



## Dr Corinne FRUIT



Associate Professor

Heterocycles team

Tel : (+33) 2 35 52 24 82

E-mail : corinne.fruit@univ-rouen.fr



### PROFESSIONAL EXPERIENCES

- 2019-2020     Invited Associate Professor; University of Osaka, Japan.  
2012-         Associate Professor; Rouen Normandy University, France.  
2005-2012     Assistant Professor; Rouen Normandy University, France.  
2002-2004     Postdoctoral Associate; Advisor: Prof. P. Müller, University of Geneva, Switzerland.  
2001-2002     Postdoctoral Associate; Advisor: Prof. A. Fallis, University of Ottawa, Canada.  
2000-2001     Assistant Professor; Advisor: Prof. G. Quéguiner, University of Rouen, France.

### EDUCATION

- 1997-2001     Ph.D. Organic Chemistry, University of Rouen, France.  
1996-1997     M.S. Organic Chemistry, University of Rouen, France.

### ADMINISTRATIVE & INSTITUTIONAL RESPONSIBILITIES

- 2020-2021:     Member of the local scientific Committee for the organization of the European Colloquium on Heterocyclic Chemistry (EHC 2021, Rouen, 23-26 Avril 2021).  
2013-2018     Director of the social and cultural service of Rouen Normandy University.  
2015-         Member of the Advisor Committee of the department of chemistry (IUT, Rouen Normandy University)  
2006-         Member of the Advisor Commission of faculty specialists (CCSE, section 32) – University of Rouen, France.

### RESEARCH INTERESTS

- Transition metal catalysis
- C-H Diversification
- Fused pyrimidinones and quinazolinones
- Kinase inhibitors
- Neurodegenerative diseases and Cancer

## SCIENTIFIC ACHIEVEMENTS

### Academic record (h-index: 17)

44 publications, 2 books/book chapters, 15 invited lectures

### Selected prizes and awards (or Editorial activities)

2019-2021: Guest Editor, Special Issue of Catalysts: Transition-metal Catalysts for C-H Bond Functionalization of Heteroarenes. IF: 3.520

[https://www.mdpi.com/journal/catalysts/special\\_issues/c-h\\_functionalization](https://www.mdpi.com/journal/catalysts/special_issues/c-h_functionalization)

2019-2020: Invited Associate Professor, Osaka University's International Joint Research Promotion Program. Division of Applied Chemistry, Graduate School of Engineering, Osaka, Japan.

2018: Best poster Award. *32èmes Journées Franco-Belges de Chimie Thérapeutique et 26èmes Conférences Européennes du GP2A (Congrès international, Asnelles-sur-Mer, France)*

2015: Best presentation Award. *In Proceedings of the 1st Int. Electron. Conf. Med. Chem.; Sciforum Electronic Conference Series, Vol. 1, 2015, A004; doi:10.3390/ecmc-1-A004.*

## SUPERVISION ACTIVITIES

Since 2005: Supervision of 7 PhD students, 6 M2 students, 12 post-doctoral fellows, 35 undergraduate students

## GRANTS AND FELLOWSHIPS

**Regional:** RIN, RIN Emergent, LabEx SynOrg

**International:** Osaka University's International Joint Research Promotion Program (Japan) avec le Pr Sensuke Ogoshi (Professor, Department of Applied Chemistry, Osaka University)

**Other:** Henri Becquerel Hospital, ManRos Therapeutics

## TEACHING ACTIVITIES

- Organic Chemistry, Institute of Technology at Rouen Normandy University, department of Chemistry. Level: L1-L2
- <sup>1</sup>H NMR, Institute of Technology at Rouen Normandy University, department of Chemistry. Level: L2
- Chemical Science Course, Graduate School of Engineering, University of Osaka, Japan (special intensive courses, July 2019, 12h): Heterocyclic Chemistry: Synthesis and Functionalization of Heteroaromatic compounds. Level: Ph-D students

## CONFERENCES

1. "Synthesis and Metalation of diazines". (2001, University of Ottawa, Canada)

