Synthesis and antioxidant activity of nitroaryl-1,2,3-triazoles

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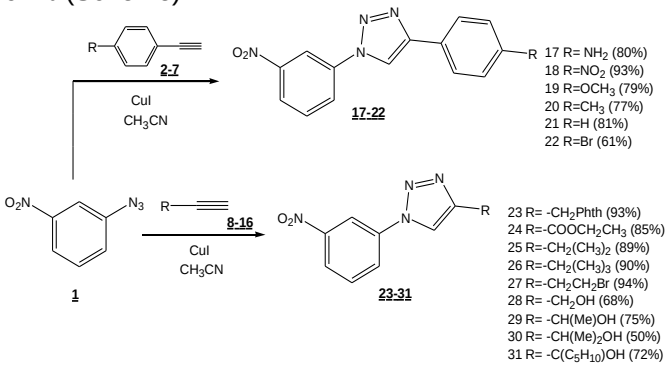
INTRODUCTION

1,2,3-Triazole derivatives are known to exhibit a range of biological activities such as, anti-inflamatory, antileishmanial and anti-*T. cruzi*.[1-3] The nitroaryls and nitroheterocycles are considered to be medicinally a valuable group of compounds, broadly redox properties of nitroaromatic compounds are associated to biological activities.[4] In our antioxidative screening study of nitroaryl-1,2,3-triazoles using free radical scavenging activity of DPPH and ABTS, we report here some active compounds.

RESULTS AND DISCUSSION

We performed the synthesis of bis-aryl-1,2,3-triazoles **17-22** and a variety of functional groups **23-31** linked via 1,3-dipolar cycloaddition reaction (1,3-DCR). The reaction between 1 mmol of nitroaryl-azide **1** and 1.5 mmol of terminal alkynes **2-16** was carried out in the presence of 10 mol% CuI, using CH_3CN as solvent and stirring at room temperature under argon atmosphere for 24 h.[5] The compounds were obtained in moderate to good yields of 50-94% (Scheme).



Scheme. Synthesis of the nitroaryl-*1H*-1,2,3-triazole **17-31**

All the compounds have been tested their scavenging activity (%SA) and their antioxidant concentration required to inhibit 50% of the radicals (EC_{50}).[6] Only the compounds containing functional groups that were susceptible to oxidation, such as hydroxyl **28-29** and amine **17**, showed the best antioxidant activities (Table). These results may be rationalized because the 1,2,3-triazole group is known as stable, and now we have described their stability under scavenging conditions.

Table. The best results for antioxidant activities of nitroaryl-*1H*-1,2,3-triazoles.

Compounds	EC_{50} (μg/mL) [a]	
	DPPH [b]	ABTS [b]
17	7.79 ± 1.68	13.42 ± 0.97
28	49.75 ± 1.37	32.98 ± 0.39
29	47.97 ± 5.42	22.23 ± 0.07
Ascorbic acid	1.67 ± 0.02	-
TROLOX	-	3.86 ± 0.04

[a] Antioxidant concentration required to reduce the original radical population by 50%. [b] Values represent mean ± standard deviation: $n = 3$.

CONCLUSION

We have synthesized a series of nitroaryl-1*H*-1,2,3-triazoles **17-31** in moderate to good yields. Only the compounds **17**, **28** and **29** presented satisfactory results as an antioxidant. These three compounds have been found to be a lead antioxidant agent for further study.

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