CHAPTER-6

Summary and Conclusions

The thesis entitled **"Development of new synthetic routes for the preparation of *N*-aryl, acyl and phosphoryl sulfoximines"** demonstrated various methods for *N*-functionalizatiom of the sulfoximines with some applications. The content of the thesis has been divided into six chapters including this chapter.

The chapter 1 provides a general introduction to sulfoximines. Among them, the brief history, physical, biological and chemical properties as well as structural and stereochemical features of sulfoximine compounds have been discussed. More emphasis has been given on the previous synthetic approaches developed for the *N*-funtionalization of sulfoximines (Figure **6.1**).

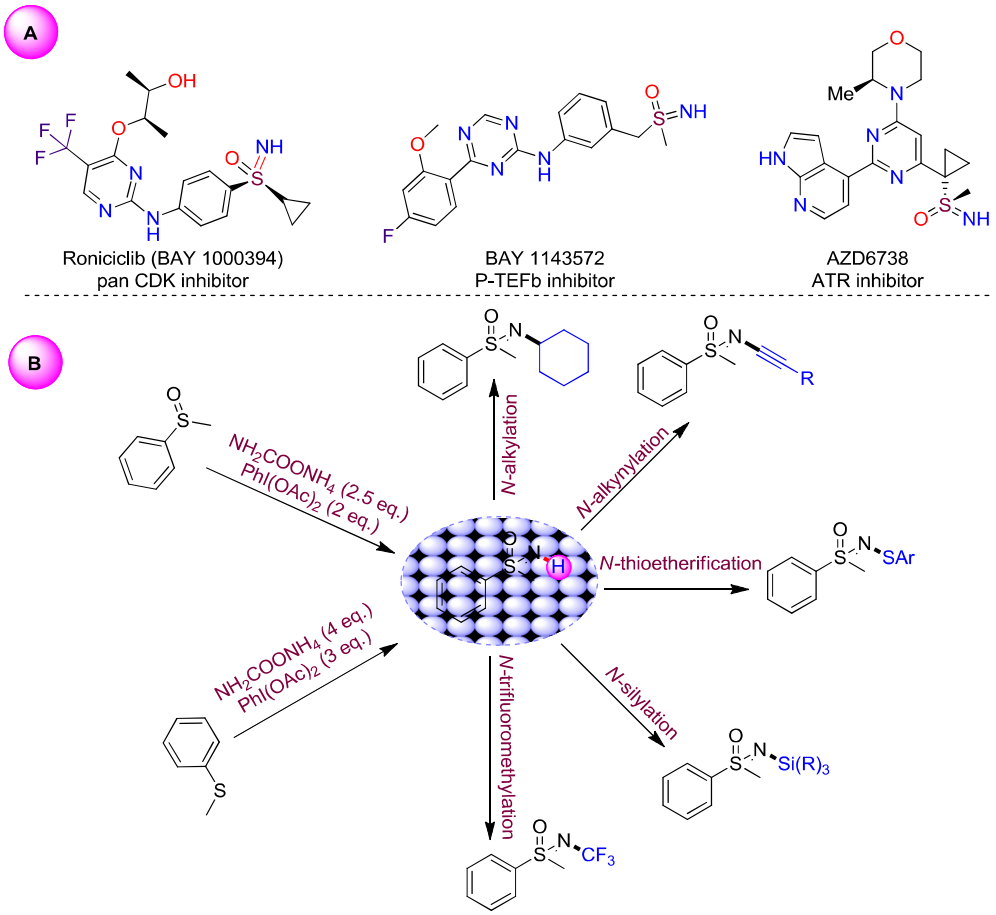
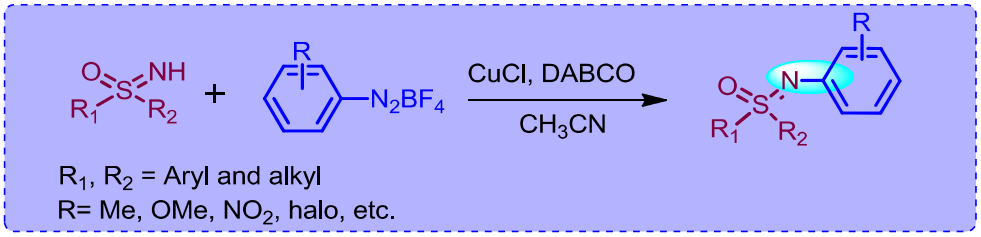


Figure 6.1 A: Sulfoximine containing drug active molecules; **B**: Synthesis and *N*-functionalization of sulfoximines.

The chapter 2 described a copper catalyzed *N*-arylation of sulfoximines using aryldiazonium tetrafluoroborate as an aryl source under mild conditions (Scheme **6.1**). *N*-Arylation of sulfoximine with aryl diazonium salts was achieved using 10% CuCl in the presence of DABCO in acetonitrile at 60 °C. The substrates scope was well demonstrated using variety of alkyl and aryl sulfoximine with different aryl diazonium tetrafluoroborates (Scheme **6.1**).



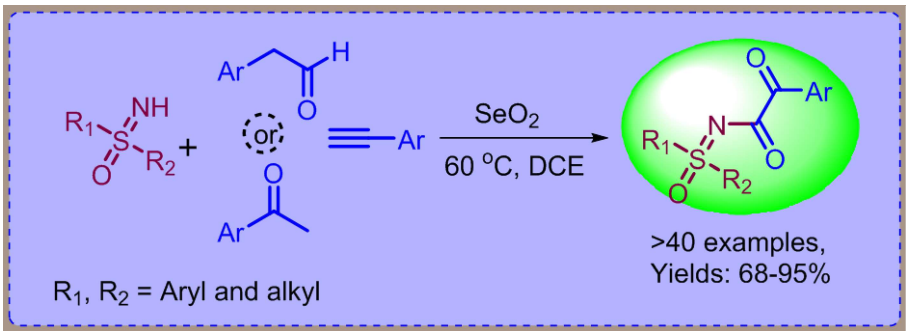
Scheme 6.1: *N*-Arylation of sulfoximines using aryldiazonium tetrafluoroborates.

