PART I

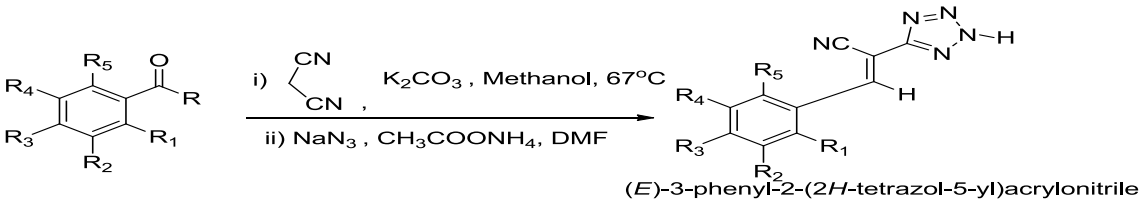
Synthesis of (E)-3-phenyl-2-(2H-tetrazol-5-yl) acrylonitrile compounds

Recently compounds containing tetrazole moiety have gained considerable attention because they have a wide range of applications in material science and medicinal chemistry. Various biological activities of these compounds are reported such as antihypertensive, antifungal, antibacterial, antidiabetic, anti-inflammatory etc[1-3]. The chemistry of tetrazole heterocycles has acquired immense importance in recent years. The most widely used method form tetrazoles formation is via the formal [2 + 3] cycloaddition of azides and nitriles.

Several methods have been reported for the synthesis of 1/5-substituted tetrazole, unfortunately these methods have some drawbacks such as long reaction times, use of expensive and toxic reagents and harsh reaction conditions, low yield, tedious work-up, and even the need for excess amounts of highly toxic and explosive hydrazoic acid[9-12]. Therefore, in order to overcome these drawbacks, it is necessary to develop a simple, convenient and more efficient method for the synthesis of tetrazole derivatives also it is necessary to synthesis novel tetrazole derivatives & screens them for biological activity.

Present work

The reaction scheme for synthesis of new (E)-3-phenyl-2-(2H-tetrazol-5-yl) acrylonitrile compounds [1(a-i)] have been presented below in scheme 1.



Scheme -1

Table-1: Physical data of compounds **1(a-i)**

Compound	R_1	R_2	R_3	R_4	R_5	Yield (%)	M. P.^{O}C
1a	H	H	Cl	H	H	88	168-170
1b	OH	H	H	H	H	89	215-218
1c	H	OH	H	H	H	91	190-194
1d	H	H	OH	H	H	92	184-185
1e	H	H	OCH_3	OCH_3	H	82	160-162
1f	H	H	H	H	H	90	156-158
1g	H	H	OH	OCH_3	H	83	160-162
1h	H	H	F	H	H	84	154-155
1i	H	H	Br	H	H	89	167-168

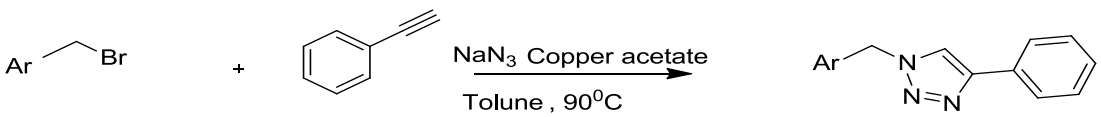
PART II

Synthesis of substituted 1-([1,1'-biphenyl]-4-ylmethyl)-4-phenyl-1H-1,2,3-triazole compounds.

Increase in antibacterial resistance is today's big problem and the demand for new classes of antibacterial agents with new mode of action is in demand. The basic heterocyclic rings present in the various medicinal agents are 1,2,3-triazole.[3-4] 1, 2, 3-triazole derivatives can be prepared by reaction between azide and terminal alkyne has become the important reaction of 'click chemistry' due to its reliability & specificity. Triazole moiety has achieved the considerable attention in the field of drug chemistry[8-12].The present work is mainly related to the synthesis of some 1,2,3- triazole moiety as pharmaceutical precursor.

