7è Journées de Chimie Organique

JCO 2017

Organized by the

Tunisian Chemical Society

07-10 September 2017

Bel Azur Hotel, Hammamet - Tunisia

Abstracts of Lectures and Communications
Participants' List

TUNISIAN CHEMICAL SOCIETY - Short Program of the 7th JCO

Thursday 7 September 2017	
14.00	**Welcoming participants, distribution of documents and check in**
16.30	**JCO 2017 Opening Ceremony**
17.00 - 17.35	**Plenary Lecture 1** **Pr. Jean-François SOULE** *University of Rennes - France*
17.35 - 18.10	**Plenary Lecture 2** **Dr. Saverio FLORIO** *University Aldo Moro of Bari - Italy*
18.15	***Welcome Reception***
19.30	***Dinner***
Friday 8 September 2017	
09.00 - 09.35	**Plenary Lecture 3** **Dr. Marc LECOUVEY** *Department of Chemistry - University of Paris 13, France*
09.35 - 10.10	**Plenary Lecture 4** **Dr. Jean MARTINEZ** *Institut de Biomolécules Max Mousseron - Montpellier, France*
10.15 - 11.00	**Coffee break + Poster Session 1 (P 1 - P 43) Alphabetical Order**
11.00 - 12.45	**Oral Communications - Session 1 : OC01-OC07**
13.00	***Lunch***
15.00 - 15.35	**Plenary Lecture 5** **Pr. Farhat REZGUI** *Faculty of Sciences of Tunis, University of Tunis El Manar - Tunis, Tunisia*
15.45 - 17.00	**Oral Communications - Session 2 : OC08-OC12**
17.00	***Coffee break***
17.30 - 18.05	**Plenary Lecture 6** **Pr. Md. Wahab KHAN** *Bangladesh University of Engineering and Technology, Dhaka, Bangladesh*
19.30	***Dinner***
Saturday 9 September 2017	
09.00 - 09.35	**Plenary Lecture 7** **Pr. Isabelle GILLAIZEAU** *University of Orleans - France*
09.35 - 10.10	**Plenary Lecture 8** **Dr. Jean-Cyrille HIERSO** *University of Bourgognes - France*
10.15 - 11.00	**Coffee break + Poster Session 2 (P 44 - P 86) Alphabetical Order**
11.00 - 12.45	**Oral Communications - Session 3 : OC13-OC19**
13.00	***Lunch***
15.00 - 15.35	**Plenary Lecture 9** **Pr. Thierry BRIGAUD** *University Cergy Pontoise, France*
15.45 - 17.00	**Oral Communications - Session 4 : OC20-OC24**
17.00	***Coffee break***
20.30	***Dinner Buffet***
Sunday 10 September 2017	
09.00 - 09.35	**Plenary Lecture 10** **Dr. Samir JEGHAM** *SANOFI-AVENTIS R&D - France*
09.45 - 10.45	**Oral Communications - Session 5 : OC49-OC52**
10.45 - 11.15	***Coffee break***
11.15 - 12.00	**Oral Communications - Session 6 : OC53-OC55**
12.00 - 12.35	**Plenary Lecture 11** **Dr. Anne GAUCHER** *University of Versailles Saint-Quentin, France*
12.35	**Closing Remarks, Awards Distribution and 8thJCO Announcement**
13.00	***Lunch and Check out***

Being on time is the main factor for conference's success

FOREWORD

As chairman of the « 7èmes Journées de Chimie Organique » of the Tunisian Chemical Society, **JCO 2017**, and on behalf of the organizing commitee, I have the pleasure to warmly welcome you in Hammamet for this major event held organized regularly by the Tunisian Chemical Society.

This 7th JCO will allow us to host 200 participants, to attend together eleven plenary lectures, 55 oral communications and 85 posters. In addition 4 posters prizes will be awarded.

We thus wish all JCO participants a rewarding and memorable scientific meeting and a pleasant stay.

Mohamed Lotfi EFRIT

Chairman of JCO'7

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Hatem BEN ROMDHANE	FST - University of Tunis El Manar
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Saverio FLORIO	University of Bari - Italy
Souhir GHARBI	FSS - University of Sfax
Salim BARKALLAH	Cambrige Isotope - USA
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Mohieddine ASKRI	FSM - University of Monastir
Moncef MSADEK	FSM - University of Monastir
Farhat REZGUI	FST - University of Tunis El Manar
Hichem BEN JANNET	FSM - University of Monastir
Mehrez ROMDHANE	ENIG - University of Gabès
Soufiane TOUIL	FSB - Bizerte, University of Carthage
Hédi M'RABET	FST - University of Tunis El Manar

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Nizar BELLAKHAL	INSAT - Tunis - University of Carthage
Mohamed KHITOUNI	FSS - University of Sfax
Latifa LATROUS	IPEIM - University of Tunis El Manar
Hatem MAJDOUB	FSM - University of Monastir
Farhat REZGUI	FST - University of Tunis El Manar
Rym ABIDI	FSB - Bizerte, University of Carthage
Taoufik BOUBAKER	FSM - University of Monastir
Samir DJEMAL	IPEIS - University of Sfax
Béchir CHAOUACHI	ENIG - University of Gabès
Mohamed Mouldi ABDELKAFI	FST - University of Tunis El Manar
Imed LAAJIMI	Tunisian Chemical Society

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Thursday 7 September 2017		
14.00	**Welcoming participants, distribution of documents and check in**	
16.30	**JCO 2017 Opening Ceremony**	
17.00 - 17.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 1** **Pr Jean-François SOULE** *University of Rennes - France* **Transition-metal-catalyzed regioselective C-H bond functionalizations towards programmed synthesis of polyaromatic molecules**	*Chairman:* Cyrille Kouklovsky
17.35 - 18.10 *(30 min speech + 5 min discussion)*	**Plenary Lecture 2** **Dr Saverio FLORIO** *University Aldo Moro of Bari - Italy* **Harvesting molecules from metalated small ring heterocycles**	*Chairman* Mohamed Lotfi Efrit
18.15	***Welcome Reception***	
19.30	***Dinner***	

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Friday 8 September 2017 (morning)				
09.00 - 09.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 3** **Dr Marc LECOUVEY** *Department of Chemistry - University of Paris 13, France* **Bisphosphonates: Syntheses and applications**			*Chairman:* Taicir Ben Ayed
09.35 - 10.10 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 4** **Dr Jean MARTINEZ** *Institut de Biomolécules Max Mousseron - Montpellier, France* **Constrained non-peptide building blocks for the synthesis of protein structure mimics**			*Chairman:* Farhat Rezgui
10.15 - 11.00	**Coffee break + Poster Session 1 (P 1 - P 43) Alphabetical Order**			
Oral Communications - Session 1				
	Room A - *Chairman:* Soufiane Touil		**Room B -** *Chairman:* Hédi Mrabet	
	Com.	*communicating*	*Com.*	*communicating*
11.00 - 11.15	**OC-01A**	ARAR Wafa	**OC-01B**	BOUATTOUR Ali
11.15 - 11.30	**OC-02A**	ATOUI Dhiab	**OC-02B**	BOUBAKRI Lamia
11.30 - 11.45	**OC-03A**	AZOUNI Safa	**OC-03B**	BOUKTHIR Mouna
11.45 - 12.00	**OC-04A**	BACCARI Zayed	**OC-04B**	BOURI Marwa
12.00 - 12.15	**OC-05A**	BAKLOUTI Omar	**OC-05B**	BOUZAYANI Nadia
12.15 - 12.30	**OC-06A**	BESROUR Hatem	**OC-06B**	CHAABOUNI Slim
12.30 - 12.45	**OC-07A**	ALAMI Anouar	**OC-07B**	CHAKER Aida
13.00	***Lunch***			

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Friday 8 September 2017 (afternoon)				
15.00 - 15.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 5** **Pr Farhat REZGUI** *Faculty of Sciences of Tunis, University of Tunis El Manar - Tunis, Tunisia* **Allylic substitution reactions: Recent developments and synthetic applications**			*Chairman:* Hatem Ben Romdhane
Oral Communications - Session 2				
	Room A - *Chairman:* Jean-Cyrille Hierso		**Room B -** *Chairman:* Souhir El Gharbi-Abid	
	Com.	*communicating*	*Com.*	*communicating*
15.45 - 16.00	**OC-08A**	CHEBBI Mohamed Rami	**OC-08B**	FAJRAOUI Afef
16.00 - 16.15	**OC-09A**	CHOUCHENE Nourhene	**OC-09B**	FARJALLAH Asma
16.15 - 16.30	**OC-10A**	DAOUDI Syrine	**OC-10B**	GADDOUR Mariem
16.30 - 16.45	**OC-11A**	EL AISSI Radhia	**OC-11B**	GHEDIRA Donia
16.45 - 17.00	**OC-12A**	DURANDETTI Muriel	**OC-12B**	HAFEDH Amira
17.00	***Coffee break***			
17.30 - 18.05 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 6** **Pr Md. Wahab KHAN** *Bangladesh University of Engineering and Technology, Dhaka, Bangladesh* **Synthesis of benzo-fused heterocyclic compounds through palladium catalyst reactions**			*Chairman:* Mehrez Romdhane
19.30	***Dinner***			

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Saturday 9 September 2017 (Morning)				
09.00 - 09.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 7** **Pr Isabelle GILLAIZEAU** *University of Orleans - France* **Enamides : A valuable tool in organic synthesis**			*Chairman:* Rym Abidi
09.35 - 10.10 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 8** **Dr Jean-Cyrille HIERSO** *University of Bourgognes - France* **Electrophilic halogenation reactions of Csp2-H catalyzed by palladium : Minute-fast new fluorination methodologies towards 18[F] radiotracers**			*Chairman:* Ridha Ben Salem
10.15 - 11.00	**Coffee break + Poster Session 2 (P 45 - P 86) Alphabetical Order**			
Oral Communications - Session 3				
	Room A - *Chairman: Chairman:* Muriel Durandetti		**Room B -** *Chairman:* Azaiez Ben Akacha	
	Com.	*communicating*	*Com.*	*communicating*
11.00 - 11.15	**OC-13A**	HAFEDH Nesrine	**OC-13B**	KHDHIRI Emna
11.15 - 11.30	**OC-14A**	HAMDI Naceur	**OC-14B**	KRAIEM Jamil
11.30 - 11.45	**OC-15A**	HAMROUNI Kaouthar	**OC-15B**	MAOUATI Hamida
11.45 - 12.00	**OC-16A**	JAMEL EDDINE Naourès	**OC-16B**	MAYOOUFI Atef
12.00 - 12.15	**OC-17A**	JEBALI Zayneb	**OC-17B**	MLIKI Khaled
12.15 - 12.30	**OC-18A**	JMAII Momtez	**OC-18B**	MNALLAH KANZARI Dorra
12.30 - 12.45	**OC-19A**	KENICHE Assia	**OC-19B**	LACHACHI M^{ed} Belhadj
13.00	***Lunch***			

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Saturday 9 September 2017 (afternoon)				
15.00 - 15.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 9** **Pr Thierry BRIGAUD** *University Cergy Pontoise, France* **Synthesis of enantiopure fluorinated amino acids and incorporation into peptides: Hydrophobicity and conformational aspects**			*Chairman:* Rafaa Besbes
Oral Communications - Session 4				
	Room A - *Chairman:* Mehrez Romdhane		**Room B -** *Chairman:* Ikram Ben Chehida	
	Com.	*communicating*	*Com.*	*communicating*
15.45 - 16.00	**OC-20A**	OMRANI Rania	**OC-20B**	SAHTEL Sami
16.00 - 16.15	**OC-21A**	OUERFELLI Oussema	**OC-21B**	SBEI Najoua
16.15 - 16.30	**OC-22A**	RIANI KHLIFI Akila	**OC-22B**	SKHIRI Aymen
16.30 - 16.45	**OC-23A**	SAAD Ali	**OC-23B**	TALBI Arbia
16.45 - 17.00	**OC-24A**	MERABET-KHELASSI Mounia	**OC-24B**	TALBI Imen
17.00	***Coffee break***			
20.30	***Dinner Buffet***			

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Sunday 10 September 2017 (Morning)			
09.00 - 09.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 10** **Dr Samir JEGHAM** *SANOFI-AVENTIS R&D - France* **Serendipity in drug discovery. The case of dianicline**		*Chairman:* Adel Megriche
Oral Communications - Session 5 - *Chairman:* Béchir Chaouachi			
	Com.	*communicating*	
09.45 - 10.00	**OC-49**	TOUJ Nedra	
10.00 - 10.15	**OC-50**	TRABELSI Iteb	
10.15 - 10.30	**OC-51**	WECHTATI Lassaad	
10.30 - 10.45	**OC-52**	ZRIBI Lazhar	
10.45 - 11.15	***Coffee break***		
Oral Communications - Session 6 - *Chairman:* Ridha Ben Salem			
	Com.	*communicating*	
11.15 - 11.30	**OC-53**	WINNINGER Jérémy	
11.30 - 11.45	**OC-54**	ZAFOUR Amel	
11.45 - 12.00	**OC-55**	BENNIA Seif	
12.00 - 12.35 *(30 mn speech + 5 mn discussion)*	**Plenary Lecture 11** **Dr Anne GAUCHER** *University of Versailles Saint-Quentin, France* **Towards the synthesis of polycyclic and polyheterocyclic compounds from chlorocarboxaldehyde precursors and their potential biological applications**		*Chairman:* Hatem Majdoub
12.35	**Closing Remarks, Awards Distribution and 8thJCO Announcement**		
13.00	***Lunch and Check out***		

Speakers' Abstracts

Jean-François SOULÉ

Chemistry Institute of Natural Products
University of Rennes - France

PERSONAL INFORMATION
Date of birth: 25 December 1983
Nationality: French
URL of web site: http://blogperso.univ-rennes1.fr/jean-francois.soule/

EDUCATION
2010 : PhD in Organic Chemistry
Institut de Chimie des Substances Naturelles (UPR2301) / Paris-Sud University, Orsay, France
Professor Jean-Marie Beau
2007 : Master Degree in Organic Chemistry
Chemistry / Chemistry, Toulouse III University/ Paul Sabatier, France

CURRENT POSITION
Since 2013 Chargé de recherche (CR1), Institut des Science Chimique de Rennes (UMR6226)

PREVIOUS POSITIONS
2010 - 2013 Postdoctoral Research Associate, with Shū Kobayashi, School of Science/ Chemistry, The University of Tokyo, Japan

FELLOWSHIPS
2010 - 2013 NEDO Scholarship, School of Science/ Chemistry, The University of Tokyo, Japan
2007- 2010 PhD Scholarship "Bourse de Docteur Ingenieur" CNRS, Institut de Chimie des Substances Naturelles (UPR2301) / Chemistry, Paris-Sud University, Orsay / CNRS, France

FUNDING
2014 - Allocation d'installation scientifique, Rennes Metropole
2016 - 2020 Active participation to the *ANR* **ALCATRAS**
2017 - 2020 CEFIPRA Project 5705-E with Prof. Jitendra Bera

MAJOR COLLABORATIONS
- Prof. Jean-Cyril Hierso, Université de Dijon, France
- Dr. Agnès Labande, LCC Toulouse, France
- Prof. Hamed Ben Ammar, Faculté des Sciences de Monastir, Tunisia
- Prof. Rachid Touzani, Université Mohamed Premier, Oujda, Morroco
- Prof. Jitendra Bera, Catalysis, Chemistry Department / Indian Institute of Technology Kanpur, India.
- Prof. Hiroyuki Miyamura and Shū Kobayashi, Nano-Catalysis, Chemistry/ Department of Science, The University of Tokyo, Japan.
- Prof. Aiwen Lei, College of Chemistry & Molecular Science / Wuh4an University, Wuhan, China

TEACHING ACTIVITIES
2016 : Teaching Master 1 MEEF Chemistry– Organometallic Chemistry, The University of Rennes1, France (32h/y)
2007 - 2010 Teaching Assistant – Kinetics and Organic Chemistry, Paris-Sud University, Orsay, France (32h/y)
2007 - 2010 Teaching Assistant – Organic Chemistry, Paris-Sud University, Orsay, France (64h/y)

ORGANISATION OF SCIENTIFIC MEETINGS
2017 Local Scientific Board & Local Organization Committee at 3rd International Green Catalysis Symposium & Advances Spring School on Green Chemistry, France
2014 Local Organization Committee at 2nd International Symposium on C–H Activation, France
2014 Local Organization Committee at 2nd International Green Catalysis Symposium & Advances Spring School on Green Chemistry, France

Transition-Metal-Catalyzed C–H Bond Polyfunctionalization of (Hetero)Arenes

Jean-François Soulé

Institut des Sciences Chimiques de Rennes, UMR 6226 CNRS-Université de Rennes, "Organométalliques: Matériaux et Catalyse", Campus de Beaulieu, 35042 Rennes, France
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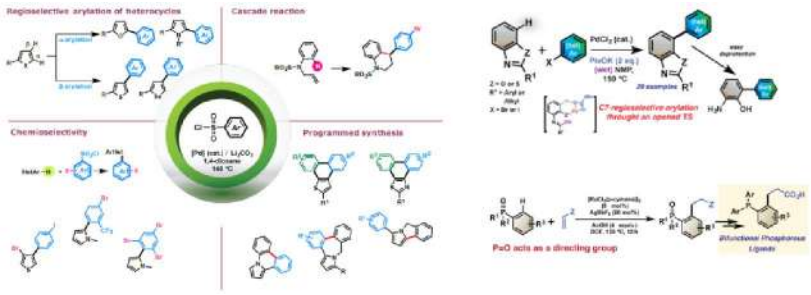
http://blogperso.univ-rennes1.fr/jean-francois.soule/

Transition-metal-mediated direct coupling *via* C-H bond cleavage is currently one of the most active areas in organic synthesis. We found that appropriately heteroaromatic substrates such as thiophenes, selenophenes, pyrroles, and benzoxazoles can undergo palladium catalyzed direct coupling with benzenesulfonyl chlorides and/or aryl bromides via regioselective C-H bond cleavage.[1]
Recently, we applied these C–H bond functionalizations in the synthesis of polycyclic organic molecules as well as polycyclic aromatic hydrocarbons.[2] As an example, we recently reported the syntheses of phenanthro[9,10-*b*]thiophene derivatives from simple thiophenes, 2-bromobenzene sulfonyl chlorides and aryl bromides *via* three C–H bond arylations reactions.[3] Similar strategy was applied for the synthesis of dibenzo[*j*,*l*]fluoranthene.[4]
We also apply C–H bond functionalization in the late stage modifications of arylphosphine oxides.[5] The details of these new reactions will be described in this symposium.



