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The Study of 2-Substituted 2-Oxazolin-5-Ones with Special Aromatic Hyrdroxy Aldehydes in Dissimilar Compression to Situ Generated Compound

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ABSTRACT:

The bioactive synthesize of 2-Substituted 2-oxazolin 4-(hydroxybenzylidene)-5-ones are the a regimented method ,the 2-Substituted 2-oxazolin-5-ones compound are one of the saturated azlactones and active reaction the compound of .2-Oxazolin-5-ones are used for the antibacterial,antifungal,antibiotics and anticancer activities recently activities the compound of α-N-Acylglycines (1) are using in situ generated processing invarious cyclising agents namely ethyl chloroformate (2), a of the condensation studies of aromatic hydroxy aldehydes 2,3and 4 (6) with 2-Substituted 2-oxazolin-5-ones (5).

The compound of p-toluene sulphonyl chloride (4)and benzene sulphonyl chloride (3) in presence of benzene are the triethylamine in dry of base. The Group of hydroxyl at 4- and 3- positions of the ring of the aldehydes aromatic are p- hydroxybenzaldehyde (6c), m-hydroxybenzaldehyde (6b)and 3-methoxybenzaldehyde4-hydroxyl group-6a), to the on the assemble 2-substituted-2-oxazolin, 4 (m-hydroxybenzylidene)-5-one (8b), 2-substituted-4(p-hydroxybenzylidene)-2-oxazolin-5-one (8c) and 2-substituted 4-(p-hydroxy-m-methoxybenzylidene)-2-oxazolin-5-one (8a), correspondingly the compounds structure as (Z)-isomers, are the Salicyl aldehyde of produces 3-N-acylaminocoumarins is one of the name of 2-hydroxy aromatic aldehyde (9) on compression with the 2-oxazolin-5-ones (5) are 2 -Substituted in the substantial purityand quality of yield. The reaction of substituted are the adduct arrangement in (E)-2-substituted 4-(o-hydroxybenzylidene-2-oxazolin-5-ones in the reaction (7), the extension of the reaction with the 1,5- range of bond of intra molecular aspects on the ring of 2-oxazolin-5-one on the group of phenolic and recyclization of subsequent led to the structure of ensuing coumarin of 3-N-acylaminocoumarins (9). It is free group of hydroxyl bearing benzylidene at 4-position in 2-oxazolin-5-ones (8) were produced. The All steps are carried out in one single flask.

Keywords: Cyclisingagents, crystallization, 3-N-Acylaminocoumarins, Synthons, 4-(Hydroxybenzylidene) Azlactones, Cyclisingagents, Substitute, in situ

1. INTRODUCTION

The mixture of 3-N-acylaminocoumarins (8) was identified on the record .The 2-Oxazolin-5-ones and the recently the anti bacterial and anti fungal antibiotics and anticancer activities of 4-(hydroxybenzylidene)-2-oxazolin-5-ones [1]. In the t compounds are named as 5(4H)-Oxazolones.The persist to the special attention of the chemists because of their usefulness assynthons and ,many types of anti bacterial,anti fungal, antibiotics, anti cancer,anasathic, pharmacological and biological activities [2]. The analysis of chemical proses 2-substituted2-oxazolin4- (hydroxybenzylidene)--5-ones are the model of example as 9 .

The process of the reaction was unproductive with aceturic acid (1a). 4- (acetoxybenzylidene)-2-phenyl-2-oxazolin-5-one acetic anhydride-mediated compression of hippuric acid (1a) with salicyldehyde (6b) in [13-15 and 3] to give a compound of products 4-(o- Acetoxybenzylidene)-2-phenyl-2-oxazolin-5-one and 3-Benzoyl amino coumarin (9a) the estranged. from 9a.

The density of hippuric acid (1a) with 4-/ 3- hydroxybenzaldehydes in the presence of 6b or 6c , acetic anhydride and sodium acetate fused to produed 4- (acetoxybenzylidene)-2-phenyl-2-oxazolin-5-one .The reaction in group of –OH further the by acetyl group infertile [3, 13]. In the group –OH free radical in benzylidene and the unsaturated position of azlactone 9, a expedient direction and simplistic Improvement of the product (Diagrame -1).

