-imidazolones.The structures of these synthesised compounds were confirmed by chemical properties, elemental and spectral analysis

Keywords: Benzoylglycine, oxazolone, zeolite catalyst, imidazole-5-ones

Introduction

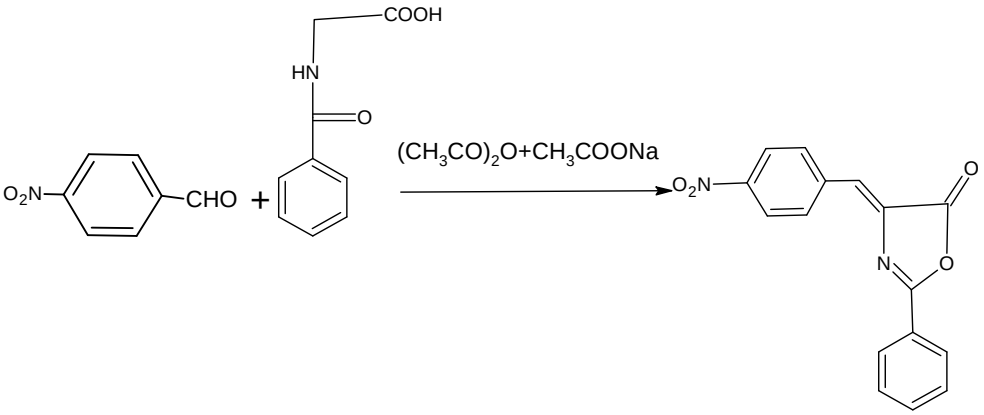
Imidazolones are five membered heterocycliccompounds with three carbon and two nitrogen atoms at 1 and 3 positions and carbonyl group in 5 position. However, imidazolones having carbonyl group in 2 or 4 position have also been reported. Unsaturated imidazole-5-ones, which are nitrogen analogues of azlactones(oxazolones), form an important class of heterocyclic compounds due to the fact that they can be converted into amino acids[1,2] and used in drugs[3],pigments and electrodes[4]. Imidazoles are reported to be associated with several pharmacological activities[5-8]. Some imidazoles and substituted imidazolones are reported to possess monoaxine oxidase (MAO) inhibitory and anticonvulsant activities[9-11]. A large number of natural products, notably, those of Leucetta[12-14] and the oroidin families of alkaloids[15] have been identified which contain either a 2-aminoimidazole or 2-imidazolonemoiety[16-17]. Imidazolones are reported to show diverse bioactivities including anticancer[18], antiHIV[19], antiparkinsonian[20, 21], CNS depressant[22] and anthelmintics[23]. Synthesis of variety of imidazolones and their antibacterial effect against several microorganisms was reported by Khan and coworkers[24]. A convenient method[25] for the direct conversion of imidazolium salts to the corresponding 2-imidazolone was developed. Treatment of the salt with commercial bleach led to effective oxidation at C_2 and the formation of corresponding imidazolone. Solankee and coworkers[26] reported antibacterial evaluation of some novel 5-imidazolones prepared by the reaction between different azlactones and thiophene-2-ethylamine.A straightforward and convenient preparation of[3,4-d] imidazolones[27] was described employing either quaternization or anion metathesis strategy.Hebash[28] reported synthesis and biological evaluation of some new imidazolonederivatives. Thus,

it was thought interesting to workout synthesis of trisustituted-5-imidazolones in such a manner as to reduce the refluxtime and improve the yield of the product.

