Research Grants for PhD students from the China Scholarship Council

Information Form (please read the guidelines carefully on the website www-csc.utt.fr)

Supervisor's name : JACOLOT Given names : Maïwenn

Status (prof., assistant prof., …) : Assistant Professor INSA Lyon

Laboratory :	ICBMS, Laboratory of Organic and Bioorganic Chemistry - CNRS UMR 5246	Website address : https://www.icbms.fr/fr/equipe/7-cob-html
Institution :	INSA LYON, Av. Albert Einstein, 69621 Villeurbanne, France	Website address : https://www.insa-lyon.fr/

Scientific competence of the supervisor:

The main interests of the team are devoted to the development of new synthetic methodologies by sustainable methods and its applications to new heterocyclic scaffolds. Dr Maïwenn Jacolot contribution was reported in 21 publications and has an expertise in metal-catalyzed Borrowing Hydrogen transformations. Prof. Florence POPOWYCZ is associated to the supervision of the project. The association of these two researchers results from the combination of two complementary expertises, respectively synthetic methodologies and heterocyclic and medicinal chemistry.

Two major publications in the field proposed for the PhD :

1. Larduinat, M.; François, J.; Jacolot, M.; Popowycz, F.; J. Org. Chem. 2023, 88, 11, 7512–7517
2. François, J. ; Rio, J. ; Jeanneau, E. ; Perrin, M.-È. L. ; Jacolot, M. ; Payard, P.-A. ; Popowycz, F. Org. Chem. Front. 2023, 10, 4732–4739

Website address of the personal page : https://www.icbms.fr/fr/equipe/7-cob-html

Supervisor's email : JACOLOT Maïwenn

Description of the research work proposed for a PhD ***Topic # (see list) :*** II-13

Title : Preparation of pyrazolo[3,4-b]pyridines and integration in late-stage functionalization

Subject :

A multitude of aromatic heterocycles have a bicyclic nitrogen structure. The pyrazolo[3,4-b]pyridine motif is present in a multitude of compounds with biological activity, such as anxiolytics or antifungals. Despite the importance of this nucleus, synthetic transformations on this scaffold are few and far between. Today's challenges lie on the development of more eco-compatible methods while offering more chemical diversity. In response to this challenge, this project will focus on the development of innovative and direct metallo-catalysed methodologies on this scaffold. Based on our preliminary work on Suzuki cross-coupling reaction on 4-chloro-5-carbethoxy pyrazolopyridine, other pallado-catalyzed reactions such as Sonogashira and Heck will be examined for C-4 functionalization. Another part of the project, dedicated to the decarboxylative coupling in C-5 position will also be envisioned. Finally, functionalization in C-3 position will be envisioned using the borrowing hydrogen (BH) methodology with various primary and secondary alcohols. This one-pot transformation has emerged as an attractive strategy for the construction of novel C-C bonds from an alcohol and a C-nucleophile. A wide range of late-stage transformations will be evaluated in the framework of this PhD program and the library of compounds will be integrated in the Chimiothèque Nationale for biological screening.

Keywords :

Heterocyclic chemistry, N-heterocycles, Late stage functionalization, cross-coupling, catalysis, Borrowing Hydrogen

Expected collaborations :

The research will be conducted in an organic synthesis team (COB - ICBMS) in Lyon. But discussions and collaboration with COBRA-Rouen will be considered due to their expertise in decarboxylative coupling. Results generated in the project will be published in renowned international scientific journals and displayed in scientific meetings

Background required from the applicant :

We are looking for a highly motivated person with a strong background in organic chemistry (Master degree). Appropriate education profile should include experience in multi-step organic synthesis and associated analytical skills (NMR, MS, IR). Experience in organometallic chemistry will be appreciated but is not mandatory. A good motivation to learn, communication skills, curiosity, and good team spirit are also among important qualities. Good knowledge of English is also important.

Existence of a PDF file detailing the proposal ("yes" or "no") : Yes

(see guidelines on the website www-csc.utt.fr)