References

1. a) R. Jin, K. Yuan, E. Chatelain, J.-F. Soulé, H. Doucet, *Adv. Synth. Catal.* **2014**, *356*, 3831; b) A. Skhiri, R. B. Salem, J.-F. Soulé, H. Doucet, *Chem. Eur. J.* **2017**, *23*, 2788; c) K. Yuan, J.-F. Soulé, H. Doucet, *ACS Catal*. **2015**, *5*, 978; d) F. Abdellaoui, C. Youssef, H. Ben Ammar, T. Roisnel, J.-F. Soulé, H. Doucet, *ACS Catal.* **2016**, *6*, 4248.
2. K. Yuan, J.-F. Soulé, V. Dorcet, H. Doucet, *ACS Catal.* **2016**, 8121
3. a) W. Hagui, N. Besbes, E. Srasra, T. Roisnel, J.-F. Soulé, H. Doucet, *Org. Lett.* **2016**, *18*, 4182; b) X. Shi, J.-F. Soulé, H. Doucet, J. Org. Chem. 2017, 82, 3886
4. *unpublished results*
5. C.-S. Wang, P. H. Dixneuf, J.-F. Soulé, *ChemCatChem*, accepted (10.1002/cctc.201700557)

Saverio FLORIO
University Aldo Moro of Bari - Italy

Saverio Florio received his 'Laurea' in Chemistry at the University of Bari (Italy). Assistant Professor and Associate Professor of Organic Chemistry at the University of Bari till 1982, Full Professor of Organic Chemistry at the University of Lecce (1986–90) and University of Bari, chair of organic chemistry (1990–2012). Director of 'Consorzio Interuniversitario sulle Metodologie e Processi Innovativi di Sintesi' (CINMPIS) 1994-2014. President of the Division of Organic Chemistry of the Italian Chemical Society (1997–2001), vice President of the Italian Chemical Society (2007–10). He is a member of the Scientific Advisory Board of the Ischia IASOC School.

His research interests are concerned with mechanistic studies, stereochemistry, and asymmetric synthesis of small-ring heterocycles, chemistry and structural features of oxiranyl and aziridinyl anions applied to organic synthesis. Prof. Florio published more than 200 papers. He received the following awards: the 'Ziegler-Natta Lecture' from the German Chemical Society (GDCh) in 2005, the 'Angelo Mangini Gold Medal' from the Division of Organic Chemistry of the Italian Chemical Society in 2007, Member Elected of the European Academy of Arts and Sciences, Salsburg in 2007, First Lecturer of the Slovenian-Italian Chemical Societies Lectureship for the year 2010, Honorary Member of the Israel Chemical Society, Tel Aviv, 2011, Silver Plate from Consortium CINMPIS in 2014.

"Harvesting Molecules from Metalated Small Ring Heterocycles"

Saverio Florio

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Strained cyclic compounds such as functionalized three-membered ring systems are of considerable contemporary interest due to their ability to impose conformational restrictions and to act as useful building blocks for the construction of relatively complex substances occurring frequently in natural products and biologically active molecules.

The chemistry of saturated small-ring heterocycles has been dominated till recently by ring opening reactions caused by nucleophilic reagents. The possibility that these rings could act as nucleophiles, in their anionic form, has been much less investigated at least till a few years ago. Over the past ten to fifteen years, α-lithiated saturated small-ring heterocycles from the state of only elusive and fleeting chemical species have risen to the state of useful synthons. Indeed, they can be generated by Li-H or Li-Sn, Li-Si, Li-halogen exchange from promptly available parent precursors. Their chemistry, structural properties including spectroscopic features, and synthetic applications are object of an ample literature. [1-5]

X = O, NR

G = Aryl, Heterocyclic Group

The present lecture will focus on heterosubstituted organolithiums such as lithiated oxiranes and aziridines, their generation and synthetic applications. It will be shown that such reactive intermediates are good building blocks for the synthesis of functionalized epoxides and aziridines, aminoalchools, diamines, add to Fischer carbene complexes and nitrone ending up with the formation of highly functionalized, conformationally constrained cyclopropanes and oxazetidines, epoxylactones, alkenes, α-, β- and γ-aminoacids.

References

1. *Aziridines and Epoxides in Organic Synthesis*, Yudin, A. K.(Ed), Wiley&VCH, **2006**, 172-179. *Oxiranyl and Aziridinyl Anions as Reactive Inetrmediates in Synthetic Organic Chemistry*, Tetrahedron Symposia in Print, Florio, S. (Ed.), **2003**, 9683. Hodgson, D. M.; Bray, C. D.; Humphreys, P. G. Synlett **2006**, 1-22.
2. Capriati, V.; Florio, S.; Salomone, A. "*Oxiranyllithiums as chiral Synthons for Asymmetric Synthesis*" Chapt. 4 in "*Stereochemical Aspects of Organolithium Compounds*", Ed. Gawley, R. E. Vol. 26 in "*Topics in Stereochemistry*" Ed. Siegel, J. S., Verlag helvetica Acta, Zurich, **2010**, pp 135-164.
3. Florio, S.; Luisi, R. "*Aziridinyl Anions: Generation, Reactivity and Use in Modern Synthetic Chemistry*" *Chem. Rev*. **2010**, 110. 5128
4. Capriati, V.; Florio, S; Luisi, R.; Musio, B. *Org. Lett.* **2005**, *7*, 3749; Luisi, R.; Capriati, V. Di Cunto, P.; Florio, S.; Mansueto, R. *Org. Lett*. **2007**, *9*, 3295; Luisi, R.; Giovine, A., Florio, S. *Chem. Eur. J*. **2010**, *16*, 2683; Dammacco, M.; Degennaro, L.; Florio, S.; Luisi, R.; Musio, B.; Altomare, A. *J. Org. Chem*. **2009**, *74*, 6319; de Ceglie, M. C.; Musio, B. Affortunato, F.; Moliterni, A.; Altomare, A.; Florio, S.; Luisi, R. *Chem. Eur. J*. **2011**, *17*, 286.
5. Florio, S. *Synthesis*, **2012**, *44*, 2872-2884

Marc Lecouvey

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Education

1995 Ph.D., University of Nancy
Phosphorus and Peptide Chemistry; Advisor: Ph Coutrot
1991 M.S., University of Nancy
Organic Chemistry

Current Position
2004-present. **Professor** of Organic Chemistry at Paris 13 University.
1997 2004. **Assistant Professor** of Organic Chemistry at Paris 13 University
1995-1997 **Posdoctoral research associate**. Ingredia. Arras. France.

Fields of research

Organophosphorus chemistry and peptidomimetic synthesis
Design, synthesis and biological evaluation of new antitumor agents
Design, synthesis and properties of ligands forming stable and selective inclusion complexes with metal ions

Affiliations and Activities

- Member of the French Society of Chemistry
- Reviewer proposals to National Science Foundation|

Reviewer for papers Biomacromolecules| Chem. Commun.| J. Org. Chem.|Tetrahedron.|Eur J. Org Chem…

Sponsored Research

National Science Institute CNRS. Uranyl Decorporation Program

- National Science Foundations

University Research Council (2009)

Peer-Reviewed Journal Publications

95 papers and patents

Bisphosphonates: Syntheses and applications

Marc Lecouvey

Université Paris 13, Sorbonne Paris Cité, Laboratoire de Chimie, Structure, Propriétés de Biomatériaux et d'Agents Thérapeutiques (CSPBAT), CNRS UMR 7244, 74, Rue Marcel Cachin F-93017 Bobigny, FRANCE
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1-Hydroxymethylene-1,1-bisphosphonates (HMBPs) are currently used in medicine for their inhibitory properties of bone resorption which increases pathologically in some metastatic cancers (breast, prostate) and acceleratory in different kinds of osteoporosis especially related to age. Moreover, these compounds have interesting antitumor effects as well *in vitro* as *in vivo*, despite their poor bioavailability in the organism after oral ingestion. This major drawback is due to their poor lipophilicity, their highly charged nature and their propensity to chelate divalent cations such as calcium and magnesium in the gastrointestinal tract.[1] Besides, their strong bone affinities limited their use in cancer therapy targeting soft tissue. To overcome this problem and reduce drug doses and secondary effects, various strategies have been developed: attachment of the drug to a peptide,[2] liposomal encapsulation of HMBP [3] or administration as a prodrug.[4-5]

In order to enhance their oral bioavailability and target soft tissue, we recently proposed to vectorize a nitrogen-containing bisphosphonate, covalently coupled to a polysaccharidic polymer (dextran)[6] used as a carrier in order to increase its lifetime in bloodstream and to target tumor cells which have a strong affinity with dextran. Moreover, this polymer is interesting because biocompatible, biodegradable, non-toxic, non-immunogen and non-cancerous.

Another possibility is to use nanoparticle as nanovecteur. Some examples of nanoparticles design will be presented.

References:

[1] A. Ezra, G. Golomb *Adv. Drug Deliv. Rev.* **2000,** *42*, 175.
[2] A. Ezra, A. Hoffman *et al J. Med. Chem.* **2000**, *43*, 3641.
[3] I. Chebbi, E. Migianu-Griffoni *et al Int. J. Pharm.* **2010**, *383*, 116.
[4] M. Lecouvey, Y. Leroux *et al In WO 03/008425* **2003**; *Chem. Abstr.* **2003**, *138*, 122736.
[5] M. Monteil, E. Migianu-Griffoni *et al Eur. J. Med. Chem.* **2014**, *77*, 56.
[6] E. Migianu-Griffoni, I. Chebbi *et al Bioconj. Chem.* **2014**, *25*, 224.

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Institut de Biomolécules Max Mousseron
Montpellier - France

Jean Martinez, received his Ph.D. in 1972 from Montpellier 2 University, at the National School of Chemistry and his Dr. Sciences Degree in 1976 in the same University, both under the supervision of Professor F. Winternitz. In 1976, he joined Doctor E. Bricas's group at Orsay, University of Paris Sud, as a post-doctorate fellow and in 1977 the laboratory of Professor M. Bodanszky at Case Western Reserve University in Cleveland, Ohio, USA, where he stayed till mid 1979.

He was appointed Research Associate at CNRS in 1973, then Director of Research at CNRS in 1983. In 1998 he joined the University of Montpellier 2 as a Professor of Organic Chemistry. In 2001 he was also appointed Professor of Medicinal Chemistry at the School of Pharmacy, University of Montpellier 1. Till December 2014 he has been the Director, of the Institute of Biomolecules Max Mousseron (IBMM) he created in 2007. He served the University of Montpellier 1 as a Member of the Scientific Council for 8 years, and as Vice-President for 6 years (2009-2014). He is actually the Head of the Departement of Amino Acids Peptides and Proteins at IBMM.

His main scientific interests concern the chemistry of amino acids and peptides, including methodology of peptide synthesis and analysis, structural studies, peptidomimetics, as well as their pharmacology. He worked on several ligands of G-protein coupled receptors (cholecystokinin, gastrin, neurotensin, bradykinin, ghrelin, bombesin, …) as well as on the design of enzyme inhibitors. He co-authored over 900 papers including 52 patents and presented over 300 lectures. He has been chairman of several international meetings and he serves in the Editorial Board of many journals.

He received several international Awards including both the Zervas Award, and the Rudinger Award from the European Peptide Society, the Mentzer Award, and the Paul Ehrlich Award from French Medicinal Chemistry Society, the Silver Medal from CNRS, France, the Max Bergmann Medal in Germany, the Cathay Award from the Chinese Peptide Society, the Akabori Award from the Japanese Peptide Society, the Roche Johannes Meinhofer Award, USA, the Leon Velluz Award from the French « Académie des Sciences ». He is Doctor Honoris causa of the University of Krakow, Poland, Member of the « Académie Nationale de Pharmacie », France and « Foreign Member of the « Real Academia Nacional de Farmacia », Spain.

He has been President of the « Groupe Français des Peptides et Protéines ». He served the European Peptide Society for 17 years, including 4 years as Scientific Officer, and as President for 9 years.

Constrained Non-Peptide Building Blocks for the Synthesis of Protein Structure Mimics

Muriel Amblard, Baptiste Legrand, Lubomir Vezenkov, Vincent Martin, Gilles Subra, Monique Calmès, Nadir Bettache, Marcel Garcia, Jean Martinez

Institut des Biomolécules Max Mousseron (IBMM), UMR CNRS 5247, Université de Montpellier, ENSCM, 15 avenue Charles Flahault, BP 14 491, 34093 Montpellier Cedex 5, France.
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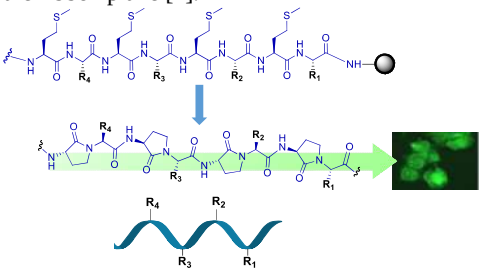
Nature has built up complex systems using self-assembly and non-covalent binding, to generate multimeric molecular complexes in highly ordered structures. Inspired by biological systems, chemists manage to design and synthesize artificial oligomers able to spontaneously fold into well-defined edifices. They can exhibit biological activities comparable to those of natural biopolymers, and they also found applications in material sciences.

We will describe in this study the synthesis the design and synthesis of original non-peptide inducing b-turn scaffolds that were assembled to design new oligomers able to display well-defined mimics of protein secondary structures of oligomers, using conformationally restrained cyclic b-amino acid including benzothiazepine (DBT), and aminobicyclo[2.2.2]octane-2-carboxylic acid (ABOC) derivatives for the synthesis of original stable, highly rigid right-handed helical systems [1-3].

In addition, we have designed oligomers bearing chosen and required amino acid side chains that showed high propensity to deliver biologically relevant cargo inside cells. We have developed a strategy to straightforward convert methionine-containing peptide sequences into γ-lactam-containing oligomers. These compounds can adopt a ribbon-like secondary structure with a periodic distribution of the functional groups, on either side of the ribbon plane [4].

We will discuss on the synthesis of g-lactam-based foldamers. The relationships between the backbone structuration, the functional group distribution and the intracellular uptake efficiency of these foldamer-based constructs will also be presented.



References

[1] Vezenkov et al., *Bioconjugate Chem.*, **2010**, *21*, 1850-1854 ; Paramelle et al., *Angew. Chem. Int. Ed.*, **2010**, *12*, 747-753.
[2] Maynadier et al., *J. Control. Release*, **2013**, *171*, 251–257.
[3] Legrand et al., *Angew. Chem. Int. Ed.*, **2014**, *53*, 13131-13135; Legrand et al., *Chemistry: A Eur. J.*, **2016**, *22*, 11986-11990.
[4] Martin et al., *Angew. Chem. Int. Ed.*, **2015**, *54*, 13966–13970.

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Farhat REZGUI received his Doctorate from the University of Tunis El Manar under the guidance of Pr. M.M. El Gaied. In the course of his collaboration with Professor J. F. Normant's group, University Pierre et Marie Curie, Paris (France), he worked with Dr. P. Mangeney and Professor A. Alexakis on Chiral Dihydroquinolines. In 2000, he was appointed as a Professor of Organic Chemistry at Faculty of Sciences Tunis. His research focuses on development of new methods in Organic Synthesis, such as the functionalization of cyclic enones and reactivity study of densely functionalized Michael acceptors including cyclic and acyclic Morita-Baylis–Hillman adducts.

Selected papers

1. Reaction of stabilized carbanions with Morita-Baylis-Hillman acetates, *Tetrahedron* **1997**, *53*, 15711.
2. DMAP-catalyzed hydroxymethylation of 2-cyclohexenones in aqueous medium. *Tetrahedron Lett*. **1998**, *39*, 5965.
3. The first DMAP-mediated palladium-free Tsuji–Trost-type reaction of cyclic and acyclic Morita-Baylis–Hillman alcohols with active methylene compounds. *Tetrahedron Lett*. **2010**, *51*, 586.
4. The first Et_3N-mediated transesterification of β-keto esters using Morita-Baylis-Hillman alcohols. *Tetrahedron* **2011**, *67*, 6322.
5. Synthesis of a Series of γ Keto Allyl Phosphonates. *J. Org*. Chem. **2016**, *81*, 1757.
6. Et_3B-mediated and palladium-catalyzed direct allylation of β-dicarbonyl compounds with Morita–Baylis–Hillman alcohols. *Beilstein J. Org*. Chem. **2016**, *12*, 2402.
7. First DMAP-mediated direct conversion of Morita–Baylis–Hillman alcohols into γ-ketoallylphosphonates: Synthesis of γ-aminoallylphosphonates. *Beilstein J. Org*. Chem. **2016**, *12*, 2906.
8. "On water" reaction of deactivated anilines with 4-methoxy-3-buten-2-one, an effective butynone surrogate. *Org. & Biomol. Chem.* **2016**, *14*, 11085.
9. Direct palladium-catalyzed allylic alkylations of alcohols with enamines: Synthesis of homoallyl ketones. *Tetrahedron Lett*. **2017**, *58*, 2525.

Allylic substitution reactions: Recent developments and synthetic applications

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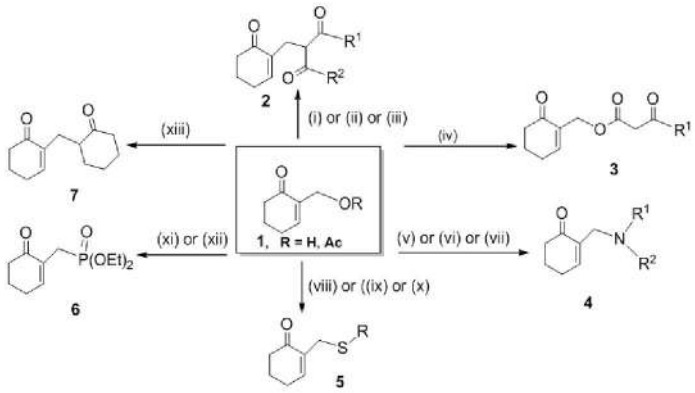
Allylic compounds are useful tools in organic chemistry and for the synthesis of natural products as well as biologically active targets. During the last decades, the Morita-Baylis-Hillman (MBH) adducts, as functionalized allylic derivatives bearing both an allyl moiety and an enone or enoate unit, have attracted much attention. A large number of synthetic applications, respecting the atom economy and implementing the organocatalysis in aqueous medium or under solvent-free conditions, have been developed by our group and others.
We report herein our findings dealing with the synthesis and reactivity study of differently functionalized novel allylic compounds derived from the MBH adducts **1**. The following scheme summarizes our main results on this topic.



Scheme 1: Conversions of MBH adducts **1** into various allylic compounds **2-7.**

Reagents & Conditions:
(i) R = H, β-dicarbonyl compounds, DMAP; (ii) R = H, β-dicarbonyl compounds, $Pd(OAc)_2$, Et_3B; (iii) R = Ac, β-dicarbonyl compounds, Et_3N; (iv) R = H, β-keto, esters, Et_3N; (v) R = Ac, amines; (vi) R = H, amines, molecular sieves; (vii) R = H, amines, $Pd(OAc)_2$, Et_3B; (viii) R = Ac, RSH; (ix) R = H, RSH, *p*-TsOH; (x) R = H, RSH, molecular sieves; (xi) R = H, $P(OEt)_3$, DMAP, solvent-free; (xii) R = Ac, P $(OEt)_3$, DMAP, solvent-free; (xii) R = Ac, cyclohexanone or cyclopentanone enamines, $Pd(OAc)_2$, $ZnBr_2$.

