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Synthesis of highly diversified 1,2,3-triazole derivatives via domino [3+2] azide cycloaddition and denitration reaction sequence

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In this paper, an elegant synthesis of 1,2,3-triazole derivatives via domino [3+2] azide cycloaddition and denitration reaction sequence under catalyst free condition has been described. Treatment of Baylis-Hillman adducts and its cyclic derivatives from nitroolefins with sodium azide in the absence of catalyst smoothly afforded the 1,2,3-triazole derivatives in excellent yields.

Introduction

Triazoles are important molecular entities due to their unique chemical as well as physical properties.[1] They are well known for wide range of applications in organic, organometallic, medicinal, and material chemistry sectors.[2] 1,2,3-triazoles are found to be versatile building blocks in organic synthesis and can be prone to exhibit vital part in pharmacological application owing to its stability towards light, moisture and oxygen.[3-5] This framework belongs to an expedient class of pharmacophores since it shows a significant resistance to metabolic transformations such as oxidation, reduction, basic or acidic hydrolysis. The 1,2,3-triazole unit is present in numerous bio-active entities exhibiting interesting properties such as antibacterial, anti-HIV, antiallergic, antiparasitic, anti-fungal, anti-viral and anti-microbial activities.[6-10] In recent days, 1,2,3-triazoles have been exploited as a backbone of a bidentate phosphine ligand and also find an array of applications in industrial sector as photosensitizers, dyes, agrochemicals and commercially utilized as anti-corrosive agents.[11] Some of the representative examples of biologically active molecules containing 1,2,3-triazoles[12] (I-IV) are shown in Figure 1.

1,2,3-Triazoles have been receiving emerging prominence regarding their versatile applications[13] and consequently significant advances have been made in accessing such frame works with diverse functionalities which represents one of the ongoing program in modern organic synthesis.

Reported procedures[14] involves click chemistry concept utilizing acetylenic systems with azide to produce 1,2,3 triazole via 1,3-dipolar cycloaddition reaction under the influence of metal catalysts along with additives or catalysts. Therefore, the development of highly efficient protocols for accessing such molecular entities in an effective manner through simplified reaction condition with wide substrate scope is highly desirable.

In literature, variety of reports are available for the synthesis of 1,2,3-triazoles *via* 1,3-dipolar cycloaddition reaction on β-nitrostyrenes.[14k-m] For instance, Guan and co-workers reported the synthesis of 4-aryl-*NH*-1,2,3-triazoles in moderate to good yields from 1,3-dipolar cycloaddition of nitroolefins with NaN_3 using *p*-toluenesulfonic acid (0.5 equiv).[14k] Similarly, Pan and co-workers developed a method for the preparation of 1,5-disubstituted 1,2,3-triazoles via $Ce(OTf)_3$ catalyzed [3 + 2] cycloaddition of azides with nitroolefins.[14m] Even though these procedures are attractive, they found to have certain limitations such as limited substrate scope, utilization of catalyst, long reaction time for reaction completion, moderate yields which invoke the development of a new and efficient protocol to construct highly diversified 1,2,3-triazoles in an elegant manner. In literature, we could not find any report for the cycloaddition reaction of the Baylis-Hillman adducts and its cyclic derivatives derived from nitroolefins towards the synthesis of 1,2,3-triazoles. Therefore, we envisaged that, the 1,2,3 triazole crafted new Baylis-Hillman derivatives[16] may have variety of bioactivities since the 1,2,3 triazole derivatives are already known for various biological activities. With this striking idea we have decided to begin a new program for the synthesis of library of 1,2,3 triazoles by utilizing the Baylis-Hillman adducts derived from nitroolefins[17].