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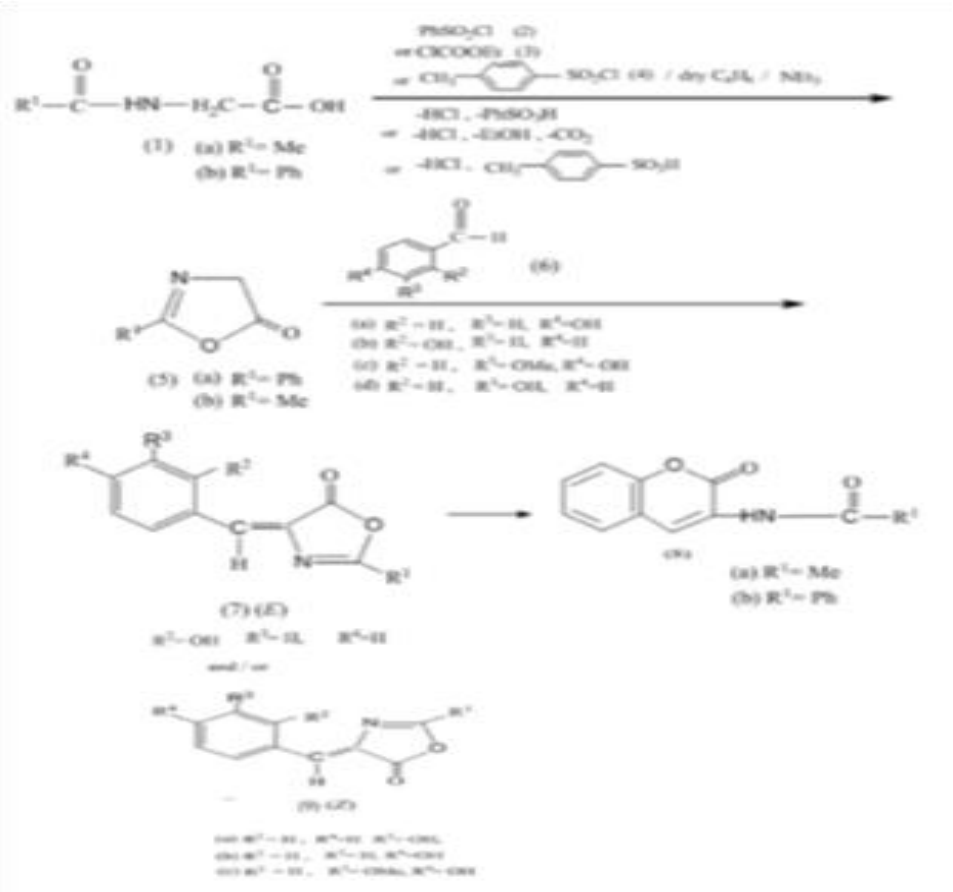

Structure 1. Syntheses of 3-N acylaminocoumarins and 2-Subtituted 2-oxazolin,4- (hydroxybenzylidene)--5-ones .

2. SCHEME OF COMPOUND

The compounds are recognized the texture. compounds purity was confirmed by Thin layer chromatography (silica gel) that the melting points. The Point of melting were recordedby the metal block of equipments and point of melting are not changeable The compounds of IR spectra were registered on IR

1) The process of 3- N- Acylaminocoumarins Synthesis (8)

The mixture of a hippuric acid (1b, 0.01 mol 1.79 g,) /suspension ofaceturic acid (1a, 0.01 mol 1.17 g)in dry 50 ml of benzene containing of the triethylamine (, 0.025 mol,3.5 mL, incase of 3 to 4; and or 0.013mol

1.82mL, in the case of 2), the ethyl chloroformate (2,1.05 mL, 0.011 mol) aither p-toluenesulphonylchloride(4, 1.9 mL ,0.01 mol) / benzene sulphonyl chloride (3, mL, 0.01 mol,1.77 mL,) as agent of cyclising was additional and the assortment was shaken at normal room temperature awaiting the aceturic acid / hippuric acid crystals departed and triethylamine salts divided out in which were clean under washed and suction with 5 ml of benzene .The benzene filtrate of that the reaction process added the benzaldehyde-2- Hydroxy it is that. salicylaldehyde (6d, 1.22 mL, 0.01mol 1.22 mL) . The compound was refluxed on the for 2 hours. The mixture of solution was strenuous to dryness by under vacuum. The previously remains was chilled aq. Ethanol with triturated to afford 3-N-Acetylaminocoumarin (8b) with the chilled 96% ethanolto give 3-N-Benzoylaminocoumarin (8a) which are clean under suction and product was ethanol.recrystallization.