Tetrahedron Lett. **2010**, *51*, 586. J. Org. Chem. **2016**, *81*, 1757.

Md Wahab KHAN

University of Engineering & Technology (BUET), Dhaka, Bangladesh

Secretary General, Federation of Asian Chemical Societies (FACS) 2016-2017

Higher education careers

Ph. D. in Chemistry, specialization in Synthetic Organic Chemistry from IACS, Jadavpur University, India, 1999.

Diploma in Chemistry, specialization in Synthetic Carbohydrate Chemistry from Tokyo Institute of Technology (TIT), Tokyo, Japan, 1990.

M. Phil. in Organic Chemistry, specialization in Natural products from BUET, Dhaka, 1986

M. Sc. (Thesis Group) in Applied Chemistry, Specialization in synthesis of cellulose derivatives from University of Dhaka, 1982

B. Sc. (Hons) in Chemistry under University of Dhaka, 1979.

A. **Supervised M. Phil. Thesis:** There are 27 Master of Philosophy degrees awarded under my supervision.

B. **Ongoing Postgraduate Program:** At present 4 Ph. D. student and 6 M. Phil/M. Sc. Student are doing research work under my supervision.

C. **Major field of research:** Synthesis of Oxygen containing heterocyclic compounds through palladium catalyzed and Friedel Crafts reactions; Synthesis of isoindolines, isoindolinones and indoline derivatives by palladium catalyzed reaction; Synthesis of new annelated fused pyrimidine derivatives and study of their biological activities; Synthesis of dihydrofurans by metal catalyzed reaction of cyclic diazocarbonyl compound; Palladium catalysed vinylation of organic halides with terminal alkenes; Palladium catalyzed synthesis of alkene and alkyne derivatives from terminal alkynes; Synthesis of Metallodendrimers Based on Diazine and Triazine using Cobalt (II), Pd (II), Ni (II) and Cu (II) catalyst. Sythesis of Supramolecules.

Major Publications: 25 in International Journal

Membership of Scientific and Professional Societies:

a) Life Member of Bangladesh Chemical Society, LM 336
b) Life Member of Indian Association for the Cultivation of Science (IACS), Jadavpur, Kolkata, India, LM 3967
c) Life Member of Bangladesh Association for the Advancement of Science (BAAS), LM 643 (IV)
d) Life Registered Graduate Member of University of Dhaka, Reg. No.: 13309
e) Life Member of BUET Alumni Association, Membership No. 19868710003L

Professional work at National and International level:

Member of review committee, Bangladesh Council of Science & Industrial Research (BCSIR)

Vice President of Chemistry Departmental Committee, Bangladesh Standard and Testing Institute (BSTI)

Member of Editorial Board, Journal of Bangladesh Chemical Society (JBCS)

Administrative and social activities:

Secretary General, Federation of Asian Chemical Societies (FACS), 2016-2017.

General Secretary, Bangladesh Chemical Society (BCS), 2015-2016.

Provost, Shahid Smrity Hall, 20 Oct. 2012 to May 17, 2016

Head, Department of Chemistry, BUET, 19-09-2007 to 25-09-2009

SYNTHESIS OF BENZO-FUSED HETEROCYCLIC COMPOUNDS THROUGH PALLADIUM CATALYST REACTIONS

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Benzofurans are interesting compounds because of their natural occurrence and biological activities. Compounds containing the benzofuran moiety are widely distributed in nature. They are used as versatile intermediates in organic and natural product synthesis. They have also shown a range of biological activities. The 2, 3-dihydrobenzofuran (DHB) ring system constitutes the core skeletons of an increasing number of biologically active compounds. Substituted benzofuran skeletons are widely found in bioactive compounds of medicinal interest. Indolines are found in many natural products and pharmaceuticals, and the chemical synthesis of indolines has attracted the attention of generations of organic chemists. Optically active indoline frameworks are found in many natural products and biologically active agents. This has stimulated a great deal of research into the asymmetric synthesis of substituted indolines. Indolines and their oxidized derivatives including indoles are highly important pharmacophores that appear in numerous biologically active natural products. Over the past decade, transition-metal-catalyzed C-H bond activation has become an extremely powerful tool for the synthesis of useful compounds including industrial materials, medicines, and natural products.

Recently, our research group has developed methods for the synthesis of benzo-fused heterocyclic compounds, e.g. isoindolines, isoquinolinone, benzo[b]furans and indolium chloride by palladium catalyzed reactions with terminal alkynes and alkenes. A highly regioselective palladium catalyzed reactions of 2-iodophenol with acrylic esters led to alkyl 2, 3-dihydrobenzofuran-2-yl carboxylates in good yield is described here. A facile synthesis alkyl-*N*-acetylindoline-2-carboxylates through palladium catalyzed reaction of 2-iodoacetanilide with terminal alkenes (acrylic ester) is also reported.

Isabelle GILLAIZEAU
Institute of Organic and Analytical Chemistry
University of Orléans, France

Isabelle Gillaizeau (born **Gauthier** in 1970 in Nantes), obtained her PhD in 1997 from the University of René Descartes (Paris VI, France) under the direction of Professor H.-P. Husson and Dr. J. Royer (ICSN, Gif-sur-Yvette), for the asymmetric synthesis of azabicyclo[n.2.1]alkanes according to the CN(*R,S*) method. She conducted postdoctoral studies first at the University College of London (1998) with Professor W.B. Motherwell, then at Ecole Polytechnique (1999) in Palaiseau (Paris) with Professor S.Z. Zard and at the University of Nantes (France) (1999-2000) with Dr. F. Odobel. In September 2000, she joined the Institut de Chimie Organique et Analytique (ICOA UMR 7311 CNRS) in Orleans (France) as an assistant professor. Since 2010, she is full professor and her research interests lie mainly in the field of organic synthesis and especially in methodological studies in heterocyclic chemistry.

Research field: Methodological studies in heterocyclic chemistry, enamide

Key words: enamide ; enol ether ; enol phosphate, metal catalyzed C-C , C-N and C-P bond formation (Pd, Cu, Rh), carbolithiation, diversity in organic chemistry, heterocycle.

Enamides : A valuable tool in organic synthesis

Isabelle GILLAIZEAU

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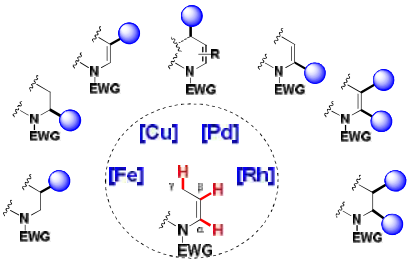
The development of efficient methodologies to generate biologically relevant molecules constitutes an essential area to create libraries by varying functional groups, building blocks, stereochemistry and molecular frameworks in order to obtain molecular diversity. To this end, particular attention is paid to identify improved methods for heterocyclic synthesis focusing on two aspects: reaction economy and selectivity that call for the development of more efficient and also eco-friendly procedures.

Recently, significant advances have been achieved in transition-metal-catalyzed C–H functionalization, thereby characterizing this research field as an increasingly viable toolbox for selective C–C bond forming reactions.[1] Transition metal-catalyzed regioselective C-C bond forming reaction *via* C-H bond functionalization became one of the most attractive research fields in organic synthesis. Indeed, atom economy, harmless and inexpensive solvents, catalytic reagents and readily available substrates without preliminary functionalization are fundamental criteria for accessing efficient reactions.

Within this context, the synthesis of functionalized enamides has been of long-standing interest to the chemical community as this motif is contained in several bioactive natural products. They have been widely used as valuable building blocks in order to introduce nitrogen based functionalities into various organic systems.[2,3] Recently our team has developed the regio- and stereoselective metal-catalyzed (Cu, Fe, Pd, Rh) functionalization of enamides[2] which is challenging as amines have proven to be potent pharmacophores for defining new pharmaceutical drugs. C–H functionalization processes of enamides can thus significantly simplify the synthesis of pharmaceuticals, natural products, or agrochemicals. We will thus report the access to various a, b and/or g substituted amines according to this strategy.



Keywords: heterocycle, metal catalyzed reaction, enamide, nitrogen containing compound.

References:

(1) a) Li, J.; Ackermann, L. *Nature Chemistry* **2015**, *7*, 686.
b) Kuhl, N.; Schroeder, N.; Glorius, F. *Adv. Synth. Catal*. **2014**, *356*, 1443.
c) Tani, S.; Uehara, T. N.; Yamaguchi, J.; Itami, K. *Chem. Sci*. **2014**, *5*, 123.
d) Gigant, N. ; Chausset-Boissarie, L. ; Gillaizeau, I. *Chem. Eur. J*. **2014**, 20, 7548.
(2) a) Rey-Rodriguez, R.; Retailleau, P.; Bonnet, P. Gillaizeau, I. *Chem. Eur. J.*, **2015**, *21*, 3572.
b) N. Gigant, L. Chausset-Boissarie, M.-C. Belhomme, T. Poisson, X. Pannecoucke, I. Gillaizeau *Org. Lett*. **2013**, *15*, 278.
c) N. Gigant, L. Chausset-Boissarie, I. Gillaizeau *Org. Lett*. **2013**, *15*, 816.
d) N. Gigant, I. Gillaizeau *Org. Lett*. **2012**, *14*, 4622.
e) N. Gigant, I. Gillaizeau *Org. Lett*. **2012**, *14*, 3304.

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Université de Bourgogne Franche Comté
France

Né le 07 août 1971 à Toulouse, Haute Garonne (31), France.
Marié, 2 enfants.

Parcours professionnel (*Carrier*)

2017-	Directeur adjoint de l'Unité Mixte CNRS-Université de Bourgogne 6302 (120 personnes)
2012-2017	**Membre de l'Institut Universitaire de France** (IUF, Junior)
2011-2017	Responsable du groupe Organométallique et Catalyse de l'UMR 6302 (30 personnes)
2009-	**Professeur des Universités** (promu *PR1 par le CNU en 2014*)
2001-08	**Maître de Conférences** – Université de Bourgogne –
2000-01	**ATER** – Université de Bourgogne – LSEO UMR-CNRS 5188 (Équipe Pr. P. Meunier)
1999-00	**Chercheur postdoctoral** – Université de Leiden, Pays-Bas (Équipe Pr. J. Reedijk)
1998-99	**ATER** – Université Paul Sabatier, LCC UPR-CNRS 8241 (Équipe. Pr. M. Etienne)
1998-99	**Chercheur postdoctoral** – BP Chemicals ENSCT-Toulouse (Équipe Pr. P. Kalck)

Formation et qualifications (*Education*)

2006	Habilitation à Diriger des Recherches (HDR) de l'Université de Bourgogne
1994-97	Doctorat de l'Université Paul Sabatier de Toulouse (Boursier MESR, ENSCT-Toulouse)
1993-94	*DEA* de **Chimie et physico-chimie des éléments de transition** de l'Université Paul Sabatier

Thèmes de recherche et compétences scientifiques (*Research background*)
Chimie de Coordination — Catalyse homogène — Catalyse hétérogène — Organométalliques — Chimie de ligands — Chimie du ferrocene et fonctionnalisation — Chimie du Palladium — Propriétés spectroscopiques et résonance magnétique nucléaire — Couplage de spin nucléaire — Catalyse de couplage croisé C–C au palladium

Nouvelles thématiques en développement depuis 2012 (*Research mobility*)
Couplage croisé C–X (X = N, O, S) — Catalyse aux métaux 9 (Cu, Au) — Fluoration et halogénations par catalyse organométallique — Phosphine, amines, et boranes ferrocéniques — Chimie des nanodiamants (diamantoïdes) — Matériaux et dépôts en phase gaz (CVD, PVD)

Electrophilic halogenation reactions of Csp2-H catalyzed by palladium : minute-fast new fluorination methodologies towards 18[F] radiotracers

Jean-Cyrille HIERSO

Université de BOURGOGNE FRANCHE-COMTE (UBFC)
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Palladium-catalysed *ortho*-directed C–H mono- and di-fluorination of highly substituted and reluctant arylpyrazoles substrates was achieved.
Coupling pathways and substrates limitations are discussed in the light of complementary mechanistic experimental and DFT studies. Then, a general catalyzed direct C-H functionalization of s-tetrazines was performed. Under mild reaction conditions, *N*-directed *ortho*-C-H activation of tetrazines allows forming carbon–heteroatom bonds C-X (X = I, Br, Cl) and C-O.
Based on this methodology, we developed electrophilic *mono* and *poly-ortho*-fluorination of tetrazines.
Microwave irradiation was optimized to afford fluorinated s-aryltetrazines, with excellent selectivity, within only ten minutes reaction times.
Our work provides an efficient and practical entry for further accessing highly substituted tetrazine derivatives (iodo, bromo, chloro, fluoro, and acetate precursors). It gives access to ortho-substituted aryltetrazines impossible to obtain by classical Pinner-like syntheses. The extent to form short-lived 18F radiotracers and to tetrazine bioconjugaison is thus possible.

Thierry BRIGAUD
Université de Cergy-Pontoise
Neuville sur Oise, Cergy-Pontoise, France

Thierry Brigaud is professor at the University of Cergy-Pontoise (France). He received his PhD in Chemistry from the University Claude Bernard Lyon I (France) in 1990 under the guidance of Professor Eliane Laurent. In 1990, he joined the group of Professor Iwao Ojima at the State University of New York at Stony Brook working on asymmetric synthesis of non-protein amino acids and taxol side chain. In 1991 he joined the University of Reims-Champagne-Ardenne (France) as associate professor developing organofluorine-silicon-sulfur chemistry with Professor Charles Portella. He joined the University of Cergy-Pontoise (France) as a full professor in 2002. He is now the director of the Laboratory of Chemical Biology of this university. His main interests are organofluorine chemistry, asymmetric synthesis, synthesis and biological applications of fluorinated amino acids and peptides.

Synthesis of enantiopure fluorinated amino acids and incorporation into peptides: hydrophobicity and conformational aspects

Thierry Brigaud

Université de Cergy-Pontoise, Laboratoire de chimie biologique (LCB), EA 4505, 5 Mail, Gay-Lussac, Neuville sur Oise, 95000 Cergy-Pontoise cedex, France.

The biological activity of peptides is greatly depending on their conformation and their interactions with the biological environment. In particular, hydrophobic interactions are decisive for cell membrane and protein interactions. After an overview of the synthetic methods we developed for the synthesis of enantiopure trifluoromethylated amino acids,[1] we will present their challenging incorporations into peptides[2] and some biological applications[3] including hydrophobicity enhancement.[2] The use of fluorinated pseudoprolines for the control of peptides conformations will also be presented.[4]

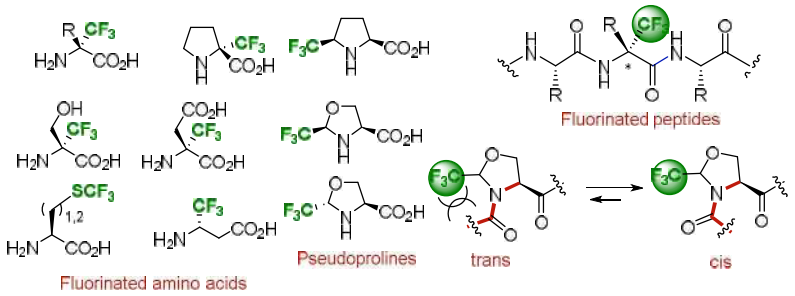

Fig. Tfm-amino acids, pseudoprolines and their peptides

Key words: Fluorine ; amino acids ; Strecker reaction ; peptides ; pseudoprolines

References

[1] (a) *J. Org. Chem.* **2006**, 71, 7075-7078. (b) *J. Org. Chem.* **2006**, 71, 2159-2162. (c) . *J. Org. Chem.* **2015**, *80*, 2700−2708. (d) *Org. Lett.* **2006**, 8, 6123-6126. (e) *Org. Lett.* **2015**, *17*, 342−345. (f) . *Org. Lett.* **2009**, 11, 209-212. (g) *Tetrahedron: Asymmetry* **2011**, *22*, 309-314. (h) *J. Org. Chem.* **2008**, 73, 2564-2569. (i) *J. Fluorine Chem.* **2008**, 129, 1104–1109.

[2] (a) *Amino Acids* **2016**, *48*, 1457-1468. (b) *Eur. J. Org. Chem.* **2017**, 246-251. (c) . *Eur. J. Org. Chem.* **2009**, 5717-5724.

[3] (a) *Biopolymers: Peptide Science* **2015**, *104*, 601-610. (b) *Eur. J. Med. Chem.* **2013**, *62*, 122–129. (c) *Med. Chem.* **2013**, *3*, 206–209.

[4] (a) *J. Org. Chem.* **2010**, *75*, 4135–4145. (b) *J. Phys. Chem. B* **2012**, *116*, 4069−4079. (c) *J. Org. Chem.* **2013**, *78*, 10144−10153. (d) *New J. Chem.* **2013**, *37*, 1336-1342. (e) *J. Org.*

Samir JEGHAM, Ph.D.

Research Platform / SANOFI-AVENTIS R&D
France

EDUCATION:

- B.S. degree from the University of Monastir in Tunisia
- Ph.D. in Organic chemistry from Orsay University / ICSN Gif sur Yvette under the supervision of Pr. Pierre POTIER and B. C. Das

PROFESSIONAL CONTRIBUTIONS:

27 years at Sanofi Group:

- 1989-1999: 10 years in CNS field, Former Synthélabo in Paris Area:
  - Alzheimer / Cognition disorder and Parkinson diseases
  - Depression.
  - Smoking cessation.
- 2000-20010: 10 years in Immuno-Oncology at Sanofi-synthélabo and Oncology at Sanofi-Aventis in Montpellier
  - Chemokine and inflammation
  - Phenotypic Drug discovery
  - Epigenetic.
  - Cancer Metabolism
  - Kinases.
- 2010-2014 in charge of Lead-Generation Medicinal chemistry Department in Montpellier implicated in many therapeutic area:
  - Aging and pain research
  - Therapeutic vaccination in collaboration with Boston Hub.
- Since 2015: Scientific Advisor for Asia Pacific Discovery Portfolio for liver Diseases
  - INSTITUT Pasteur Shanghai (China) and Seoul (Korea)
  - Fudan University (China)
  - Wuxi Pharma (Shanghai)
  - Suzhou Institute of System Medicine.
  - University of Tokyo

CONTRIBUTION TO THE ACADEMIC FRENCH WORLD:

- Expert at the French Research Agency (ANR). Comité d'evaluation SIMI7
- Member of the "Organic Chemistry Division Bureau" of the French Chemical Society
- Member of Scientific Advisory board of the Société de chimie Thérapeutique
- Member of the scientific Board of INSTITUT Carnot Montpellier.
- Member of the American Chemical Society

ACCOMPLISHEMENT:

ü Many compounds in Clinical development:
  - Dianicline for smoking cessation
  - Befloxatone for depression.
  - SL650105 Alzheimer.
  - SL90539 Emesis.
  - SAR103168/SAR132885 and SAR154782 (Cancer).

