Annexure – VIII

UNIVERSITY GRANTS COMMISSION
BAHADUR SHAH ZAFAR MARG
NEW DELHI – 110 002.

PROFORMA FOR SUBMISSION OF INFORMATION AT THE TIME OF SENDING THE FINAL REPORT OF THE WORK DONE ON THE PROJECT

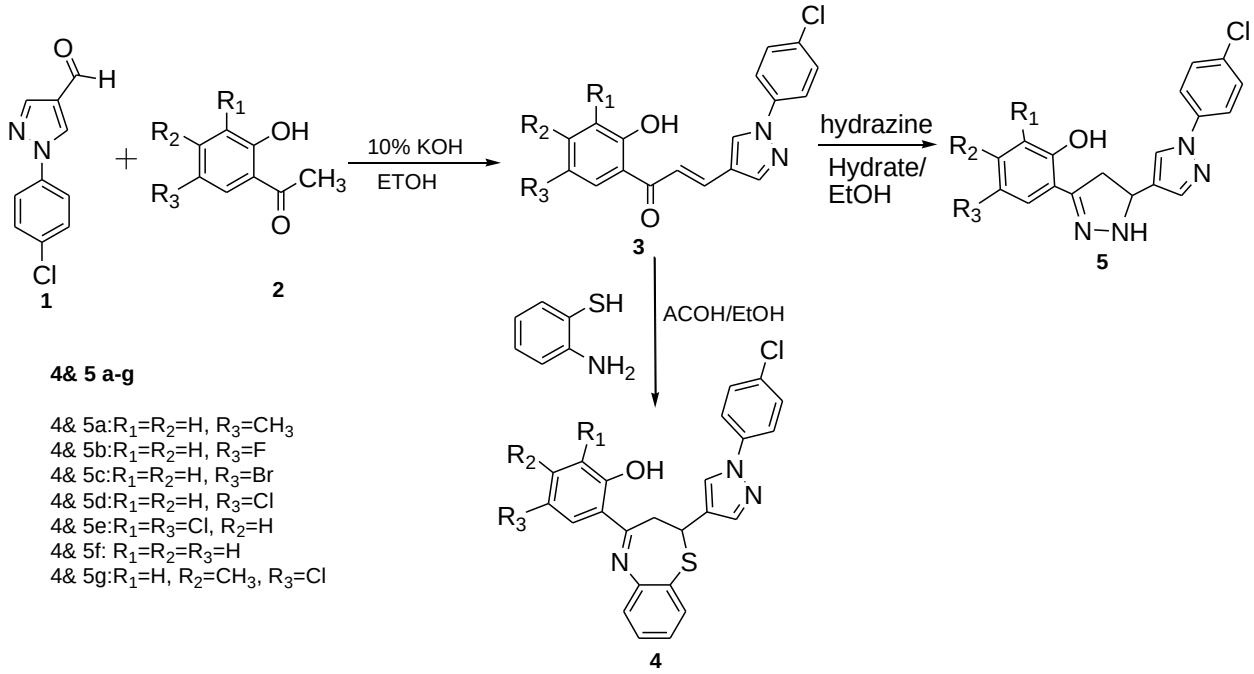
1. Name and address of the principal investigator: **Dr. Shelke Sharad Narayan, Dept. Of Chemistry, S. S. G. M. College, Kopargaon, Dist: Ahmednagar-423601.**
2. Name and address of the institution: **S. S. G. M. College, Kopargaon, Dist: Ahmednagar-423601.**
3. UGC Approval No. and Date: **47-1980/11 (WRO) dated 22 Feb. 2012**
4. Date of implantation: **01-04-2012**
5. Tenure of the project: **2 years** (01-04-2012 to 31-03-2014)
6. Total grant allocated: Rs. **1, 65,000/-**
7. Total grant received: Rs. **1, 62,000/-**
8. Final expenditure: Rs. **1,50,000/-** (I^{st} installment, received) + Rs. **12,000/-** (II^{st} Installment received) = Rs. **1, 62, 000/-**
9. Title of the project: **Green synthesis and screening of some biologically Important Pyrazolines & Benzothiazepines.**
10. Objectives of the project: **Synthesis of new biologically active compound and their characterization by spectral studies. Synthesized compounds are screened for their anti-inflammatory activities.**
11. Whether objectives were achieved: Yes, we achieved following objectives.
    i) We synthesize new biologically active compound *viz*. various Pyrazolines & Benzothiazepines.
    ii) We characterized synthesize compounds by IR, NMR and Mass spectral studies.
    iii) Anti-inflammatory activities test was performed by rat paw edema method with diclofenac as a standard drug
12. Achievement from the findings:
    i) We synthesize new bioactive compound *viz*. various Pyrazolines & Benzothiazepines.
    ii) We characterized synthesize compounds by IR, NMR and Mass spectral studies.

