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Research Article

CYCLOADDITION REACTION USING BAYLIS-HILLMAN ADDUCTS

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ABSTRACT

A simple method for the synthesis of triazole derivatives having a methoxy carbonyl or acetyl group at C-5 *via* an intramolecular 1,3 dipolar cycloaddition reaction of azide enynes have also been reported in the literature. Due to the interesting and important biological properties of these tricyclic pyrrolidines, developed the 1,3-dipolar cycloaddition of linear azido alkynes derived from protected *β*-amino esters proceeds *via* diastereomeric differentiation to provide *trans*-disubstituted triazolodiazepines with various substituents represents an attractive and interesting endeavor in synthetic organic chemistry and medicinal chemistry.

INTRODUCTION

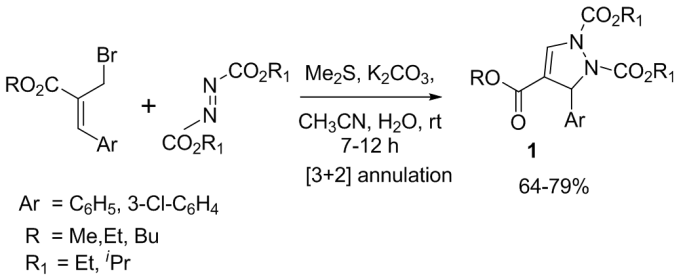
The abundance of oxygen and nitrogen containing cyclic compounds in pharmaceuticals and agrochemicals continues to ensure that they are important synthetic targets for organic chemists.[1-3] Azomethine ylide involved [3+2] cycloaddition is a concerted cycloaddition process that represents a powerful tool for the construction of various types of complex polyheterocyclic frameworks.[4] In recent years the azomethine ylide has gained a vital place in the field of heterocyclic chemistry as it serves as an important building block for the construction of nitrogen containing five-membered heterocycles, which are often an integral part of many natural products and bioactive molecules such as tocopherol, (+) Haematoxyline, tocotrienols, martinelline, etc.

MATERIALS AND METHODS

Cycloaddition Reaction

Baylis-Hillman bromides have been successfully employed as a valuable source of 1, 3-dipoles for cycloaddition on to dialkyl azodicarboxylates (dipolarophiles) under the influence of dimethyl sulfide and potassium carbonate to provide functionalized dihydropyrazole derivatives (**1**) in a simple one-pot [3+2] annulation strategy as shown in Scheme 1.[5]

Scheme 1



Diels-Alder Reaction

Lu *et al*[6] have reported novel approaches involving the cycloaddition of electron-deficient olefins with electron deficient allylic compound as the three-carbon unit via a phosphorus ylide. Initially, they observed that the phosphonium bromide salt derived from the allyl bromide generated from the Baylis-Hillman adducts of formaldehyde reacts with N-phenylsuccinimide to yield the annulated cyclopentene (**2**) *via* [3+2] a cycloaddtion (Scheme 2).

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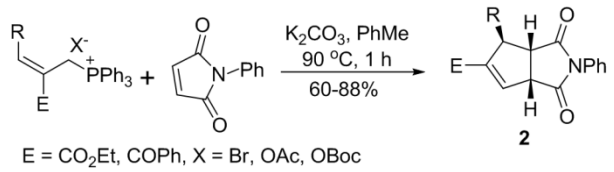
Scheme 2

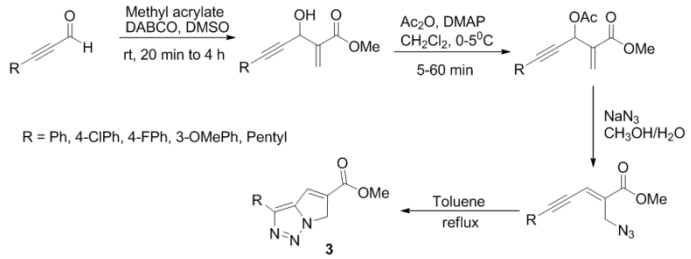


E = CO_2Et, COPh, X = Br, OAc, OBoc

R = Ph, 4-Me-C_6H_4, 4-OMe-C_6H_4, 4-Cl-C_6H_4, n-Pr

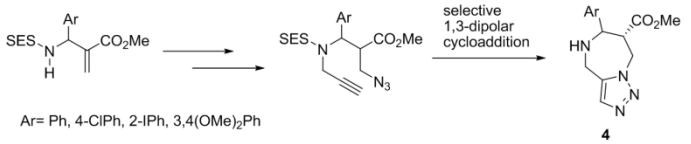
Lee and coworkers[7] have reported a simple method for the synthesis of triazole derivatives having a methoxy carbonyl or acetyl group at C-5 *via* an intramolecular 1,3 dipolar cycloaddition reaction of azide enynes as shown in Scheme 3.

Scheme-3

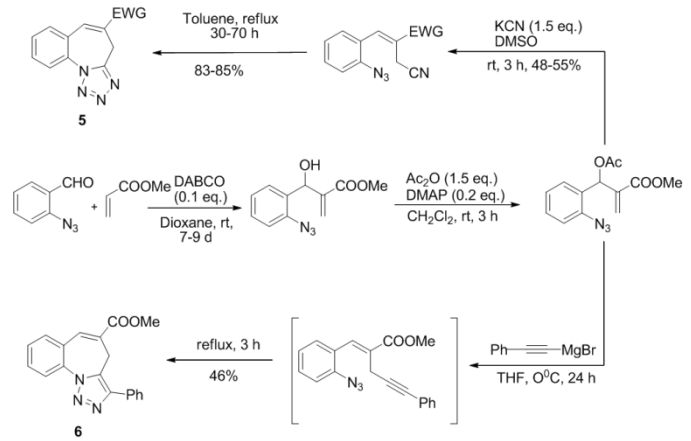


Lamaty and coworkers[8] developed the 1,3-dipolar cycloaddition of linear azido alkynes derived from protected *β*-amino esters proceeds *via* diastereomeric differentiation to provide *trans*-disubstituted triazolodiazepines as shown in Scheme 4