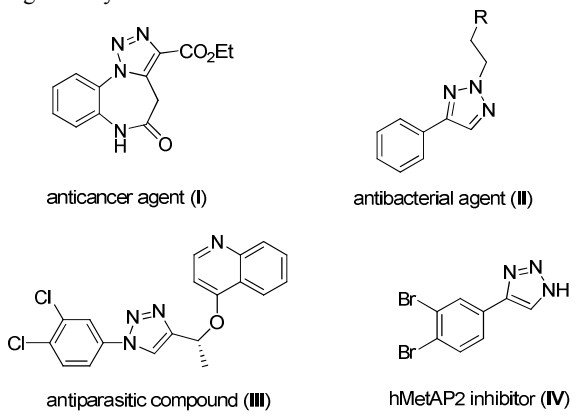
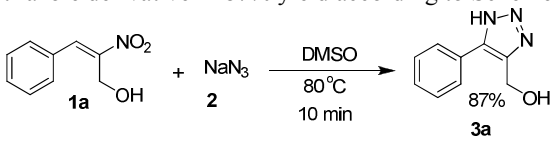


Figure 1. Some of the triazole containing bio-active molecules

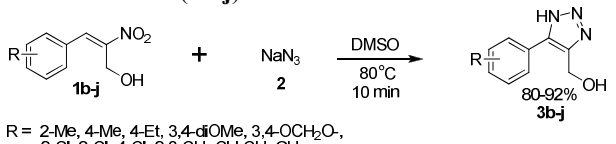
Usually, click chemistry for triazole formation are achieved by employing alkynes and azide. However, it occurs to us that

the synthesis of substituted 1,2,3-triazole can be achieved using sodium azide and Baylis-Hillman adduct derived from nitroolefin via tandem 1,3-dipolar cycloaddition followed by denitration reaction sequence. To achieve our goal, we investigated the reaction between (*E*)-2-nitro-3-phenylprop-2-en-1-ol (**1a**) and sodium azide (**2**) under various reaction conditions. The best result was obtained when we carried out the reaction at 80°C for 10 minutes, the reaction was almost completed and successfully led to the desired substituted 1,2,3-triazole derivative in 87% yield according to Scheme 1.

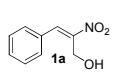
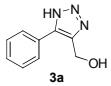
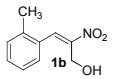
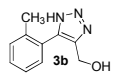
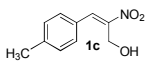
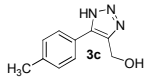
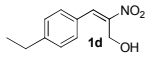
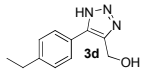
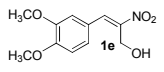
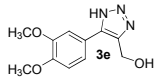
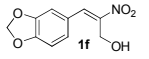
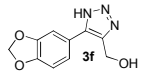
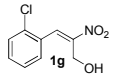
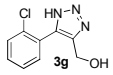
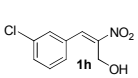
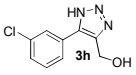
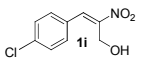
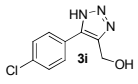
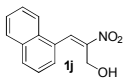
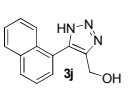


Scheme 1

Table 1. Synthesis of 1,2,3-triazoles (**3a-j**) using Baylis-Hillman adducts (**1a-j**)



R = 2-Me, 4-Me, 4-Et, 3,4-diOMe, 3,4-OCH$_2$O-, 2-Cl, 3-Cl, 4-Cl, 2,3-CH=CH-CH=CH-

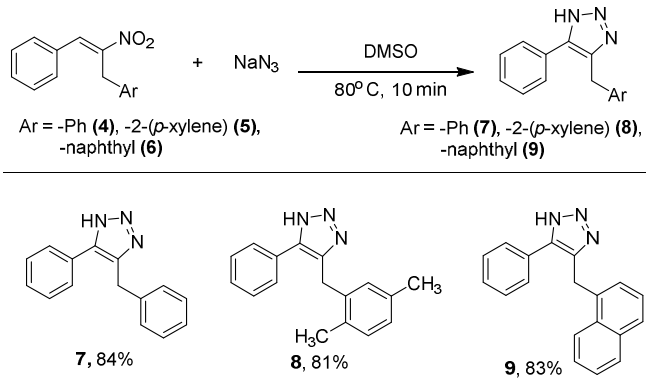
Entry	Substrate	Product [a,c]	Yield (%) [b]
1			87
2			91
3			82
4			92
5			80
6			92
7			80
8			81
9			83
10			85

