WORK PLAN:

In first six months work will be planned as follows:

- Basic Literature search and evaluation
- Reagents and chemicals will be identified.
- Experimental trials to be planned.
- Review of chromatograms and interpretation of experiments results.

In next six to 12 months following work will be planned:

- Extensive literature search for relevant proposed work
- Experimental trials to be planned
- Review of chromatograms and interpretation of experiments results
- Spectral interpretation by NMR, MASS & IR
- Various Crystallization process to isolate the compounds
- Establishment of compounds Purity by instruments like HPLC
METHODOLOGY:

Synthesis of 2-substituted 1,3-benzazoles by green approach:

A promising synthetic approach aiming at environmentally benign chemistry minimizes or eliminates the formation of by products, to afford high atom-efficient chemical process. As a very important class of heterocyclic compounds, 1,3-Benzazoles (benzimidazole and benzothiazole) are considered as privileged structures in the medicinal chemistry field. Drugs displaying 1,3-Benzazoles ring include proton-pump inhibitors Omeprazole, AT1 receptor antagonists Telmisartan, direct thrombin inhibitor Dabigatran, and H1 receptor antagonist Riluzole.

These prompted us to develop a green and atom-efficient one-pot synthesis of 1,3-benzazoles (benzimidazole and benzothiazole) via green catalyzed reaction. Green catalyst has been proven to be useful for various transformations and it is environmentally benign, easy to handle and recyclable catalyst. In this connection we planned to synthesis of 1,3-Benzazoles using green catalyst. We are planning to take 1,2-phenylenediamine (1) (1 mmol), benzaldehyde (2a) (1 mol),...
mmol) and Green catalyst at ambient temperature probably give the corresponding 1,3-
Benzazoles(3)ingoodyields.

\[ \text{Synthesis of 3-substituted indoles by solid supported reagent:} \]

The indole ring is widely present in a variety of biologically active compounds and has become
an important structural component in many pharmaceutical agents owing to the great structural
diversity of biologically active indoles. Various indole derivatives occur in many pharmacologically and biologically active compounds. Among them 3-substituted indoles are
important building blocks for the synthesis of biologically active compounds and natural
products.

The indole nucleus is the structural component of many organic compounds such as tryptophan, alkaloids and pigments. At present, there are approximately 1500 indole alkaloids described, which include simple and more complexly functionalized indole derivatives. The simple indole
derivatives are comprised of a pyrrole ring fused with a benzene ring such as in the essential
amino acid, tryptophan serotonin and tryptamine. For example, tryptophan-derived tryptamine
alkaloids such as neurotransmitter serotonin, melatonin, the hallucinogens psilocybin, DMT, 5-
MeO-DMT, ergolines such as LSD contain indole moiety. Other indole derivatives include the
plant hormone auxin (indolyl-3-acetic acid, IAA, The anti-inflammatory drug indomethacin and the betablocker pindolol.
Pharmacological activity of some indole derivatives

<table>
<thead>
<tr>
<th>Sl. No.</th>
<th>Compound Name</th>
<th>Structure</th>
<th>Activity</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>Almotriptan Malate</td>
<td><img src="image1" alt="Structure" /></td>
<td>Anti-migraine</td>
</tr>
<tr>
<td>2</td>
<td>Eletriptan Hydrobromide</td>
<td><img src="image2" alt="Structure" /></td>
<td>Anti-migraine</td>
</tr>
<tr>
<td>3</td>
<td>Naratriptan Hydrochloride</td>
<td><img src="image3" alt="Structure" /></td>
<td>Anti-migraine</td>
</tr>
<tr>
<td>4</td>
<td>Rizatriptan Benzoate</td>
<td><img src="image4" alt="Structure" /></td>
<td>Anti-migraine</td>
</tr>
<tr>
<td>5</td>
<td>Sumatriptan Succinate</td>
<td><img src="image5" alt="Structure" /></td>
<td>Anti-migraine</td>
</tr>
</tbody>
</table>
Despite the importance of 3-substituted indoles we focused our attention on the application of solid supported reagent in organic synthesis. In this context, we want to explore the use of solid supported as green catalyst in the synthesis of 3-substituted indoles. The present method we are planning to take starting from Indole with nitrostyrene in presence of solid supported catalyst. We can synthesise a range of compounds in good to excellent yields by using the above catalyst.

\[
\begin{align*}
1&+2 \xrightarrow{\text{Solid supported \ green catalyst \ Ambient temp}} 3 \\
R^1= &H, 4-\text{Cl}, 3,4-\text{Cl Cl}, 4-\text{Er}, 2-\text{IVe}, 4-\text{CNVe}, \\
R= &5-\text{Cl}, 6-\text{F}
\end{align*}
\]