



TARGETS AND DRUGS FOR INFECTIOUS DISEASES AND CANCER

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#### I- CAREER AND EDUCATION

Medicinal Chemistry department – Team EA1155 IICiMed (Targets and Drugs for Infectious Diseases and Cancer) – Faculty of Pharmacy – University of Nantes

January 2017: Assistant director of IICiMed research group

September 2013: Professor of Organic Chemistry (1st class September 2016)

June 2007: Habilitation in Medicinal Chemistry – Design, synthesis and biological evaluation of

azaheterocyclic compounds

**September 2001:** Assistant Professor in Organic Chemistry **January 2000-August 2001:** Lecturer in Organic Chemistry

November 1999: PhD Thesis in Medicinal Chemistry – Synthesis and biological evaluation of indole

derivatives as immunosuppressive and anti-cancer agents

June 1996: Master's degree in Pharmacochemistry

# II- TEACHING – Faculty of Pharmacy – Nantes

Organic Chemistry, Medicinal Chemistry, Spectroscopy (NMR, MS, IR) to Pharmacy students (Pharm. D.) of the first, second and fourth years of the cursus and to Master students (MSc).

# **III- ADMINISTRATIVE RESPONSIBILITIES**

- ✓ Coordinator of the "Introduction to Practical Works" in the second year of Pharmacy studies.
- ✓ Coordinator of the "Drug Design" teaching Master 1 Biology-Health.
- ✓ Jury member of Master 2 (MSc) "Organic and Medicinal Chemistry" and Master 2 (MSc) "Polymers and Active Ingredients of Natural Origin".
- ✓ Member of the scientific board of the Faculty of Pharmacy.

#### **IV- RESEARCH SUPERVISION**

**Research interests**: Design, synthesis and biological evaluation of heterocyclic compounds for therapeutic purposes (cancer, mycology and parasitology). Inhibitors of kinase signaling pathways. ADMET properties of molecules of biological interest. Microwave-assisted organic chemistry.

- ✓ PhD thesis supervions (11): industry collaborations (Æterna Zentaris-Germany and Servier-France) and academic collaborations (Parasitology and Medical Mycology department of IICiMed team and international joint supervisions of a PhD thesis: Federal University of Pernambuco, Recife, Brazil and National Polytechnic Institute of Mexico City, Mexico.)
- ✓ Masters 2 (MSc) (12)
- ✓ Postdoctoral researchers (8)
- ✓ Bachelor's degrees (BSc) and Masters 1 (MSc) (13).
- ✓ Professional training courses (12).
- ✓ Training for research introduction, Faculty of Pharmacy (18).
- ✓ Foreign students (2): 1 MSc, University of Hamburg, Germany. 1 PhD student, University College Cork, Ireland.

# V- PUBLICATIONS, PATENTS and SCIENTIFIC PRODUCTION (see attached list)

- √ 39 international publications.
- ✓ 4 international patents.
- √ 39 posters.
- √ 10 invited presentations.
- ✓ 4 oral communications.

#### VI- MISCELLANEOUS ACTIVITIES AND RESPONSIBILITIES

#### 1- International research collaborations

# ✓ PHC ULYSSES 2014 Programme - Ireland

Campus France, responsible for the management of Hubert Curien Partnership (PHC) has selected the project ULYSSES 2014 filed jointly by the Department of Medicinal Chemistry of IICiMed team (Prof. Pascal Marchand, University of Nantes) and "Department of Chemistry and ABCRF" (Dr Florence McCarthy, University College Cork, Ireland). This collaboration is a work of Medicinal Chemistry in the field of Oncology that led to the mobility of students and project managers between the two sites.

