

One Pot Multicomponent Synthesis of Amido Alkyl Naphthol Drugs Derivative using Ortho Phosphoric Acid at Solvent Free Condition

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Abstract- amidoalkyl 2- naphthols can be converted to useful and important biological building blocks and to 1- aminoalkyl 2- naphthols by an amide hydrolysis reaction, since compound exhibit depressor and bradycardia effects in humans . Moreover this 1- aminoalkyl alcohol type ligand has been used for asymmetric synthesis and also as a catalyst.

Keywords- orthophosphoric acid, β -naphthol, acetamide, benzaldehyde

1. INTRODUCTION

Multi - component reactions (MCRs) ,are one pot processes in which three or four easily accessible components react to form a single product , which incorporates essentially all the carbon atoms of the starting material (Tietze, 1996 ; Ramon and Yus , 2005 ; Zhu ,2003) MCRs are a promising and vital field of chemistry because the synthesis of complicated molecules can be achieved in a very first , efficient and time saving manner without the isolation of any intermediate .

There has been tremendous development in three or four component reactions specially the Bigenilli (prajapati and sandhu ,2004 shimokawa et al .,2001) passerini (Bos- sio et al., 1996) and Mannich reaction which have further led to renaissance of MCRs . Nevertheless ,development and discovery of new MCRs is still in demand.

2.EXPERIMENTAL METHODS

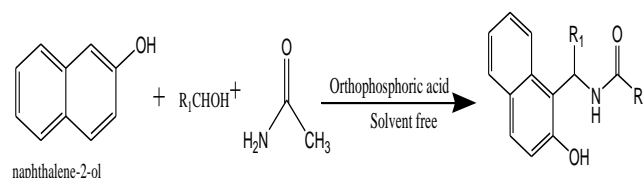
(1) Material- Aldehyde , β - Naphthols , Acetamide , using Orthophosphoric acid as a catalyst.

(2) Experimental Method- General procedure for the synthesis of 1-amidoalkyl-2- naphthols

A mixture of aldehyde (1 mmol), β -naphthol (1 mmol), acetamide (2mmol), Orthophosphoric acid (10 mol%) was heated in an oil bath at 120°C under solvent free conditions for the appropriate time according to The progress of reaction was monitored by TLC. After completion of the reaction, the mixture was washed with water to remove the catalyst. The resulting

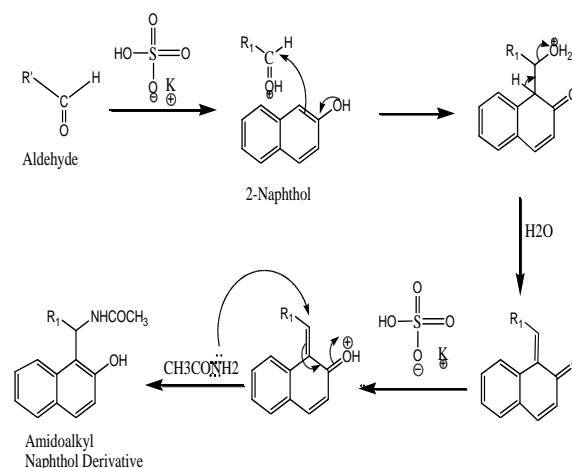
precipitate was recrystallized from Ethanol:Water (1:3) to afford pure 1-amidoalkyl 2-naphthol .

General reaction-



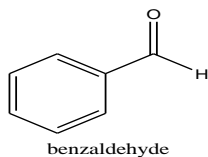
Where R¹=4-Cl Benzaldehyde, Benzaldehyde, 2-Cl Benzaldehyde, 2-OHBenzaldehyde , 4-NO₂Benzaldehyde, Acetaldehyde.