ü Near 100 patents and publications in the three therapeutic areas, Internal Medicine, Neurosciences and Cancer research.

AWARD: 2011 Industrial Prize from the "Society chimique de France"

Serendipity In Drug Discovery. The Case Of Dianicline

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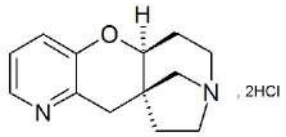
Early drug discovery has changed dramatically over the recent past. We moved from blockbusters/massive one-fit-all strategy, to a variety of personalized solutions and we are gradually embedding an integrated drug discovery mindset, based on translational sciences[1] rationale. But historically serendipity has played a major role to the discovery of new drugs, new synthetic paths or unexpected scaffolds.
During our effort for the design and synthesis of selective and potent α4β2 nicotinic receptor agonists for smoking cessation, we discovered by serendipity the *SL591813, Dianicline*[2] , characterized as not the expected targeted compound but a unique tricyclic structure resulting from a fascinating rearrangement processes.



6,7,9,10-tetrahydro-5a*H*,11*H*-8,10a-methanopyrido[2',3' :5,6]pyrano[2,3-*d*]azepine, dichlorhydrate

During this presentation I will describe the context of this discovery and the full characterization of *Dianicline* as a clinical candidate for smoking cessation.

References:

[1] Jayme L. Dahlin, James Inglese and Michael A. Walters. *Nature Reviews Drug Discovery* 2015, pp 279-294

[2] SSR591813, a novel selective and partial α4β2 nicotinic receptor agonist with potential as an aid to smoking cessation. Cohen C, Bergis OE, Galli F, Lochead AW, Jegham S, Biton B, Leonardon J, Avenet P, Sgard F, Besnard F, Graham D, Coste A, Oblin A, Curet O, Voltz C,Gardes A, Caille D, Perrault G, George P, Soubrie P, Scatton B. *J Pharmacol Exp Ther*. 2003 Jul;306 (1):407-20.

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Anne Gaucher obtained her PhD in 1992 from the University Paris-Sud Orsay under the supervision of Dr J. Salaün and Dr. J. Ollivier (ICMMO). Her thesis was entitled: "*2,3-methanoaminoacids : new preparation of 1-aminocyclopropanecarboxylic acid (ACC) and asymmetric synthesis of norcoronamic and coronamic acids through a diastereoselective cyclisation step of 4-chloro-2-iminobutanenitriles".* Then, she joined Pr. S. Martin group (University of Texas at Austin) for a two years postdoctoral position. She worked on the total synthesis of breynolide, a potential cholesterol-lowering drug. After a one year position at the University Paris-Sud Orsay, she joined the Lavoisier Institute of Versailles (Initially named SIRCOB) for a permanent position. She firstly worked on peptide chemistry and then joined Pr. D. Prim group where her research interests focus on organic and organometallic chemistry.

Towards the synthesis of polycyclic and polyheterocyclic compounds from chlorocarboxaldehyde precursors and their potential biological applications

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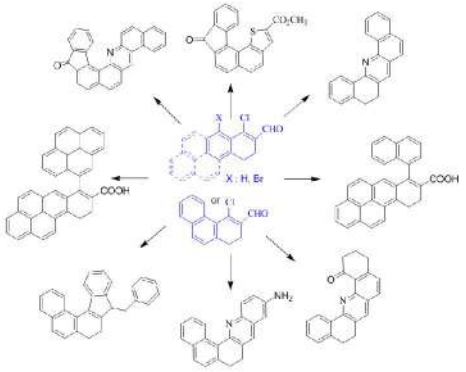
In recent years, Polycyclic Aromatic Compounds (PACs) has generated increasing interest in the scientific community because of their potential self-assembling properties used in particular in electronic devices. The properties of PACs are directly connected with their size, shape and the symmetry of the polycyclic system.[1] In the past, our group developed a versatile methodology able to provide new helicene derivatives and amino benzo[*g*,*h*,*i*]perylene structures from aryl- or diarylnaphthalene platforms.[2]
Recently, we focused on the construction of new polycyclic and polyheterocyclic compounds such as thienyl-, oxazolyl-,pyridyl fused benzo[*c*]fluorenones and acridine derivatives, among others, from readily available chloro carboxaldehyde precursors.[3]



Some of those compounds were used as monomers to produce conducting polymer films in order to be used as antibacterial coatings to prevent, biofilm formation.[4]

Keywords: polycyclic compounds**,** heterocycle, pyrene derivatives, sulfur containing compound.

References:

(1) D. Pérez, D. Peña, E. Guitiàn *Eur. J. Org. Chem.* **2013**, 5981.
(2) a) G. Pieters, A. Gaucher, D. Prim, J. Marrot *Chem. Commun.* **2009**, 4827. b) G. Pieters, A. Gaucher, S. Marque, F. Maurel, P. Lesot, D. Prim, *J. Org. Chem.* **2010**, 2096. c) G. Pieters, A. Gaucher, D. Prim, T. Besson, J. Giner Planas, F. Teixidor, C. Viñas, M. E. Light, M. B. Hursthouse, *Chem. Commun.* **2011**, 7725.
(3) K. Solmont, H. Boufroura, A. Souibgui, P. Fornarelli, A. Gaucher, F. Mahuteau-Betzer, B. Ben Hassine, D. Prim *Org. Biomol. Chem.* **2015**, *13*, 6269 and references cited herein.
(4) a) E. Celia, S. Amigoni, E. Taffin de Givenchy, G. Pieters, A. Gaucher, D. Prim, J.-F. Audibert, R. Méallet-Renault, R. Pansu, F. Guittard *Chem. Commun.* **2014**, *50,* 12034. G. Ramos Chagas, X. Xie, T. Darmanin, K. Steenkeste, A. Gaucher, D. Prim,, R. Meallet Renault, S. Amigoni, G. Godeau, F. Guittard *J. Phys. Chem.* C **2016**, *120*, 7077.

Oral Communications' List

(alphabetically authors' name)

Communicatings' Names	Ref
A. Alami *University of Sidi Mohamed Ben Abdellah - Morocco* Synthesis and evaluation of the biological activity of some heterocycles derived from triazole and tetrazole	**OC 07A**
W. Arar, R. Omrani, M.L. Efrit, A. Ben Akacha *FST - Tunis* A simple process for the synthesis of novel tetrazoline phosphonated	**OC 01A**
D. Atoui, K. Saïd, R. Ben Salem *FSS - Sfax* Ultrasonic activation of the arylation reaction of styrene catalyzed by iron	**OC 02A**
S. Azouni, M.L. Efrit *FST - Tunis* N-acryloyl imidates as precursor of functionalized 5-vinyl-1,2,4-triazoles	**OC 03A**
Z. Baccari, M.A. Sanhoury, B. Crousse, T. Barhoumi-Slimi *FST - Tunis* Direct conversion of allylic alcohols to phosphonates	**OC 04A**
O. Baklouti, H. Ammar *FSS - Sfax* Characterization of lignocellulosic components obtained by organosolv destructuration of biomass material	**OC 05A**
S. Bennia, M. De Person, J.P. Baltaze, F. Moussa, M. Abderrabba, D. Merlet *IPEST - La Marsa* Synthesis and characterization of fulleropyrrolidines by HR-MS and NMR spectroscopy	**OC 55**
H. Besrour, P. Fabien, T. Gharbi, B.Tangour *IPEIM - Tunis* Encapsulation of anticancer drug Doxorubicine inside dendrimers: A theoretical study	**OC 06A**

Communicatings' Names	Ref
A. Bouattour, M. Fakhfakh, S. Abid, L. Paquin, H. Ammar, J.P. Bazureau *FSS - Sfax* Reactivity of methyl *N*-(3-cyano-8-methoxy-4*H*-[1]-benzopyran-2-yl)methanimidate under microwave with various amines and exploration of biological activities of their derivatives	**OC 01B**
L. Boubakri, S. Yasar, I. Özdemir, N. Hamdi *ISSTE - Borj Cédria* Novel ruthenium (II)–*N*-heterocyclic carbene complexes: Synthesis, Characterization and Catalytic Application	**OC 02B**
M. Boukthir, K. Mliki, D. Frikha, F. Zribi, F. Chabchoub *FSS - Sfax* Ultrasound-assisted anti-bacterial activity of some 1,2,3,6- tetrahydropyrimidine derivatives	**OC 03B**
M. Bouri, S. Chassaing, C. Lherbet, S. Abid *FSS - Sfax* Synthesis and photopysical chracterization of new coumarinic dihydropyridine	**OC 04B**
N. Bouzayani, Y. Kacem, S. Marque *FSM - Monastir* Access to tricyclic compounds from α-aminoacid hydrazides using green and eco-friendly procedures	**OC 05B**
S. Chaabouni, N.M Pinkerton, A. Francois, S. Abid, C. Galaup, S. Chassaing *FSS - Sfax* *Ortho*-functionalized cinnamoyl derivatives - Valuable building blocks for heterocyclic Synthesis	**OC 06B**
A. Chaker, F. Nepveu, F. Chabchoub *FSS - Sfax* Microwave irradiation: Novel and facile methods for the synthesis of new thiazolidin-4-ones derivatives	**OC 07B**
M.R. Chebbi, M.L. Efrit *FST - Tunis* Simple pathway to γ-lactams through nickel catalysis	**OC 08A**
N. Chouchene, S. Boudriga, M. Askri, M. Knorr *FSM - Monastir* One-pot access to a library of dispiropyrrolidine-thiochromane hybrids *via three*-component 1,3-dipolar cycloaddition reactions	**OC 09A**

Communicatings' Names	Ref
S. Daoudi, Y. Arfaoui *FST - Tunis* Theoretical mechanistic elucidation on the reaction of acyclic nitrones with sarkomycin and its analogues	**OC 10A**
M. Durandetti, M. Ahmad, J. Maddaluno *COBRA Rouen University* Efficient access to disilylated olefins by intramolecular silapalladation of alkynes	**OC 12A**
R. El Aissi, J.M. Chezal, E. Miot-Noirault, E. Moreau *CNRSM - Borj Cédria* Synthesis and biological evaluation of new quinoxaline derivatives as melanoma selective drug delivery system	**OC 11A**
A. Fajraoui, J. Ben Nasr, M. Ben Amar, C. Lacoste *ENIS - Sfax* Renforced the bioploymer(PLA) with a natural dye extracted from acacia cyanophylla	**OC 8B**
A. Farjallah, M. Danel, S. Chassaing, S. Abid *FSS - Sfax* The synthesis of N-acylhydrazone-coumarines as antitubercolusis agents	**OC 9B**
M. Gaddour, A. Bougarech, M. Abid, S. Abid *FSS - Sfax* Polyamide-imides furano-pyridinique : Synthesis, characterization, hydrolytic and oxidative degradation	**OC 10B**
D. Ghedira, J. Kraiem, T. Ollevier *Faculty of Pharmacy - Monastir* Hydrogen peroxide/dimethyl carbonate: a green system for epoxidation of *N*-alkylimines and *N*-sulfonylimines. One-pot synthesis of *N*-alkyloxaziridines from *N*-alkylamines and (hetero)aromatic aldehydes	**OC 11B**
A. Hafedh, A. Abdelli, M.L. Efrit, H. M'rabet *FST - Tunis* A convenient synthesis of new functionalized thioether compounds	**OC 12 B**
N. Hafedh, F. Aloui, B. Ben Hassine *FSM - Monastir* Synthesis and characterization of new benzo[*c*]phenanthrene derivatives	**OC 13A**

Communicatings' Names	Ref
N. Hamdi, N. Touj, S.Yaşar, I. Özdemir *ISSTE - Borj Cédria* Copper N-heterocyclic carbene complex catalyzed click reaction for the synthesis of triazoles	**OC 14A**
K. Hamrouni, F. Barba, M.L. Benkhoud, B. Batanero *FST - Tunis* Stereoselective cyclopropanation to homoquinones from phenacyl carbenes obtained through quinone-electrogenerated bases	**OC 15A**
N. Jamel Eddine, Y. Kacem, J. Kraiem *FSM - Monastir* Acetylation of nucleophiles catalyzed with iron salts under solvent free conditions	**OC 16A**
Z. Jebali, A. Nabili, A. Granados Toda, S. Boufi, A. Vallribera, H. Majdoub *FSM - Monastir* Cationic nanofibrils cellulose supported palladium (0) nanocomposite and its catalysis evaluation in Suzuki reaction	**OC 17A**
M. Jmaii, M.L. Efrit, H. Mrabet *FST - Tunis* Synthesis of new isoxazoline derivatives through 1,3-Dipolar cycloaddition of nitrile oxydes and dialkyl (allyloxymethyl)phosphonates	**OC 18A**
A. Keniche, K. Ouled Taleb, J. Kajima Mulengi *University of Tlemcen - Algeria.* Development of new beta-sheet breaker for the treatment of Alzheimer's disease	**OC 19A**
E. Khdhiri, H. Ammar, K. Mnafgui, L. Ghazouani, M.S. Allagui, S. Abid *FSS - Sfax* Efficient synthesis of a new class of 3-(aryl sulfonyl hydrazone) Coumarins	**OC 13B**
J. Kraiem, T. Ollevier *Faculty of Pharmacy - Monastir* Green synthesis of *N*-alkylbenzamides *via* the rearrangement of *N*-alkyloxaziridines in water and in the presence of iron (III) sulfate as the catalyst	**OC 14B**

Communicatings' Names	Ref
M.B. Lachachi, T. Benabdallah, M. Hadj Youcef, J. Lynam *UST Mohamed Boudiaf - Oran, Algeria* Synthesis of a series of new platinum organometallic complexes derived from bidentate *Schiff* base ligands and their catalytic activity in the hydrosilylation and dehydrosilylation of styrene	**OC 19B**
H. Maouati, F. Lambert, C. Policar, D. Merlet, I. Chehidi *FST - Tunis* Rhenium(I) complexes of bistriazole-based ligands: Synthesis and intracellular detection	**OC 15B**
A. Mayooufi, M. Romdhani Younes, J. Thibonnet *FST - Tunis* Synthesis of new amino lactams compounds under palladium-catalyzed reaction β-iodovinylic acid	**OC 16B**
M. Merabet-Khelassi, A. Zaïdi, L. Aribi-Zouioueche *Badji Mokhtar-Annaba University* *CAL-B* catalyzed transesterification as efficient route to some *(R)*- arylalkylcarbinols	**OC 24A**
K. Mliki, M. Trabelsi *FSS - Sfax* Efficient oxidation of 5-hydroxymethylfurfural to 5-hydroxymethyl-2 (*5H*)-furanone	**OC 17B**
D. Mnallah Kanzari, M.L. Efrit, A. Ben Akacha *FST - Tunis* Diastereomeric 1,3,2-dioxaphosphorinanes: conformational and configurational dependence upon conformation of the 1,3-diol precursors	**OC 18B**
R. Omrani, M.L. Efrit, A. Ben Akacha *FST - Tunis* A convenient synthesis of phosphonoamidates and phosphonoamidines functions	**OC 20A**
O. Ouerfelli, J. Pyktowicz, T. Brigaud, R. Besbes *FST - Tunis* The synthetic potential of the three, four and five-membered ring Aza-heterocycles	**OC 21A**

Communicatings' Names	Ref
A. Riani Khlifi, F. Arfaoui, A. Martin-Esteban, R. Kalfat *CRMN - Technopôle Sousse* Preparation and characterization of an organic-inorganic molecular imprinted polymer for efficient recognition of melamine	**OC 22A**
A. Saadi, M. Abderrabba, M.M. Chehimi *FST - Tunis* X-ray induced degradation of surface bound azido groups during XPS analysis	**OC 23A**
S. Sahtel, R. Besbes, E. Vrancken *FST - Tunis* New routes to *N*-heterocycles from propargylic alcohols and 1,2-amino alcohols	**OC 20B**
N. Sbei, B. Haouas, B. Batanero, M.L. Benkhoud *FST - Tunis* Electrochemical assisted synthesis of 3,4-dihydro-3-methyl-4-methylenequinazolin-2(1H)-one	**OC 21B**
A. Skhiri, R. Ben Salem, J.F. Soulé, H. Doucet *FSS - Sfax* Unprecedented access to β-arylated selenophenes via palladium-catalysed direct arylation	**OC 22B**
A. Talbi, A. Arfaoui, T. Bsaibess, M.L. Efrit, A. Gaucher, D. Prim, H. M'rabet *FST - Tunis* Selective synthesis of mono- and bis-butenolides α-aminomethyl adducts	**OC 23B**
I. Talbi, S. Touil *FSB - Bizerte* Efficient new protocols for converting primary amides into nitriles promoted by $P(NMe_2)_3$ or $P(OPh)_3$	**OC 24B**
N. Touj, S. Yaşar, I. Özdemir, N. Hamdi *FSB - Bizerte* Copper free Sonogashira reaction of aryl halides with terminal alkynes catalysed by new palladium triphenylphoshine complexes	**OC 49**
I. Trabelsi, K. Essid, M.H. Frikha *FSS - Sfax* Synthesis of mixed anhydrides of fatty acids	**OC 50**

Communicatings' Names	Ref
L. Wechtati, M. Romdhani-Younes *FST - Tunis* An efficient Triton B catalyzed one-pot transformation of epoxides with mercaptocarboxylic acids and thioacetate into the corresponding 1,4-oxathian-2-ones	**OC 51**
J. Winninger, L.I. Atanase, C. Delaite, G. Riess *LPIM - France* Development of well-defined amphiphilic graft copolymers	**OC 53**
A. Zafour, A. Skender, A. Benyacoub, S. Tahrat *University Saad Dahlab - Blida 1, Algeria* Preparation and characterization of complexes inclusion (metformin hydrochloride encapsuled in β-cyclodextrin)	**OC 54**
L. Zribi, F. Zribi, F. Chabchoub *FSS - Sfax* Facile synthesis of [1,2,4]triazolo[1,5-*a*]pyridine derivatives by ultrasonic irradiation	**OC 52**

Oral Communications' Abstracts

Program of
Friday
8 September 2017

A Simple Process for the Synthesis of Novel tetrazoline phosphonated

Wafa Arar, Rania Omrani, Mohamed Lotfi Efrit, Azaïez Ben Akacha*

University of Tunis El Manar, Faculty of Sciences of Tunis, Department of Chemistry, Laboratory Selective Organic & Heterocyclic Synthesis-Biological Activity Evaluation, 2092, Tunis, Tunisia

Tetrazolines are a class of heterocycles with a wide range of applications that receive considerable attention. Consequently, many researchers have synthesized these compounds as target structures and evaluated their activities [1]. In this work, we report a convenient approach to the synthesis of tetrazoline phosphonated **2** by *1,3*-dipolar cycloaddition of benzyl azide to phosphonothioimidates derivatives **1** [2] (**Fig 1**). This method has the advantages of high yields and simple methodology. The structure of the novel compound was confirmed by NMR multinuclear (**Fig 2**).