# ✓ CAPES-COFECUB 2015/2018 Programme - Brazil

The French Committee for the Evaluation of Academic and Scientific Cooperation with Brazil (COFECUB) in partnership with the CAPES (Coordenação de Aperfeiçoamento do Pessoal de Nível Superior, the Federal Agency of Support and Evaluation of Postgraduate Education) has selected the project submitted jointly by the team IICiMed (Prof. Pascal Marchand, University of Nantes) and the Department of Antibiotics, Biological Sciences Center (Prof. Teresinha Silva, Federal University of Pernambuco, Recife, Brazil). The research program, with duration of 4 years, entitled "Discovery of novel thiazolinones, thiazolidinones and quinones for the treatment of infectious diseases and cancer" is achieved through the mobility of PhD students and postdoctoral researchers, chemists and biologists.

# ✓ Collaboration UPCH Lima - Peru

The research work of IICiMed team dealing with imidazo[1,2-a]azine series as anti-infective agents allowed to develop a collaborative project with the International Joint Laboratory LAVI (Laboratorio de Quimica Amazonico Andino de la Vida) represented by Dr. Michel Sauvin (research

Director at IRD, Institute of Research for Development) and Prof. Rosario Rojas (Director IUPN, Natural Products Research Unit) at the Cayetano Heredia Peruvian University (UPCH) of Lima. Furthermore, Prof. Abraham Vaisberg (LID Director, Research and Development Laboratories, UPCH) is also involved in the project through the additional evaluation of molecules on different tumor cell lines.

# ✓ Collaboration Dr Hector Salgado Zamora - Mexico

The research work of IICiMed team dealing with imidazo[1,2-a]azine series as anti-infective agents helped to initiate a collaboration with Dr. H. Salgado Zamora of the National Polytechnic Institute (IPN) of Mexico City. This was realized by hosting a second year PhD student, Juan Emmanuel Reynoso Lara, who performed a six-month internship (January-June 2015) entitled "Synthesis, in silico studies of imidazo[1,2-a]pyridines and imidazo[1,2-a]pyrimidines substituted by an amide functional group and biological evaluation".

In addition, College of Graduate Studies and Research of ENCB (National School of Biological Sciences of IPN) has registered Dr Maria Elena Campos Aldrete (IPN) and Prof. Pascal Marchand as co-directors of the doctoral work of Juan Emmanuel Reynoso Lara. The very promising first results will be published in the near future. The PhD funding of Miguel Guerrero González (IPN) will be the opportunity to pursue the collaboration in this field of research.

This joint program between University of Nantes and National Polytechnic Institute has been approved by the CURI (University Council of International Relations) of Nantes and has been validated by the signature of an official partnership agreement.

#### 2- National research networks

#### ✓ Cancéropôle Grand Ouest

IICiMed Laboratory collaborate with the teams of "Cancéropôle Grand Ouest" through its integration in the axis "Seafood valorisation in cancer" group "Heterocyclic modulators of cell cycle kinases, of angiogenesis and of apoptosis "and, therefore, can access to the biological evaluation platform of Roscoff (Dr S. Ruchaud, Dr S. Bach, CNRS USR3151).

# √ Regional project PIRAMID

Member of the committee of the regional project PIRAMID (€ 1.26 million), which includes 11 laboratories/research teams (duration 5 years from November 2015) on a theme consisting of a rational approach to the development of innovative molecules, for therapeutic purposes, targeting protein-protein interactions.

## 3- Industrial research collaborations

✓ Industrial collaborations for PhD and postdoctoral research projects (Æterna Zentaris-Germany from Oct. **2002** to Dec. **2009** and Servier-France from Oct. **2003** to Nov. **2011**).

# 4- Scientific societies

- ✓ Member of "Groupement des Pharmacochimistes de l'Arc Atlantique (GP2A)" from 1997.
- ✓ Member of "Société de Chimie Thérapeutique" from 2002.
- ✓ Member of "Association des Enseignants de Chimie Organique" from 2002.
- ✓ Member of "Société Française de Chimie" from 2003.