The pure of products yields are intended on based quantity used by α-N-acylglycines

8a: It is 36% Yield, (cycle 2 agent),37% Yield, (cyclising of 3 agent),61%Yield (cyclising 4 agent), 173-175°C M.P (Reported [10, 14, 15]: 174-175°C), IR(KBr): 3362(-NH),1711 (CO, coumarin), 1664 (CO, amide), 1606 (C=C) cm-1.

8b: Yield, 13% (cycle of 2agent:), 32% Yield (cyclising of agent: 3), Yield, 57% (cyclising of agent: 4), M.P 203-205oC

M.P (Reported [10]: 206-207oC), IR(KBr): 3321(-NH), 1713 (CO,coumarin), 1670 (amide, CO,), 1629 (C=C) cm-1.

9a: Yield, 48% Yield(cycle 4 mediator),143-145oC CO, (Reported on [2]: 145-146oC), IR(KBr): 1 8 0 1 (azlactone), 3605 (-OH),

1652 (C=C) cm-1.

9b: Yield, 28% Yield (cycle mediator 143-145°C CO, (Reported on[2]: 146-147°C), IR(KBr): 1 8 0 1 (azlactone), 3605 (-OH), ,

1652 (C=C) cm-1.

9c: Yield, 49% Yield (cycle 4). 145-146°C CO, (Reported on [2]: 145-146°C) M.P, (KBr) IR: 1 8 0 1 (azlactone), 3605 (-OH),

1652 (C=C) cm-1.

2) The process of (Z)-2- Substituted, 2-oxazolin 4-(hydroxybenzylidene)-2-oxazolin-5-ones Synthesis (9)

The hippuric acid (1b, 0.01 mol ,1.79 g) in the dry 50 ml of benzene have the triethylamine (0.025 mol,3.5 mL,), p- toluenesulphonylchloride (5, 0.01 mol 1.9 mL) are additional to add and the compound was shaken at narmal room heat awaiting the hippuric acid crystals left and the salts of triethylamine divided and which are clean and washed with 5 ml of benzene The benzene remains, special aromatic hydroxy benzaldehydes (2-Hydroxybenzaldehyde) similar to vanillin (6c, 0.01 mol 1.58g,) or 3- hydroxybenzaldehyde (6d, 0.01 mol ,1.22 mL,) or 4-hydroxybenzaldehyde (6a, 0.01 mol
1.22 mL,) was supplementary. Compound of mixture was identified for 10 minutes..Elucidation was strenuous to dryness under the vacuum.The early remains was identified with 96% chilled ethanol to afford the y i e l d compounds, which are clean under suction and product was recrystalized from ethanol.

3. CONVERSATION

the Development of 3- acylaminocoumarins (8) and 2-substituted-2-oxazolin 4- hydroxybenzylidene-5-ones (9) depend on the nature of aromatic hydroxy aldehydes (6), The reaction conditions of the cyclizing intermediate. 3-N-benzoylaminocoumarin (8a) exclusively, irrespective of the cyclocondensing agent used for the generation of 5. But the formation of 3-N-acetylaminocoumarin (8b) in preparative yield was possible only. The compound of 4-hydroxybenzaldehyde (6a) afforded (Z)-isomer of 2- substituted-2-oxazolin,4-(hydroxybenzylidene)-2-oxazolin-5-ones (9) whereas 2-hydroxybenzaldehyde (6b) 2- phenyl-2-oxazolin-5-one (5a) when aceturic acid (1b) was cyclised with either benzenesulphonylchloride and 2-hydroxybenzaldehyde (6b) either the p- toluenesulphonylchloride. Is the best eample. The condensation of 2-substituted-2-oxazolin-5-ones(5)with4-hydroxy-3- methoxybenzaldehyde (6c) or3-hydroxybenzaldehyde (6d) or4-hydroxybenzaldehyde (6a) afforded (Z)-isomer of 2- substituted 4-(hydroxybenzylidene)-2-oxazolin-5-ones (9) are 2-hydroxybenzaldehyde (6b) under analogous conditions the product of 3-N-acylaminocoumarins (8) o The advanced reaction on the production of 8 and 9 with in the 10 minutes of time..