We got good result, which it is match with theoretical values.

iii) The investigation of anti-inflammatory screening data has revealed that all the tested compounds such as Pyrazolines & Benzothiazepines showed moderate to excellent anti-inflammatory activities as compared with diclofenac as a standard drug.

Summary of the findings:

***Chemistry*:** In this project work, we report the synthesis and spectroscopic studies of bioactive Pyrazolines & Benzothiazepines. Scheme of the synthesized compounds has been shown in **Scheme 1.** .



Scheme-1: Synthesis of benzothiazepine **4a**–**g** & pyrazolines **5a**–**g**.

The aim of the present study was to investigate the anti-inflammatory activity of synthesized compounds. All the newly synthesized benzothiazepines (**4a–g**) were screened for their in vivo anti-inflammatory activity by paw edema method. Wister rats were used in the study were fed in house diet and water adlibitum and maintained at 12-12h dark light cycle, 25 C. Animals were administered Diclofenac 10 mg/kg, or test compound 10 mg/kg p.o., (n=3) two hours prior to injection of 0.1% formaldehyde in the paw. The anti-inflammatory was then calculated 120 minutes after induction as the mean paw dimension in addition to the percentage inhibition. Paw dimension was measured by digital vernier calliper (Mitutoya, Japan.)

Out of the seven compounds tested, three compounds (**4c, 4d and 4g**) showed significant anti-inflammatory activity. Among these compounds, the compound **4d** (R_3=-Cl) was found to be highly active with 10.89 % inhibition activity, while **4c** and **4g** with –Br and –H groups were also found have a respective inhibition rate of 6.52 % and 6.14 %.

However, the compounds **4a** and **4g** with methyl groups were found to be less active, with 1.52 and 0.51 % inhibition, respectively. The 4d with chloro group displayed considerable potent anti-inflammatory activity (10.89 % inhibition) comparable with diclofenac (14.21 % inhibition). However, none was found to be superior to the reference drug.

13. Contribution to the Society:

► The present investigation reports the synthesis of 1, 5 benzothiazepine derivatives and the evaluation of their anti-inflammatory activity. The submission pattern of the 1, 5 benzothiazepine was rationalized to be correlated to the aryl heterocyclic template. Among all tested compounds, chloro-substituted benzothiazepine derivative **4d** showed the highest anti-inflammatory activity (10.89 % inhibition) that was comparable to diclofenac (14.21 % inhibition), while compounds **4c** and **4g** displayed good anti-inflammatory activity (6.52% and 6.14 % inhibition), respectively). However, none of the newly synthesized compounds were found to be superior to the reference drug.

► In recent years design of bioactive drugs is an important goal in organic synthesis because day by day due to pollution, human disease immune power decrease. Keeping in view the need for investigating the bioactive drugs is essential goal of the researcher today. Obviously, we take an opportunity to find new anti-inflammatory drug like titled compound and take contribution to the society.

14. Whether any Ph.D. enrolled / produced out of the project: No. But 2 M.Sc. students are trained under this project namely “Samadhan Kadam, Sawpnil Murade”.

15. No. of publication out of the project:

One International Research paper communicated entitled “Synthesis and Evaluation of Novel 1, 5-Benzothiazepine Derivatives as Anti-Inflammatory Agents”. ***Arabian J Chem,*** *Mar.* 2014.

Signature of the
Principal investigator

Principal
S.S.G.M. College, Kopargaon