#### 5- Expert reports

✓ Manuscript reviewer for international scientific journals: European Journal of Medicinal Chemistry, Bioorganic Medicinal Chemistry, Bioorganic Medicinal Chemistry Letters, ACS Medicinal Chemistry Letters, Bioorganic Chemistry, Current Medicinal Chemistry, MedChemComm, Journal of Enzyme Inhibition and Medicinal Chemistry, Tetrahedron, Synthesis.

# CURRICULUM VITAE - May 2017

- ✓ Jury member for the recruitment of Assistant Professors at the University (2007: Rennes, 2008: Nantes, 2009: Angers, Caen, Rennes, 2010: Nantes, 2012: Nantes and 2014: Tours).
- ✓ Examinator of PhD thesis and President of the jury
- A. Couhert Design of dual melatonergic and serotonergic ligands with azaindole and furopyridine structures 19<sup>th</sup> February **2015** Medicinal Chemistry University of Orléans.
- Y. Loidreau Synthesis of heterocyclic compounds [6,5,6] polyheteroatomic, as potential kinases inhibitors 5<sup>th</sup> September **2013** Medicinal Chemistry University of Rouen.
- ✓ Reviewer of PhD thesis.
- Y. Jr Esvan Design and synthesis of new heteroaromatic compounds as potential kinases inhibitors 27<sup>th</sup> October **2016** Organic Chemistry & Biology University Blaise Pascal of Clermont-Ferrand.
- K. Al Sabil Semisynthesis in tocotrienol series Development and valorisation of *ortho*-formylation Biological evaluation 14<sup>th</sup> September **2016** Organic Chemistry University of Angers.
- K. Greaney Synthesis and evaluation of novel quinolines and quinazolinediones as potential anticancer agents – 24<sup>th</sup> November **2014**. Medicinal Chemistry, University College Cork, Ireland (CV selection by the "College of Science, Engineering and Food Science").
- S. Le Corre New cationic phospholipids for nucleic acids delivery 22<sup>th</sup> September **2014** Medicinal Chemistry University of Brest.

# 6- Organization of congress

- ✓ President of the local organising committee 22<sup>th</sup> European Conference of the Group of Medicinal Chemists of the Atlantic Arc (GP2A), Nantes, August 28-29, **2014**.
- ✓ Project holder for the organisation of 55<sup>th</sup> International Conference on Medicinal Chemistry, Nantes, July **2019**.

#### 7- Additional information

- ✓ Webmaster of IICiMed team (http://www.iicimed.univ-nantes.fr).
- ✓ Responsible for the UPLC-MS analytical platform of IICiMed team.
- ✓ Responsible for the control and management of "Central storage of chemicals" Faculty of Medicine and Pharmacy, University of Nantes.

# I- LIST OF SUPERVISION OF PhD THESES and MASTERS (MSc)

# 1- PhD Theses (T)

**T11**. Dartagnan DE SÁ PIRES FERREIRA (International joint supervision 50%) – Total synthesis and pharmacomodulation of cercosporamide, a natural product of promising biological interest – from April **2017**.

Co-direction: Prof. Jefferson Luiz Princival, Recife – Federal University of Pernambuco, Brazil.

- **T10**. Marlene SARAIVA DE ARAÚJO NETA (International joint supervision 50%) Design, synthesis, physicochemical properties and molecular modeling study of novel heterocyclic thiosemicarbazones and thiazolidinones for the treatment of infectious diseases from October **2016**. Co-direction: Prof. Antônio Rodolfo de Faria, Recife Federal University of Pernambuco, Brazil.
- **T9**. Arsênio RODRIGUES OLIVEIRA (International joint supervision 50%) Discovery of thiazolinones and thiazolidinones for the treatment of infectious diseases from March **2015**. Co-direction: Prof. Ana Cristina L. Leite, Recife Federal University of Pernambuco, Brazil.
- **T8**. Juan Emmanuel REYNOSO LARA (International co-supervision 50%) Design and synthesis of imidazo[1,2-a]pyridines and imidazo[1,2-a]pyrimidines substituted by an amide functional group as antimicrobial agents from January **2015**.

