"Synthesis Characterization and Biological Activity of Novel 1,3-Thiazolidin-4-One Derivatives"

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Title of the project: **"Synthesis Characterization and Biological Activity of Novel 1,3-Thiazolidin-4-One Derivatives"**

SUMMARY OF THE FINDINGS

I have synthesized 1, 3- thiazolidine-4-one Compounds and its derivatives which have received considerable attention among medicinal chemists because molecules with these structural features have been found to display a wide range of potent biological activities, such as antihypertensive, antifungal and antibacterial activities. Considering the important biological properties of 1, 3-thiazolidine-4-one Compounds and its derivatives, several efficient thiazolidine-4-one syntheses have been reported.

The azolidine moiety is an important and frequent insecticidal, agrochemical structural feature of many biologically active compounds such as cytochrome P450 enzyme inhibitors and peptide analogue inhibitors. Recently, much attention has been focused on 1, 3- thiazolidine-4-one Compounds for their broad-spectrum activities, such as fungicidal, herbicidal, anticonvulsant and plant growth regulatory activities. Promoted by the above observations that the combination of two or more heterocyclic and non-heterocyclic systems enhances the biological profile many-fold than its parent nuclei, we considered to synthesize some compounds bearing 1, 3- thiazolidine-4-one Compounds in a molecular framework. 1, 3- thiazolidine-4-one Compounds and their derivatives have been reported having fungicidal, insecticidal and pharmacological activities.

Procedure for synthesis of Schiff bases eliminates the use of organic solvents. Thus we have synthesized a series of new heterocyclic Schiff bases by using $SnCl_2$ as a catalyst. Reaction completes within 3 minutes with excellent yield. Isolation of product is simple and does not harm the environment. All the compounds more or less active to tested bacteria. Compounds **48a**, **48d**, **48e**, **48g**

and **48j** showed moderate to good anti-bacterial activity. Probably the electric property of these compounds may be a reason for their biological activity.

We have synthesized a series of new Schiff bases under solvent and catalytically free condition. But in this protocol, for synthesis of Schiff bases from ketone requires acetic acid as a catalyst. This protocol furnishes the products very quickly with excellent yields, simplifies the work up and does not harm the environment. The anti-bacterial screening results reveal that the compounds **54c** (which bear 4-CH_3 and NO_2), **51g** (which bear 3- CH_3 and di-Cl) and **51k** (which bear 3- CH_3 and OH) showed excellent to moderate anti-bacterial activity. Probably the electric property of these compounds may be a reason for their biological activity.

This protocol furnishes the products very quickly, simplifies the work-up and a number of novel hydrazones were synthesized under solvent free condition. Does not harm the environment. In this protocol, there is no influence of the electronic nature of the substituent on the reaction time or yield but ketones gives low yield as compared to aldehydes. The anti-bacterial screening results reveal that the compounds that bear 4-OH, 2,4 di-chloro, 4-chloro, thiophene and 2-nitro substituents showed moderate anti-bacterial activities i. e. 75b, 75c, 75d, 75k and 75l.

In another case, we have developed an efficient, solvent free synthesis of hydrazones at ambient conditions in near quantitative yields by using mechanic chemistry. Excellent isolated yield, high reaction rate. Absence of organic solvent and any acid or base catalyst makes this an environment friendly methodology amenable for scale up.

Publications: Three papers were published & two communicated for Publication

1) An environmentally benign, solvent free synthesis and antibacterial activity of novel Schiff bases derived from 4,5-diazafluoren-9-one, Elixir Org. Chem. 45(2012), 7881-7883
2) Solid phase-promoted greener synthesis and antibacterial activity of novel Schiff bases under catalytically free condition, Elixir Org. Chem.43 (2012),6960-6963
3) Atom efficient grinding technique for the synthesis of hydrazones catalyzed by citric acid, Elixir Org. Chem. 45(2012), 6583-6585
4) Stability-indicating UPLC method for the determination of mesalamine related impurities in tablet dosage form

   (Communicated to Chalian Journal of Chemistry)
5) Citric Acid Catalysed Synthesis of Amido alkyl Naphthols under Solvent-free Condition: An Eco-friendly Protocol

   (Communicated to Journal of Environmental Research and Development)

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