[a]All reactions were carried out using 1 mmol of Baylis-Hillman alcohol (**1a-j**) with 2 mmol of NaN_3 in 5mL of DMSO at 80°C. [b]Yields of the pure products (**3a-j**) obtained after column chromatography (silica gel, 20% EtOAc in hexanes).

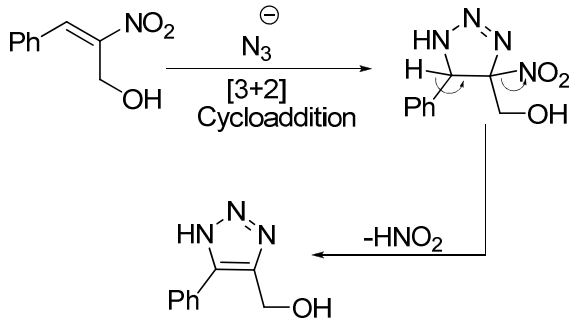
It is important to mention here that this is the first report for the synthesis of 1,2,3-triazole derivative from Baylis-Hillman adduct derived from nitroolefins through tandem 1,3-dipolar cycloaddition followed by denitration reaction sequence under catalyst free condition. The reaction was carried out without an exclusion of air and moisture to obtain the product in excellent yield, which demonstrates the efficiency of this protocol.

Encouraged by this result, we treated various B.H adducts (**1b-j**) with 2 equiv sodium azide (**2**) without any catalyst in DMSO solvent at 80°C, successfully led to the desired five membered triazole compounds. *i.e.* (5-aryl-1*H*-1,2,3-triazol-4-yl)methanols (**3b-j**) in excellent yields (80-92%) as shown in Scheme 2. It is worth mention here that in the process of product formation, the nitro group got eliminated. The results are summarized in Table 1.

To further understand the generality of the reaction and its applicability to the Friedel-Crafts derivatives synthesized from Baylis-Hillman adducts, we treated (*E*)-2-nitro-3-aryl, 1-phenylprop-1-enes (**4, 5** and **6**) with 2 equiv sodium azide without any catalyst in DMSO solvent at 80°C for 10 minutes, successfully led to the desired 4-Aryl-1H-1,2,3-triazoles (**7, 8** and **9**) in excellent yields (81-84%) as shown in the Scheme 3.



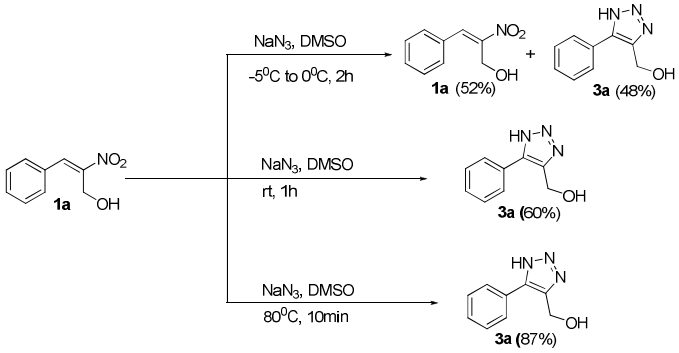
Scheme 3



Scheme 4

The plausible mechanism for the formation of 1,2,3 triazole involving tandem (3+2) cycloaddition followed by denitration sequence is shown below (Scheme 4).

