

The Research Group Organic Chemistry

has the honor to invite you to the public defense of the PhD thesis of

Niels MARIEN

to obtain the degree of Doctor of Sciences

Title of the PhD thesis:

Novel alkyne transformations towards (spiro)heterocyclic scaffolds

Promotors:

Prof. Dr. Steven Ballet Prof. Dr. Guido Verniest (co-promotor)

The defence will take place on

Tuesday March 20 2018 at 17.00h

in Auditorium D.0.07 at the Campus Humanities, Sciences and Engineering of the Vrije Universiteit Brussel, Pleinlaan 2 - 1050 Elsene, and will be followed by a reception.

Members of the jury:

Prof. Dr. Frank De Proft (chairman) Prof. Dr. Han Remaut (secretary) Dr. Freija De Vleeschouwer Prof. Dr. Gwilherm Evano (ULB) Dr. Eelco Ruijter (Vrije Univ. Amsterdam) Prof. Dr. Johan Winne (UGent)

Curriculum vitae

Niels Marien (°1989) graduated as Master of Science in Chemistry -Molecular and macromolecular design from the Vrije Universiteit Brussel (VUB) in 2012. Next, he obtained an assistant academic staff position with a research focus on gold-catalyzed alkyne transformations with prof. Guido Verniest. During his doctoral thesis, he performed a three month research stay at the University of Oxford, working on diastereoselective cycloisomerization reactions. His research has led to 5 first author publications in international peer reviewed journals. He supervised two Master theses and two Bachelor theses and presented his work at national conferences by oral talks and poster presentations.

The discovery of new drugs and treatments is a very complex process at the interface of medicine, biology, chemistry and pharmacy. A survey of the top 50 best-selling drugs on the market in 2016 shows that while biologicals are on the rise, small organic molecules still make up the majority of this list. The further development of reactions that can facilitate the synthesis of drug-like molecules is therefore highly desirable.

In this thesis, a number of novel reactions involving triple bonds (alkynes) is described. The conducted research was initially centered around the activation of these triple bonds using gold catalysis, which led to the synthesis of various heterocycles like quinolizinium salts, fused indoles and spiropseudoindoxyls in generally good isolated yields.

At a later stage, an efficient metal-free transformation of a polarized alkyne towards spiropseudoindoxyls was also developed. In a number of cases, computational chemistry was applied to acquire more insights in the mechanism of these transformations.

The syntheses of the spiropseudoindoxyls in particular opened up a new entries towards an underdeveloped class of spirocyclic compounds which can find applications in medicinal chemistry programs.