Mechanism-

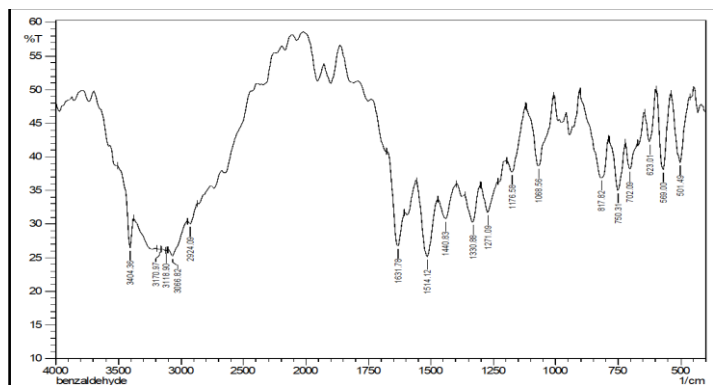


3.FTIR SPECTRA OF SYNTHESIZED COMPOUNDS

Product 1-
Benzaldehyde-
Molecular structure-



IR spectra-



IR frequency-

3271cm⁻¹ – OH group

1622cm⁻¹ – N-H

1273cm⁻¹ – C-O

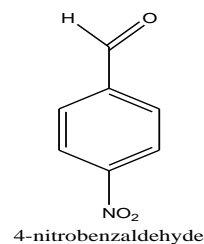
1336cm⁻¹ – C-N

752cm⁻¹ – C-X (C-C¹)

Product 3-

4-nitrobenzaldehyde-

Molecular structure-



IR frequency-

3404cm⁻¹ – OH

3066-3118-3170cm⁻¹ – C-H stretch (aromatic)

1631cm⁻¹ – N-H

1330cm⁻¹ – C-N

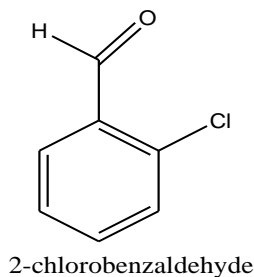
1271cm⁻¹ – C-O

750cm⁻¹ - C-X

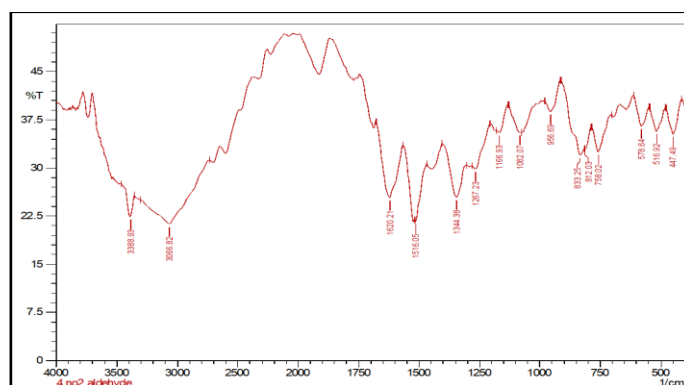
Product:-2

2-Chlorobenzaldehyde-

Molecular structure-



IR spectra-



IR frequency-

3388cm⁻¹ – OH

3066cm⁻¹ – C-H (aromatic)stretching

1620cm⁻¹ – N-H

1516cm⁻¹ – N=O (NO₂)