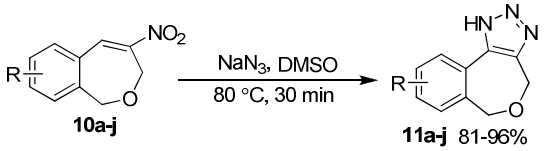
Further to investigate the mechanistic aspects of this azide cycloaddition reaction, we carried out the reaction at different temperature levels (from -5^{0}C to 0^{0}C, rt and 80^{0}C) to isolate the intermediate from the reaction. Under these conditions, we observed only starting material as well as product and we didn't observe any isolable intermediate, even at -5^{0}C as shown below (Scheme 5).



Scheme 5

From the above experiments, we conclude that there is no stable as well as isolable intermediate was observed during the cycloaddition reaction. Based on the literature[141] report, we would like to mention here that the reaction proceeds via [3+2] cycloaddition and denitration reaction sequence as shown in Scheme 4.

Further to extend the scope of the reaction, we treated 2-benzoxepine (**10a**) with 2 equiv sodium azide without any catalyst in DMSO solvent at 80°C for 30 minutes successfully led to the desired fused tricyclic six, seven and five membered benzoxepine fused triazole (**11a**) in 96% yield (Scheme 6).

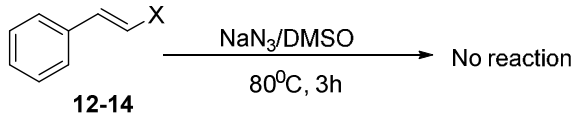


R = 2-Me, 4-Me, 4-Et, 4-*i*-pr, 3,4-diOMe, 3,4-OCH_2O-, 2-Cl, 4-Cl, 2,3-CH=CH-CH=CH-

Scheme 6

Encouraged by this result, we treated a variety of 2-benzoxepines **(10b-j)** derived from the Baylis-Hillman adducts with 2 equiv. sodium azide in DMSO solvent at 80°C for 30 minutes successfully led to the desired tricyclic benzoxepine fused triazole products (**11b-j)** in 81-96 % yields (Scheme 7). The results are summarized in Table 2.

We also explored the additional scope of the reaction by the treatment of 2-bromostyrene **(12)**/2-Iodo styrene **(13)** / Cinnamic acid **(14)** with sodium azide under similar reaction conditions, however no product formation was observed under this catalyst free condition even up to 3 hours (Scheme 6). These substrates undergo azide cycloaddition only in the presence of metal catalysts as reported in the literature[18].

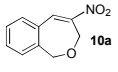
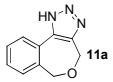
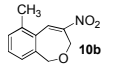
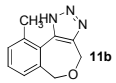
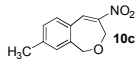
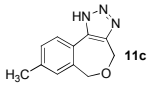
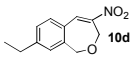
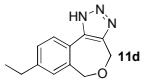
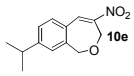
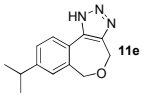
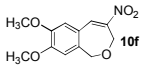
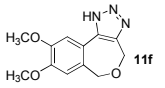
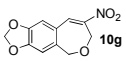
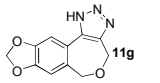
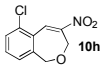
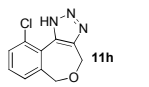
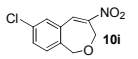
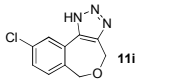
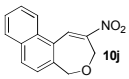
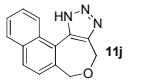


X=-Br **(12)**, -I **(13)**, -COOH **(14)**

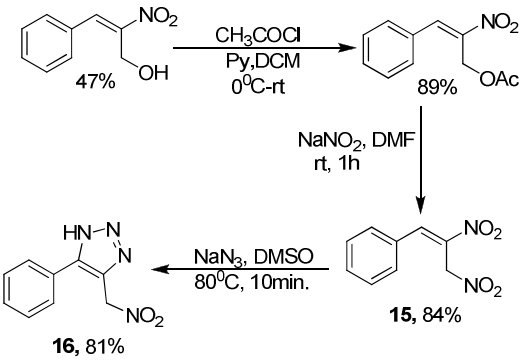
Scheme 6

To probe further the generality of the reaction, we have also treated dinitroderivative (**15**) (*E*)-(2,3-dinitroprop-1-en-1-yl)benzene with sodium azide in DMSO solvent at 80°c which successfully afforded the desired product in 81% yield (Scheme 7).