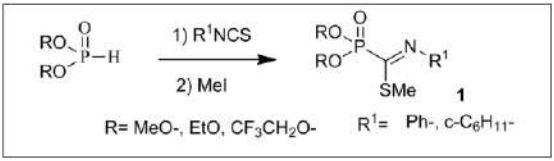


Fig 1. Synthesis of Phosphonothioimidate

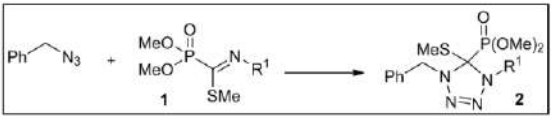


Fig 2. Synthesis of Tetrazoline Phosphonated

Key words: phosphonothioimidate, Tetrazoline phosphonated, NMR multinuclear.

References

[1] Witterberger,S.J.; New J.Org. Chem. **1994,** 26,499 -531.

[2] Omrani, R.; Ben Amor, F.; Bahri, M.; Efrit, M.L.; Ben Akacha, A.; *Phosphorus, Sulfur and Silicon and the Rel. Elem*, **2015**, 190, 10, 1715-1726.

Ultrasonic activation of the arylation reaction of styrene catalyzed by iron

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Among the various transition metals used, palladium has proven to be the metal of choice for performing C-C coupling reactions. However, the use of this type palladium complex has a major disadvantage for this method given the high cost of the complex and given that several scientific studies show that it has harmful effects on health and the environment.
In this work, we have tested the arylation reaction of styrene in the presence of a new iron-based catalyst given its low cost and its non-polluting and non-toxic characteristics under ultrasonic irradiation. A higher efficiency was observed for a very short period of time of just a few minutes, Furthermore, an improvement of the selectivity of the arylation of the external carbon of styrene was observed.

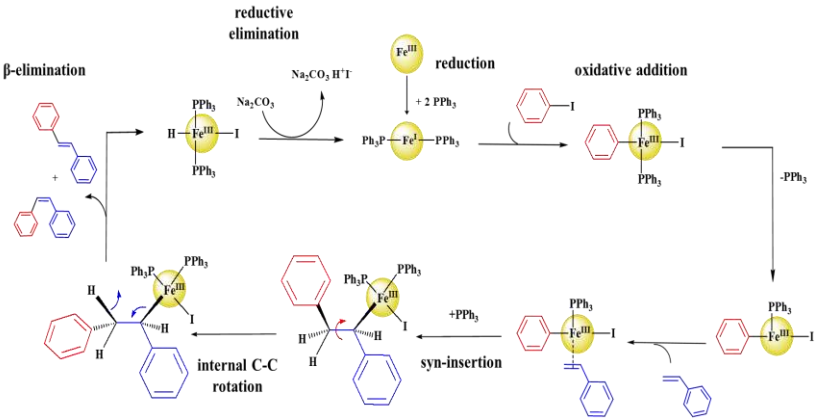

Fig. Proposed catalytic mechanism of the arylation reaction of styrene.

Key words: Stilbene, iron, ultrasound, arylation, selectivity.

N-ACRYLOYL IMIDATES AS PRECURSOR OF FUNCTIONALIZED 5-VINYL-1,2,4-TRIAZOLES

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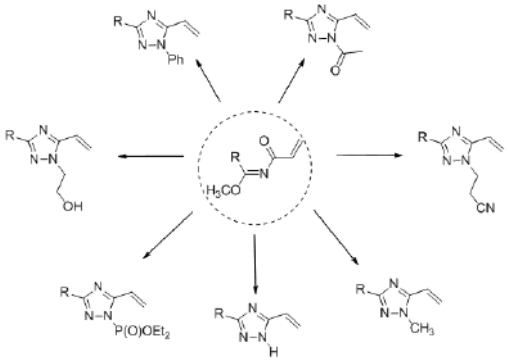
Triazole compounds have shown strong interest due to their pharmacological and agricultural activities such as anticancer, anticonvulsant, antimicrobial, anti-inflammatory, antioxidant, antitubercular and pesticidal properties [1,2,3].



We propose in this work to describe the action of some hydrazine derivatives on N-acryloyl imidates. We have thus been able to access new families of simple and functionalized 1,2,4-triazoles with particular interest for new investigations in heterocyclic synthesis according to the following reactions scheme.
These compounds were established by spectroscopic analysis NMR 1D (^{1}H, ^{13}C and DEPT) and 2D (HMBC).

Keywords : Imidate, hydrazine, triazole

References

[1] Aktas-Yokus O, Yuksek H, Gursoy-Kol O, Alpay-Karaoglu S. *Med Chem Res.* **2015**; 24(7):2813-24.
[2] Hunashal RD, Ronad PM, Maddi VS, Satyanarayana D,Kamadod MA. *Arab. J. Chem.* **2014**; 7:1070-8.
[3] Wang BL, Liu XH, Zhang XL, Zhang JF, Song HB, Li ZM. *Chem. Bio. Drug Design* **2011**; 78:42-9.

Direct conversion of allylic alcohols to phosphonates

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[b)] *Materials Chemistry Research Unit, Department of Chemistry, Faculty of Sciences and Techniques, Nouakchott, Mauritania*
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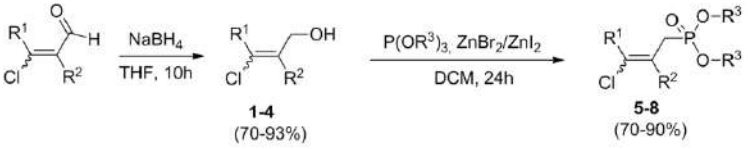
Phosphonates are among important phosphorous compounds with many applications as effective chelating agents, corrosion inhibitors in cooling systems, and water softeners [1-2]. In addition to the ability of phosphonates to form stable complexes with metal cations, which made them very suitable stabilizers in oxidation processes associated with the textile industry [3], they have been used as valuable flame retardants in the polymer industry [4]. In the present work, we describe the synthesis of new phosphonates from β-choloro-α,β-unsaturated aldehydes through reaction of corresponding allylic alcohols [5] and trialkylphosphites in the presence of $ZnBr_2$ or ZnI_2. The reaction was performed in refluxing DCM to give the new phosphonates **5-8** in 70-90% yield.



$R^1 = CH_3$, Ph or CF_3
$R^2 = CH_3$, Ph or H
$R^3 = CH_3$ or C_2H_5

Keywords: β-Chlorovinyl aldehydes, phosphonates, NMR spectroscopy.

References:

[1] B. Schmidt,and O. Kunz, Organic Letters, 15 (2013) 4470-4473.
[2] J. Guo, W.Li†, W. Xue and X.Shan Ye, Journal of Medicinal Chemistry, 60 (2017) 2135-2141.
[3] R.Barney, R.Richardson, and D.Wiemer, J. Org. Chem, 76 (2011) 2875–2879.
[4] D. Chen, G. Xing, X.Chen, J.Yao, H.Zhou, Tetrahedron Letters 57 (2016) 5124–5126.
[5] Bahri. L, Barhoumi-Slimi,T, Sanhoury, M. A. K., and Ben Dhia, M. T. Heteroatom Chemistry, 27 (2016) 190–195.

Characterization of lignocellulosic components obtained by organosolv destructuration of biomass material

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Obtaining cellulose fibers from biomass material using the traditional Kraft procedure consists of degrading a large amount of lignin and hemicelluloses and making them soluble in the alkaline medium. ***During*** the past ***two decades*** various new technologies have been developed for separating the chemical components of vegetable matter without combustion of the cooking liquor [1-2]. The lignin and sugars can be isolated and the cooking agents are easily recyclable.

We report in this communication the production of crude pulp by treating vegetable matter (almond shells, date palm rachis, green algae, spent ***coffee grounds, …)*** at low temperature under atmospheric pressure in acetic acid/formic acid/water media according to the organosolv process and then the application of a delignification and bleaching sequence using organic peracids and alkali hydrogen peroxide.

In order to use organosolv lignin as a raw material for the production of new organic materials, its structure and properties must be known as far as possible. We report here the extensive characterization of crude organosolv lignin using NMR methods and complementary techniques, IR spectroscopy, and TGA analysis.

Keywords: biomass material, organosolv process, cellulose, lignin, characterization.

References

[1] J. Sundquist, Paperi Ja Puu - Paper and Timber, 1996, 3, 92.
[2] M. Delmas, G. Avignon, French Patent 13658, 1997.

Encapsulation of anticancer drug Doxorubicine inside dendrimers: A theoretical study

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The drug molecule vectorization is a very promising approach in terms of both medical and economical factors for the delivery of active substances with low bioavailability. Dendrimers[1] offer advantages including a lower polydispersity index[2], multiple sites of attachment, and a controllable, well-defined size and structure that can be easily modified to change the chemical properties of the system. The cavities inside the dendritic structure can be modified to incorporate hydrophobic and hydrophilic drugs. Considering this, we present theoretical study based on density functional theory[3-4] to study the encapsulation drugs by dendrimers.

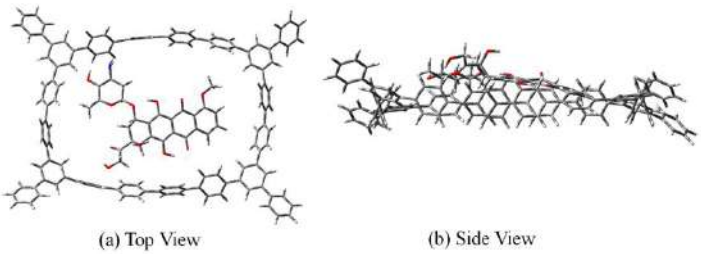

Fig. Top and Side Views of optimized structures of doxorubicine inside dendrimer

Key words: DFT, Drug, Dendrimers, Gaussian, theoretical study..

References

[1] Buhleier E, Wehner W, VÖGtle F. “Cascade”‐and “nonskid‐chain‐like” syntheses of molecular cavity topologies. Synthesis1978 ; 2 :155‐8.
[2] B. Sumer, J.M. Gao. Nanomedicine3 (2008) 137–140.
[3] (a) R. G. Parr and W. Yang «Density Functional Theory», Oxford University Press, **1989**. **21** (b) L. J. Bartolotti and K. Flurchick, *Rev. Comput. Chem*., **1996**, 7, 187
(c) St-Amant. *Rev. Comput. Chem*., **1996**, 7, 217.
(d) T. Ziegler. *Chem. Rev*., **1991**, 91, 651.
(e) E. J. Baerends, O. V. Gritsenko. *J. Phys. Chem*., **1997**, 101, 5383.].
[4] P. Hohenberg and W. Kohn, *Phys. Rev*., **1964,** 136, B846.

Synthesis and evaluation of the biological activity of some heterocycles derived from triazole and tetrazole

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The chemistry of the heterocycles constitutes one of the research themes very studied and developed in organic synthesis. Many heterocyclic derivatives are found to exhibit various biochemical, agro-chemical and electrochemical activities [1].
In continuation of our research interest in heterocyclic amino acids and those precursors [2-13], we report in this conference the latest research conducted in our Laboratory of Organic Chemistry. The research orientations chosen are the following:

- Elaboration of new spiro-heterocyclic compounds, study and prediction of their pharmacological activities.
- Synthesis and evaluation of the antibacterial activity of some heterocycles derived from triazole and tetrazole

Keywords: Heterocycle, 1,3-dipolar cycloaddition, POM Analyses, antibacterial activity

References

[1] Rama K., Dharmendra K., Drishti A., Rinkoo D.G., Ragini T., Satish K.A., Alka A., *Eur. J. Med. Chem.*, **2016**, *113*, 34. Bao-Lei W., Li-Yuan Z., Yi-Zhou Z., Yan Z., Xiao Z., Li-Zhong W., Zheng-Ming L., *J. Fluo. Chem.*, **2016**, *184*, 36. Łukowska-Chojnacka, E., Mierzejewska, J. *Chirality*, **2014**, 26, 811. Jéssica V.F., Maurício S.S., Alice M.R.B., Klaus M.B., Gérzia M.C.M., Raquel F.R., Marilene M.C.C., Leonor L. L., *Bioorg. Med. Chem. Lett.*, **2013**, *23*, 6310. Alipour M., Khoobi,M., Foroumadi A., Nadri H., Moradi A., Sakhteman A., Ghandi M., Shafiee A., *Bioorg. Med. Chem.*, **2012**, *20*, 7214. Anand P., Singh B., Singh N., *Bioorg. Med. Chem.*, **2012**, *20*, 1175. Alibi H., Rashidi A., Khodaei M., Alizadeh A., Majnooni M.B., Pakravan N., Abiri R., Nematollahi D. *Chem. Pharm. Bull.*, **2011**, *59*, 1149.

[2] S. Achamlale, A. Elachqar, A. El Hallaoui, S. El Hajji, M.L. Roumestant, Ph. Viallefont, "Synthesis of α-triazolyl α-amino acid derivatives", Amino Acids, vol. 12, pp. 257-263, 1997.

[3] F. Zaid, S. El Hajji, A. El Hallaoui, A. Elachqar, A. Kerbal, M.L. Roumestant, Ph. Viallefont, "Synthesis of heterocyclic β-aminoalcohol precursors of heterocyclic α-amino acids", Prep. Biochem & Biotechnol., vol. 28, no. 2, pp. 137-153, 1998.

[4] A. Alami, A. El Hallaoui, A. Elachqar, S. El Hajji, M.L. Roumestant, Ph. Viallefont, "Synthesis of β-tetrazolyl DL-alanine derivatives", Prep. Biochem. & Biotechnol., vol. 28, no. 2, pp. 167-173, 1998.

[5] S. Achamlale, A. Elachqar, A. El Hallaoui, A. Alami, S. El Hajji, M.L. Roumestant, Ph. Viallefont, "Synthesis of biheterocyclic α-amino acids", Amino Acids, vol. 17, pp. 149-163, 1999.

[6] K. Boukallaba, A. Elachqar, A. El Hallaoui, A. Alami, S. El Hajji, B. Labriti, J. Martinez, V. Rolland, "Synthesis of new α-heterocyclic α-aminophosphonates", Phosphorus, Sulfur and Silicon, vol.181, pp. 819-823, 2006.

[7] K. Boukallaba, A. Elachqar, A. El Hallaoui, A. Alami, S. El Hajji, B. Labriti, A. Atmani, B. El Bali, M. Lachkar, H. Allouchi, J. Martinez, V. Rolland, "Synthesis of α-Heterocyclic α-aminophosphonates, Part II: morpholine, piperidine, pyrrolidine, tetrahydrofurylmethylamine, N-benzyl-N-methylamine, and aniline derivatives", Phosphorus, Sulfur and Silicon, vol. 182, pp. 1045–1052, 2007.

[8] Y. Aouine, H. Faraj, A. Alami, A. El Hallaoui, A. Elachqar, S. El Hajji, A. Kerbal, B. Labriti, J. Martinez, V. Rolland, "Synthesis of new triheterocyclic compounds, precursors of biheterocyclic amino acids", J. Mar. Chim. Heterocycl., vol. 7, no. 1, pp. 44-49, 2008.

[9] E.H. Mabrouk, A. Elachqar, A. Alami, A. El Hallaoui, "Synthesis of new racemic α,α-diaminocarboxylic ester derivatives", Molecules, vol. 13, pp. 9354-9363, 2010.

[10] Y. Aouine, H. Faraj, A. Alami, A. El Hallaoui, A. Elachqar, A. Kerbal, "Simple and efficient synthesis of racemic 2-(tert-butoxycarbonylamino)-2-methyl-3-(1H-1,2,4-triazol-1-yl)propanoic acid, a new derivative of β-(1,2,4-triazol-1-yl)alanine", Molecules, vol. 16, pp. 3380-3390, 2011.

[11] Y. Aouine, H. Faraj, A. Alami, A. El Hallaoui, S. El Hajji, B. Labriti, A. Kerbal, "Triheterocyclic compounds, oxazolinic precursors of biheterocyclic amino acids, Part II: phenothiazine derivatives and structural study of regioisomers through 1H-15N 2D NMR HMBC", J. Mar. Chim. Heterocycl., vol. 133, no. 1, pp. 39-47, 2014.

[12] Y. Aouine, A. El Hallaoui, A. Alami, "N,N-Dibenzyl-1-(1-[(4-methyl-2-phenyl-4,5-dihydrooxazol-4-yl)methyl)]-1H-1,2,3-triazol-4-yl)methanamine", Molbank, M819, 2014.

[13] A. Atmani, S. El Hajji, A. El Hallaoui, M.L. Roumestant, Ph. Viallefont, "From oxazolines to precursors of amino acids", synth. Comm., vol. 21, no. 22, pp. 2383-2390, 1991.

SIMPLE PATHWAY TO γ-LACTAMS THROUGH NICKEL CATALYSIS

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γ-lactams are important heterocyclic motifs found in various biologically active compounds and marketed drugs. Among available methods for the synthesis of γ-Lactams, the intramolecular substitution of an amine at a carbonyl group is one of the widely-utilizedapproaches. Even though, Nickel Boride is a very important catalyst agent, few approaches of using it in the synthesis of γ-Lactams have been reported so far. In this work γ-Lactams were synthesizedthrough two different reducing agents catalyzed with Nickel Boride in a simple and facile experimental conditions. The structures of all the prepared compounds were confirmed by ^{1}H, ^{13}C NMR spectral data.

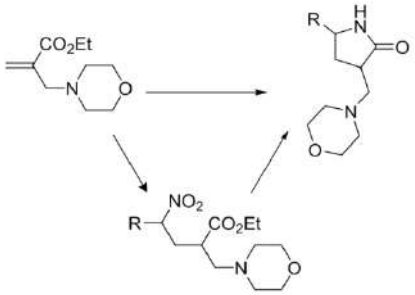

Fig: γ-Lactam synthesis from allyl amine

Key words: γ-Lactams, Nickel Boride, nitro compounds, allyl amine.

References:

[1] E. J. Corey, F. Y. Zhang; *organic letters*; **2000**; *2*; 4257-4259.
[2] A. A. dos Santos, G. C. Clososki, F. Simonelli, A. R. M. de Oliveira, F. A. Marques, P. H. G. Zarbin ; *J. Braz. Chem. Soc*.,**2001**,*12*, 673-679.
[3] N. Langlois, N. Dahuron, H. S. Wang; *Tetrahedron*. **1996**, *52*, 15117-15126.

