Synopsis

GOLD CATALYZED TRANSFORMATION OF *N*-PROPARGYLIC *β*-ENAMINONES: SYNTHESIS OF 1-PYRROLINES, AZABICYCLO [4.1.0]HEPTA-2,4-DIENES, 1,4-OXAZEPINES AND 1,2-DIHYDRO BENZO[*c*][2,7]NAPHTHYRIDINES

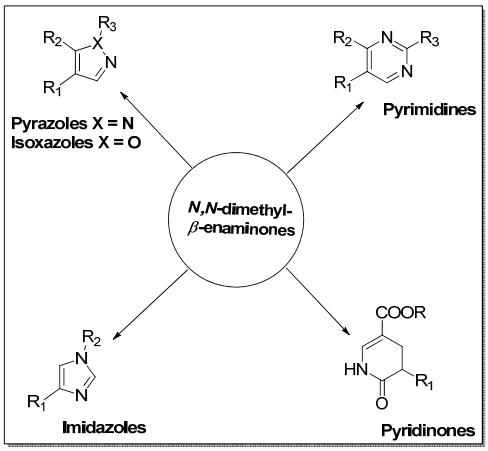
Chapter-I :

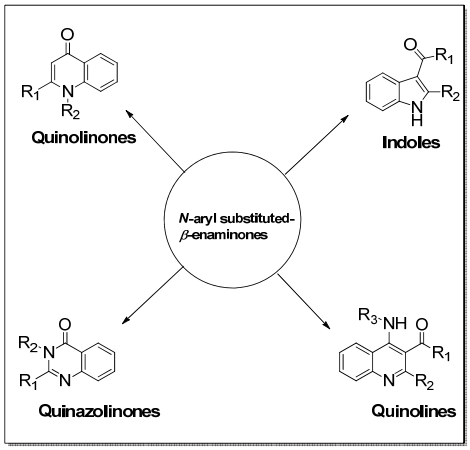
This chapter describes about enaminones and applications of N-propargylic β-enaminones for the synthesis of various heterocyclic scaffolds and also discussed about gold-catalysis and its applications.

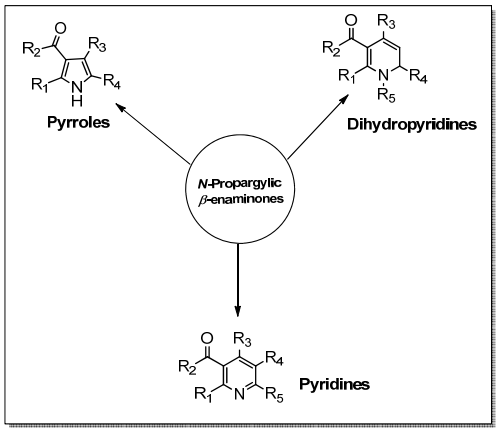
Enaminones are known as versatile reactive intermediates for the synthesis of various heterocyclic compounds which exhibits broad range of applications in agrochemicals, medicinal chemistry and functional materials.

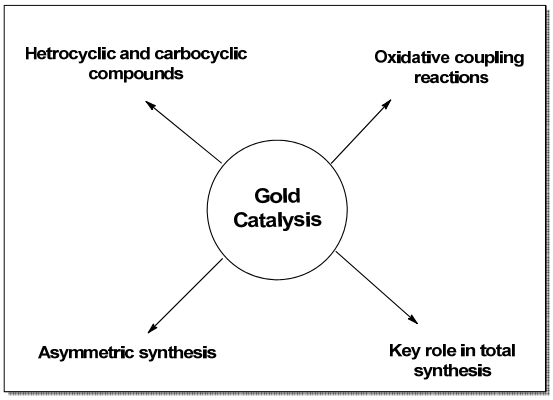
Recent research outputs evidencing that there is an enhanced advancement by the utility of *N*-substituted *β*-enaminones and their synthetic transformations for generation of various useful heterocyclic scaffolds.

Gold-catalysis emerged as an thriving field with numerous novel and unexpected discoveries every year. The advantageous features of organic transformations through homogenous gold-catalysis are high atom economy, high functional group tolerance, sometimes a tremendous increase in molecular complexity. Robust applications of homogeneous gold-catalysis in asymmetric synthesis was also achieved.









Chapter-II :

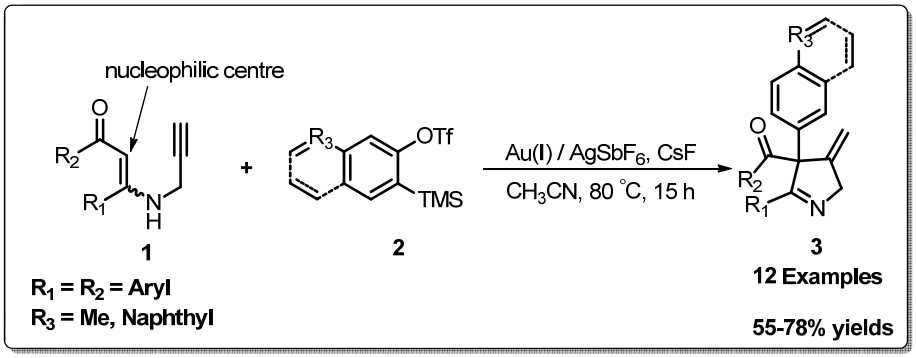
This chapter deals with the synthesis of 1,2-diphenyl-1-pyrrolines and synthesis of 3-azabicyclo[4.1.0] hepta-2,4-dienes.

