ISSN Print: 2394-7500
ISSN Online: 2394-5869
Impact Factor: 8.4
IJAR 2021; 7(3): 204-207
www.allresearchjournal.com
Received: 13-01-2021
Accepted: 15-02-2021

Anil V Shinde
Department of Chemistry
Mahraj J.P.V. Arts, Commerce & Shri V. K. K. Science College
Dhadgaon, Nandurbar,
Maharashtra, India

HM Pawar
Department of Zoology
Mahraj J.P.V. Arts, Commerce & Shri V. K. K. Science College
Dhadgaon, Nandurbar,
Maharashtra, India

Corresponding Author:
Anil V Shinde
Department of Chemistry
Mahraj J.P.V. Arts, Commerce & Shri V. K. K. Science College
Dhadgaon, Nandurbar,
Maharashtra, India

Synthesis & characterization of thio-dihydropyrimidone and its derivatives

Anil V Shinde and HM Pawar

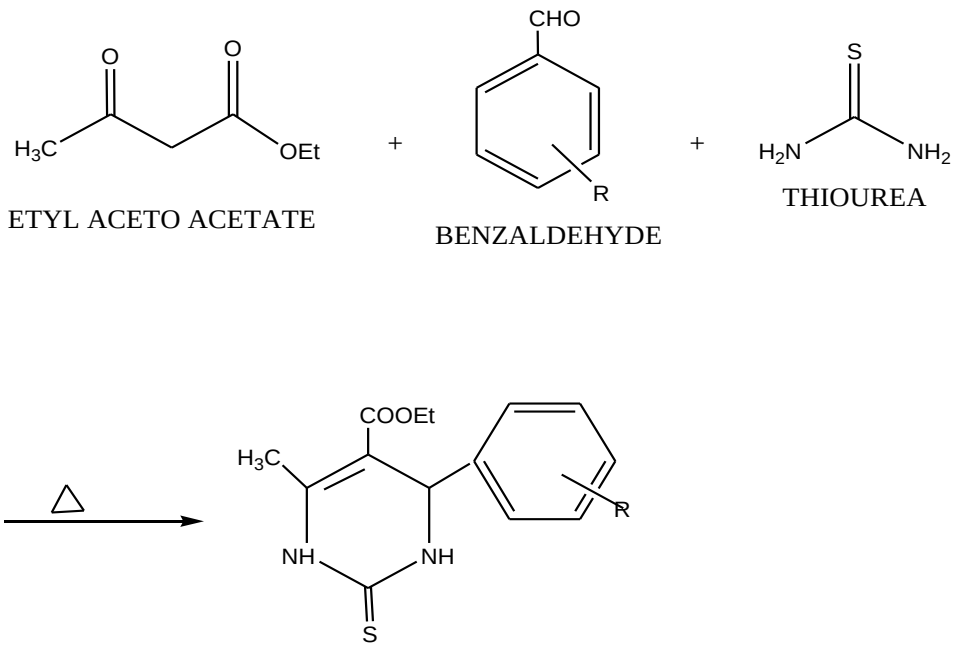
Abstract
Dihyadropyrimidinones and their corresponding derivatives were synthesized by the union of ethyl aceto acetat, benzaldehyde and thiourea under bronsted acid catalysis condition was pioneered by pietro biginelli in 1893.This review wraps recent mechanistic advances, new pharmacological revelation and new building block of dihydropyrimidinones. On the other hand it also swathe the most recently developed asymmetric synthetic methodologies to offer the enantio enrich dihydropyrimidinones derivatives.