Table 2. Synthesis of benzoxepine fused 1,2,3-triazoles (**11a-j**) using Baylis-Hillman derivatives (**10a-j**)

Entry	Substrate	Product [a]	Yield (%) [b]
1	 **10a**	 **11a**	96
2	 **10b**	 **11b**	90
3	 **10c**	 **11c**	94
4	 **10d**	 **11d**	96
5	 **10e**	 **11e**	96
6	 **10f**	 **11f**	82
7	 **10g**	 **11g**	81
8	 **10h**	 **11h**	82
9	 **10i**	 **11i**	86
10	 **10j**	 **11j**	92

[a]All reactions were carried out using 1 mmol of benzoxepine (**10**) with NaN_3 (2 mmol) in 5mL of DMSO at 80°C. [c]Yields of the pure products (**11**) obtained after column chromatography (silica gel, 20% EtOAc in hexanes).



Scheme 7

Conclusions

The development of new protocol for the efficient synthesis of novel class of 1,2,3-triazole compound from Baylis-Hillman

adducts derived from nitroolefins via tandem dipolar cyclo addition followed by denitration reaction sequence has been achieved successfully. 1,3-Diaryl nitroolefins also conveniently transformed into corresponding 1,2,3-triazole derivatives efficiently. Furthermore, 4-nitro-1,3-dihydrobenzo[*c*]oxepines also smoothly led to the interesting class of tricyclic benzoxepino 1,2,3-triazoles in excellent yields. Since 1,2,3-triazoles and its derivatives are well screened for their interesting biological properties, the newly synthesized compounds may also exhibit similar kind of medicinal properties.

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Notes and references

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Electronic Supplementary Information (ESI) available: Representative experimental procedures, with all spectral data of **3a-j, 5, 7, 9, 11a-j** and **16**.

