



## 29<sup>th</sup> Young Research Fellows Meeting

from Monday July 4 to Wednesday July 6

School of Pharmacy

9 Rue Bias, 44035 Nantes, France



## LOCAL ORGANISING COMMITTEE

- ❖ Dr. Marc-Antoine Bazin
- ❖ Prof. Muriel Duflos
- ❖ Céline Lhomelet
- ❖ Dr. Cédric Logé
- ❖ Prof. Pascal Marchand
- ❖ Prof. Jean-Michel Robert



**UR 1155**

Cibles et Médicaments  
des Infections et de l'Immunité



**Pôle Santé**

UFR des Sciences  
pharmaceutiques et biologiques

- ❖ Prof. Sylvain Collet
- ❖ Dr. Sébastien Gouin
- ❖ Prof. Jacques Lebreton
- ❖ Dr. Monique Mathé-Allainmat
- ❖ Dr. Muriel Pipelier
- ❖ Dr. Arnaud Tessier

**UMR CNRS 6230**



**Faculté des sciences  
et des techniques**



## 29<sup>th</sup> Young Research Fellows Meeting - 29<sup>e</sup> Journées Jeunes Chercheurs

### School of Pharmacy – Nantes, France

Monday, July 4, 2022

12.00-12.45 Registration

12.45-13.00 Opening of 29<sup>th</sup> YRFM

Prof. Olivier Grovel – Deputy Dean of the School of Pharmacy – Nantes University

Prof. Rebecca Deprez-Poulain – S.C.T. President, University of Lille

**Session chair:** Prof. Pascal Marchand, Nantes University

13.00-13.30 **Keynote Lecture 1:** Dr. Nicolas Poirier, OSE Immunotherapeutics, Nantes, France

*Monoclonal Antibody-based immunotherapies to treat cancer, inflammation and organ rejection*

13.30-14.50 Oral Communications

**OC1:** Floriane Eshak: *Epitope Identification, a case study: Nanobodies binding to mGlu5 receptor* – University of Paris

**OC2:** Sébastien Depienne: *Tyrosine selective electrobioconjugation for biomolecules labelling* – Nantes University

**OC3:** Gwenaëlle Jézéquel: *Target-directed dynamic combinatorial chemistry towards the discovery of SARS-CoV-2 anti-virals targeting NSP10* – University Saarland-Saarbrücken, Germany

**OC4:** Lucile Brier: *Characterization of a new chemotype inhibitor of the SARS-CoV-2 3CL protease identified by High Throughput Screening* – University of Lille

14.50-15.15 Flash poster presentations

**FP1:** Alexandra Fillion, University of Paris

**FP2:** Mark Wever, University of Grenoble Alpes

**FP3:** Lhana Tisseur, Nantes University

**FP4:** Camille Sanchez, Nantes University

**FP5:** Ashraf Qasem, University of Bath, UK

15.15-16.00 Coffee break – Poster session

**Session chair:** Dr. Sébastien Gouin, Nantes University

16.00-16.30 **Keynote Lecture 2:** Prof. Nicolas Willand, University of Lille, France

*Tricks to discover a STAR (Strategy To overcome Antimicrobial Resistance)*

16.30-17.50 Oral Communications

**OC5:** Camille Tisnerat: *Design and synthesis of new arylaminoalcohols modulating efflux pump transport as a response to P. falciparum multidrug resistance* – University of Picardie Jules Verne

**OC6:** Marion Casanova: *Innovative synthesis of polymeric systems for the vectorization of new antiplasmodial iminium derivatives* – University Aix-Marseille

**OC7:** Kévin Antraygues: *Design, synthesis and evaluation of water-soluble prodrugs of rifabutin for the treatment of bacterial infections* – University of Lille

**OC8:** Thibaut Barbier: *Inhibition of the Bacterial Divisome in Multidrug Resistant Staphylococcus aureus: Design, Synthesis and Biological Evaluation of New FtsZ Inhibitors* – University Claude Bernard Lyon I

17.50-18.15 Flash poster presentations

**FP6:** Marion Michel, University of Orléans

**FP7:** Marta López Rubio, University of Salamanca, Spain

**FP8:** Pierre-Yves Libouban, University of Orléans

**FP9:** Arthur Laurent, University of Strasbourg

**FP10:** Timothy Langlais, University of Rennes

18.15-19.30 Welcome Reception – Poster session

## Tuesday, July 5, 2022

**Session chair:** Dr. Jean-Yves Ortholand, S.C.T. Vice President, Ediris - Lyon

8.50-9.00 **Young MedChem Forum** – SCT YMCF, a group of young academic and industrial researchers – Dr. Sandy Desrat, ICSN – Gif sur Yvette, France