Co-direction: Dr Maria Elena Campos Aldrete, Mexico City – Institut Polytechnique National, Mexico.

- **T7**. Viet Hung DAO (Director, 60%) Synthesis and biological evaluation of new heterocyclic compounds with antifungal activity from 1<sup>st</sup> October **2014** Co-supervision: Dr I. Ourliac-Garnier.
- **T6**. Sophie MARHADOUR (Director, 60%) Synthesis and biological evaluation of substituted imidazo[1,2-a]pyridines and imidazo[1,2-a]pyrazines 25<sup>th</sup> October **2012**. Co-supervision: Dr F. Pagniez.
- **T5**. Julien DEFAUX (Director, 100%) Synthesis and pharmacological evaluation of azaheterocyclic compounds with antitumor activity 4<sup>th</sup> December **2009**.
- **T4**. Célina REVERDY (Co-Director, 50%) Synthesis of polysubstituted heterocycles as dopamine receptor antagonists D3>D2 and serotonin receptor antagonists 5-HT<sub>6</sub> with antipsychotic properties 30<sup>th</sup> October **2009** Director: Prof. M. Duflos.
- **T3**. Vincent BABONNEAU (Co-supervision, 50%) Synthesis and pharmacological evaluation of indole and quinoline derivatives with melatoninergic and serotonergic properties 20<sup>th</sup> October **2006** Director: Prof. S. Piessard.
- **T2**. Maud ANTOINE (Co-supervision, 70%) Synthesis and pharmacological evaluation of indole and pyridopyrazine derivatives with antitumor activity  $18^{th}$  October **2005** Director: Prof. G. Le Baut.
- **T1**. Alain PUGET (Co-supervision, 50%) Synthesis and pharmacological evaluation of indole-based peptidomimetics potentially LHRH antagonists 12<sup>th</sup> June **2003** Director: Prof. G. Le Baut.
  - 2- Master 2 (MSc) Organic and Medicinal Chemistry (M)
- **M12.** Marine GARNIER Design of oxygen-containing aminopyrimidine derivatives of ellipticine as antitumor agents February to June **2015**.

- **M11.** Adrien COLAISSEAU Design of methotrexate analogues with antitumor activity 26<sup>th</sup> June **2014**.
- **M10.** Mathilde PANTIN Toward the total synthesis of cercosporamide, a natural product with therapeutic properties 27<sup>th</sup> June **2013**.
- **M9.** Marie BETOU Synthesis of functionalized oxygen- and nitrogen-containing heterocycles with potential antipsychotic properties 27<sup>th</sup> June **2009**.
- **M8.** Nicolas ZIMMERMANN Synthesis of polysubstituted 1,5-naphthyridines as kinases inhibitors 20<sup>th</sup> June **2008**.
- **M7.** Rémi GUILLON Synthesis and pharmacological evaluation of 2-arylindoles with potential immunosuppressive properties 26<sup>th</sup> June **2007**.
- M6. Hélène STAUB Design of pyridopyrazines with antitumor activity 23<sup>th</sup> June **2006**.
- **M5.** Grégory LANDELLE Synthesis of quinoline analogues of agomelatine with melatoninergic and serotonergic properties 23<sup>th</sup> June **2006**.
- **M4.** Romain EL SAIR Synthesis of pyrido[3,4-*b*] pyrazines as potential kinases inhibitors 30<sup>th</sup> June **2005**.
- **M3.** Cédric VERGER Synthesis of N-(pyridin-4-yl)-2-[1-(4-chlorobenzyl)indol-3-yl]glyoxamide (D-24851) analogues with antitumor activity 26<sup>th</sup> June **2003**.
- **M2.** Alain PUGET (Co-supervision 50% with Prof. G. Le Baut) Synthesis indole derivatives with antitumor activity 22<sup>th</sup> June **2000**.
- **M1.** Cédric PRAUD (Co-supervision 50% with Prof. G. Le Baut) Synthesis indole derivatives with potential therapeutic properties 30<sup>th</sup> September **1999**.