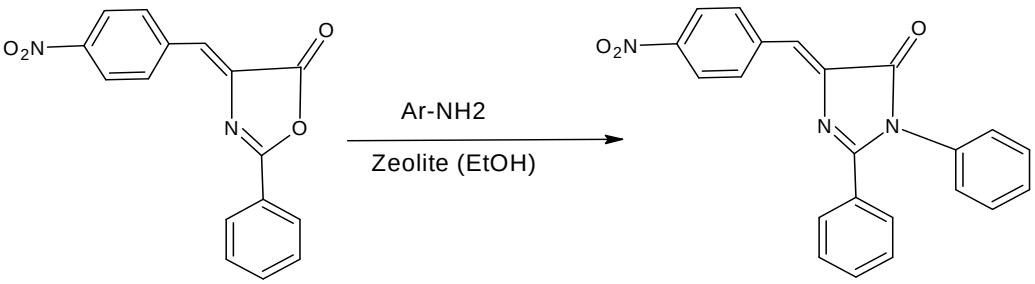
Experimental:

Equimolar quantities of substituted aldehydes and benzoylglycine were refluxed in acetic anhydride and anhydrous sodium acetate for about three and half hours. 4-Benzylidene-2-phenyl-5-oxazolones thus obtained were recrystallized their structural details were confirmed and further reacted with aromatic amines in presence of zeolite catalyst to afford the formation of 1-(substituted phenyl)-2-phenyl-4-(benzylidene)-5-imidazolones. Characterization of all the compounds was made by chemical as well as elemental and spectral analysis.

Reactions:



(1a)



(2a)

Spectral data:

1) IR(KBr): 3224Cm^{-1}(Ar,C-H str); 2921(C-H str in alkanes); 1648(C=O str);
1580(C=N str); 1402(Ar C=C str); 1253.54(C-N str); 1552(N=O str asymmetric)
1341 (N=O strsymmetric).

^{1}HNMR($CDCl_3$):7.38(S,1H,Ph-CH); 7.47(t,2H Ar-H);) 7.56(d,11H,Ar-H); 7.87(d,2H,Ar-H); 7.97(d,2H, Ar-H); 7.97(d,2H, Ar-H); 8.19(d,2H, Ar-H);

Anal.Calcd for $C_{16}H_{10}N_2O_4$(294): C, 65.30;H,3.40;N,9.52;

Found C, 65.28;H,3.38;N,9.50

2) IR(KBr): 2919(Aliph.C-H str); 1647(C=O str); 1597(C=N str); 1514

(N=O str asymmetric) 1340 (N=O str symmetric). 1253(C=N str)

^{1}HNMR($CDCl_3$):7.17(S,1H,Ph-CH); 7.27(t,2H Ar-H) ;7.58(m,4H,Ar-H); 7.56(d,2H, Ar-H); 7.85(t,2H, Ar-H); 7.95-8.09(m,2H, Ar-H); 8.13-8.25(m,2H, Ar-H);

Anal.Calcd for $C_{22}H_{15}N_3O_3$ (369):): C,71.54;H,3.79;N,11.38;

Found C, 71.52;H,3.76;N,11.37;

Results and Discussion:

Thus, the target compounds were prepared by condensing oxazolones with aromatic amines in presence of zeolite catalystin ethanol and are listed in the Table given below.

Table: List of synthesized compounds, their melting points and percent yield

Sr.No.	Compound	Percent yield (%)	Melting point(^{o}C)
1	-5-(4-nitrobenzylidene)-2,3-diphenyl-3,5-dihydro-4*H*-imidazol-5-one	70	150
2	-5-(benzylidene-2,3-diphenyl-3,5-dihydro-4H-imidazol-5-one	65	155
3	-5-(2-hydroxybenzylidene)-2,3-diphenyl-3,5-dihydro-4H-imidazol-5-one	75	178
4	-5-(4-methoxybenzylidene)-2,3-diphenyl-3,5-dihydro-4H-imidazol-5-one	60	145
5	-5-(4-hydroxybenzylidene)-2,3-diphenyl-3,5-dihydro-4H-imidazol-5-one	70	180
6	-4-(3,4,5-trimethoxy benzylidene)-5-imidazolones	65	240
7	-5-[4-(dimethylamino)benzylidene]-2,3-diphenyl-3,5-dihydro-4H-imidazol-5-one	60	192
8	-5-(4-chlorobenzylidene)-2,3-diphenyl-3,5-dihydro-4H-imidazol-5-one	62	260

Hence this method could provide an efficient synthesis of these compounds which reduced refluxtime and increased the yield of the product.

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