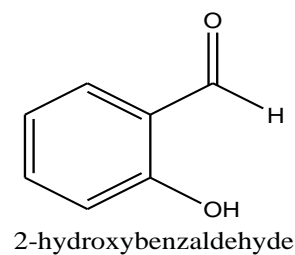
1344cm⁻¹ – C-N

1267cm⁻¹ – C-O

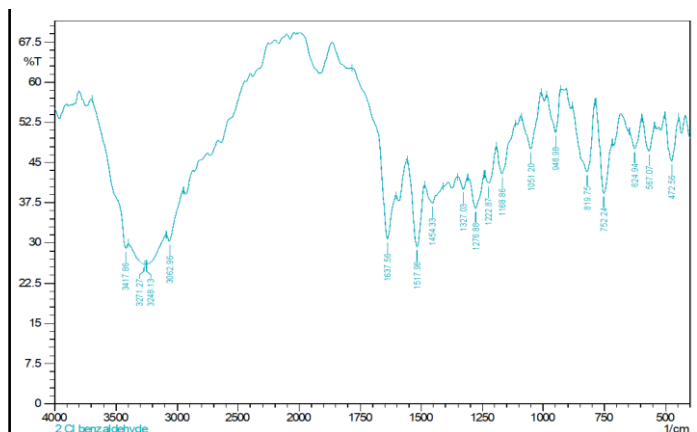
Product 4-

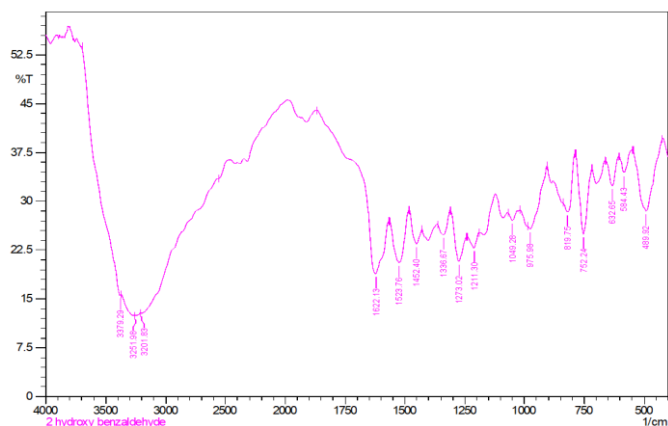
2-hydroxybenzaldehyde-

Molecular structure-



IR spectra:-





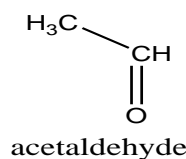
IR frequency-

- 3250 cm^{-1} – OH
- 1622 cm^{-1} – N-H
- 1273 cm^{-1} – C-O
- 1336 cm^{-1} – C-N
- 752 cm^{-1} – C-X (C-C¹)

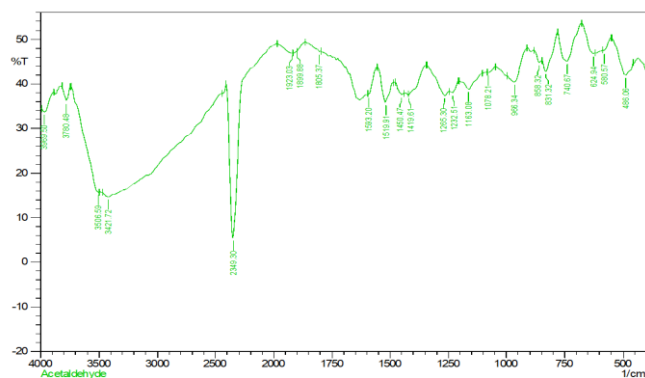
Product 5-

Acetaldehyde-

Molecular structure-



IR spectra-



IR frequency-

- 2349 cm^{-1} – C-O (stretching)

5.RESULT AND DISCUSSION

In order to carry out the synthesis of amidoalkyl naphthols under environmentally benign condition, first we chose benzaldehyde and acetamide as a model for the reaction with 2-naphthol and influence of PPE as a reaction mediator on the yield of

corresponding amidoalkyl naphthol discovered by simple optimization study.

The result obtained with benzaldehyde, acetamide and 2-naphthol under the optimized conditions were compared with the best ones published so far for this reaction using inorganic or organic catalyst.

6.CONCLUSION

In summary we have developed a new, general & efficient procedure for one pot synthesis of amidoalkyl naphthols by coupling various aromatic aldehydes with an acetamide & β -naphthol using polyphosphoric acid as a reaction mediator under solvent free conditions. The advantages of this environmentally safe and benign protocol include a simple reaction set-up, high product yields, short reaction times & elimination of solvent and toxic catalyst.

1-amidoalkyl 2-naphthols can be converted to useful important biological building blocks and to 1-aminoalkyl 2-naphthols by an amide hydrogenation reaction since the compound exhibits depression and bradycardia effect in humans. Moreover, this 1-aminoalkyl alcohol type ligand has been used for asymmetric synthesis and also as a catalyst.

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