# II- LIST OF PUBLICATIONS AND SCIENTIFIC PRODUCTIONS

# 1- Publications (P)

- **P39.** Arruda Lima, S.; Gonçalves Melo, J.; Gadelha Militão, G. C.; Souza Lima, G. M.; Alves Lima, M. C.; Santos Aguiar, J.; Mendonça Araújo, J.; Braz-Filho, R.; **Marchand, P.**; Araújo, J. M.; Gonçalves Silva, T. Characterization of the biochemical, physiological, and medicinal properties of *Streptomyces hygroscopicus* ACTMS-9H isolated from the Amazon (Brazil). *Appl. Microbiol. Biotechnol.* **2017**, *101*, 711-723.
- **P38.** Bazin, M.-A.; Rousseau, B.; Marhadour, S.; Tomasoni, C.; Evenou, P.; Piessard, S.; Vaisberg, A. J.; Ruchaud, S.; Bach, S.; Roussakis, C.; **Marchand, P.** Discovery of (imidazo[1,2-a]pyrazin-6-yl)ureas as antiproliferative agents targeting P53 in non-small cell lung cancer cell lines. *Anticancer Res.* **2016**, *36*, 1621-1630.
- **P37.** Antoine, M.; Schuster, T.; Seipelt, I.; Aicher, B.; Teifel, M.; Günther, E.; Gerlach, M.; **Marchand, P.** Efficient synthesis of novel disubstituted pyrido[3,4-b]pyrazines for the design of protein kinase inhibitors. *Med. Chem. Commun.* **2016**, *7*, 224-229.

