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'Synthesis & Characterization of Some Biologically Active Benzothiazepine Derivatives by using Mango Juice as a Green Catalyst'

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ABSTRACT

Raw mango juice is used as a green catalyst for the many heterocyclic synthesis. The chalcone (1mmol) was dissolved in dry methanol and 2-aminothiophenol was added. The reaction is catalyzed by raw mango juice . The reaction mixture was refluxed for 4-6 hrs and allowed to cool overnight. Yellow solid was obtained which was further washed with methanol to give corresponding 1, 5-benzothiazepines.

Key words: Raw mango juice, 1,5-Benzothiazepines, green catalyst.

INTRODUCTION

Nowadays, seven and eight membered heterocyclic ring compounds are receiving significant consideration due to the existence of their structural units in some natural products.[1] Thiazepines are substituted heterocycles having nitrogen atom in their moiety by replacing a carbon in the seven-membered heterocyclic ring. According to the position of nitrogen, one can distinguish 1,2, 1,3 and 1,4-thiazepine.

Organic synthetic chemistry is now a fast-growing research field in chemistry from last two decades. Among the various organic compounds, heterocyclic compounds have been associated with various biological activities. Due to ease of preparation and bioactivity connected with heterocycles, a number of researchers are interested into the study of this compounds. Benzothiazepines are heterocyclic compounds containing nitrogen and Sulphur have received considerable attention in recent years, which are of great interest in the area of drug discovery and development due to their broad spectrum of Biological activity. 1,5-Benzothiazepines are seven membered bicyclic heterocyclic compounds with one nitrogen and one Sulphur atom at 1 and 5 positions.

1, 5-Benzothiazepines derivatives have been examined extensively over the last three decades and widely used clinically as antidepressants [2] and antihypertensive

agent.[3] 1,5-Benzothiazepine derivatives are found to possess tranquilizing,[4] antispasmodic[5] and antibacterial[4] activities, it also possess antifungal[6] and antitumor[7] activities.

Mango juice is completely ecofriendly catalyst which is used for the synthesis of some heterocyclic compounds. It is easily available, non-toxic and safe for the environment as well as for the human health. Mango juice is completely eco-friendly & bio-degradable catalyst. Now a days it is used for very few organic syntheses. We have synthesized some heterocyclic compounds like dihydopyrimidones with the Biginelli reaction by using Mango juice as a green catalyst which gives better yields[8].

PRESENT WORK

Synthesis of Benzothiazepines

Chalcone (1mmol) was dissolved in dry Methanol and 2-aminothiophenol was added. Raw mango juice (1ml) was used as catalyst. The reaction mixture was refluxed for 4-6 hrs and allowed to cool overnight. Yellow solid was obtained which was further washed with methanol to give corresponding 1, 5-benzothiazepines.

EXPERIMENTAL

All the melting points were recorded in open capillary tubes and are uncorrected. I.R. spectra were recorded on Shimadzu FTIR Spectrophotometer using KBr disc. ^{1}H NMR spectra were recorded on a Bruker Avance II 400 MHz spectrophotometer DMSO-d_6 as a solvent and TMS as an internal standard (chemical shift in δ values). Mass spectra were obtained on a Finnigan mass spectrometer. Purity of the compounds was checked by TLC on silica gel G plates.

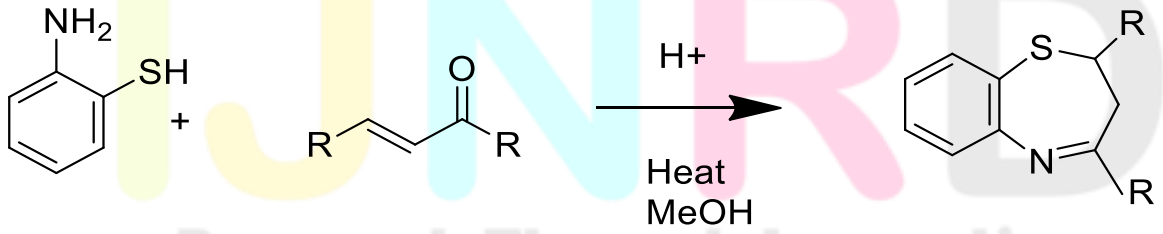
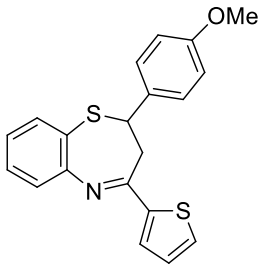
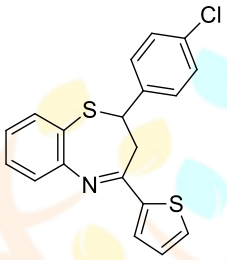
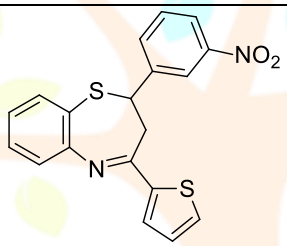
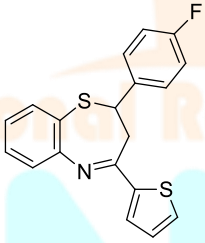
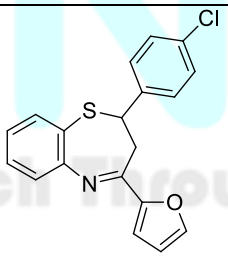