The chapter 3 focused on the development of efficient and practically feasible, catalyst-free, robust and straightforward iminocarbonylation approach for the synthesis of *N*-acyl sulfoximines from *NH*-sulfoximines and aryl iodides in the presence of $Mo(CO)_6$ as a solid CO source.

Scheme 6.2: *N*-Acylation of sulfoximines using $Mo(CO)_6$

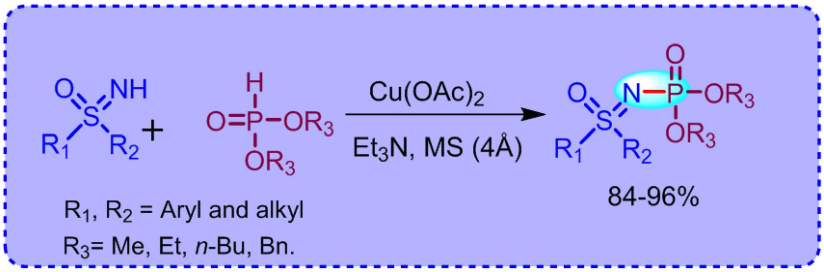
Simple operation, broad substrate scope, wide functional group tolerance and excellent yields are the salient features of this work. The present approach appears to be more general, hence expected to serve as a practical alternative to the existing methods for the preparation of a wide veriety of *N*-acyl sulfoximines in organic synthesis (Scheme **6.2**).

The chapter 4 disclosed selenium dioxide (SeO_2)-mediated *α*-keto *N*-acylation of *NH*-sulfoximines using acetophenones in the absence of any additives under mild reaction conditions. Moreover, under optimized condition *α*-ketoacylation of sulfoximines was phenylacetaldehyde and arylacetylenes. The reaction requires moderate heating at 60 °C in DCE and provided the desired *α*-ketoamides in good to excellent yields without addition of any additives including bases. These synthetic method are expected to find promising applications in organic synthesis (Scheme **6.3**).



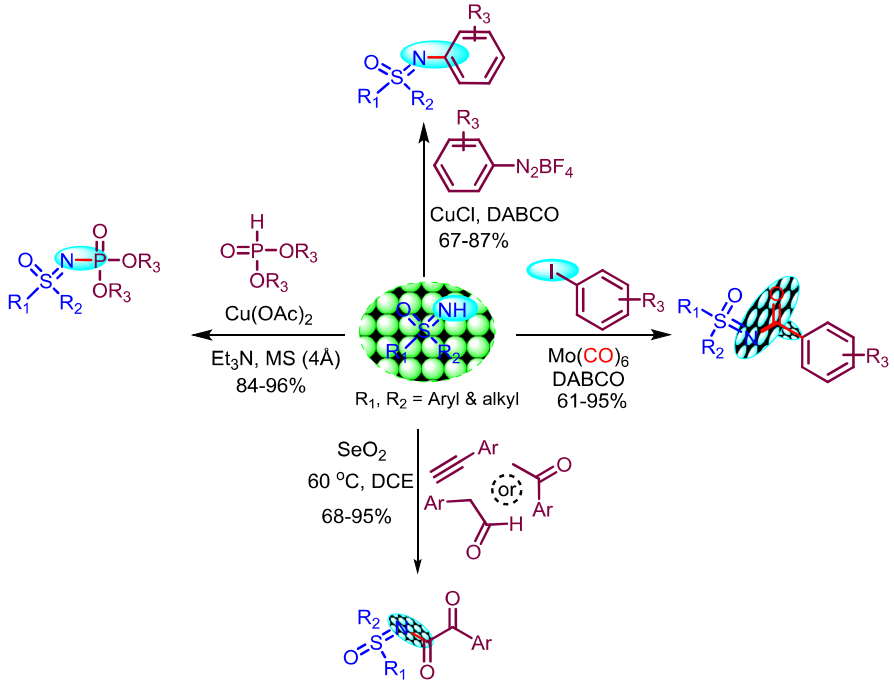
Scheme 6.3: *α*-Ketoacylation of sulfoximines in the presence of SeO_2.

Chapter 5 illustrated copper mediated *N*- phosphoramidation of sulfoximines with different dialkyl phosphites under mild reaction conditions. A library of sulfoximines bearing electron donating and withdrawing groups underwent dehydrogenative cross coupling reaction with dialkyl phosphites in the presence of copper(II) acetate and the desired products were obtained in good to excellent yields. We anticipate that the current practical methodology will be highly useful for the synthesis of *N*-phosphorylated sulfoximines (scheme **6.4**).



Scheme 6.4: Dehydrogenative coupling of dialkyl phosphites with sulfoximines.

In conclusion, sulfoximines are versatile class of medicinally rewarded organic molecules. The current thesis explored the various *N*-functionalization reactions of sulfoximines under mild conditions (Scheme **6.5**). All the demonstrated protocols in the thesis are superior to most of the existing protocols in terms of reaction condition and yield. Use of innocuous reagents, convenient procedures and high yields make these methodologies more appealing in organic synthesis.



Scheme 6.5: Dehydrogenative coupling of dialkyl phosphites with sulfoximines.