- **P36. Marchand, P.**; Bazin, M.-A.; Pagniez, F.; Rivière, G.; Bodero, L.; Marhadour, S.; Nourrisson, M.-R.; Picot, C.; Ruchaud, S.; Bach, S.; Baratte, B.; Sauvain, M.; Castillo Pareja, D.; Vaisberg, A. J.; Le Pape, P. Synthesis, antileishmanial activity and cytotoxicity of 2,3-diaryl- and 2,3,8-trisubstituted imidazo[1,2-a]pyrazines. *Eur. J. Med. Chem.* **2015**, *103*, 381-395.
- **P35.** Loidreau, Y.; Deau, E.; **Marchand, P.**; Nourrisson, M.-R.; Logé, C.; Coadou, G.; Loaëc, N.; Meijer, L.; Besson, T. Synthesis and molecular modelling studies of 8-arylpyrido[3',2':4,5]thieno[3,2-d]pyrimidin-4-amines as multitarget Ser/Thr kinases inhibitors. *Eur. J. Med. Chem.* **2015**, *92*, 124-134.
- **P34.** Defaux, J.; Antoine, M.; Logé, C.; Le Borgne, M.; Schuster, T.; Seipelt, I.; Aicher, B.; Teifel, M.; Günther, E.; Gerlach, M.; **Marchand, P.** Discovery of (7-aryl-1,5-naphthyridin-2-yl)ureas as dual inhibitors of ERK2 and Aurora B kinases with antiproliferative activity against cancer cells. *Bioorg. Med. Chem. Lett.* **2014**, *24*, 3748-3752.
- **P33.** Defaux, J.; Antoine, M.; Le Borgne, M.; Schuster, T.; Seipelt, I.; Aicher, B.; Teifel, M.; Günther, E.; Gerlach, M.; **Marchand, P.** Discovery of 7-aryl-substituted (1,5-naphthyridin-4-yl)ureas as Aurora kinase inhibitors. *ChemMedChem* **2014**, *9*, 217-232.
- **P32.** Deau, E.; Loidreau, Y.; **Marchand, P.**; Nourrisson, M.-R.; Loaëc, N.; Meijer, L.; Levacher, V.; Besson, T. Synthesis of novel 7-substituted pyrido[2',3':4,5]furo[3,2-d]pyrimidin-4-amines and their *N*-aryl analogues and evaluation of their inhibitory activity against Ser/Thr Kinases. *Bioorg. Med. Chem. Lett.* **2013**, *23*, 6784-6788.
- **P31.** Bazin, M.-A.; Bodero, L.; Tomasoni, C.; Rousseau, B.; Roussakis, C.; **Marchand, P.** Synthesis and antiproliferative activity of benzofuran-based analogs of cercosporamide against non-small cell lung cancer cell lines. *Eur. J. Med. Chem.* **2013**, *69*, 823-832.
- **P30.** Bazin, M.-A.; Marhadour, S.; Tonnerre, A.; **Marchand, P.** Exploration of versatile reactions on 2-chloro-3-nitroimidazo[1,2-a]pyridine: expanding structural diversity of C2- and C3-functionalized imidazo[1,2-a]pyridines. *Tetrahedron Lett.* **2013**, *54*, 5378-5382.
- **P29.** Loidreau, Y.; **Marchand, P.**; Dubouilh-Benard, C.; Nourrisson, M.-R.; Duflos, M.; Loaëc, N.; Meijer, L.; Besson, T. Synthesis and biological evaluation of *N*-aryl-7-methoxybenzo[*b*]furo[3,2-*d*]pyrimidin-4-amines and their *N*-arylbenzo[*b*]thieno[3,2-*d*]pyrimidin-4-amine analogues as dual inhibitors of CLK1 and DYRK1A kinases. *Eur. J. Med. Chem.* **2013**, *59*, 283-295.
- **P28.** Loidreau, Y.; Dubouilh-Benard, C.; **Marchand, P.**; Nourrisson, M.-R.; Duflos, M.; Buquet, C.; Corbière, C.; Besson, T. Efficient new synthesis of *N*-arylbenzo[*b*]furo[3,2-*d*]pyrimidin-4-amine analogues *via* a microwave assisted Dimroth rearrangement. *J. Heterocyclic Chem.* **2013**, *50*, 1187-1197.
- **P27.** Marhadour, S.; **Marchand, P.**; Pagniez, F.; Bazin, M.-A.; Picot, C.; Lozach, O.; Ruchaud, S.; Antoine, M.; Meijer, L.; Rachidi, N.; Le Pape, P. Synthesis and biological evaluation of 2,3-diarylimidazo[1,2-a]pyridines as antileishmanial agents. *Eur. J. Med. Chem.* **2012**, *58*, 543-556.
- **P26.** Loidreau, Y.; **Marchand, P.**; Dubouilh-Benard, C.; Nourrisson, M.-R.; Duflos, M.; Lozach, O.; Loaec, N.; Meijer, L.; Besson, T. Synthesis and biological evaluation of *N*-arylbenzo[*b*]thieno[3,2-*d*]pyrimidin-4-amines and their pyrido and pyrazino analogues as Ser/Thr kinase inhibitors. *Eur. J. Med. Chem.* **2012**, *58*, 171-183.
- **P25.** Loidreau, Y.; **Marchand, P.**; Dubouilh-Benard, C.; Nourrisson, M.-R.; Duflos, M.; Besson, T. First synthesis of 4-aminopyrido[2',3':4,5]furo[3,2-d]pyrimidines. *Tetrahedron Lett.* **2012**, *53*, 944-947.