Keywords: benzaldehyde, aceto acetate, thiouera & ethanol

Introduction
A multi component reaction (MCR) is a process in which three or more reactants combined together in one pot to form a product that introduces structural features of each reagent [1]. MCRs have played a central role in the development of modern synthetic methodology due to its selectivity, synthetic convergency and atom-economy for pharmaceutical and drug discovery research [2]. MCRs are cornerstones of both combinatorial chemistry and diversity-oriented synthesis [3].
Combinatorial chemistry is helpful to introduce structural variations in targeted compounds of interest whereas Diversity oriented synthesis is helpful to explore chemical structure space in search of new bioactive small molecules. Both approaches are benefit from the complexity-generating characteristics of MCRs. Another important feature of these reactions implies that the diminution of waste production because of reducing synthetic or isolation steps along with saving time [4]. Significant advantages were offered by the multi component strategies over conventional linear-type syntheses [5].
One-pot multicomponent synthesis offers simple and valuable synthetic tool to prepare drugs within a minimum number of synthetic steps [6].Therefore, MCRs have gained tremendous importance in the synthesis of drug moieties. One of the widely used classical multicomponent strategies for the synthesis of N-heterocyclic compounds is the Biginelli reaction which was pioneered by Pietro Biginelli in 1893 [7].
Biginelli synthesized dihydropyrimidin-2(1H)- (thi) one (4) (DHPM) derivatives by the three-component condensation of an aldehyde, a β-keto ester and urea or thiourea under Bronsted acid catalysis condition [8].

Exprimental Method
A mixture of benzaldehyde (2 gm), ethyl acetoacetate (2.6 gm) and thiourea (2 gm), taken in a round bottom flask was shaken by hand for 2 minutes. The reaction mixture was then heated in a water bath $90^{0C}$for one hour. With progress of the reaction a solid started to deposit and after one hour the flask is full of solid. The solid was washed with cold water (1 ml) and then recrystallized from rectified ethanol.

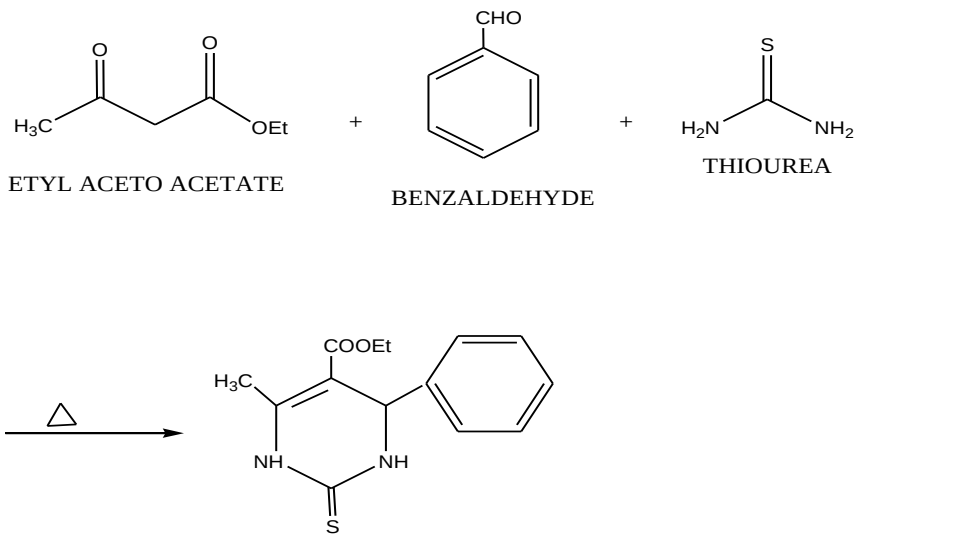
REACTION-



ethyl 4,6-dimethyl-2-thioxohexahydropyrimidine-5-carboxylate compound with benzene and ethane (1:1:1)

Reaction A.