1. (a) Rostovtsev, V. V.; Green, L. G.; Fokin, V. V.; K. Barry Sharpless, B. K. *Angew. Chem. Int. Ed*. 2002, **41**, 2596. (b) Kolb, H. C.; Finn, M. G.; Sharpless, K. B. *Angew. Chem. Int. Ed*. 2006, **40**, 2004. (c) Thirumurugan, P.; Matosiuk, D.; Jozwiak, K. *Chem.Rev.* 2013, **113**, 4905. (d) Wang, K.; Chen, M.; Wang, Q.; Shi, X.; Lee, J. K. *J. Org. Chem*. 2013, **78**, 7249. (e) Lau, Y.; Rutledge, P. J.; Watkinson, M.; Todd, M. H. *Chem. Soc. Rev*. 2011, **40**, 2848. (f) S. Vidyacharan, A. H. Shinde, B. Satpathi, D. S. Sharada, *Green Chem*., 2014, **16**, 1168.
2. (a) Wu, P.; Feldman, A. K.; Nugent, A. K.; Hawker, C. J.; Scheel, A.; Voit, B.; Pyun, J; Fre´chet, J. M. J.; Sharpless, K. B.; Fokin, V. V. *Angew. Chem. Int. Ed*. 2004, **43**, 3928. (b) Aucagne, V.; Ha¨nni, K. D.; Leigh, D. A.; Lusby, P. J.; Walker, D. B. *J. Am. Chem. Soc*. 2006, **128**, 2186. (c) Ye, C. F.; Gard, G. L.; Winter, R. W.; Syvret, R. G.; Twamley, B.; Shreeve, J. M. *Org. Lett*. 2007, **9**, 3841. (d) Liu, Q. C.; Zhao, P.; Chen, Y. M. *J. Polym. Sci., Part A: Polym. Chem*. 2007, **45**, 3330. (e) Moorhouse, A. D.; Santos, A. M.; Gunaratnam, M.; Moore, M.; Neidle, S.; Moses, J. E. *J. Am. Chem. Soc*. 2006, **128**, 15972. (f) Agard, N. J.; Prescher, J. A.; Bertozzi, C. R. *J. Am. Chem. Soc*. 2004, **126**, 15046. (g) Manetsch, R.; Krasiski, A.; Radi, Z.; Raushel, J.; Taylor, P.; Sharpless, K. B.; Kolb, H. C. *J. Am. Chem. Soc*. 2004, **126**, 12809.
3. (a) Bourne, Y.; Kolb, H.C.; Radic, Z.; Sharpless, K.B.; Taylor, P.; Marchot, P. *Proc.Natl.Acad.Sci. U.S.A*. 2004, **101**, 1449.
4. Bodine, D. K.; Gin D. Y. Gin, M. S. *J. Am. Chem. Soc*. 2004, **126**, 1638.
5. Fazio, F.; Bryan, M. C.; Blixt, O.; Paulson, J. C.; Wong, C. H. *J. Am. Chem. Soc*. 2002, **124**, 14397.
6. (a) Alvarez, R.; Velaquez, S.; Felix, A. S.; Aquaro, S.; Declercq, E.; Perno, C. F.; Karlsson, A.; Balzarini, J.; Camarasa, M. J. *J. Med. Chem*. 1994, **37**, 4185. (b) Buckle, D. R.; Rockell, C. J. M.; Smith, H.; Spicer, B. A. *J. Med. Chem*. 1986, **29**, 2262.
7. Sugawara, A.; Sunazuka, T.; Hirose, T.; Nagai, K.; Yamaguchi, Y.; Hanaki, H.; Sharpless, K. B.; Omura, S. *Bioorg. Med. Chem. Lett*. 2007, **17**, 6340.
8. Yang, J.; Hoffmeister, D.; Liu, L.; Fu, X.; Thorson, J. S. *Bioorg. Med. Chem*. 2004, **12**, 1577.
9. (a) Brockunier, L. L.; Parmee, E. R.; OK, H. O.; Candelore, M. R.; Cascieri, M. A.; Colwell, L. F.; Jr.; Deng, L.; Feeney, W. P.; Forrest, M. J.; Hom, G. J.; Macintyre, D. E.; Tota, L.; Wyvratt, M. J.; Fisher, M. H.; Weber, A. E. *Bioorg. Med. Chem. Lett*. 2000, **10**, 2111. (b) Basagoiti, F. P.; Qing, M.; Dong, H.; Zhang, B.; Zou, G.; Yuan, Z.; ShiP. Y. *Antiviral Research*. 2009, **83**, 71.
10. (a) Li, J.; Zheng, M.; Tang, W.; He, P. L.; Zhu, W.; Li, T.; Zou, J. P.; Liu, H.; Jiang, H. *Bioorg. Med. Chem. Lett*. 2006, **16**, 5009. (b) Rheingold, A. L.; Liable-Sands, L. M.; Trofimenko, S. *Angew. Chem., Int. Ed*. 2000, **39**, 3321.