- **P24.** Marhadour, S.; Bazin, M-A.; **Marchand, P.** An efficient access to 2,3-diarylimidazo[1,2-a]pyridines *via* imidazo[1,2-a]pyridine-2-yltriflate through a Suzuki cross-coupling reaction-direct arylation sequence. *Tetrahedron Lett.* **2012**, *53*, 297-300.
- **P23.** Antoine, M.; Gerlach, M.; Günther, E.; Schuster, T.; Czech, M.; Seipelt, I.; **Marchand**, **P.** A convenient synthesis of novel 2,8-disubstituted pyrido[3,4-b]pyrazines possessing biological activity. *Synthesis* **2012**, *44*, 69-82.
- **P22.** Bretéché, A.; **Marchand**, **P.**; Nourrisson, M-R.; Hautefaye, P.; De Nanteuil, G.; Duflos, M. A convenient route to functionalized 3-amino-*N*-methylfuro[3,2-*b*]pyridine-2-carboxamides. *Tetrahedron* **2011**, *67*, 4767-4773.
- **P21.** Antoine, M; Czech, M.; Gerlach, M.; Günther, E.; Schuster, T.; **Marchand, P.** Preparation of novel 2,3,8-trisubstituted pyrido[3,4-b]pyrazines and pyrido[2,3-b]pyrazines. *Synthesis* **2011**, *5*, 794-806.
- **P20.** Giraud, F.; **Marchand**, **P.**; Carbonnelle, D.; Sartor, M.; Lang, F.; Duflos, M. Synthesis of *N*-aryl-3-(indol-3-yl)propanamides and their immunosuppressive activities. *Bioorg. Med. Chem. Lett.* **2010**, *20*, 5203-5206.
- **P19.** Bretéché, A.; **Marchand, P.**; Nourrisson, M-R.; De Nanteuil, G.; Duflos, M. A convenient route to functionalized 3-amino-6-bromofuro[3,2-*b*]pyridine-2-carboxamides. *Tetrahedron* **2010**, *66*, 4490-4494.
- **P18. Marchand, P.**; Antoine, M.; Le Baut, G.; Czech, M.; Baasner, S.; Günther, E. Synthesis and structure-activity relationships of *N*-aryl(indol-3-yl)glyoxamides as antitumor agents. *Bioorg. Med. Chem.* **2009**, *17*, 6715-6727.
- **P17.** Carbonnelle, D.; Duflos, M.; **Marchand, P.**; Chauvet, C.; Petit, J-Y.; Lang, F. A novel indole-3-propanamide exerts its immunosuppressive activity by inhibiting JAK3 in T cells. *J. Pharmacol. Exp. Ther.* **2009**, *331*, 710-716.
- **P16.** Antoine, M.; **Marchand, P.**; Le Baut, G.; Czech, M.; Baasner, S.; Günther, E. Side chain modifications of (indol-3-yl)glyoxamides as antitumor agents. *J. Enzym. Inhib. Med. Chem.* **2008**, *23*, 686-695.
- **P15.** Le Borgne, M.; **Marchand, P.**; Nourrisson, M-R.; Loquet, D.; Palzer, M.; Le Baut, G.; Hartmann, R.W. Synthesis and biological evaluation of 3-(azolylmethyl)-1*H*-indoles and 3-(alpha-azolylbenzyl)-1*H*-indoles as selective aromatase inhibitors. *J. Enzym. Inhib. Med. Chem.* **2007**, *22*, 667-676.
- **P14.** Pagniez, F.; Abdala, H.; **Marchand, P.**; Le Borgne, M.; Robert-Piessard, S.; Le Pape, P. 3-(α–Azolylbenzyl)indoles: *in vitro* and *in vivo* anti-*Leishmania* activity and mechanism of action. *J. Enzym. Inhib. Med. Chem.* **2006**, *21*, 277-283.
- **P13.** Lebouvier, N.; Giraud, F.; Corbin, T.; Na, Y.M.; Le Baut, G.; **Marchand, P.**; Le Borgne, M. Efficient microwave-assisted synthesis of 2-phenyl-1-(1*H*-indol-1-yl)-3-(1*H*-1,2,4-triazol-1-yl)propan-2-ol derivatives with potential antifungal activity. *Tetrahedron Lett.* **2006**, *47*, 6479-6483.
- **P12. Marchand, P.**; Puget, A.; Le Baut, G.; Emig, P.; Czech, M.; Günther, E. Palladium(II)-catalyzed heterocyclisation of 8-arylethynyl-1,2,3,4-tetrahydroquinolines: a facile route to 2-aryl-5,6-dihydro-4*H*-pyrrolo[3,2,1-*ij*]quinoline derivatives. *Tetrahedron* **2005**, *61*, 4035-4041.

