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Synthesis of Novel Tryptamine-Based Triazoles †

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Abstract: In this work we have synthesized tryptamine-based triazoles. Several methods for synthesizing the triazole moiety are described in the literature; we have chosen a simple synthesis method. 1,2,3 triaozle are prepared with good yield from 60–67%.

Keywords: Tryptamine; 1,2,3 Triaozle; Synthesis

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1. Introduction

1,2,3 triazoles are important types of heterocyclic compounds. They find numerous applications in industry, namely as dyestuffs, fluorescent whiteners, photostabilizers of polymers, optical brightening agents, corrosion inhibitors and as photographic photoreceptors [1,2].

On the other hand, tryptamine has also great pharmacological properties, for this raison we have proposed to synthesize tryptamine-based triazoles

2. Synthesis

The first synthesis step involved the preparation of oximes, After workup 2 were isolated in 60–67% yields. The preparation of compounds **3** by propargylation of 2 with propargyl bromide (1.5 equiv) in the presence of acetone and K_2CO_3. With the compound 3 (alkyne), a one-pot three-component copper(I)-catalyzed 1,3-dipolar cycloaddition was then performed for the regioselective synthesis of heterocyclic compounds **4a–c**. the reaction between 2, sodium azide, and several benzyl halides was carried out in the presence of a catalytic amount of cupric acetate monohydrate, 1,10-phenanthroline monohydrate as ligand, and sodium ascorbate as reducing agent, in EtOH–H_2O at room temperature for 18 h (Figure 1) [3,4].

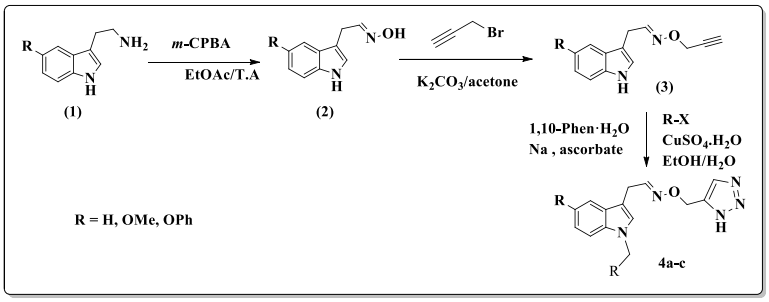

Figure 1. General route to synthesize 1,2,3 Triazoles.

3. Results and Discussion

In all cases, cycloaddition reactions displayed a total conversion (TLC) after 18 h under stirring at room temperature. The corresponding 1,2,3-triazoles **4a–c** were isolated in 85–79% yields (Table 1). The structures of all newly synthesized compounds were confirmed by examination of their ^{1}H and ^{13}C NMR, IR. The signals in the 1H NMR spectra at δ = 8.11 (for **4a**) corresponding to NH of tryptamine and δ = 7.59 corresponding to the triazolyl hydrogen were supported by the signals in the 13C NMR spectra at δ = 138 (for **4a**). The signals for the quaternary carbon of the triazole ring appeared at δ = 129 (for **4a**) in the 13C NMR spectra (Figure 2).

Table 1. One-Pot Three-Component Click Reaction for Compounds **4a–c**.

Entries	Product	R	Yield% [1]
1	**4a**	H	85
2	**4b**	OMe	79
3	**4c**	OPh	82

[1] Isolated pure product.

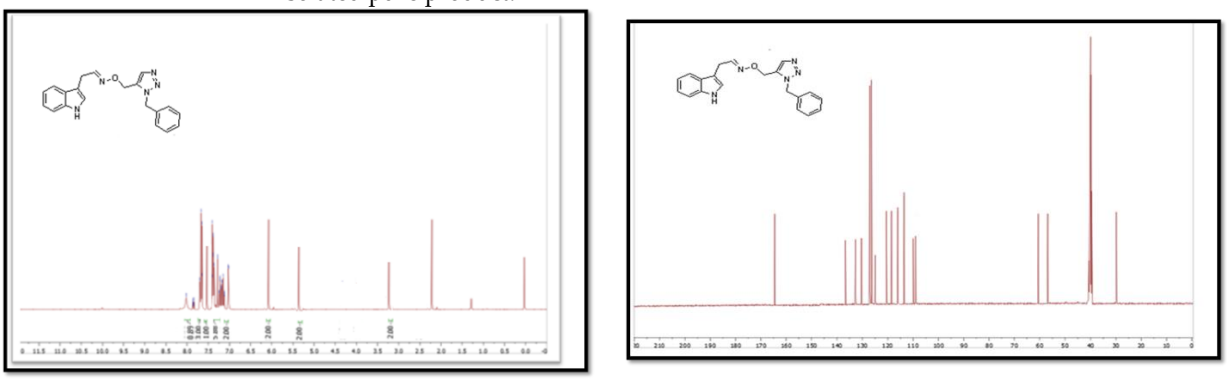

Figure 2. NMR ^{1}H, ^{13}C of compound **4a**.

4. Conclusions

In summary, a series of tryptamine based-triazole have been successfully prepared by convenient and efficient procedures starting from Oxime. All the new compounds were confirmed by NMR, and IR spectra.

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Reference

1. Wamhoff, H.; Katritzky, A.R.; Rees, C.W. *Comprehensive Heterocyclic Chemistry*; Pergamon: Oxford, UK, 1984; Volume 5, Part 4A, p. 669.
2. Fan, W.-Q.; Katritzky, A.R.; Rees, C.W.; Scriven, E.F.V. *Comprehensive Heterocyclic Chemistry*, 2nd ed.; Elsevier: Oxford, UK, 1996; Volume 4, p. 1.
3. Mendoza-Espinosa, D.; Negrón-Silva, G.E.; Lomas-Romero, L.; Gutiérrez-Carrillo, A.; Soto-Castro, D. Efficient multicomponent synthesis of mono-, bis-, and tris-1, 2, 3-triazoles supported by hydroxybenzene scaffolds. *Synthesis* **2013**, *45*, 2431.
4. Lewis, W.G.; Magallon, F.G.; Fokin, V.V.; Finn, M.G.J. Discovery and characterization of catalysts for azide– alkyne cycloaddition by fluorescence quenching. *Am. Chem. Soc.* **2004**, *126*, 9152.