This chapter was divided into two parts (A and B).

In part A we have discussed the synthesis of 1,2-diphenyl-1-pyrrolines from *N*-propargylic *β*-enaminones and arynes under gold-catalysis.

Gold-catalyzed reaction between *N*-propargylic *β*-enaminones and arynes was developed to access 3-methylene-1-pyrrolines. The title compounds were obtained in 55–78% yields. This reaction is useful for the generation of substituted 1-pyrrolines exhibiting significant molecular complexity with quaternary stereocenters and exocyclic double bonds.

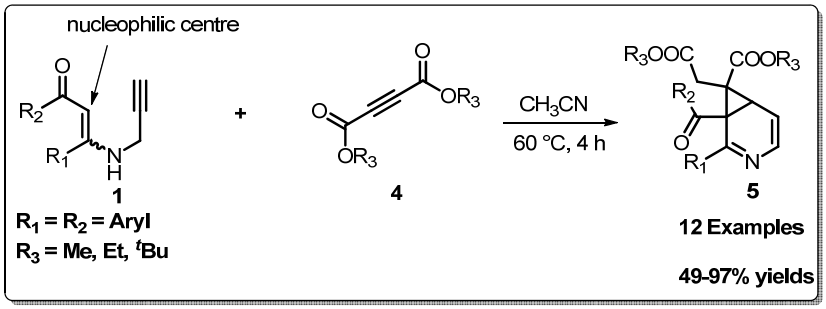
1-Pyrrolines (3,4-dihydro-2H-pyrrolines) have received considerable attention, they exhibit important roles in several applications such as semiochemicals, flavouring agents for various food products, natural products, alkaloids, and therapeutic compounds.



In part B we have discussed the synthesis of azabicyclo[4.1.0]hepta-2,4-dienes from *N*-propargylic *β*-enaminones and dialkyl acetylene dicarboxylates.

Cyclopropane fused aza-heterocyclic compounds represent a privileged class of structural motifs embeded in natural products and numerous biologically active agents. They have potential applications in pharmaceutical chemistry.

3-Azabicyclo[4.1.0]hepta-2,4-dienes were efficiently synthesized in the reaction of *N*-propargylic *β*-enaminones with acetylenedicarboxylates under novel and exceptional catalyst- and base-free conditions in a single step.

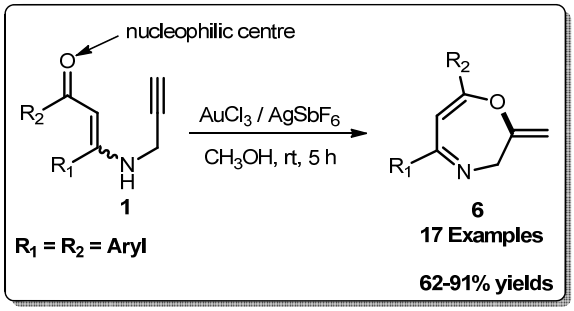


Chapter-III:

This chapter describes the synthesis of 1,4-Oxazepine derivatives by intramolecular cyclization of N-propargylic β-enaminones under gold-catalysis.

The 1,4- oxazepines are the parent core of medicinally important drugs like amoxapine, loxapine, and sintamil. 1,4-Oxazepine derivatives exhibiting biological properties such as histone deacetylase inhibitions and antitumor activity have been reported.

An efficient and mild one-pot, gold-catalyzed intramolecular cyclization of *N*-propargylic *β*-enaminones has been achieved for the generation of 1,4-oxazepine derivatives. This synthetic transformation tolerates a range of substituted *N*-propargylic *β*-enaminones in moderate to good yields. It is noteworthy that *N*-propargylic *β*-enaminones undergo 7-*exo*-*dig* cyclization regioselectively to give the title compounds.



Chapter-IV :

In this chapter, we discussed the synthesis of 1,2-dihydrobenzo[c][2,7]naphthyridine compounds by employing gold / AcOH binary catalytic system on 2-aminophenyl substituted N-propargylic β-enaminones.

We focused on synthesis of poly heterocyclic systems by employing compatible binary catalytic system. An efficient gold catalysed domino, atom economical protocol for the construction of benzo fused dihydronaphthyridines nucleus has been achieved from 2-aminophenyl substituted *N*-propargylic *β*-enaminones. In this organic transformation a new C-C and C-N bond formations occurred along with sp^3-carbon centre. Substituted benzofused dihydronaphthyridines were obtained in good to excellent yields in one-pot fashion under mild reaction conditions.

Benzo[*c*][2,7]naphthyridine derivatives are the basic structural skeleton of many alkaloids isolated from marine living organisms and also possess wide spectrum of biological activity.