11. (a) Brawn, R. A.; Welzel, M.; Lowe, J. T.; Panek, J, S. *Org. Lett*. 2010, **12**, 336. (b) Declerck, V.; Toupet, L.; Martinez, J.; Lamaty, F. *J. Org. Chem*. 2009, **74**, 2004. (c) Lewis, W. G.; Green, L. G.; Grynszpan, F.; Radiæ, Z.; Carlier, P. R.; Taylor, P.; Finn, M. G.; Sharpless, K. B. *Angew. Chem., Int. Ed*. 2002, **41**, 1053.
12. (a) Rohrig, U. F.; Awad, O. L.; Grosdidier, O. A.; Larrieu, P.; Stroobant, V.; Colau, D.; Cerundolo, V.; Simpson, A. J. G.; Vogel, P.; Van den Eynde, B. J.; Zoete, V.; Michielin, O. *J. Med. Chem*. 2010, **53**, 1172. (b) Huang, Q.; Zheng, M.; Yang, S.; Kuang, C.; Yu, C.; Yang, Q. *Eur. J. Med. Chem*. 2011, **46**, 5680−5687. (c) Oh, S.; Shin, W.-S.; Ham, J.; Lee, S. *Bioorg. Med. Chem. Lett*. 2010, **20**, 4112−4115.
13. (a) Amblard, F.; Cho, J. H.; Schinazi, R. F. *Chem. Rev*. 2009, **109**, 4207. (b) Kalisiak, J.; Sharpless, K. B.; Fokin, V. V. *Org. Lett*. 2008, **10**, 3171. (c) Hotha, S.; Anegundi, R. I.; Natu, A. A. *Tetrahedron Lett*. 2005, **46**, 4585. (d) Chandrasekhar, S.; Basu, D.; Rambabu, C. *Tetrahedron Lett*. 2006, **47**, 3059.
14. (a) Huisgen, R. In 1,3-Dipolar Cycloaddition Chemistry; Padwa, A., Ed.; Wiley: New York, 1984; (b) Hein, J. E.; Fokin, V. V. *Chem. Soc. Rev*. 2010, **39**, 1302. (c) Kappe, C. O.; Eycken, E. V. D. *Chem. Soc. Rev*. 2010, **39**, 1280. (d) Wang, Y.-C.; Xie, Y.-Y.; Qu, H.-E.; Wang, H.-S.; Pan, Y.-M.; Huang, F.-P. *J. Org. Chem*. 2014, **79**, 4463. (e) Sahu, D.; Dey, S.; Pathak, T.; Ganguly, B. *Org. Lett*. 2014, **16**, 2100. (f) Wu, L.; Chen, Y.; Luo, J.; Sun, Q.; Peng, M.; Lin, Q. *Tetrahedron Lett*. 2014, **55**, 3847. (g) Hong, L.; Lin, W.; Zhang, F.; Liu, R.; Zhou, X. *Chem. Commun*. 2013, **49**, 5589. (h) Li, J.; Wang, D.; Zhang, Y.; Li, J.; Chen, B. *Org. Lett*. 2009, **11**, 3024; (i) Kolb, H. C.; Finn, M. G.; Sharpless, K. B. *Angew. Chem., Int. Ed*. 2001, **40**, 2004. (j) Quan, X-J.; Ren, Z-H.; Wang, Y-Y.; Guan, H-Z. *Org. Lett*. 2014, **16**, 5728. (k) Beatrice, Q-S.; Samir Z. *Synthesis*, 2005, **19**, 3319; (l) Wang, Y-C.; Xie, Y-Y.; Qu, H-E.; Wang, H-S.; Pan, Y-M.; Huang, F-P. *J. Org. Chem*. 2014, **79**, 4463. (m) Kamata, K.; Nakagawa, Y.; Yamaguchi, K.; Mizuno, N. *J. Am. Chem. Soc*. 2008, **130**, 15304. (n) Hein, J. E.; Tripp, J. C.; Krasnova, L. B.; Sharpless, K. B.; Fokin, V. V. *Angew. Chem., Int. Ed*. 2009, **48**, 8018. (o) Zhang, L.; Chen, X. G.; Xue, P.; Sun, H. H. Y.; Williams, I. D.; Sharpless, K. B.; Fokin, V. V.; Jia, G. C. *J. Am. Chem. Soc*. 2005, **127**, 15998. (p) Majireck, M. M.; Weinreb, S. M. *J. Org. Chem*. 2006, **71**, 8680. (q) Tam, A.; Arnold, U.; Soellner, M. B.; Raines, R. T. *J. Am. Chem. Soc*. 2007, **129**, 12670.
15. (a) Basavaiah, D.; Reddy, B. S.; Badsara, B. S. *Chem. Rev*., 2010, **9,** *110*, 5447 and references there in; (b) Tarun, K; Deepti V; Rubem F. S.; Valenca, Wagner O. V.; Junior, d-S; Eufranio N.; Namboothiri, I. N. N.