2. **“Intramolecular asymmetric transfer of nitrenes catalyzed by chiral dirhodium (II) carboxylates: synthesis of sulfamidates”**. *Oppolzer Lectures 2003 (Short Communication, Geneva, Switzerland) international congress*
3. **“Intramolecular asymmetric transfer of nitrenes catalyzed by chiral dirhodium (II): synthesis of sulfamidates”**. *COST D-24 2003, Stereoselective Transition Metal-Catalysed Reactions (Short Communication, Geneva, Switzerland) international congress*
4. **“Part I: Synthèse et métallation de diazines - Part II: Transferts intramoléculaires asymétriques de nitrènes catalysés par le dirhodium”**. *Institut de Chimie des Substances Naturelles (Invited Lecture, 2004, Gif-Sur-Yvette, France)*
5. **“Sulfonimidamides as new nitrene precursors for diastereoselective transition-metal catalyzed aziridinations”**. *Stereocat 2004, COST Chemistry D24, Stereoselective Transition Metal-Catalysed Reactions (Short Communication, Venice, Italy) international congress*
6. **“Fonctionnalisation d’hétérocycles en série diazinique et pyridinique”**. *Journée Janssen (Invited Lecture, 2010, Mont-Saint-Aignan, France)*
7. **“lithiated  $\pi$ -deficient heterocycles and their applications in synthetic organic chemistry”**. *Trinity College (Invited Lecture, 2012, Dublin, Irelande)*
8. **“Synthèse de molécules naturelles ou d’analogues à partir de lithio-pyridines”**. *(Oral Communication, 2013, Le Croisic, France)*
9. **“Late-stage C-H Arylation of Thiazolo[5,4-f]quinazolin-9(8H)-one Backbone: Synthesis of an Array of Potential Kinase Inhibitors”**. *32èmes Journées Franco-Belges de Chimie Thérapeutique et GP2A (Invited Plenary Lecture, 2018, Asnelles-sur-Mer, France) international congress, <http://gp2a.org/index.php/2018/08/21/back-on-gp2a-jfb2018/>*
10. **“Promising DYRK1A inhibitor synthesized by late-stage C-H Arylation”**. *The 4th International Symposium on C-H Activation (ISCHA-4) (Short Invited Lecture, 2018, Yokohama, Japan) international congress, <http://www.orgmet.chem.keio.ac.jp/ISCHA4/program.html>*
11. **“Copper-mediated C-H Activation of quinazolinones and thiazoloquinazolinones for the Synthesis of kinase Inhibitors”**. *University of Osaka (group meeting of Prof S. Ogoshi, 2019, Japan)*

12. "Late-Stage C-H Arylation: a Powerful Tool for the Synthesis of Promising DYRK1A Inhibitor". *University of Osaka (Invited Lecture, 2019, Osaka, Japan)*
13. "Promising DYRK1A inhibitor synthesized by late-stage C-H Arylation", Fruit, C.; *The 27th International Society of Heterocyclic Chemistry Congress (27 ISHC) (Oral presentation, 2019, Kyoto, Japan) [international congress](#)*
14. "Late-Stage C-H Arylation of Bioactive Heterocycles for the Synthesis of Promising Kinases Inhibitors". *University of Keio (Invited Lecture, 2020, Tokyo, Japan)*
15. "Late-Stage C-H Arylation of Bioactive Heterocycles for the Synthesis of Promising Kinases Inhibitors". *Okayama University of Sciences (Invited Lecture, 2020, Okayama, Japan)*

## PUBLICATIONS

1. "Metalation of pyrazinethiocarboxamides". C. Fruit, A. Turck, N. Plé, G. Quéguiner\*; *Heterocycles* **1999**, 51, 2349-2365. **IF: 0.805**
2. "A new route to Septorin via controlled metalations of pyrazines". C. Fruit, A. Turck, N. Plé, L. Mojovic, G. Quéguiner\*; *Tetrahedron* **2001**, 57, 9429-9435. **IF: 2.651**
3. "Synthesis and Metalation of pyridazinecarboxamides and thiocarboxamides". C. Fruit, A. Turck\*, N. Plé, L. Mojovic, G. Quéguiner; *Tetrahedron* **2002**, 58, 2743-2753. **IF: 2.651**
4. "Syntheses of N-Diazinyl Thiocarboxamides and of Thiazolodiazines". C. Fruit, A. Turck\*, N. Plé, G. Quéguiner; *J. Het. Chem.* **2002**, 39, 1077-1082. **IF: 0.893**
5. "Enantioselective catalytic aziridinations and asymmetric nitrene insertions into CH bonds". P. Müller\*, C. Fruit; *Chemical Reviews* **2003**, 103, 2905-2920. **IF: 47.928**
6. "Asymmetric transfer of nitrenes catalyzed by chiral dirhodium using aromatic sulfamate esters". C. Fruit\*, P. Müller; *Tetrahedron: Asym.* **2004**, 15, 1019-1026. **IF: 2.126**
7. "Intramolecular asymmetric amidations of sulfonamides and sulfamates catalyzed by chiral dirhodium(II) complexes". C. Fruit\*, P. Müller; *Helv. Chim. Acta* **2004**, 87, 1607-1615. **IF: 1.071**
8. "Diastereoselective rhodium-catalyzed transfer of nitrene starting from chiral sulfonimidamide-derived iminoiodinane". C. Fruit\*, F. Robert-Peillard, G. Bernardinelli, P. Müller, R. H. Dodd\*, P. Dauban\*; *Tetrahedron: Asym.* **2005**, 16, 3484-3487. **IF: 2.126**

