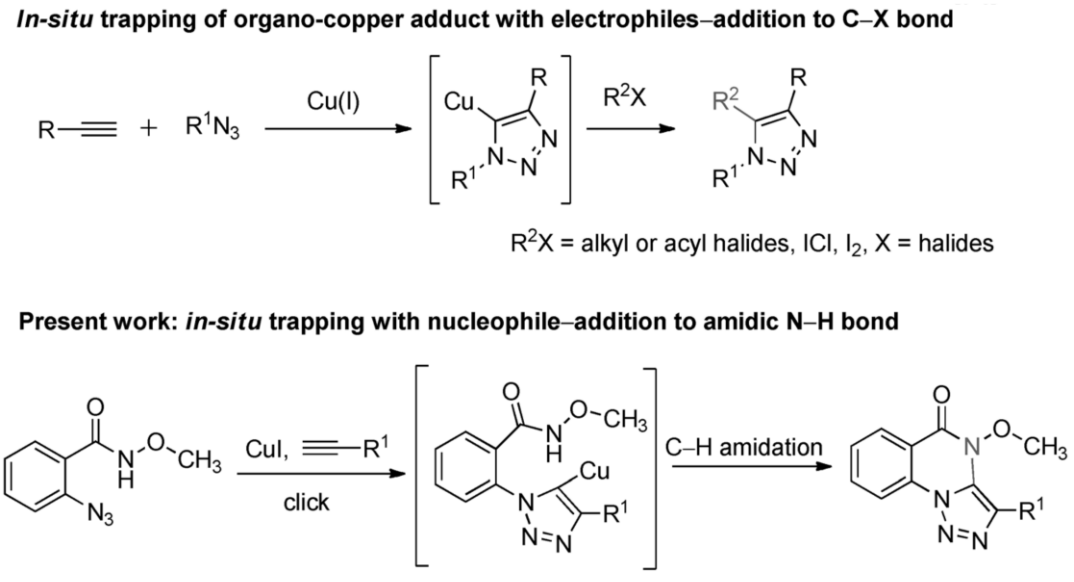
SCST Colloquium Report

Speaker: Prof. Chung-Ming Sun
Title: One-Pot Synthesis of Triazoloquinazolinones via Copper-Catalyzed Tandem Click and Intramolecular C-H Amidation
Date & Time: 2021/03/03, 14:30 – 16:30
Location: B105, Institute of Chemistry, AS

Student Name:

Student ID No.:

During his presentation, Prof. Chung-Ming Sun mentioned his research interests which focus on the synthesis of biologically active compounds. He discussed the paper they published in 2014 that is entitled "One-Pot Synthesis of Triazoloquinazolinones via Copper- Catalyzed Tandem Click and Intramolecular C-H Amidation" [1]. In this paper, they explored a highly efficient and novel synthesis of triazoloquinazolinones via one-pot copper-catalyzed tandem procedure as can be depicted in the following scheme.