9.00-9.30 **Keynote Lecture 3:** Dr. Francesco Mesiti, Sterling Chemical Malta

*Brick by brick: pharmacophore mapping of a chromone-based MAO-B inhibitors*

9.30-10.30 Oral Communications

**OC9:** Federico Riu: *A Lead-Based Fragment Library Screening of the Glycosyltransferase WaaG from Escherichia coli* – University of Sassari, Italy

**OC10:** Edward Will: *Highly diverse libraries of peptidic macrocycles enable the discovery of low-molecular weight PPI inhibitors* – EPFL Lausanne, Switzerland

**OC11:** Pierre Sierocki: *Overcoming the limitations of peptidic scaffolds using KTGS: discovery of the 1st druglike & selective ERAP2 inhibitors* – University of Lille

10.30-11.00 Coffee break – Poster session

**Session chair:** Prof. Sylvain Collet, Nantes University

11.00-11.30 **Keynote Lecture 4:** Dr. Niamh O'Boyle, TCD – University of Dublin, Ireland

*Targeting Tubulin - a Tale of the Development of the Combretazets*

11.30-11.55 Flash poster presentations

**FP11:** Nicolas Kraupner, University of Lille

**FP12:** Roberta Ibba, University of Sassari, Italy

**FP13:** Marcel Hausdorff, University of Montpellier

**FP14:** Camille Hauguel, University Paris-Saclay

**FP15:** Simon Garnier, University of Orléans

12.00-13.00 Lunch break – Poster session

13.00-13.30 Interview simulations

13.30-14.00 **From Lab Scientist to Scientific Project Team Leader: Soft Skills Required to be Successful in Industry** - Dr. Jean-Baptiste Langlois, Novartis Pharma AG, Basel, Switzerland

**Session chair:** Prof. Sébastien Papot, University of Poitiers

14.00-14.30 **Keynote Lecture 5:** Dr. Nicolas Joubert, University of Tours, France

*Antibody-Drug Conjugates: Past, Present, Future*

14.30-15.50 Oral Communications

**OC12:** Iryna Shchegoleva: *Diversity-oriented synthesis of small molecules applied to the discovery of new inhibitors of oncogenic miRNAs production* – University Côte d'Azur

**OC13:** Rayan Berabez: *Synthesis and preclinical validation of LIMKs inhibitors: Promising drugs for osteosarcoma treatment?* – University of Orléans

**OC14:** Miguel Marín Folgado: *Design, synthesis, and evaluation of a new family of antimitotic sulfonamides* – University of Salamanca, Spain

**OC15:** Raphaël Le Biannic: *Pyrazolones as inhibitors of immune checkpoint blocking the PD-1/PD-L1 interaction* – University of Lille

15.50-16.15 Flash poster presentations

**FP16:** Raúl Fuentes Martín, University of Salamanca, Spain

**FP17:** Nuno Manuel Xavier, University of Lisboa, Portugal

**FP18:** Noelia Fernández-Ceballos, University of Salamanca, Spain

**FP19:** Fabiola Djago, University of Poitiers

**FP20:** Davide Di Lorenzo, University Paris-Saclay

16.15-16.45 Coffee break – Poster session

**Session chair:** Dr. Boris Vauzeilles, Institut de Chimie des Substances Naturelles, Gif-sur-Yvette

- 16.45-17.15     **Keynote Lecture 6:** Dr. Anne-Caroline Chany, Le Mans University, France  
*Towards the total synthesis of bioactive natural products using X-H insertions. The case of chaxalactins*
- 17.15-18.15     Oral Communications
- OC16:** Carine San: *Rapid Optimization Using a Design of Experiments Approach: Application to Aluminium-<sup>[18F]</sup>Fluoride Radiolabeling Method* – CNAM -Paris
- OC17:** Valentin Travers--Lesage: *Insight into the inhibition of cholinesterases by potential pleiotropic prodrugs against Alzheimer's disease* – University of Caen Normandy
- OC18:** Nicolò Bisi:  *$\beta$ -hairpin mimics as  $\alpha$ -Synuclein and Tau aggregation inhibitors: design, synthesis, characterization, and biological evaluations* – University Paris-Saclay
- 18.15-18.40     Flash poster presentations
- FP21:** Flore Caré, University of Orléans
- FP22:** Hugo Bloux, University of Caen Normandy
- FP23:** Samuel Odarkwei Blankson, University of Paris Cité
- FP24:** Diane Barret, Paul Scherrer Institut, Villigen, Switzerland
- FP25:** Erika Adhel, University of Paris Cité
- From 19h30     Social event at "Le Hangar à bananes – Île de Nantes"