One-pot access to a library of dispiropyrrolidine-thiochromane hybrids *via three*-component 1,3-dipolar cycloaddition reactions

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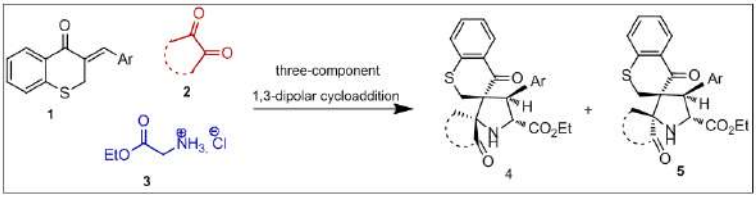
Spiropyrrolidine represents essential substructures for the synthesis of biologically important synthetic and natural compounds in the discovery of drugs [1]. On the other hand, thiochromanone derivatives had been reported to possess important biological activities [2].

Herein, and in conjunction with our continuing efforts in the cycloaddition of azomethine ylides [3], we describe the synthesis of an extended series of dispiropyrrolidines through 1,3-dipolar cycloaddition of (*E*)-arylidene-thiochroman-4-ones **1** with azomethine ylides generated *in situ* by condensation of various diketones **2** (isatin or acenaphthenequinone) and *α*-amino ester **3**. The stereochemistry of the spiroadducts **4** and **5** has been confirmed by several X-ray diffraction studies.



Scheme 1. Synthesis of dispiropyrrolidine derivatives **4** and **5**

Key words: 1,3-dipolar cycloaddition, 3-arylidenethiochroman-4-ones, dispiro-pyrrolidines, azomethine ylides.

References

[1] G. S. Singh, Z. Y. Desta, *Chem. Rev.*, 2012, **112**, 6104-6155.

[2] H. Nakazumi, T.Ueyama, T. Kitao, *J. Heterocycl. Chem.*, 1984, **1**, 193-196.

[3] (a) S. Haddad, S. Boudriga, T. N. Akhaja, J. P. Raval, F. Porzio, A. Soldera, M. Askri, M. Knorr, Y. Rousselin, M.M. Kubicki and D. Rajani, *New J. Chem.* 2015, **39**, 520−528. (b) S. Haddad, S. Boudriga, F. Parzo, A. Soldera, M. Askri, M. Knorr, Y. Rousselin, M. M. Kubicki, K. Golz and C. Strohmann, *J. Org. Chem.*, 2015, **80**, 9064–9075. (b) S. Haddad, S. Boudriga, F. Porzio, A. Soldera, M. Askri, D. Sriram, P. Yogeeswari, M. Knorr, Y. Rousselin and M. M. Kubicki, *RSC Adv.* 2014, **4**, 59462−59471.

Theoretical mechanistic elucidation on the reaction of acyclic nitrones with sarkomycin and its analogues

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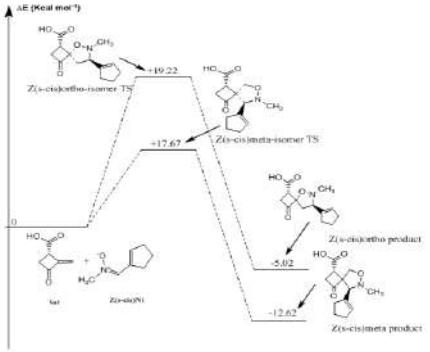
The 1,3-dipolar cycloaddition reaction [1] of acyclic nitrones with sarkomycin [2] and its analogues has been investigated by density functional theory DFT [3]. We conducted a global optimization of the geometry of dipoles and dipolarophiles at the B3LYP/ 6-31G (d, p) levels of theory through global and local reactivity.The reaction follows a concerted mechanism and normal electron demand reactions. In addition, thermodynamic and kinetic products have been determined. In order to elucidate the effect of N- substituent nitrones (-CH_3, -Ph,-CH_2-Ph, p-PhNO_2,CF_3) on the energetics of the reaction; the results indicate that electron-withdrawing groups increase the activation barrier of the reaction whereas electron-donating groups decrease the activation barriers [4]. In all cases, the meta-pathways are more favorable compared to the ortho alternatives. It is find that the reactivity is depending on the stress cycle for sarkomycin and its analogues. The linear variation of the activation energy for the reaction between nitrones and sarkomycin and its analogues allows us to determine the activation energy of a 3-membered analogue of sarkomycin, which does not been synthesized in the literature.



Eneregtic of the reaction of z(s-cis)-isomer N-methy substituted nitrone with norsarkomycin.Energetics in Kcal/mol

Key words: 1,3-dipolar cycloaddition, global and local reactivity, sarkomycin, nitrones, DFT.

References:

[1] A.Padwa et W.H.Pearson,"*1,3-Dipolar Cycloadditions*", New York, John Wiley & Sons,**2002**,901 pages. [2]L. Liu, H.Qi, H.Zhang, *J. of antibio.*,**2015**, 1-3. [3] Hohenberg, P.Kohn, *W. Phys. Rev.*, **1964**, 136,-864. [4] R. Tia, J.Amevor,E. Adei, *J of Theo and Comp Sc*.,**2014**,1-4.

Synthesis and biological evaluation of new quinoxaline derivatives as melanoma selective drug delivery system

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To date, the FDA have approved several drugs for malignant metastatic melanoma, *e.g.* dacarbazine, interleukin-2, ipilimumab, and vemurafenib. However, recently developed treatments have all yielded disappointing results, with poorer life quality and no real survival benefit for the patient. That is why an ongoing challenge in melanoma therapy is to develop new cytotoxic agents with greater selectivity for the tumour over non-target organs.

Here we describe the design, synthesis and evaluation of a novel family of melanin-targeting ligands combining an alkyl spacer and an aromatic platform based on ICF01012 [1]. The latter is characterized by a high melanin affinity and a favorable melanoma uptake, which makes it suitable to carrier cytoxic to melanoma. In order to link the drug to the vector moiety for a targeted chemotherapy approach, we have functionalized ICF01012 by grafting different aliphatic groups at the 6-position of its quinoxaline nucleus [2]. The seven obtained derivatives were radiolabeled with iodine-125 and their melanoma affinity was evaluated by planar gamma scintigraphy and *ex vivo* biodistribution in melanoma-bearing mice. All developed compounds retained affinity to melanoma. Three compounds out of seven were characterized by both high specific melanoma uptake (up to 5.68 ± 1.16 %DI/g of tumoural tissues at 1 h p.i.) and rapid clearance from non-targeted organs. They presented long tumoural time retention (around 2.72 ± 1.76 %DI/g of tumoural tissues at 72 h p.i.) and very favorable biological half-life values for both imaging and cytotoxic approaches. In addition, the compound having the highest tumoural selectivity (tumour/muscle ratio = 20.74 at 3 h p.i.) was selected to serve as a building block for the design of new melanoma selective drug delivery systems [3].

Key words: melanoma, melanin-targeting ligand, radiolabeling, iodine-125.

References

[1] J.M. Chezal et al., J. Med. Chem., 2008, 51(11), 3133.
[2] R. EL Aissi, J. Liu, S. Besse, D. Canitrot, O. Chavignon, J.M. Chezal, E. Miot-Noireaut, E. Moreau, ACS Med. Chem. Lett., 2014, 5, 468.
[3] R. El Aissi, J.M. Chezal, S. Tarrit, O. Chavignon, E. Moreau. Eur. J. Med. Chem., 2015, 101, 668-680.

Efficient Access to Disilylated Olefins by Intramolecular Silapalladation of Alkynes

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Addition of an organobimetalloid species like Si-B or Si-Si derivatives onto alkynes provides a simple and efficient route for the preparation of stereodefined vicinally bismetallic alkenes, which may subsequently undergo synthetic transformations.[1] We have developed an original intramolecular silapalladation reaction of alkynes providing a simple access to a large set of disilylated olefins. Based on this process, a series of heterosubstituted alkynes was successfully submitted to the intramolecular sila-palladation of their triple bond.[2] We have shown that the addition occurs in a *syn*-fashion in the presence of palladium catalysts affording various heterocycles, with the selective transfer of the two silicon atoms.

After this cyclisation step, post-functionalization of the terminal silane was undergone. We have so developed an original oxa-Heck-type coupling of silanol compounds with various activated olefins by Rhodium catalysis. The reactivity of silanols could be diverged into an 1,4-addition depending on the experimental conditions.

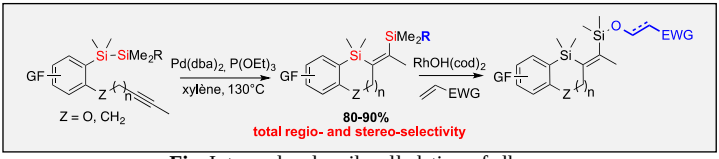

Fig. Intramolecular silapalladation of alkyne

Key words: Cyclisation - Disilane - Heterocycles - Palladium - Vinylsilane

References

[1] a) Sakurai, H.; Kamiyama, Y.; Nakadaira, Y. *J. Am. Chem. Soc*. **1975**, *97*, 931.
b) Pubill-Ulldemolins, C.; Bonet, A.; Bo, C.; Gulyás, H.; Fernández, E. *Org, Biomol, Chem.* **2010**, *8*, 2667.
c) Matsuda, T.; Ichioka, Y. *Org. Biomol. Chem*. **2012**, *10*, 3175.
d) Nagao, K.; Ohmiya, H.; Sawamura, M. *Org. Lett*, **2015**, *17*, 1304.
[2] Ahmad, M.; Gaumont, A.-G.; Durandetti, M.; Maddaluno, J. *Angew. Chem. Int. Ed.* **2017**, *56*, 2464.

Reactivity of methyl *N*-(3-cyano-8-methoxy-4*H*-[1]-benzopyran-2-yl) methanimidate under microwave with various amines and exploration of biological activities of their derivatives

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A four steps synthesis of new *N*-3 substituted 9-methoxy-4*H*-[1]-benzopyrano[2,3-*d*]pyrimidine-4(5*H*)-imine **2a-f** *via* methyl formimidate **1** as key intermediate and various primary amines will be described in this presentation. New formamidine derivatives **3a-d** were also synthesized from cyclic secondary amines and formimidate intermediate **1** under microwave irradiation. The impact on protein kinase[1] activity and antiproliferative activity with representative tumorals[2] cells lines for possible detection of biological properties were respectively evaluated. The attractive practical aspects of these protocols under microwave irradiation[3] include short reaction times and simple isolation by filtration of the desired products synthesized in good yields.

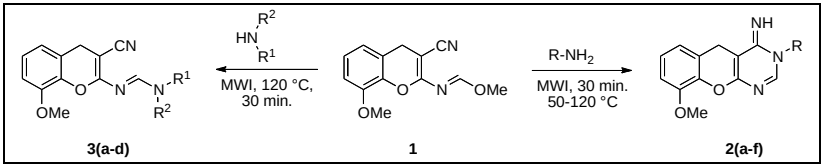

Fig.1: Synthesis of benzopyranopyrimidine-4-imine **2a-f** and formamidine derivatives **3a-f**.

Key words: benzopyranopyrimidine-4-imine, formamidine, microwave irradiation, kinase inhibition.

References

[1] Naert, G.; Ferré, V.; Meunier, J.; Keller, E.; Malmström, S.; Givalois, L.; Carreaux, F.; Bazureau, JP.; Maurice, M. *Eur. Neuropsychopharm.* **2015**, *25*, 2170
[2] Ambeu, N'ta C.; Dago, C-D.; Coulibaly, W-K.; Mamyrbekova-Bekro, J. A.; Bekro, Y-A.; Anoubilé, B.; Defontaine, A.; Baratte, B.; Bach, S.; Rucheau, S.; Ravache, M.; Le Guével, R.; Corlu, A.; Bazureau, J-P. *Med. Chem. Res.* **2016**, *25*, 2940.
[3] Bouattour, A.; Fakhfakh, M.; Abid, S.; Paquin, L.; Ammar, H.; Bazureau, J-P.; *Synthesis* **2017**, under press. DOI: 10.1055/s-0036-1588825.

Novel ruthenium (II)–N-heterocyclic carbene complexes: Synthesis, Characterization and Catalytic Application

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N-heterocyclic carbenes (NHC) have been one of the most widely used ligands in homogeneous transition metal catalysis of many transformations such as olefin metathesis, transfer hydrogenation, furan synthesis…[1]. As part of our ongoing investigation into novel functionalized NHC ligands as the supporting environment for metal complexes and their application in catalysis [2]. We herein report the synthesis of ruthenium (II) catalyst based on N-heterocyclic carbene ligands via the synthesis of silver-NHC complexes [3]. These complexes were obtained with good yields. Additionally we have examined these catalysts in transfer hydrogenation of acetophenone derivatives in the presence of ^{i}PrOH as a solvent (Figure 1).

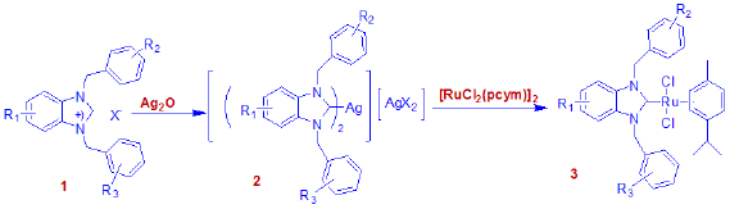

Figure 1. Synthesis of silver-NHC and ruthenium-NHC Complexes.

Key words: N-heterocyclic carbenes (NHC), silver-NHC, ruthenium-NHC Complexes, transfer hydrogenation.

References

[1] (a) W.A. Herrmann, T. Weskamp, V.P.W. Bohm, Adv. Organomet. Chem. 48 (2001) 1; (b) A.C. Hillier, G.A. Grasa, M.S. Viciu, H.M. Lee, C. Yang, S.P. Nolan, *J. Organomet. Chem.* **653** (2002) 69.

[2] L. Boubakri, S. Yaşar, V. Dorcet, T. Roisnel, C.Bruneau, N. Hamdi, İ. Ozdemir, New J. Chem., (2017), Advance Article. [3] I.özdemir, S.D.Düşünceli, N. Kaloğlu, M. Achard, C.Bruneau, *J. Organomet. Chem.* **799-800** (2015) 311-315.

ULTRASOUND-ASSISTED ANTI-BACTERIAL ACTIVITY OF SOME 1,2,3,6- TETRAHYDROPYRIMIDINE DERIVATIVES

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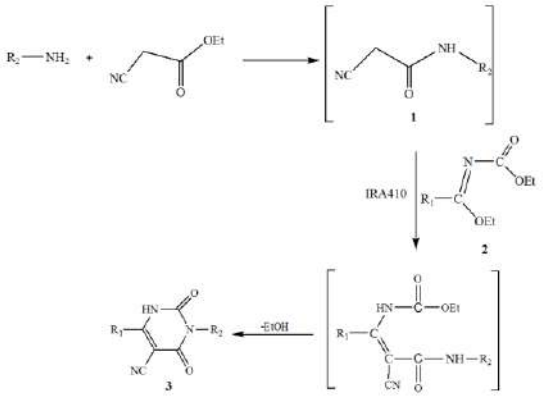
Recently, several methods have been reported for the facile and efficient synthesis of important polysubstituted tetrahydropyrimidine[1]. Any of these methods, however, suffer from longer reaction times, unsatisfactory yields, harsh reaction conditions and excessive use of reagents and catalysts. In this communication, we are aim to synthesis a series of 2,6-dioxo- 1,2,3,6-tetrahydropyrimidine-5-carbonitrile derivatives **3** by conventional and under ultrasonic irradiation via a MCR methods using heterogeneous catalyst conditions.



All the newly synthesized compound were characterized by spectroscopic techniques and evaluated for their in vitro antibacterial activity.

Keywords: Ultrasound irradiation, Heterogeneous catalyst, « One-pot » synthesis of 1,2,3,6-tetrahydropyrimidine-5-carbonitrile, antibacterial activity.

Reference

[1] Ennaji Najahi, Jan Sudor, Fakher Chabchoub, Françoise Nepveu, Fethi Zribi and Romain Duval, *Molecules*, **2010**, *15*, 8841-8855.

Synthesis and Photopysical Chracterization Of New Coumarinic Dihydropyridine

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Coumarin and its derivatives are biologically and pharmacologically active compounds with a wide range of properties as antitumor, antimicrobial, anti-HIV, anticoagulant, anti-inflammatory and antioxidant agents. In addition, 1,4-dihydropyridines a well known class of calcium channel antagonists, are most widely clinically used for more than decades.

Thus our main objective is to design novel heterocyclic compounds based on the molecular hybridization of coumarin and dihydropyridine witch would be combining of the distinct pharmacophores of individual active compounds.

Here we report a one-pot condensation of a new serie of dihyrdropyridnes with good overall yields using three different coumarinic aldehydes as starting materials. The first step is the preparation of the three aldehydes as starting material, by Knoevenagel Condensation. The second step, involved the transformation of these compounds to dihydropyridines via Hantzch reaction using different 1,3-diketones with ammonium acetate as a nitrogen sourse.

To push our research even more we tested the oxidation of some of the obtained molucles with coper II chloride ($CuCl_2$) in order to receive a pyridine more conjugated, wich seems promising to the photoresponse.

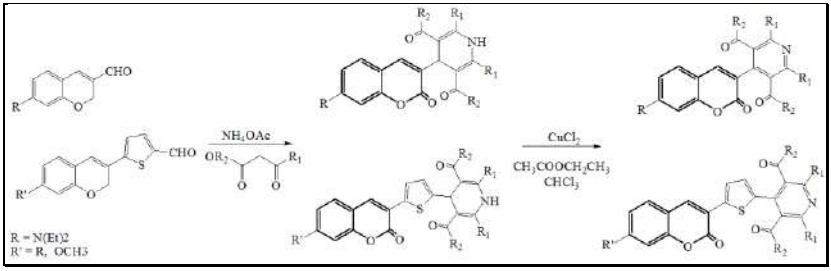

Fig: Synthesis and oxidation of 1,4-dihydropyridines

Key words: Dihydropyridines, Pyridines, Coumarines, Fluorescence

Access to tricyclic compounds from α-aminoacid hydrazides using green and eco-friendly procedures

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Tricyclic compounds, such as 1*H*-imidazo[2,1-*a*]isoindol-5(9b*H*)-ones, are widely distributed in nature and posses varied biological activities.[1,2] A green and eco-friendly one pot synthesis of new chiral 1-(phenylamino)-1*H*-imidazo[2,1-*a*]isoindole-2,5(3*H*,9b*H*)-dione derivatives has been described. As first approach, only the green dimethyl carbonate was used as solvent. In the other hand, the reaction was screened in sealed tube without use of solvent. These green approaches have afforded a series of new 1-(phenylamino)-1*H*-imidazo[2,1-*a*] isoindole-2,5(3*H*,9b*H*)-diones in high overall yields under simple and minimum steps.