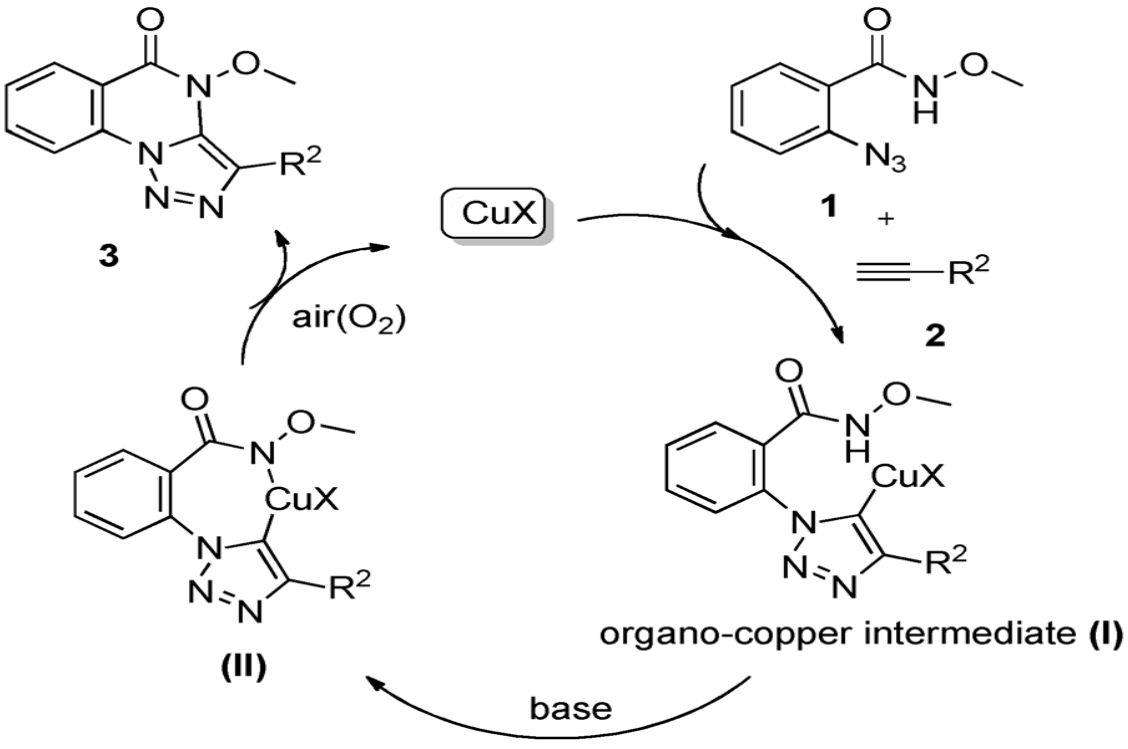


Scheme 1. Synthetic strategy for the formation of triazoloquinazolinones via one-pot CuAAC C-H amidation.

Note: Please Email at least 8 reports as one single word or pdf file and name the file as **Year Semester CQ – student name** (e.g., 2021 Spring CQ – Marie Curie.docx) to Prof. Chang, Wei-Hau (weihau@chem.sinica.edu.tw) before the final exam week.

Fusing organic azides and terminal alkynes via copper-catalyzed azide–alkyne cycloaddition is one of the effective synthetic tools for triazoles due to the broader substrate scope and simple reaction conditions. Triazoloquinazolinones are an important class of nitrogen-containing heterocycles which exhibit a wide range of biological applications such as anticancer and antihypertensive agents. These tricyclic heterocycles show moderate affinity towards benzodiazepine receptors, A1 and A2A adenosine receptors and they can act as ligands against GABAA receptors [2].

In this paper, an unprecedented one-pot protocol for the synthesis of triazoloquinazolinones by the reaction of azidoamides and terminal alkynes was successfully reported. The overall domino process comprising the formation of a C-N bond and two new rings involves an initial intramolecular trapping of the organocopper intermediate with amide and subsequent C-H amidation, as can be depicted in the mechanism scheme (scheme 2).



Scheme 2. Plausible mechanism for the formation of triazoloquinazolinones.

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This protocol features air as the oxidant, ligand-free reaction conditions, and impressive substrate scope for both coupling partners and excellent functional group tolerance. The accessibility and generality of this process make it highly valuable and it will open a new window to many other useful transformations in organic synthesis.

References:

1. Selvaraju, M. and C.M. Sun, *One-Pot Synthesis of Triazoloquinazolinones via Copper-Catalyzed Tandem Click and Intramolecular C H Amidation.* Advanced Synthesis & Catalysis, 2014. **356**(6): p. 1329-1336.
2. Alagarsamy, V., V. Solomon, and M. Murugan, *Synthesis and pharmacological investigation of novel 4-benzyl-1-substituted-4H-[1, 2, 4] triazolo [4, 3-a] quinazolin-5-ones as new class of H1-antihistaminic agents.* Bioorganic & medicinal chemistry, 2007. **15**(12): p. 4009-4015.

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