### Wednesday, July 6, 2022

**Session chair:** Prof. Rebecca Deprez-Poulain, S.C.T. President, University of Lille

- 9.00-9.30        **Keynote Lecture 7:** Dr. François Guérard, Nantes University, France  
*Progress in the radiolabelling chemistry with astatine-211 for applications in targeted alpha therapy of cancers*
- 9.30-10.00     **Keynote Lecture 8:** Dr. Francesca Giuntini, Liverpool John Moores University, UK  
*The mighty alliance of porphyrin and peptides: improving the targeting, delivery and formulation of photosensitizers*
- 10.00-10.45     Coffee break – Poster session
- 10.45-11.30     SCT Award for Young Investigator in Medicinal Chemistry in Industry
- Keynote Lecture 9:** Dr. Jean-Baptiste Langlois, Novartis Pharma AG, Basel, Switzerland  
*Stabilizing inactive conformations of MALT1 as an effective strategy to inhibit its protease activity*
- 11.30-12.00     Concluding remarks – Awards
- 12.00-13.00     Lunch
- 13.00             End of the meeting

## Rapid Optimization Using a Design of Experiments Approach: Application to Aluminium- $^{18}\text{F}$ Fluoride Radiolabeling Method

**Carine SAN<sup>\*(1,2)</sup>, Meriem BEDDEK<sup>(2)</sup>, Fabienne DIOURY<sup>(1)</sup>,  
Nicolas VIGNAL<sup>(2)</sup>, Benoît HOSTEN<sup>(2)</sup>, Maurice PILLET<sup>(3)</sup>, Laure  
SARDA-MANTEL<sup>(4)</sup>, Marc PORT<sup>(1)</sup>.**

**OC16**

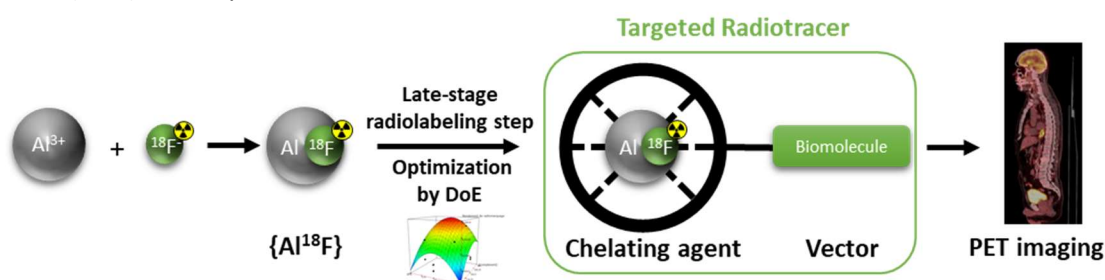
(1) Conservatoire National des Arts et Métiers (Le Cnam), Laboratoire  
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(3) Université Savoie Mont-Blanc (USMB), Laboratoire Systèmes et  
Matériaux pour la Mécatronique (SYMME), EA 4144, 7 chemin de  
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Positron emission tomography (PET) is a non-invasive imaging technique mostly used in cancerology for diagnosis and response therapy assessment. Fluorine-18 ( $^{18}\text{F}$ ) is the ideal positron emitter due to its physical properties and its ease of production. In the era of the personalized medicine, there is a need for targeted radiotracers and therefore, a mild and late stage radiolabeling method applicable to biomolecules. Indeed, conventional method based on covalent carbon- $^{18}\text{F}$  bond formation requires drastic conditions that are not compatible with the stability of sensitive vector such as biomolecules. Over the last decade, an alternative radiofluorination has been explored: it consists of forming an aluminium-fluoride species  $\{\text{Al}^{18}\text{F}\}$  which is captured by a chelating agent<sup>(a)</sup> (cf. Figure). This strategy was applied to access a wide range of  $^{18}\text{F}$ -labeled peptide for PET imaging in cancerology<sup>(b)</sup>. However, the reported radiosynthesis relied on a classical optimization approach of the radiochemical yield (RCY) by using one-factor-at-a-time method. For a better radiation protection and a more accurate model, an efficient optimization approach based on a Design of Experiments (DoE) method with Ellistat<sup>®</sup> software (v6.8) will be presented herein.



### Bibliographic references:

<sup>(a)</sup> W. J. McBride, R. M. Sharkey, H. Karacay, C. A. D'Souza, E. A. Rossi, P. Laverman, C.-H. Chang, O. C. Boerman, D. M. Goldenberg, *J. Nucl. Med.* **2009**, 50 (6), 991–998.

<sup>(b)</sup> C. Fersing, A. Bouhlef, C. Cantelli, P. Garrigue, V. Lisowski, B. Guillet, *Molecules* **2019**, 24 (16), 2866.

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