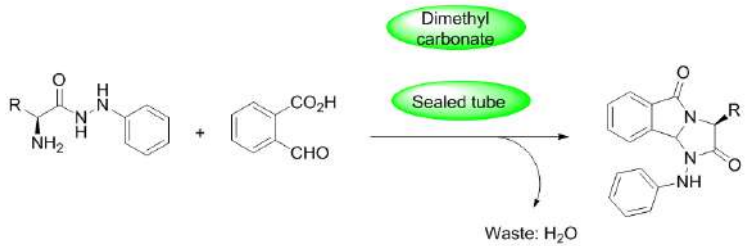

Fig. A green synthesis of 1-(phenylamino)-1*H*-imidazo[2,1-a]isoindole-2,5(3*H*,9b*H*)-diones

Key words: eco-friendly one pot synthesis, sealed tube.

References

[1] Geigy, J.R. Neth. Appl. 6,613,264, 1967; Chem. Abstr., 1967, 67, 82204q.
[2] (a) Graf, W. Swiss 481, 123, 1969; Chem. Abstr., 1970, 72, 100709t; (b) Graf, W. Swiss 481, 124, 1969; Chem. Abstr., 1970, 72, 100710m.

ORTHO-FUNCTIONALIZED CINNAMOYL DERIVATIVES – VALUABLE BUILDING BLOCKS FOR HETEROCYCLIC SYNTHESIS

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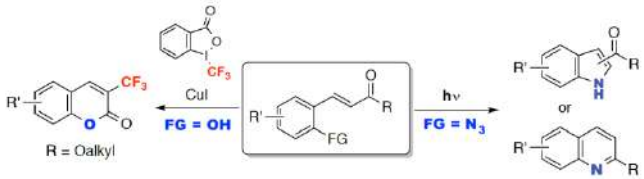
Oxygen- and nitrogen-containing heterocycles are ubiquitous in biologically-relevant compounds of both natural and synthetic origin.[1] Thus, the identification of building blocks allowing facile access to heterocyclic scaffolds is of high significance. In particular, cinnamoyl derivatives bearing an appropriate functional group (FG) at their *ortho*-position have been often reported as valuable building blocks (**Scheme**). Depending on the nature of FG and reaction conditions, cinnamoyl derivatives already proved fit for the construction of a large array of heterocyclic scaffolds, going from 5-membered ring systems (as benzofurane, indole, …)[2] to 6-membered ones (as coumarine, quinolin(one), …)[3].
Herein, we wish to report our recent results concerning the exploitation of two cinnamoyl-based scaffolds, *ie*. *ortho*-hydroxy and *ortho*-azido derivatives (FG = OH, N3 respectively), for the synthesis of 3-trifluoromethylated coumarines, indoles and quinolones (**Scheme**). Interestingly, 3-trifluoromethylated coumarines were readily formed using Togni's hypervalent iodine-based reagent[4] as trifluoromethylating and copper iodide as initiator,[5] whereas indoles and quinolones proved to be selectively formed using a solvent-dependent photochemical process[6].



References

[1] *The Chemistry of Heterocycles*, Eicher T, Hauptmann S & Speicher A, Eds.; WILEY-VCH : Weinheim, **2012** – *Heterocyclic Chemistry*, Joule JA & Mills K, Eds.; WILEY-VCH: Chichester, **2010**
[2] For illustrative examples, see: Ramana CV *et al. Chem. Commun.* **2014**, *50*, 7790 - Li H-S *et al. J. Org. Chem.* **2014**, *79*, 509
[3] For illustrative examples, see: Gupta S *et al. RSC Adv.* **2014**, *4*, 41148 – Jullien L *et al. J. Am. Chem. Soc.* **2007**, *129*, 9986
[4] For a recent review dealing with the exceptional potential of this reagent, see: Togni A *et al. Chem. Rev.* **2015**, *115*, 650
[5] Chaabouni S, Chassaing S *et al. Eur. J. Org. Chem.* **2017**, 271 *(Very Important Paper)*
[6] Chaabouni S, Chassaing S *et al. Synlett, accepted manuscript*

MICROWAVE IRRADIATION: NOVEL AND FACILE METHODS FOR THE SYNTHESIS OF NEW THIAZOLIDIN-4-ONES DERIVATIVES

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The work presented here will focus on the synthesis of a new series of 2-[aryl-*H*-benzo chromen-3-ylimino]-thiazolidin-4-ones derivatives using aminoethoxycarbonyles benzochromenes **1** and **2**. The thiazolidin-4-ones derivatives were prepared in four steps with microwave irradiation. The procedure is easy, rapidly performed and affords these compounds in very good yield.

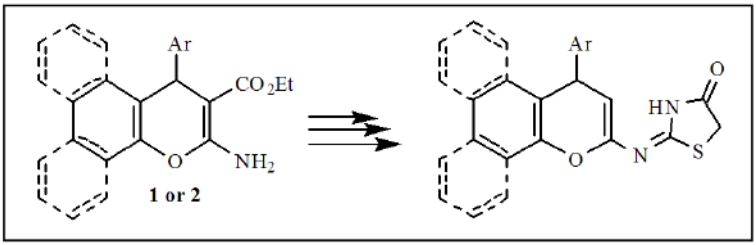

Fig. General synthetic route of thiazolidin-4-ones derivatives

Key words: Microwave irradiation; aminoethoxycarbonyle benzochromenes; thiazolidin-4-ones

Renforced the bioploymer(PLA) with a natural dye extracted from *Acacia cyanophylla*

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[b)] *LASEM, National School Engineers Sfax, P.B 3083 Tunisia (b)*
[c)] *GRESPI, Engineering School Reims, P.B 51100 France (c)*

The plastics industry has grown remarkably in recent years, especially plastic for packaging [1]. But the major drawback is the environmental problem due to the use of non-biodegradable polymers on the one hand and the use of synthetic dyes on the other hand. In fact, synthetic dyes in the plastic material causes any dangerous in the human health, the flora and the wildlife [2]. In this context it focuses our work. A solution for dealing with the problems of pollution caused by plastics colored by synthetic dyes is the use of bio-polymers as well as natural dyes. The PLA 5200D is the bio-polymer used in this work and that meets our needs; In fact its amorphous appearance facilitates the incorporation of natural dye extracted from *Acacia cyanophylla*. Structural and thermal analyzes were studied to determine the effect of the dye on the polymer. The results show that the dye is well dispersed in the macromolecular chains of the PLA.

Key words: Poly Lactic Acid (PLA), Casting, dye.

References

[1] http://www.plasticseurope.org
[2] Emrah, A.E., Ali, U., Halil, K., 2007. Decolorization of synthetic dyes by white rot fungi,involving laccase enzyme in the process. Process Biochem. 42, 1429–1435

The Synthesis Of N-Acylhydrazone-Coumarines As Antitubercolusis Agents

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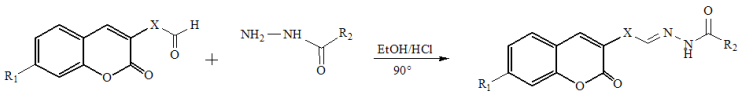
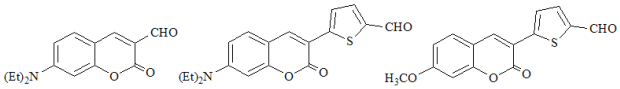
The coumarin compounds are particularly attractive because on one hand they can be applied in different sectors such as Medicinal chemistry, the elaboration of optoelectronics devices, light emmeting diodes and the production of laser, on the other hand they are useful as sabstratum in heterocyclic synthesis in order to gain access to more elaborated polycyclic structures.
We are interested in the reactivity of three coumarinic aldehydes which we have described the synthesis and Characterization, with different reagents type hydrazides as N-nucleophile, according to the reaction of Knovenagel to yield to substituted coumarins.
We used a Synthesizer (Chemspeed Accelerator SLT-106) to perform up to eight reactions simultaneously which is preferable in terms of cost, flexibility and time.
In the present work, we tested the antituberculosis in vitro activity of these compounds



C1, C2, C3

$R_1 = N(Et)_2$, OCH_3



C1 C2 C3

The ease of synthesis makes this family of compounds interesting for use as bioactive compounds.

Key words: coumarines, fluorescence, anti-tuberculosis, Hydrazides, Synthesizer

References

[1] Dharmarajan.S,* Perumal.Y, Kasinathan. M, Bio & Med Chem Let.15 (2005), 4502–4505.
[2] Paola. H, Rosario. R, Robert. H, Eur J Med Chem.59, (2013), 64-74.
[3] Filomena.M, Susana.S, Cristina.V, Eur J Med Chem.81, (2014),119-138.

Polyamide-Imides Furano-Pyridinique : Synthesis, Characterization, Hydrolytic and Oxidative Degradation

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[a]*Chemistry laboratory Applied, Faculty of Science of Sfax, University of Sfax, Tunisia*

Aromatic polyamides and polyimides are well accepted stable matérials. Polyamide-imide (PAI), one of classes of copolyimides, which are well known for thier high thermal stability, reveal that rigid crystalline structures. These materials are widely used in many applications suchas aerospace engineering, microelectronics, coatings, membranes, nanocomposite, etc.[1-2] In the present work, we present the synthesis of novel polyimides containing furan moieties were prepared from the resulting furanic dihydrazides, monomers and pyridine dihydrazides monomers with various aromatic dianhydrides including 3,3',4,4'-benzophénone tetracarboxylic dianhydride. The resulting polyamide-imides were characterized by solubility tests, viscosity measurements, FTIR, 1H NMR spectroscopy, differential scanning calorimetric (DSC), and thermogravimetric analysis (TGA) analysis.

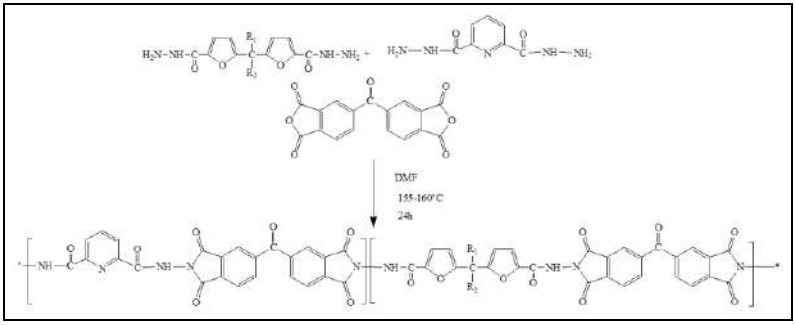

Fig. synthesies of polyamide-imides PAIP

Key words: Bio-based Monomers, Polyimides, Polycondensation

References

[1] L. Maktouf, I. Ghorbel, A. Afli, S.Abid, A. Gandini (2010)Polym. Bull. 67 :1111
[2] D.Liaw, P. Hsu, W. Chen, S.Lin (2002) Macromolecules.35, 4669-4676

Hydrogen peroxide/dimethyl carbonate: a green system for epoxidation of *N*-alkylimines and *N*-sulfonylimines. One-pot synthesis of *N*-alkyloxaziridines from *N*-alkylamines and (hetero)aromatic aldehydes

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Oxaziridines exihibit high reactivity, which is linked to the nature of their *N*-substituent. *N*-sulfonyloxaziridines and *N*-alkyloxaziridines are particularly of interest due to their role in oxygen transfer and cycloaddition reactions, respectively. In this work, an eco-friendly one-pot synthesis of *N*-alkyloxaziridines from the corresponding *N*-alkylamines and (hetero)aromatic aldehydes is reported for the first time. An environmentally benign oxidant system, the H_2O_2/dimethylcarbonate (DMC), is used. DMC is simultaneously a solvent and reagent in the presence of an aqueous solution of hydrogen peroxide (30%). Monoperoxy carbonic acid methyl ester, generated *in situ* by perhydrolysis of DMC, is the active oxidant, which decomposes, after epoxidation of the imine intermediate, to carbon dioxide and methanol (figure 1). The method has been expanded to the preparation of *N*-sulfonyloxaziridines from the corresponding *N*-sulfonylimines. In this case, the reaction was carried out in basic medium under catalysis with 5 mol % of $Zn(OAc)_2,2H_2O$ (figure 2). All oxaziridines were obtained in high yields and high purity. This procedure appears to be better than the existing methods on cost, ease of manipulation and greenness, and will provide a novel approach to an environmentally benign process for the preparation of oxaziridines.

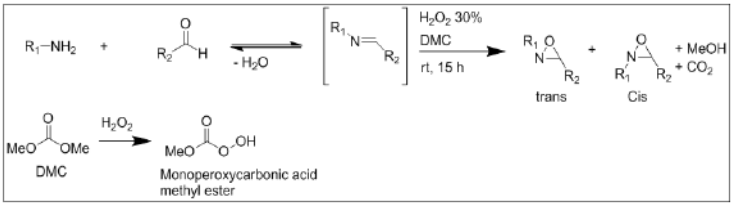

Fig 1: One-pot synthesis of *N*-alkyloxaziridines

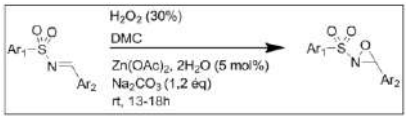

Fig 2: Synthesis of *N*-sulfonyloxaziridines

Keywords: *N*-alkyloxaziridines, *N*-sulfonyloxaziridines, green chemistry, dimethylcarbonate, Hydrogen peroxide

A convenient synthesis of new functionalized thioether compounds

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The wide panel of pharmaceutical and biological activities displayed by alkylsulfides focused the interest of the scientific community [1, 2]. The conjugated addition of thiols onto Michael's acceptors [3] is a key reaction leading to organo-sulfur compounds and derivatives. In this communication, we describe an efficient synthesis of new thioether compounds through the thia-Michael protocol under mild condition. The reaction of thiols and dithiols with β-carbonylethoxyallylic sulfones **1** and sulfides **2**, lead to the formation of a new class of di- and tetra-thioethers derivatives. The methodology could be extended to the synthesis of new cyclic thioethers in high yields.

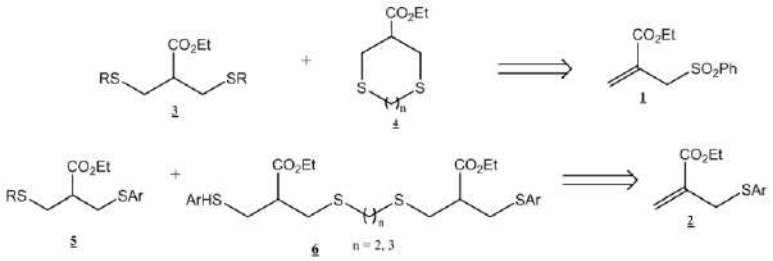

Fig. Synthesis of thioether compounds

Key words: Alkylsulfides, Thiols, Michael-acceptor.

References

[1] Colle, D.; Santos, D. B.; Hartwig, J. M.; Godoi, M. Braga, A. L.; Farina, M. *Mitochondrion* **2013**, *13*, 125.
[2] Reedijk J. *J. Inorg Biochem*. **2012**, *115*, 182.
[3] C. C. Silveira, S. R. Mendes, F. M. Líbero, *Synlett*, **2010**, *5*, 790.

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9 September 2017

Synthesis and characterization of new benzo[*c*]phenanthrene derivatives

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University of Monastir, Laboratory of Organic Asymmetric Synthesis and Homogenous Catlatysis (UR11ES56), Faculty of Sciences, Avenue of Environment, 5019 Monastir, Tunisia
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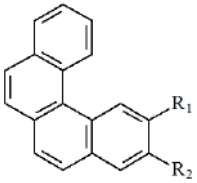
Polycyclic aromatic hydrocarbons (PAHs) have received considerable attention due to their specific structures and physical properties[1]. Chiral PAHs have demonstrated interesting photophysical and chirooptical properties[2] and have been widely used as organic light-emitting diodes[3] and organic photovoltaics[4]. Benzo[*c*]phenanthrene is the smallest PAH, containing a highly hindered fjord region, that could be used as a key building block for numerous chiral PAHs.

In this work, we describe a convenient procedure for the synthesis of new benzo[*c*]phenanthrene derivatives, bearing reactive functions, such as **(I)**. The optical properties of these tetracyclic moieties have been evaluated.



(I)

Key words: PAHs, Photolysis, physical properties.

References

[1] Harvey, R. G. Polycyclic Aromatic Hydrocarbons; Chemistry and Carcinogenicity Cambridge University Press: Cambridge, UK **1991** Chapter.
[2] Zhang, X.; Clennan, L, E.; Petek, T.; Weber, J. *Tetrahedron*. **2017**, *73*, 508-518.
[3] (a) B.-B. Jang, S. H. Lee and Z. H. Kafafi, *Chem. Mater*. **2006**, *18*, 449-457; (b) C. Zhou, X. Chen, P. Lu, Y. Wang, Tetrahedron. **2012**, *68*, 2844-2850.
[4] Y. Shao, S. Sista, C. W. Chu, D. Sievers and Y. Yang, Appl. *Phys. Lett.* **2007**, *90*, 10350.

COPPER N-HETEROCYCLIC CARBENE COMPLEX CATALYZED CLICK REACTION FOR THE SYNTHESIS OF TRIAZOLES

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Since the first Cu-NHC complex was discovered in 1993 by Arduengo and co-workers,[1] Cu-NHCs have been attracting continuous attention and a number of copper-NHC complexes have been synthesized so far.[2] The structural diversity, of modification as well as the low cost of Cu-NHCs offer excellent opportunities for their versatile applications[3]. The use of Cu-NHCs such as [(NHC)CuX] type complexes or their derivatives has proven successful in homogeneous catalysis. In this context we reported in this work, firstly, the synthesis of a new series of copper N-heterocyclic carbene complexes from different benzimidazolium salts using copper(I)oxide in water and under air. Then we are interested on studying the catalytic application of these complexes in click reaction for synthesis of triazoles. **Figure 1**

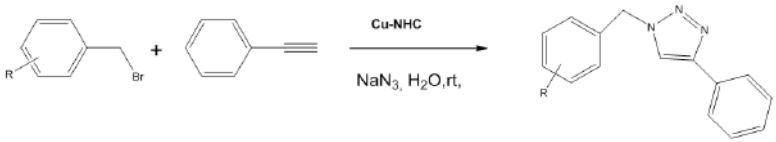

Figure 1: Cu-NHC catalyzed Huisgen Dipolar Cycloaddition of azides and alkynes

Key words: Cu-NHC carbene complexes, homogeneous catalysis, cycloaddition, click reaction.

References

[1] A. J. Arduengo III, H. V. R. Dias, J. C. Calabrese and F. Davidson, Organometallics, 1993, 12, 3405.
[2] J. C. Y. Lin, R. T. W. Huang, C. S. Lee, A. Bhattacharyya, W. S. Hwang and İ. J. B. Lin, Chem. Rev., 2009, 109, 3561
[3] J. D. Egbert, C. S. J. Cazin and S. P. Nolan, catal. Sci. Technol., 2013, 3, 912.