- **P11.** Logé, C.; Le Borgne, M.; **Marchand, P.**; Robert, J-M.; Le Baut, G.; Palzer, M.; Hartmann, R.W. Three-dimensional model of cytochrome P450 human aromatase. *J. Enzym. Inhib. Med. Chem.* **2005**, *20*, 581-585.
- **P10.** Lézé, M-P.; Le Borgne, M.; **Marchand, P.**; Loquet, D.; Kogler, M.; Le Baut, G.; Palusczak, A.; Hartmann, R.W. 2- and 3-[(Aryl)(azolyl)methyl]indoles as potential non-steroidal aromatase inhibitors. *J. Enzym. Inhib. Med. Chem.* **2004**, *19*, 549-557.
- **P9. Marchand, P.**; Le Borgne, M.; Palzer, M.; Le Baut, G.; Hartmann, R.W. Preparation and pharmacological profile of 7-( $\alpha$ -azolylbenzyl)-1*H*-indoles and indolines as new aromatase inhibitors. *Bioorg. Med. Chem. Lett.* **2003**, *13*, 1553-1555.
- **P8.** Le Borgne, M.; **Marchand, P.**; Le Baut, G.; Ahmadi, M.; Nicholls, P.J.; Smith, H.J. Retinoic acid metabolism inhibition by  $2-(\alpha-azolylbenzyl)-1$ *H*-indoles. *J. Enzym. Inhib. Med. Chem.* **2003**, *18*, 155-158.
- **P7. Marchand, P.**; Le Borgne, M.; Na, Y.M.; Pagniez, F.; Alvarez, N.; Le Baut, G.; Le Pape, P. Synthesis and antileishmanial activity of 3-( $\alpha$ -azolylbenzyl)indoles. *J. Enzym. Inhib. Med. Chem.* **2002**, *17*, 353-358.
- **P6.** Pagniez, F.; Le Borgne, M.; **Marchand, P.**; Na, Y.M.; Robert-Piessard, S.; Le Baut, G.; Le Pape, P. *In vitro* activity of a new antifungal azolyl-substituted indole against *Aspergillus fumigatus*. *J. Enzym. Inhib. Med. Chem.* **2002**, *17*, 425-429.
- **P5.** Fouchard, F.; **Marchand, P.**; Le Baut, G.; Emig, P.; Nickel, B. Synthesis and pharmacological evaluation of (indol-3yl)alkylamides as potent analgesic agents. *Arzneim. Forsch./Drug Res.* **2001**, *51*, 814-824.
- **P4.** Le Borgne, M.; **Marchand, P.**; Delevoye-Seiller, B.; Robert, J-M.; Le Baut, G.; Hartmann, R.W.; Palzer, M. New selective nonsteroidal aromatase inhibitors: synthesis and inhibitory activity of 2, 3 or  $5-[\alpha-azolylbenzyl]-1H$ -indoles. *Bioorg. Med. Chem. Lett.* **1999**, *9*, 333-336.
- **P3. Marchand, P.**; Le Borgne, M.; Duflos, M.; Robert-Piessard, S.; Le Baut, G.; Ahmadi, M.; Hartmann, R.W.; Palzer, M. 3-(Azolylmethyl)-1*H*-indoles as selective P-450 aromatase inhibitors. *Pharm. Pharmacol. Commun.* **1998**, *4*, 211-218.
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