Org. Biomol. Chem, 2015, **13**, 1996; (c) Ziyaei A. H.; Namboothiri, I. N. N.; Emad, S. H. *RSC Adv*. 2014, **4**, 51794; (d) Elumalai, G.; Namboothiri, I. N. N. *J. Org. Chem.* 2014, **79**, 7468; (e) Namrata, R ; Namboothiri, I. N. N.; Miriam, C. *Tetrahedron Lett*. 2004, **45**, 4745; (f) Basavaiah, D.; Bakthadoss, M.; Jayapal Reddy, G. *Synth. Commun*. 2002, **32**, 689; (g) Bakthadoss, M.; Murugan, G. *Synth. Commun*. 2009, **39**, 1290.

16. (a) Bakthadoss, M.; Kannan, D.; Selvakumar, R. *Chem. Commun*. 2013, **49**, 10947. (b) Bakthadoss, M.; Kannan, D. *RSC. Adv*. 2014, **4**, 11723. (c) Bakthadoss, M.; Kannan, D.; Srinivasan, J.; Vinayagam, V. *Org. Biomol. Chem*, 2015, **13,** 2870. (d) M. Bakthadoss, A. Devaraj, D. Kannan, *Eur. J. Org. Chem*. 2014, 1505. (e) Bakthadoss, M.; Srinivasan, J. *RSC. Adv*. 2015, **5**, 67206. (f) Bakthadoss, M.; Vinayagam, V. *Org. Biomol. Chem*, 2015, **13**, 10007.
17. (a) Bakthadoss, M.; Sivakumar, N.; Devaraj, A.; Sharada, D. S *Synthesis,* 2011, 2136; (b) Bakthadoss, M.; Sivakumar, N.; Devaraj, A. *Synthesis*, 2011, 611; (c) Bakthadoss, M.; Sivakumar, N. *Synlett,* 2011, 1296; (d) Bakthadoss, M.; Sivakumar, N. *Synlett,* 2009, 1014. (e) Bakthadoss, M.; Sivakumar, N.; Devaraj, A. *Tetrahedron Lett*, 2015, 56, 4980.
18. (a) Barluenga, J.; Valdes, C.; Beltran, G.; Escribano, M.; Aznar, F. *Angew. Chem. Int. Ed*. 2006, **45**, 6893; (b) Wang, X.; Kuang, C.; Yang, Q. *Eur. J. Org. Chem*. 2012, 424.

Synthesis of highly diversified 1,2,3-triazole derivatives via domino [3+2] azide cycloaddition and denitration reaction sequence

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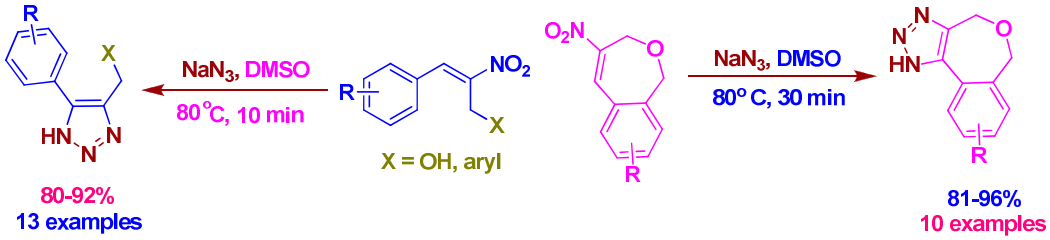

In this paper, an elegant synthesis of 1,2,3-triazole derivatives via domino [3+2] azide cycloaddition and denitration reaction sequence under catalyst free condition has been described. Treatment of Baylis-Hillman adducts and its cyclic derivatives from nitroolefins with sodium azide in the absence of catalyst smoothly afforded the 1,2,3-triazole derivatives in excellent yields.