The reaction process of the compound, the 2-substituted 2-oxazolin 4-(hydroxybenzylidene)-5-ones are coumarins intermediates formed compounds(8).The coumarin main production process of the (E)-azlactone (7) in the major reaction In that the (Z)- azlactone (9) , are produced from the thermolabile (7) (E)-isomer of the process. And it is not as a minor product. .The compulsion of stereochemical changes (Z)-isomer (9) expansion to the reaction and product of the coumarin 8, It is the isomerised simple of the photochemical identification and the presence of the other reagents and catalists, The Production of an adduct is the initiated on this reaction by1,5- bond cleavageand intramolecular of the ring of the 2-oxazolin-5-one . In this reaction the production of coumarins resultant (8).are the subsequent recyclization led and the phenolic group .

Accorded the product of coumarins are characterized on the particular especial related spectral data. The method of analysis of 3-N- acylaminocoumarins (8) is silently with in the one flask on all steps vide the regards of process [11-16]. The characteristic IR bands of2- substituted 4- hydroxyarylidene-2-oxazolin-5-ones (9) are viewed in the IR spectra reathems and evaluation at the 1790-1810 cm -1 range , Than the identification of coumarin was showed in the range of sequence of the 1710 cm -1(CO, coumarin) . The aldehydes of the free –OH groups acetylation process was leads to the Production of majority of acetoxybenzylidene in the 4- position of 2-oxazolin 8[3]. The process of the present procedure is straight method and simple ascept..The reaction process are overcomes the special of the disadvantages comparing to the other method of process and exposed the purity of the of the products with the stereochemical process.. the unsaturated azlactones [8]cyclization process of the Erlenmeyer azlactone in acetic anhydride of the mixture of(Z)- isomers (E)-isomers are the best example.

4. RESULTS

The analysis to changing of the reacted 2-substituted 2- oxazolin-5-ones (5) p r o d u c e d by ethyl chloroformate (2)/ p- toluene sulphonyl chloride (4) are the mediated to the α-N-acylamino acids of cyclisation (1), or benzenesulphonylchloride (3) into the further constant 4- (hydroxyaryl) methylene-2-substituted -2-oxazolin-5-ones (9), a appropriate aromatic hydroxy aldehydes, are additional to that the reaction of combination are the highly temperature on reflux in the 10 minutes to 15 minutes. On develop, for 9 obtained as clean Z)-isomer in significant of good priduvcts because that not a achievement invention

of the azlactone unsaturated was produced on the condensation of 5 with 2-hydroxy-benzaldehyde (6b) as that the registered in texture [5& 6], on expansion of the structure of the reaction mixture for about 2.0 whereasthe reaction afforded 3- Acylamino- coumarins (9) the American Journal of Heterocyclic Chemistry 2019; 5(1): 1-3,3 hours on reaction [7].

5. FINAL DECISION

The study of 3- acylaminocoumarins (8) and 2-Substituted 2-oxazolin-5-ones (9) remain extremely important of the starting equipment on configuration of the different heterocycles and consequently It is utilised as a synthons. Regimented technique for the quick & one facile flask analysis of 3- acylaminocoumarins (8) and 2-substituted-4- (hydroxybenzylidene)-2-oxazolin-5-ones (9) are improved with s i m p l e reaction at the time of 10 minutes to 1.30 hours and the chemical transparency and steric reliability of the yield are maintained concurrently. In them of the ready accessibility of the soft experimental situation the reactants, and good attractive yields, the current planned course be potentially very necessary on the reaction process of 3-N- acylaminocoumarins (8) and the hydroxybenzylidene main the containing of the azlactones (9).

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