Table 1: Characterization data of synthesized compound

Entry	Product	Yield (%)	MP (^{0}C)
2a		95	140
2b		96	145
2c		96	172
2d		97	153
2e		94	115

Spectral Data:

2a- 2-(4-methoxyphenyl)-4-(thiophene-2-yl)-2,3-dihydrobenzo[b][1,5]thiazepine.

IR (cm^{-1}):- 1592 (C=N), 1577 (C=C), 1242(C-O), 691(C-S).

^1H (ppm):- (300 MHz, $CDCl_3$) 3.023-3.106(1H, t, Hx), 3.214-3.274(1H, dd, Ha),3.820(3H, s,OCH3),5.022-5.078(1H, dd, Hb), 6.846-7.629(11H, m, ArH).

2b- 2-(4- chlorophenyl)-4-(thiophene-2-yl)- 2,3- dihydrobenzo[b] [1,5]thiazepine.

IR (cm^{-1}):- 1597 (C=N), 1574 (C=C), 675(C-S).

2d -2-(4-fluorophenyl)-4-(thiophene-2-yl)- 2,3- dihydrobenzo[b] [1,5]thiazepine.

IR (cm^{-1}):- 1600 (C=N), 1576 (C=C), 684(C-S).

^1H (ppm):- (300 MHz, $CDCl_3$) 3.003-3.085(1H, t, Hx), 3.217-3.277(1H, dd, Ha), 5.031-5.088(1H, dd, Hb), 6.986-7.629(11H, m, ArH).

^1H (ppm):- (300 MHz, $CDCl_3$) 2.994-3.076(1H, t, Hx), 3.205-3.264(1H, dd, Ha),(3H, s,OCH3),4.994-5.049(1H, dd, Hb), 7.120-7.171(2H, t, ArH), 7.284-7.612(9H, m, ArH).

2c- 2-(3-nitrophenyl) -4-(thiophene-2-yl)-2,3- dihydrobenzo[b] [1,5]thiazepine..

IR (cm^{-1}):- 1597 (C=N), 1578(C=C), 1513(N=O (asym)), 1348(N=O(sym)), 684(C-S).

^1H (ppm):- (300 MHz, $CDCl_3$) 3.028-3.112(1H, t, Hx), 3.273-3.332(1H, dd, Ha), 5.097-5.153(1H, dd, Hb), 7.136-7.647(8H, m, ArH), 7.700-7.726(2H, d, ArH), 8.141-8.215(3H, t, ArH).

2e-2-(4- chlorophenyl)-4-(furan-2-yl)-2,3-dihydrobenzo[b] [1,5]thiazepine.

IR (cm^{-1}):- 1602C=N), 1565 (C=C), 1251(C-O), 675(C-S).

^1H (ppm):- (300 MHz, $CDCl_3$) 2.898-2.980(1H, t, Hx), 3.171-3.231(1H, dd, Ha), 4.977-5.033(1H, dd, Hb), 6.602 (1H, s, ArH), 7.051-7.062(1H, d, ArH), 7.129-7.180(1H, t, ArH), 7.248-7.655(8H, m, ArH).

CONCLUSION:

Mango juice is easily available, completely eco-friendly, bio-degradable, non-toxic and safe for the environment as well as for the human health, to the best our knowledge it has never been employed as an eco-friendly reaction medium for performing any types of chemical reaction till date.

Mango juice employed for reaction i.e. Biginelli reaction and 1,5 benzothiazepine was found to be an efficient acid catalyst. The yield of the product in both the scheme were in good agreement when compared with the reactions performed with authentic catalyst. It did not affect the course and yield of the reaction.

Mango juice therefore could be used as a replacement of other organic acids owing to its economical availability, easy workup procedure, environmentally benign condition thereby making it a green approach.

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