REACTION-

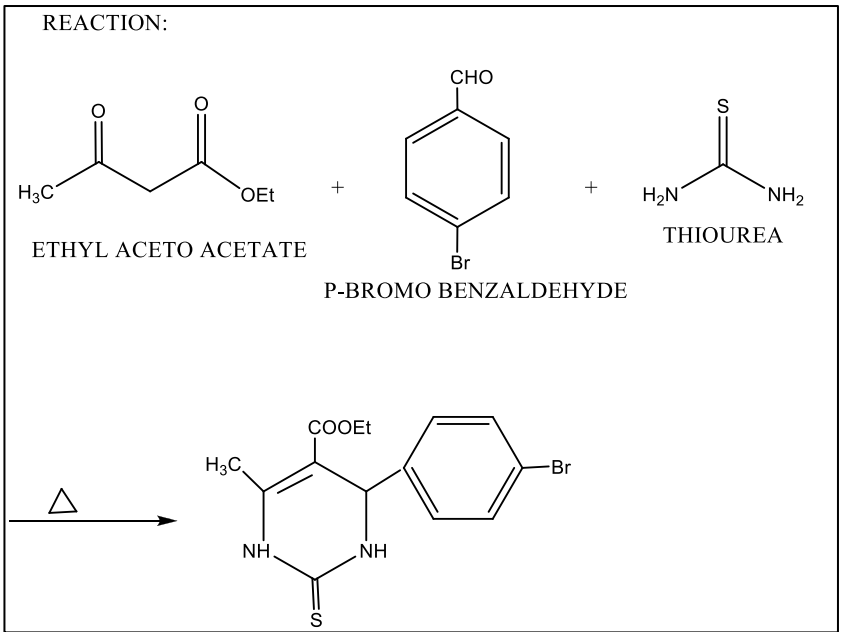


ethyl 4,6-dimethyl-2-thioxohexahydropyrimidine-5-carboxylate compound with benzene and ethane (1:1:1)

Reaction Time: -90 Min. **Melting point:** - 120 ^{0}C
% Practical Yield:-78% **Recrystallized solvent:**-Ethanol

Reaction B

Standard Frequency	Actual Frequency
Ar-CH 2900C0-3000 cm^{-1}	Ar-CH 3173 cm^{-1}
Ar-C=C 1500-1600 cm^{-1}	Ar-C=C 1577 cm^{-1}
C=O ester 1700-1740 cm^{-1}	C=O ester 1666 cm^{-1}
N-H 3300-3500 cm^{-1}	N-H 3328 cm^{-1}
C-S 570-610 cm^{-1}	C-S 596 cm^{-1}

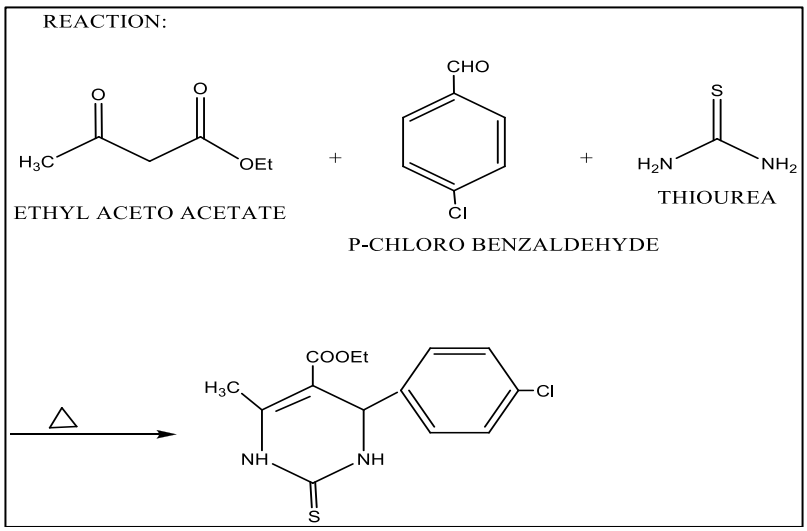


Reaction Time:- 110 Min **Melting point:-** 130 ^{0}C
% Practical Yield:-75% **Recrystallized solvent:-**Ethanol

Reaction C

Standard Frequency	Actual Frequency
Ar-CH 2900C0-3000 cm^{-1}	Ar-CH 3173 cm^{-1}
Ar-C=C 1500-1600 cm^{-1}	Ar-C=C 1577 cm^{-1}
C=O ester 1700-1740 cm^{-1}	C=O ester 1666 cm^{-1}
N-H 3300-3500 cm^{-1}	N-H 3328 cm^{-1}
C-S 570-610 cm^{-1}	C-S 596 cm^{-1}
C-Br 750-850 cm^{-1}	C-Br 730 cm^{-1}

Reaction D.