9. "Efficient Diastereoselective Intermolecular Rhodium catalyzed C-H amination". C. Liang, F. Robert-Peillard, **C. Fruit**, P. Müller\*, R. H. Dodd\*, P. Dauban\*; *Angew. Chem. Int. Ed.* **2006**, *45*, 4641-4644. **IF: 11.994**
10. "O-Tetrahydropyran-2-yl (O-THP) as an Ortho-Directing Group in the lithiation of pyridines". R. Azzouz, L. Bischoff\*, **C. Fruit**, F. Marsais; *Synlett* **2006**, 1908-1912. **IF: 2.151**
11. "Regioselective opening of N-Cbz glutamic and aspartic anhydrides with carbon nucleophiles". G. Deguest, L. Bischoff\*, **C. Fruit**, F. Marsais; *Tetrahedron: Asym.* **2006**, *17*, 2120-2125. **IF: 2.126**
12. "One-pot synthesis of 2,3-dihydro-pyrrolopyridinones using in situ generated formimines". G. Deguest, A. Devineau, L. Bischoff\*, **C. Fruit**, F. Marsais; *Org. Lett.* **2006**, *8*, 5889-5892. **IF: 6,492**
13. "Anionic, in Situ Generation of Formaldehyde: A Very Useful and Versatile Tool in Synthesis". G. Deguest, L. Bischoff\*, **C. Fruit**, F. Marsais; *Org. Lett.* **2007**, *9*, 1165-1167. **IF: 6,492**
14. "On the racemisation of aspartic anhydride during its preparation". F. Buron, G. Deguest, L. Bischoff\*, **C. Fruit**, F. Marsais; *Tetrahedron: Asym.* **2007**, *18*, 1625-1627. **IF: 2.126**
15. "A Concise Synthesis of Lentiginosine Derivatives using a Pyridinium Formation via the Mitsunobu Reaction". R. Azzouz, **C. Fruit**\*, L. Bischoff\*, F. Marsais; *J. Org. Chem.* **2008**, *73*, 1154-1157. **IF: 4.849**
16. "Aminomethylation of lithiated nicotinamide: access to new pyridolactams". E. Prieur, R. Azzouz, G. Deguest, **C. Fruit**\*, L. Bischoff\*, F. Marsais; *Tetrahedron Lett.* **2008**, *49*, 437-440. **IF: 2.193**
17. "A Convenient One-Pot Preparation of Stable Equivalents of Cyclobutane-1,2-dione and Cyclobutanetrione". G. Deguest, L. Bischoff\*, **C. Fruit**, F. Marsais; *Synth. Commun.* **2008**, *38*, 841-847. **IF: 1.134**
18. "An efficient protocol for the preparation of pyridinium and imidazolium salts based on the Mitsunobu reaction". S. Petit, R. Azzouz, **C. Fruit**, L. Bischoff\*, F. Marsais; *Tetrahedron Lett.* **2008**, *49*, 3663-3665. **IF: 2.193**
19. "Aminoacid-derived mercaptoimidazoles". A. Crepin, N. Wattier, S. Petit, L. Bischoff\*, **C. Fruit**, F. Marsais; *Org. Biomol. Chem.* **2009**, *7*, 128-134. **IF: 3.564**

