



‘Synthesis, Characterization & Screening of Some Biologically Active Benzothiazepine Derivatives by using Mango Juice as an Eco-friendly Catalyst’

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ABSTRACT

Benzothiazepines shows versatile biological activities. Raw mango juice is used as a Eco-friendly catalyst for the many heterocyclic synthesis. The chalcone (1mmol) was dissolved in dry methanol with 2-aminothiophenol. The reaction is catalyzed by raw mango juice. The reaction mixture was refluxed for 4-5 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, Chalcones, Benzothiazepines, Eco-friendly catalyst.

INTRODUCTION

1, 5-Benzothiazepine derivatives have been studied extensively over the last four decades and widely used clinically as antidepressants¹ & antihypertensive agent.²

In 1963 Krapcho and co-workers³ synthesized 2,3-dihydro and 2,5-dihydro-1,5-benzothiazepine-4(5*H*)-ones. The ability of these compounds to calm rats with lesion in the septal areas of the brain is a useful method for evaluation of psychosedative properties.

1,5-Benzothiazepine derivatives are found to possess tranquilizing,⁴ antispasmodic⁵ and antibacterial⁴ activities,

it also possess antifungal⁶ and antitumor⁷ activities.

1,5-Benzothiazepine derivatives showed antiallergic and antidepressant⁸⁻¹⁰ activities upon substitution at the site of the amino-nitrogen or aliphatic carbon.

Mango juice is completely ecofriendly catalyst which is used for the synthesis of some important heterocyclic compounds. It is easily available, non-toxic and environmentally benign and safe for the human health. Raw mango juice is completely bio-degradable & eco-friendly catalyst. Now a days it is used for very few organic syntheses. We have synthesized

some heterocyclic compounds like dihydropyrimidones with the Biginelli reaction by using Mango juice as a green catalyst which gives better yields¹¹

washed with methanol to give corresponding 1,5-benzothiazepines.

PRESENT WORK

Synthesis of Benzothiazepines

Chalcone (1mmol) was dissolved in a solvent dry Methanol and 2-aminothiophenol was added to it. Raw mango juice (1ml) was added as catalyst. The reaction mixture was refluxed for 4-5 hrs and allowed to cool overnight. Yellow crystals were obtained which were further

EXPERIMENTAL

Melting points of all the synthesized compounds were recorded in open capillary tubes and are uncorrected. I.R. spectra were recorded on Shimadzu FTIR Spectrophotometer using KBr disc. ¹H NMR spectra were recorded on a Bruker Avance II 400 MHz spectrophotometer DMSO-d₆ as a solvent and TMS as an internal standard (chemical shift in δ values).

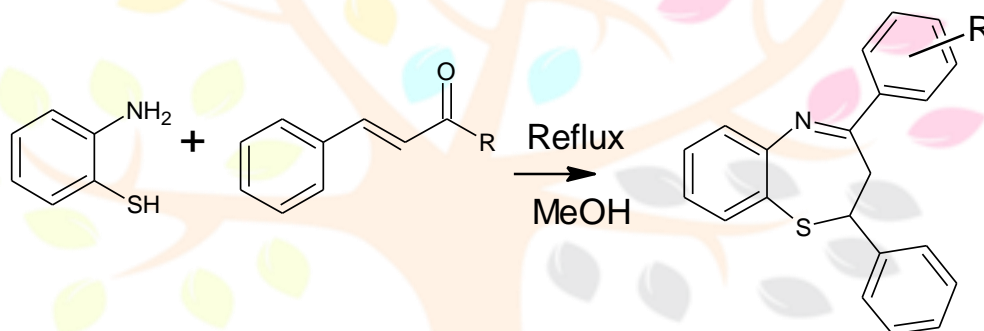
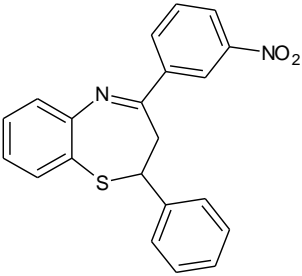
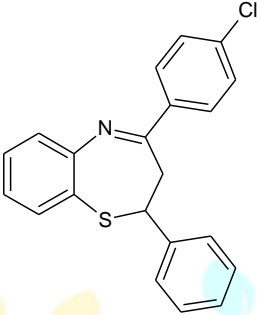


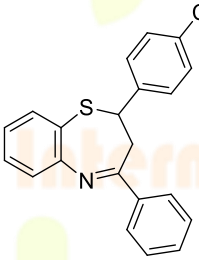
Table 1: Characterization data of synthesized compound

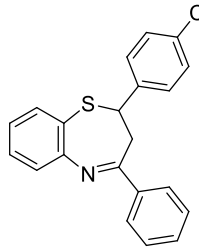
Entry	Product	Yield (%)	MP (°C)
2a		94	115
2b		96	130

2c		93	167
2d		94	139

BIOLOGICAL ACTIVITY

The 1,5-benzothiazepine moiety was tested in vitro for anti-cancer activity in various cell lines at Tata Memorial Centre-ATCREC Kharghar Navi Mumbai.

Compound	Type of Cancer	Cell line	Concentration(ug/ml)
	Lung cancer	A547	39.17
Standard Adriamycin	Lung cancer	A547	<10

Compound	Type of Cancer	Cell line	Concentration(ug/ml)
	Prostate cancer	PC-3	15.62

Standard	Prostate cancer	PC-3	<10
Adriamycin			

CONCLUSION:

Mango juice is easily available & biodegradable catalyst. It is eco-friendly, non-toxic and safe for the environment as well as for the human health. As per review it has been employed as an eco-friendly reaction medium for performing very few reactions.

We have also employed mango juice as a catalyst for Biginelli reactions which gives very good results. It is used as an efficient biocatalyst for the synthesis of 1,5 benzothiazepines. 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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