Reaction Time: 115Min. **Melting Point:-**65 ^{0}C
% Practical yield:-70% **Recrystallized Solvent:-**Ethanol

Standard Frequency	Actual Frequency
Ar-CH 2900C0-3000 cm^{-1}	Ar-CH 3173 cm^{-1}
Ar-C=C 1500-1600 cm^{-1}	Ar-C=C 1577 cm^{-1}
C=O ester 1700-1740 cm^{-1}	C=O ester 1666 cm^{-1}
N-H 3300-3500 cm^{-1}	N-H 3328 cm^{-1}
C-S 570-610 cm^{-1}	C-S 596 cm^{-1}
C-Cl 650-750 cm^{-1}	C-Cl 730 cm^{-1}

Result Table

Sr. No.	Compound	M.P. of product	% yield of product
1	A	120-122	78%
2	B	130-132	75%
3	C	65-67	70%
4	D	100-112	79%

Conclusion

The Thiodihydropyrimidone is a three component coupling reaction product occurs in a single step. The reaction is environmentally and economically free. The purity of the product is very nice and product obtained without any toxic solvent without any reagent and no use of any catalyst the reaction simply taking in presence of heat only. The great achievement of thiodihydropyrimidone obtained by Green methodology. Using green method such product have various biological activities, such as Antibacterial, Antifungal, Antipyretic, Antioxidant, Analgesic, Anti inflammatory activities.

Reference

1. Armstrong RM, Combs AP, Tempest PA, Brown SD, Keating TA. Multiple-Component Condensation Strategies for Combinatorial Library Synthesis, Acc. Chem. Res 1996;29:123-131.
2. Ugi I, Do¨mling A, Ho¨rl W. Multicomponent Reactions in Organic Chemistry, Endeavour 1994;18:115-122.
3. Hulme C, Gore V. Multi-component Reactions: Emerging Chemistry in Drug Discovery from Xylocaine to Crixivan, Curr. Med. Chem 2003;10:51-80.
4. Bienayme H, Hulme C, Oddon G, Schmitt P. Maximizing Synthetic Efficiency: Multicomponent Transformations Lead the Way, Chem. Eur. J, 2000;6:3321-3329.
5. Tornøe CW, Christensen C, Meldal M. Peptidotriazoles on Solid Phase: [1,2,3]-Triazoles by Regiospecific Copper(I)-Catalyzed 1,3-Dipolar Cycloadditions of Terminal Alkynes to Azides, J. Org. Chem 2002;67:3057-3064.
6. Dax SL, McNally JJ, Youngman MA. Multi-Component Methodologies in solid phase organic Synthesis, Curr. Med. Chem., 1999;6:255-270.
   (b) Weber L, Illgen K, Almstetter M. Discovery of New Multi Component Reactions with Combinatorial Methods, Synlett, 1999;3:366-374.
7. (a) Akritopoulou-Zanze I. Isocyanide-based multicomponent reactions in drug discovery. Curr. Opin. Chem. Biol., 2008;12:324-331
   (b) Volonterio A, Zanda M. Multicomponent, one-pot sequential synthesis of 1,3,5- and 1,3,5,5-substituted barbiturates, J. Org. Chem 2008;73:7486-7497.
   (c) Maiti S, Biswas S, Jana U. Iron(III)-catalyzed Four-Component Coupling Reaction of 1,3-Dicarbonyl Compounds, Amines, Aldehydes, And Nitroalkanes: A Simple and Direct Synthesis of Functionalized Pyrroles, J. Org. Chem 2010;75:1674-1683.
8. Biginelli P. Synthesis of dihydropyrimidinones, Ber., 1891;24:1317
9. Biginelli P. Aldureides of Ethylic Acetoacetate and Ethylic Oxalacetate. Gazz. Chim. Ital 1893;23:360-416.