20. "Design of silicon-based misonidazole analogues and 18F-radiolabelling". P. Bohn, A. Deyine, R. Azzouz, L. Bailly, C. Fiol-Petit, L. Bischoff\*, **C. Fruit\***, F. Marsais, P. Vera\*; *Nuclear Med. Biol.* **2009**, 36, 895-905. **IF: 2,426**
21. "An efficient approach to new dihydroxyquinolizidines". T. Tite, F. Jacquelin, L. Bischoff\*, **C. Fruit**, F. Marsais; *Tetrahedron: Asymm.* **2010**, 21, 2032–2036. **IF: 2.484**
22. "New Family of Peptidomimetics Based on the Imidazole Motif". S. Petit, L. Bischoff\*, **C. Fruit**, *Org. Lett.* **2010**, 12, 4928-4931. **IF: 5,250**
23. "Pd-Catalyzed Decarboxylative Cross-Coupling of 2-Carboxyazine N-oxides with Various (Hetero)aryl Halides ". I.-B. Rouchet, C. Scheider, C. Spitz, J. Lefèvre, **C. Fruit**, G. Dupas, C. Hoarau\*; *Chem. Eur. J.* **2014**, 20, 3610–3615. **IF: 5.731**
24. "Rational multistep synthesis of a novel polyfunctionalized benzo[d]thiazole and its thiazolo[5,4-b]pyridine analogue". D. Hédou, E. Deau, M. Harari, M. Sanselme, **C. Fruit**, T. Besson\*; *Tetrahedron* **2014**, 70, 5541-5549. **IF: 2.641**
25. "Ligand-Free Pd-Catalyzed and Copper-Assisted C–H Arylation of Quinazolin-4-ones with Aryl Iodides under Microwave Heating". Laclef, S.; Harari, M.; Godeau, J.; Schmitz-Afonso, I.; Bischoff, L.; Hoarau, C.; Levacher, V.; **Fruit\***, C.; Besson\*, T. *Org. Lett.* **2015**, 17, 1700-1703. **IF: 6.732**
26. "Regioselective Decarboxylative Cross-coupling of Carboxy isoquinoline N-oxides". Rouchet, I.B.; Schneider, C.; **Fruit, C.**; Hoarau\*, C. *J. Org. Chem.* **2015**, 80, 5919-5927. **IF: 4.785**
27. "Synthesis of polyfunctionalized benzo[d]thiazoles as novel anthranilic acid derivatives". D. Hédou, M. Harari, J. Godeau, C. Dubouilh-Benard, **C. Fruit**, T. Besson\*; *Tetrahedron Lett.* **2015**, 56, 4088-4092. **IF: 2.347**
28. "Cu/Pd-Catalyzed C2-H Arylation of Quinazolin-4(3H)-ones with (Hetero)Aryl Halides." Godeau, J.; Harari, M.; Laclef, S.; Deau, E.; **Fruit\***, C.; Besson\*, T. *Eur. J. Org. Chem.* **2015**, 7705-7717. **IF: 2,882**
29. "Synthesis of thiazolo[5,4-f]quinazolin-9(8H)-ones as multi-target directed ligands of Ser/Thr Kinases". Hédou, D.; Godeau, J. ; Loaëc, N.; Meijer, L.; **Fruit, C.**; Besson\*, T. *Molecules* **2016**, 21, 578-596. **IF: 2,861**
30. "Three catalysts for activating carbon-hydrogen bonds." **Fruit\***, C. *Science* **2016**, 352, 1277-1278. **IF: 37.205**

