Synthesis of Quinoline-Triazol Carboxylates by Organocatalytic Cycloaddition of β-Ketoesters and 4-Azido-7-Chloroquinoline

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INTRODUCTION
The importance of heterocyclic compounds is indisputable, especially the 1,2,3-triazoles, which have attracted much interest because they have a wide field of applications, ranging from use as explosives, even pesticides and as drugs. However recent discoveries of methodologies for obtaining functionalized 1,2,3-triazoles, the interest on this class of compounds is increasing, and, among these methods of synthesis, there is the organocatalytic enamido–azide cycloaddition reaction.

Similarly, another class of widely studied heterocycles are quinolines, which are characterized by containing in their structure a benzene ring fused to a pyridinic ring. The interest in the synthesis of quinoline derivatives also has been increased for their known pharmacological properties.

Because of the importance related to these two classes of heterocyclic compounds and due to potential biological applicability, we describe here or results on the synthesis of quinoline-triazol carboxylates through organocatalytic enamido-azide cycloaddition reaction between β-Ketoesters and 4-Azido-7-Chloroquinoline.

RESULTS AND DISCUSSION
Initially, studies were conducted to determine the best reaction condition. For this, was reacted the ethylacetocetate 1a with 4-Azido-7-Chloroquinoline 2 and various organocatalysts, such as, pyrrolidine, piperidine, L-Proline, Et₂NH, Et₃N, using DMSO as solvent at different concentrations.

Figure 1. General scheme of the reaction.

After analyzing the results, we found that the desired product 3a was obtained in better yield (90%), by reacting ethylacetocetate 1a (0.3 mmol) with 4-Azido-7-Chloroquinoline 2 (0.33 mmol) in presence of pyrrolidine as organocatalyst (10 mol%) and DMSO as solvent (0.3 mL) at room temperature for 24 hours in open flask. After that, under these optimized conditions, we realized some reactions varying the β-ketoesters 2a-k and obtained a range of quinoline-triazol carboxylates 3a-k in high yields (Figure 2).

Figure 2. Quinoline-triazol carboxylates 3a-k synthesized.

CONCLUSION
In summary, we described the synthesis of molecules containing quinoline and 1,2,3-triazole heterocycles through organocatalytic enamido-azide cycloaddition reaction between β-ketoesters 1 and 4-azido-7-chloroquinoline 2, using for this pyrrolidine as organocatalyst. The quinoline-triazol carboxylates 3 were obtained in high yields under mild reaction conditions.

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REFERENCES