

A Review on Synthesis, Characterisation and Biological Screening Of Novel 1,4-Dihydropyridine Derivatives For Certain Pharmacological Activities

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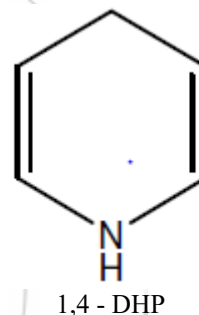
Abstract: A series of 1, 4-dihydropyridine derivatives were prepared from three compounds condensation reaction of ethylacetoacetate, aromatic aldehyde and ammonium acetate at 70°C. Derivatives of 1,4-dihydropyridines are one of the most potent calcium antagonists. The compound exhibits various pharmacological actions which include substitution at the 4th position possess calcium channel antagonist property while the heterocyclic ring of the compound possesses pharmacological actions like antihypertensive^[1] anti-inflammatory, antifungal, analgesic, antimicrobial, antithrombotic actions. It also shows vasodilation, anticonvulsant and stress protective effect by binding to L and N channels^[2].

Keywords: Calcium channel blocker, 1,4- Dihydropyridine, antihypertensive, anti-inflammatory, analgesic activity

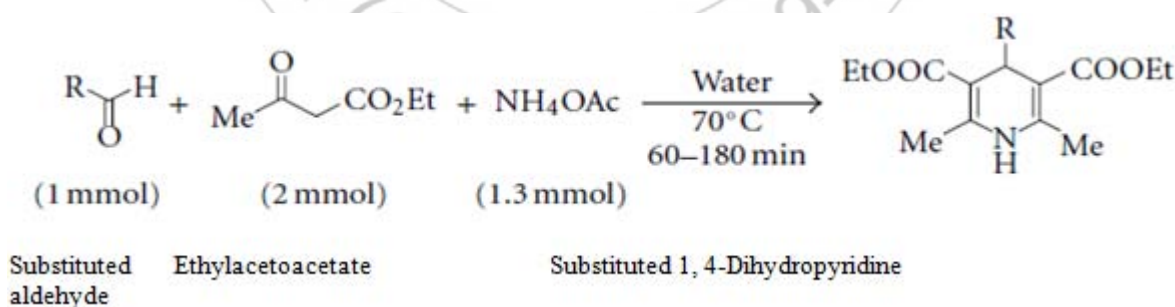
1. Introduction

Medicinal chemistry is a multidisciplinary subject involving organic chemistry, pharmacology, biochemistry, physiology, microbiology, toxicology & genetics. It is concerned with the design, development, identification, and synthesis of compounds that can be used for prevention, treatment or cure of human or animal disease and study of their metabolism, interpretation of their mode of action at molecular level and construction of structure activity relationship (SAR).

Dihydropyridines are the derivatives of pyridine which belong to an important group of heterocyclic compounds containing nitrogen in a six member ring which is saturated at the 1st and 4th position of pyridine.



A series of 1, 4-dihydropyridine derivatives were prepared from three compounds condensation reaction of ethylacetoacetate, aromatic aldehyde and ammonium acetate at 70°C.



Determination of physico-chemical properties of the synthesized compounds by melting point, solubility profile and thin layer chromatography. Structure elucidation of the synthesized compounds by I.R. and N.M.R.

The synthesized compounds are screened for its antimicrobial, analgesic, anti-inflammatory, anticonvulsant and antioxidant activities. Antimicrobial activity is determined by agar plate diffusion method with ciprofloxacin as standard. Analgesic activity is determined by eddy's hote plate method with tramadol as standard. Anti-inflammatory activity is determined by using

carrageenan induced paw edema method. Anticonvulsant activity is determined by maximal electroshock method with phenytoin as standard. Antioxidant activity is determined by H₂O₂ scavenging method.

2. Literature Review

1) Amit Pramaniketal; 2012 synthesized some new derivatives of 1,4-dihydropyridine by reacting different aldehydes with ethylacetoacetate in presence of ammonium acetate. It is an on-water-catalyst free

ecofriendly synthesis . Result suggests that this synthesis produce high yield & purity.

- 2) **N. SRINIVASA RAO** et al ; 2013 synthesized 1,4 dihydropyridine derivatives from three compounds , ethylacetoacetate aromatic aldehyde and ammonium hydroxide. A new series of compounds (1,4 -di hydro-2,6-di methyl-4-aryl substituted pyridine-3,5-die- α -naphthal amide) is prepared by reacting the condensation product with α -naphthyl amine and the synthesized compounds were also screened for antimicrobial properties.
- 3) **V.Nirai et al;** 2012 synthesized 1,4 dihydropyridine deivatives by reacting different aldehyde with acetyl acetone and ammonium acetate under solvent free conditions. The results suggest that the aldehydes with electron-donating substituents required longer times to complete the reaction than the aldehydes containing electron withdrawing substituents
- 4) **Prasanna A. Datar** et al; 2012 designed and synthesized novel 4-substituted 1,4-dihydropyridine derivatives as hypotensive agents by reacting different aldehydes with ethylacetoacetate and ammonium acetate .The results suggest that the compounds decreased mean arterial blood pressure significantly , while no effect on the heart rate in rats.
- 5) **S. Indumathi** et al;2015 synthesize 1,4-dihydropyridine derivatives(2,2'-{[4-(furan-2-yl)-2,6-dimethyl-1,4-dihydropyridine-3,5-diy] dicarbonyl} dihydrazinecarbothioamide) and thesynthesized compounds were screened for in vivoPharmacological activity such as anticonvulsant, analgesic and anti-inflammatory activities. Among the pharmacological screening the synthesized compound showed t better activity against anti-inflammatory when compared with the standard drugs (Diclofenac sodium).
- 6) **B. Vijayakumar,** 2013synthesize 1,4-dihydropyridine derivatives by reacting an aldehyde, a β -ketoester and ammonium bicarbonate in the presence of microwaves without a catalyst under solvent-free conditions. The method offers very attractive features such as reduced reaction times, higher yields and with no catalyst, when compared with any conventional method as well as with other catalysts, which will have wide scope in organic synthesis

3. Conclusion

1,4- Dihydropyridine derivatives was prepared by a condensation reaction of an aromatic aldehyde with ethylacetoacetate and ammonium acetate at 70°C. It was characterized by melting point determination, TLC, solubility proflile , I.R ,NMR. The compound shows antibacterial , anti-inflammatory , analgesic , anticonvulsant and antioxidant activities.

4. Acknowledgement

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