31. "Orthogonal Palladium-Catalyzed Direct C-H bond Arylation of Heteroaromatics with Aryl Halides." Théveau L.; Schneider, C.; **Fruit\***, C.; Hoarau\*, C. *ChemCatChem*. **2016**, *8*, 8183-3194. **IF: 4,803**
32. "Synthesis of bioactive 2-(arylamino)thiazolo[5,4-f]quinazolin-9-ones via Hügershoff reaction or Cu catalyzed intramolecular C-S bond formation." Hédou, D.; Dubouilh-Benard, C.; Loaëc, N.; Meijer, L.; **Fruit**, C.; Besson\*, T. *Molecules* **2016**, *21*, 794-821. **IF: 2,861**
33. "Pd-Catalyzed and Copper Assisted Regioselective Sequential C2 and C7 Arylation of Thiazolo[5,4-f]quinazolinone with Aryl Halides." Harari, M.; Couly, F.; **Fruit\***, C.; Besson\*, T. *Org. Lett.* **2016**, *18*, 3282-3285. **IF: 6,579**
34. "Efficient Microwave-Assisted Synthesis of Methyl 4- or 5-Nitroanthranilate" Godeau, J.; Martinet, A.; Levacher, V.; **Fruit**, C.; Besson\*, T. *Synthesis* **2016**, *48*, 3504-3508. **IF: 2,650**
35. "Recent Developments in Microwave-Assisted Metal-Catalyzed C-H Arylation of Heteroarenes for Medicinal Chemistry and Material Applications." Besson, T.; **Fruit\***, C. *Synthesis* **2016**, *48*, 3879-3889. **IF: 2,650**
36. "Late-Stage C-H Arylation of Thiazolo[5,4-f]quinazolin-9(8H)-one Backbone: Synthesis of an Array of Potential Kinase Inhibitors." Couly, F.; Dubouilh-Benard, C.; Besson\*, T.; **Fruit\***, C. *Synthesis* **2017**, *49*, 4615-4622. **IF: 2,867**
37. "Dual-specificity tyrosine phosphorylation-regulated kinase 1A (DYRK1A) inhibitors: a survey of recent patent literature". Nguyen, T. L.; **Fruit**, C.; Hérault, Y.; Meijer\*, L.; Besson\*, T. *Expert Opin. Ther. Pat.* **2017**, *11*, 1183-1199. **IF: 3,041**
38. "Development of kinase inhibitors via metal-catalyzed C-H arylation of 8-alkyl-thiazolo[5,4-f]quinazolin-9-ones designed by fragment-growing studies." Couly, F.; Harari, M.; Dubouilh-Benard, C.; Bailly, L.; Petit, E.; Diharce, J.; Bonnet, P.; Meijer, L.; **Fruit\***, C.; Besson\*, T. *Molecules* **2018**, *23*, 2181-2196. **IF: 3,060**
39. "Biological Characterization of 8-Cyclopropyl-2-(pyridin-3-yl)thiazolo[5,4-f]quinazolin-9(8H)-one, a potent Ser/Thr Kinase Inhibitor". **Fruit**, C.; Couly, F.; Bhansali, R.; Rammohan, M.; Lindberg, M.; Crispino, J. D.; Meijer, L.; Besson\*, T. *Pharmaceuticals* **2019**, *12*, 185-193. **IF: 4,286**
40. "Diaryliodoniums Salts as Coupling Partners for Transition-Metal Catalyzed C- and N-Arylation of Heteroarenes". Pacheco-Benichou, A.; Besson, T.; **Fruit\***, C. *Catalysts* **2020**, *10*, 483-516. Doi:10.3390/catal10050483 **IF: 3,520**

41. "Synthesis of benzo-fused 11H-pyrido[2,1-b]quinazolin-11-ones by a Buchwald-Hartwig coupling/pyridine dearomatization sequence in eucalyptol". Campos, J. F.; Pacheco-Benichou, A.; **Fruit, C.**; Besson, T.; Berteina-Raboin,\* S. *Synthesis* **2020**, 52, 3071-3076. Doi:10.1055/s-0040-1707158 **IF: 2,867**
42. "Microwave-Assisted Synthesis of Potential Bioactive Benzo-, Pyrido- or Pyrazino-thieno[3,2-d]pyrimidin-4-amine Analogs of MPC-6827". Loidreau, Y.; Nourrisson, M.-R.; **Fruit, C.**; Corbière, C.; Marchand,\* P.; Besson,\* T. *Pharmaceuticals* **2020**, 13, 202. Doi:10.3390/ph13090202 **IF: 4,286 (Q2)**
43. "DYRK1A regulates B-ALL through phosphorylation of FOXO1 and STAT3". Bhansali, R.; Rammohan, M.; Lee, J. H.; Laurent, A.; Wen, Q.; Suraneni, P.; Yip, B. H.; Tsai, Y.-C.; Jenni, S.; Bornhauser, B.; Siret, A.; **Fruit, C.**; Pacheco-Benichou, A.; Harris, E.; Besson, T.; Thompson, B. J.; Ah-Goo, Y.; Hijjiya, N.; Vilenchek, M.; Izraeli, S.; Bourquin, J.-P.; Malinge, S.; Crispino,\* J. D. *J. Clin. Invest.* **2021**, under press. **IF: 11,864 (Q2)**
44. "Copper-Catalyzed C-H Arylation of fused-pyrimidinone derivatives using Diaryliodonium Salts". Pacheco-Benichou, A.; Ivendengani, E.; Kostakis, I. K.; Besson, T.; **Fruit\***, C. *Catalysts* **2021**, submitted

#### **Books chapters :**

45. "Metalation of Pyrazine and Quinoxaline" N. Plé, **C. Fruit.\*** 'Metalation of Azines and Diazines' in *Topics in Heterocyclic Chemistry* **2013**, 31, 131-170, Springer Ed.
46. "Recent advances in metal-catalyzed C-H functionalization of pyrimidinones, quinazolinones and fused quinazolinones." **Fruit, C.\***; Besson, T. in *Target in Heterocyclic Systems, – Chemistry and Properties*; Attanasi O. A., Spinelli D., Eds.; Italian Society of Chemistry: Roma, **2018** Vol.22, 56-81.