Present work

This section describes the synthesis of 1-([1,1'-biphenyl]-4-ylmethyl)-4-phenyl-1H-1,2,3-triazole by the multicomponent reaction of aryl bromide, phenyl acetylene & sodium azide (Scheme 2).



Scheme 2

Table 2-Physical data for the compounds 2(a-e)

Compound	Ar-	Yield (%)	M. P. ^{0}C
2a	Ph-	88	189-192
2b	Biphenyl-	89	216-218
2c	4-Fluorobenzyl	91	186-187
2d	3-Fluorobenzyl	92	166-168
2e	4-Hydroxybenzyl	82	156-158

PART III

Copper silicate catalyzed efficient synthesis of 2, 4, 5 tri substituted Imidazole compounds-

Imidazole moiety has attracted special attention in the field of medicinal chemistry, molecule containing imidazole moiety show various pharmaceutical properties such as anti-bacterial[1-2], anti-fungal[3], anti-inflammatory[4], analgesic activities[5] anti-tubercular[2,6], anti-depressant[7], antiviral[1] and anti-cancer[8]. The most commonly used method for the preparation of 2,4,5-trisubstituted imidazoles is by three component cyclocondensation of a 1,2-diketone, a-hydroxyketone or a-ketomonoxime with an aldehyde and ammonium acetate using a variety of different conditions.

In the last decade numerous methods have been developed for the synthesis of highly substituted imidazoles by using various catalytic systems including silica gel or Zeolite HY,[13] silica gel/NaHSO4,[14] molecular iodine,[15] Imidazole moiety can also be prepared by use of microwave irradiation,[16] ionic liquids,[17] . But, many of the methods reported above suffer from one or more disadvantages such as the longer reaction times, tedious separation procedures, use of toxic catalyst and large amount of catalyst loadings. So there is need to develop rapid, non hazardous method for the synthesis of imidazole moiety.

Present work

A copper-silicate catalyzed simple and efficient method for the synthesis of trisubstituted imidazoles is developed through the condensation of benzil, aldehyde, and ammonium acetate via multicomponent condensation strategy. The present method gives good to excellent yields of trisubstituted imidazoles.

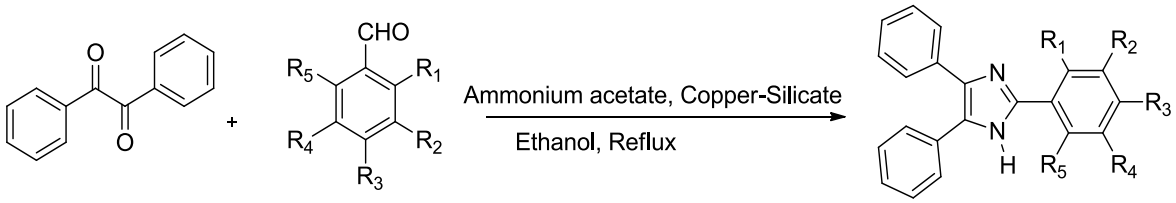


Table-1: Physical data of compounds **(3a-i)**

Compound	R_1	R_2	R_3	R_4	R_5	Yield (%)
3a	H	H	NO2	H	H	94
3b	OH	H	H	H	H	92
3c	H	OH	H	H	H	90
3d	H	H	OH	H	H	92
3e	H	H	OCH_3	OCH_3	H	85
3f	H	H	H	H	H	90
3g	H	H	OH	OCH_3	H	90
3h	H	H	F	H	H	88
3i	H	H	Br	H	H	87

Conclusion:

We have developed a one-pot multi-component reaction for the synthesis of 2,4,5-trisubstituted imidazoles catalyzed by Copper-Silicate in excellent yields. This method involves mild reaction conditions, easy work-up, and cleaner reaction profiles. This method also gives high yield & good purity of the product. Use of the less hazardous chemicals is also one of the advantage of this method.