Synthesis of New Triazoles by Click Chemistry

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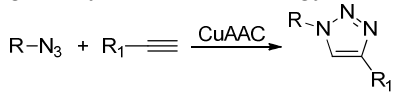
INTRODUCTION

Click Chemistry is a Organic Synthesis procedure that follows the principles of Green Chemistry, introduced by Sharpless in 2001.[1] The 1,3-dipolar copper-catalyzed azide-alkyne cycloaddition (CuAAC) is the most prominent click reaction.[2] In this context, this paper aims to synthesize triazoles with potential antifungal and antileishmanial activity employing this synthetic methodology.



Scheme1. General structure of the triazoles.

RESULTS AND DISCUSSION

The following structures are the triazoles that were synthesized by alkynes and azides[3] coupling:

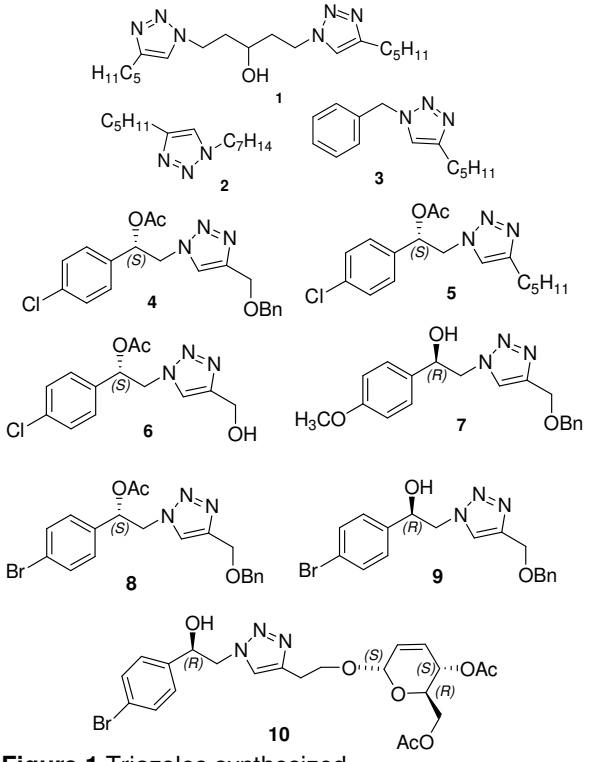


Figure 1. Triazoles synthesized.

Table 1. Compounds synthesized by Click reactions.

Triazoles	R	R_1	%
1	1,5-diazidopentan-3-ol	hept-1-yne	29
2	1-azido-octane	hept-1-yne	28
3	benzylazide	hept-1-yne	52
4	(*S*)-2-azido-1-(4-*Cl*-phenyl)-ethyl acetate	benzyl propargyl ether	80
5	(*S*)-2-azido-1-(4-Cl-phenyl)ethyl acetate	hept-1-yne	65
6	(*S*)-2-azido-1-(4-*Cl*-phenyl)ethyl acetate	propargyl alcohol	46
7	(*R*)-2-azido-1-(4-methoxy-phenyl)ethanol	benzyl propargyl ether	41
8	(*S*)-2-azido-1-(4-*Br*-phenyl)ethyl acetate	benzyl propargyl ether	54
9	(*R*)-2-azido-1-(4-*Br*-phenyl)ethanol	benzyl propargyl ether	71
10	(*R*)-2-azido-1-(4-*Br*-phenyl)ethanol	sugar	29

CONCLUSION

Ten triazoles were synthesized via CuAAC, some unpublished and chiral. We will evaluate their potential antifungal and/or antileishmanial activities.

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