Scheme-4

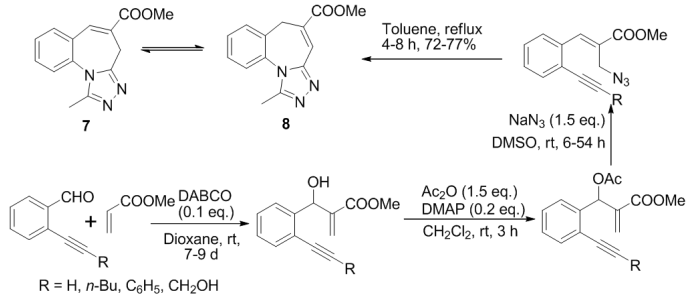


Lee and co-workers[9] have developed an interesting methodology for synthesis of 4-*H*-tetrazolo[1,5-*a*] benzazepines (5) *via* the intramolecular 1,3-dipolar cycloaddition reaction of allyl cyanide (intramolecular click reaction), which were in turn obtained from the acetate of the Baylis-Hillman alcohols obtained from 2-azidobenzaldehyde via the treatment with KCN. Subsequently, Song and Lee[10] reported an alternative procedure for the preparation of 4-*H*-1,2,3-triazolo[1,5-*a*]-benzazepine derivatives from the alkynyl-azido intermediates, which were obtained *via* the treatment of the acetate with alkynylmagnesium bromide. This reaction also involves intramolecular [3+2] cycloaddition reaction (intramolecular click reaction) as mentioned in Scheme 5.

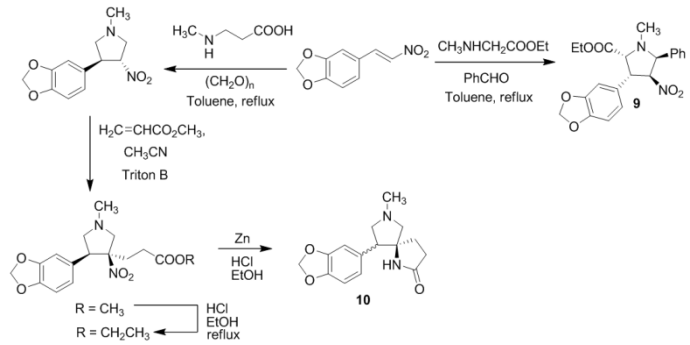


Ko and Lee[11] also reported a facile method for the synthesis of 5-*H*-1,2,3-triazolo[4,3-*a*]benzazepines from the acetates of Baylis-Hillman alcohols derived from 2-alkynylbenzaldehyde, *via* the treatment with sodium azide followed by intramolecular 1,3-dipolar addition reaction as shown in Scheme 6

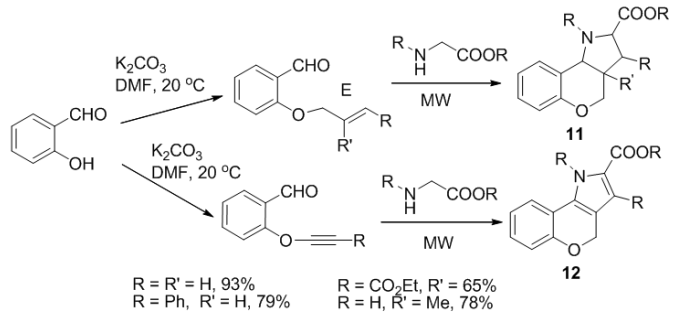
Scheme 6



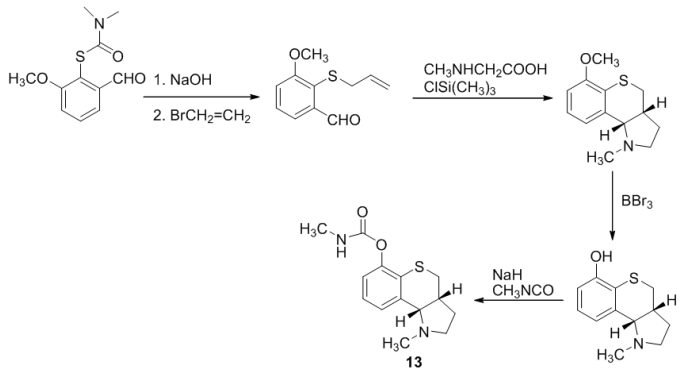
Nitroolefins are very reactive species and have been widely utilized as Michael acceptor. Interestingly, the nitroolefins are also utilized as dipolarophiles in the [3+2] cycloaddition to prepare a wide variety of pyrrolidines[12] as described in Scheme 7



Bashiardes *et al*[13] developed a new method for the synthesis of tricyclic pyrrolidines and pyrroles *via* the microwave-assisted intramolecular [3+2] cycloaddition reaction of azomethine ylides to the activated and nonactivated alkenes and alkynes according to Scheme 8



Melchiorre and co-workers[14] have reported a synthetic method for the synthesis of biologically active compound (**13**) *via* [3+2] dipolar cycloaddition sequence shown in the Scheme 9



CONCLUSION

Literature survey reveals that spiropyrrolidines and spiropyrrolidizines frameworks constitutes an important structural assembly owing to the presence of these structural units in various molecules of historical importance. Hence the development of new, simple and efficient methodologies for the synthesis of spiropyrrolidines and spiropyrrolizidine frameworks represents an important endeavor in the area of organic chemistry.

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