Stereoselective Cyclopropanation to Homoquinones from Phenacyl carbenes obtained through Quinone-Electrogenerated bases

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Mohamed Lamine Benkhoud[a] and Belen Batanero[b]

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A series of new (*E*) and (*Z*)-benzoyl-homoquinones have been prepared in good yields by the parent quinone-electrogenerated base (EGB) in the presence of α-bromoacetophenones or α-bromopropiophenone. The EGB, obtained when electrolysis of *p*-benzoquinone, or 1,4-naphthoquinone, is carried out at the reduction potential of their first voltammetric peak, conducted to electrogenerated phenacyl carbenes after halide evolution on the first obtained bromo-enolates. The stereoselectivity of the [2+2]cycloaddition of the carbene to the quinoid substrate is highly dependent on the electrode nature. Reaction mechanism proposal is discussed.

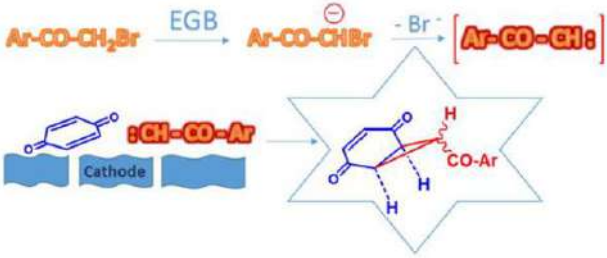

Fig. Evolution of brominated phenacyl enolate to phenacyl carbene and further formation of homoquinones

Key words: Homoquinones, Stereoselective electrosynthesis, Phenacylcarbenes, Electrogenerated bases (EGB).

Acetylation of nucleophiles catalyzed with iron salts under solvent free conditions

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Nowadays, green chemistry is widely recognized as a key strategy that can facilitate the sustainable development of the organic synthesis [1]. Herein, we describe an eco-friendly acetylation of alcohols, phenols, thiols, amines and carbohydrates, using acetic anhydride and a catalytic amount of the environmentally iron salts. Also, we developed a new environmentally friendly strategy for the acylation of Friedel-Crafts under mild and respectful conditions. The iron salts appears to be highly efficient, under solvent-free conditions and promoted rapid and quantitative preparations that meet the principles of green chemistry [2].The scope of our work was to provide acetylated products in high yields and with high purity, under simple and minimum manipulation.

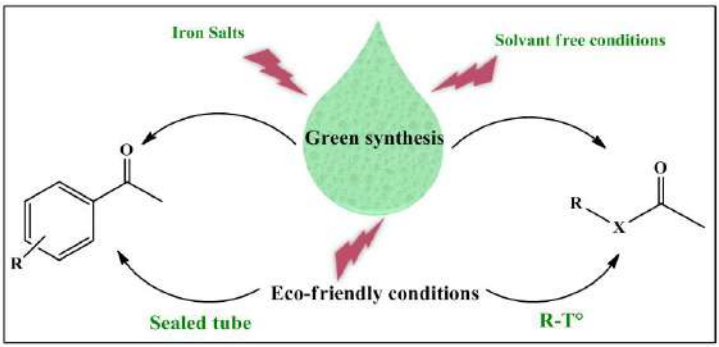

Fig. 1

Key words: green chemistry, acetylation, acylation Friedel-Craft, iron salts solvent free conditions, high purity.

References

[1] R. Noyori, M. Aoki and K. Sato, Chem.Commun., 2003, 1977.

[2] Handbook of Green Chemistry, Vol. 1, Green Catalysis, Homogeneous Catalysis, P. T. Anastas and R. H. Crabtree Eds, Wiley-VCH, 2013.

CATIONIC NANOFIBRILS CELLULOSE SUPPORTED PALLADIUM (0) NANOCOMPOSITE AND ITS CATALYSIS EVALUATION IN SUZUKI REACTION

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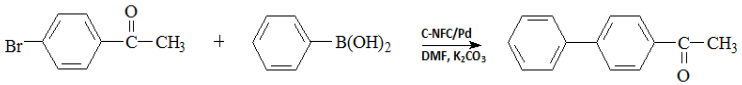
The most effective reactions for constructing aryl-aryl linkages in organic synthesis are the palladium-catalyzed cross-coupling. However, palladium nanoparticle (Pd NPs) are generally unstable due to their large active surface areas result in self-aggregation, which lead the catalytic activity and the product selectivity sometimes. Generally, the catalyst nanoparticles can be covered by solid matrix or surface modified by polymers [1]. Natural polymers are the most interesting for catalyst supports. Since using catalysis covered with nontoxic and biodegradable medium is a stimulus and promising for establishing greener cross-coupling reactions

In this context, two different catalysts: Cationic and anionic nanofibrils cellulose supported palladium (0) nanocomposites (C-NFC/PdNPs and A-NFC/PdNPs) based on immobilization of palladium nanoparticles were prepared. Among two catalysts tested, C-NFC/PdNPs showed superior catalytic activity. The prepared catalyst was characterized by TEM, HR-TEM, XRD, FT-IR and TGA. This heterogeneous catalyst shows a high activity for Suzuki coupling reactions under remarkably mild conditions. This heterogeneous catalyst shows a high activity for Suzuki coupling reactions under remarkably mild conditions. Furthermore, the prepared catalyst is recovered and could be reused for five cycles of reactions without significant loss of its catalytic activity and loaded palladium nanoparticles.



Keywords: nanocellulose; polymer nanocomposite; Heterogeneous catalyst; Suzuki reaction

References

[1] L. Geng, Y. Li, Z. Qi, H. Fan, Z. Zhou, R. Chen, Y. Wang, J. Huang, Highly efficient palladium catalysts supported on nitrogen contained polymers for Suzuki-Miyaura reaction, Catalysis Communications, 82 (2016) 24-28

Synthesis of new isoxazoline derivatives through 1,3-Dipolar cycloaddition of nitrile oxides and dialkyl (allyloxymethyl)phosphonates

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Isoxazolines derivatives were extensively studied for a wide range of applications and properties[1]. The construction of new functionalized isoxazolines continues to receive widespread attention of the scientific community and the popular 1,3-dipolar cycloaddition[2] rapidly emerged as a powerful tool that led to appealing synthetic advances in this area. In this context, we described a short sequence towards the preparation of new family of oxymethylphosphonated isoxazolines **3**, by the addition between nitrile oxydes **1** and allyloxymethylphosphonates **2**.

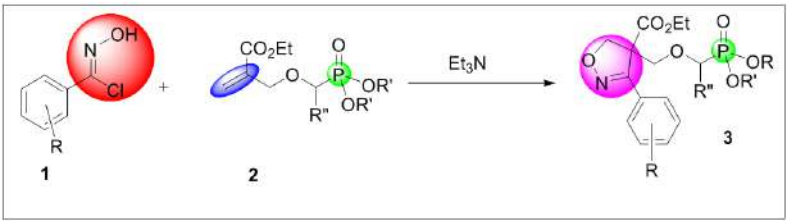

Figure : 1,3-Dipolar cycloaddition between nitrile oxyde and dialkyl (allyloxymethyl)phosphonates.

Key words: 1,3-Dipolar cycloaddition, Isoxazolines, Nitrile oxide.

References :

[1] Han, L.; Zhang. B.; Xiang. C.; Yan. J.; *Synthesis* **2014**, *46*, 503.

[2] Castellano, S.; Kuck, D.; Viviano, M.; Yoo, J.; Lopez-Vallejo, F.; Conti, P.; Tamborini, L.; Pinto, A.; Medina-Franco, J. L.; Sbardella, G.; *J. Med. Chem.* **2011**, *54*, 7663.

Development of New Beta-Sheet Breaker for the Treatment of Alzheimer's Disease.

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Alzheimer's disease (AD) is the most common cause of late-life dementia and a leading cause of death in the developed world. AD is a progressive and devastating neurodegenerative disorder characterized by memory loss and a variety of cognitive disabilities. This disease is a major social and health care problema for which there is no cure or effective treatment. Amyloid Aβ-42, peptide involved, following a conformational change in β-sheet form (figure 1), in Alzheimer's disease. This peptide was targeted in our work. The synthesis of two inhibitors, linked to a specific recognition sequence synthesized during this work (Tryp-Val-ValCOOH), one linked to two disrupting groups, the first one being an aziridine cycle and the other is a methylated amino-β-CD in order to be able to stop the aggregation of the peptide involved. In addition, this work is part of the study of the inclusion complex of the tripeptide (Tryp-Val-Val-COOH) molecules invited with the native β-cyclodextrin which was carried out using IR spectroscopy.

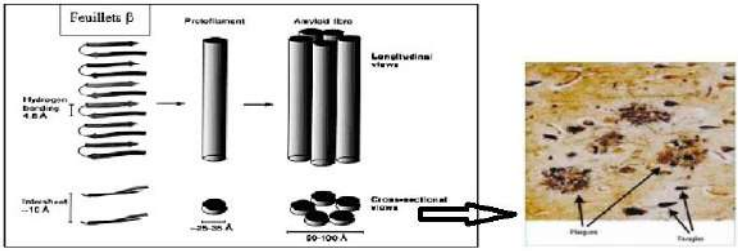

Figure 1 : Fibril formation from aggregation of amyloid β and cerebral cortex of Alzheimer disease[1].

Keywords : Alzheimer, Amyloid Aβ-42, Cyclodextrin, encapsulation.

[1] Blennow, K.; de Leon, M. J.; Zetterberg, H. Alzheimer's disease. *Lancet*, **2006**, *368*, 387-403.

A convenient synthesis of phosphonoamidates and phosphonoamidines functions

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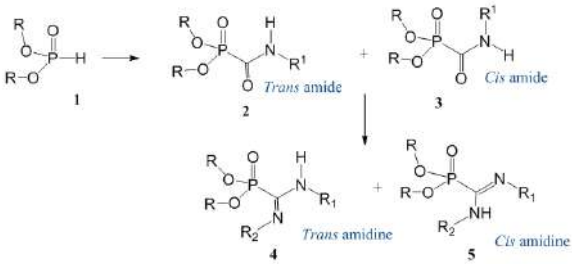
Beforehand, we synthesized phosphonothioamidates series by reaction of dialkyl phosphites and isothiocyanates with high yields [1]. Thinking about this condition reaction is efficient and a useful method to prepare another product. We now report the synthesis of a new series of phosphonoamidates **2, 3**. The compounds **2, 3** were obtained in high yields in *Cis* and *Trans* conformation [2].
Recently work in our laboratory has shown that various phosphoamidines series *via* phophonothioamidates with primary amines [3]. This method has the advantages of high yields, simple methodology, short time and easy work-up. Our study deals the synthesis of a series of phosphonoamidines derivatives **4, 5** but using another reagent "phosphonoamidates **2, 3**" [2].
All compounds were established by NMR Spectroscopy (^{1}H, ^{13}C, and ^{31}P) and in some cases by elemental analysis and calculations using Density Functional Theory (DFT)-B3LYP//6-311G** and evolution study by ^{31}P-NMR in an external lock with D_2O.



Key words: Phosphonoamidates, Phosphonoamidines, DFT, Evolution study

References

[1] Omrani, R.; Ben Amor, F.; Bahri, M.; Efrit, M.L., Ben Akacha, A.; *Phosphorus, Sulfur and Silicon and the Related*, **2015**, 190, 10, 1715.
[2] Omrani, R.; Hmani, H.; Bahri, M.; Efrit, M.L., Ben Akacha, A.; *article being prepared*.
[3] Omrani, R.; Efrit, M.L., Ben Akacha, A.; *Phosphorus, Sulfur and Silicon and the Related*, **2015**, 190, 2291.

The synthetic potential of the three, four and five-membered ring Aza-heterocycles

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Aza-heterocycles are one of the most important class of heterocyclic compounds that have attracted considerable attention because they are found in many naturally occurring or synthetically important organic molecules. They exhibit interesting biological and pharmacological properties. In particular, 1,3-imidazolidin-2-ones, azetidin-2-ones, 1,3-oxazolidin-ones and their derivatives play an important role in medicinal chemistry, owing to their wide application in various therapeutic areas.[1]

As a part of our current studies in the reactivity of aziridine-2-carboxylates[2], and aminoalcohols[2] we report herein a highly stereocontrolled conversion of the aziridine-2-carboxylates and their derivatives into a novel class of five and four-membered ring. The structure of all these compounds were confirmed by ^{1}H-NMR and by ^{13}C-NMR.

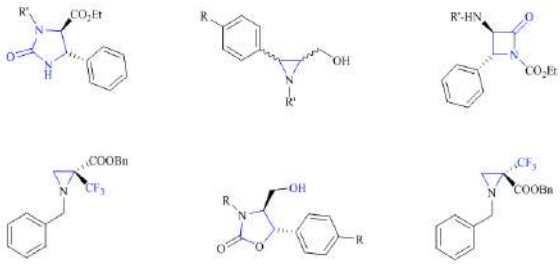

Fig. synthesis of new five and four-membered ring heterocyclic systems

Keywords: Fluorinated amino acids, aminoalcohols, aziridine-2-carboxylates, 1,3-oxazolidinones, 1,3-imidazolidin-2-ones, lactams.

1 (a) D'hooghe, M.; Dekeukeleire, S.; Mollet, K.; Lategan, C.; Smith, P. J.; Chibale, K.; De Kimpe, N. *J. Med. Chem*. **2009**, (b) Brichacek, M.; Lee, D.; Njardarson, J. T. *Org. Lett.* **2008**, 10, 5023.

2 (a) Tabarki, M. A.; Besbes, R. *Tetrahedron* **2014**, 70, 1060-1064. (b) Kaabi, A.; Besbes, R. *Synth. Communication.* **2014**.

Preparation and characterization of an organic-inorganic molecular imprinted polymer for efficient recognition of melamine

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[2]*Université Tunis El Manar, Faculté des Sciences de Tunis, Campus Universitaire Farhat Hached, 1068-Tunis, TUNISIE.*
[3]*Department of Environment, National Institute of Agricultural and Food Research and Technology, Madrid, Spain.*
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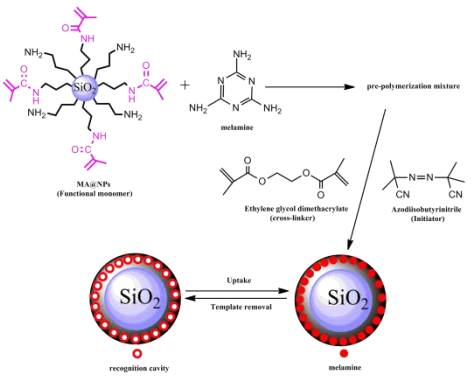
Due to its high thermal stability and good mechanical strength, silica gel has been implicated in the development of a novel molecularly imprinted polymer (MIP) for the separation of melamine. The molecularly imprinted polymer was synthesized by a combination of surface molecular imprinting technique and bulk polymerization. First, aminopropyl(diethoxy) methoxysilane was grafted onto silica surface and then metacryloyl chloride was added to generate vinyl groups and to serve as a functional monomer subsequently, melamine was used as a molecule model (template) during the polymerization process, ethylene glycol dimethacrylate (EGDMA) as cross-linking agent and AIBN as an initiator for radical polymerization. A non imprinted polymer was prepared under the same conditions as the MIP without addition of melamine for a comparison. The materials prepared were characterized by IR (FT-IR), elemental analysis and ATG at different steps of preparation.



Keywords: Molecular Imprinting, melamine, silica

X-ray induced degradation of surface bound azido groups during XPS analysis

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c) Université Paris Est, ICMPE (UMR 7182), CNRS, UPEC, 2-8 rue Henri Dunant, 94320 Thiais, France.

Mesoporous silica SBA-15 was synthesized and silanized with azidopropyl triethoxysilane in order to design a clickable material. FTIR analysis permitted to prove the attachment of the azidopropylene groups to SBA-15 resulting in the reactive and functional material N3-SBA-15. XPS was used to determine the surface composition of SBA-15. However, we unexpectedly found that the surface bound azido groups undergo X-ray induced decomposition during the XPS analysis resulting in the formation of nitrenes [1,2].
These are very reactive groups able to intercalate C-C and C-H bonds of the propylene chains as judged from the N1s peak shape. Possible mechanisms of intercalation are suggested. C1s and N1s peaks were recorded at different exposure time. N/C, N+/N and N+/C undergo exponential decay. N+/N reaches the value of zero in less than 80 min of exposure to the X-ray source. The N+/C decay plot was fitted with first-order kinetics and the decomposition kinetic constant (k_{dec}) was found to equal to 516.4 s^{-1}.

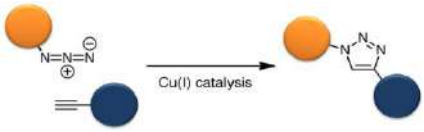

Fig. 1,3-cycloaddition reaction between azido- and propargyl-functionalized compounds or materials

Key words: X-ray induced degradation, kinetics, click chemistry, propylazide group

[1] M. M. Chehimi, J. Pinson, Z. Salmi in *Applied Surface Chemistry of Nanomaterials*, M. M. Chehimi and J. Pinson (Eds.), Nova Science Publishers, New York, 2013, Chap. 4, pp. 95-143.
[2] S. Bräse, C. Gil, K. Knepper, V. Zimmermann, Angew. Chem. Int. Ed. 2005, 44, 5188.

CAL-B Catalyzed Transesterification as Efficient Route to Some *(R)*- Arylalkylcarbinols.

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Ecocompatible Asymmetric Catalysis Laboratory.(LCAE)
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The use of Lipases as powerful catalysts contributes to the development of greener processes for the production of enantioenriched intermediates for organic synthesis. The enzymatic kinetic resolution trough transesterification (Alcoholysis / Acetylation) is the most practical methods for the efficient production of enantiomerically enriched building blocks [1].

For the enzymatic deacetylation of benzylic acetates, we have described previously, two efficient methods: The first one was performed in biphasic media (path A), and the last one in non aqueous media (path B), and we have that the last one, is the most effective in term of reactivity and enantioselectivity. In the continuity of our investigation, we have studied another method to cleave the acetyl moiety of some benzylic acetate through alcoholysis in non-aqueous media catalyzed by *CAL-B* (path C).

Herein we describe the results of a recent study based on the influence of the nature of the acetyl acceptor on the outcome of the *CAL-B* deacetylation via alcoholysis of some acetate derivatives. In all cases, the (R)-recovered alcohols were obtained at high optical purities at 50% of conversion and that depending on the hydrophobicity of the organic media. [2]

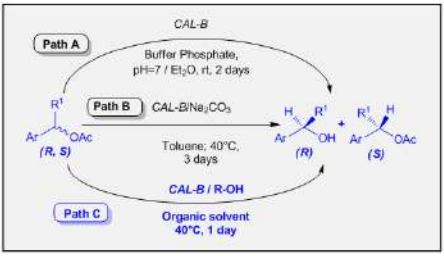

Fig. Several routes of the studied enzymatic deacetylation of benzylic acetates..

Key words: Enzymatic kinetic resolution, transesterification, Deacylation, *CAL-B*, arylalkylcarbinols.

References

[1] Faber, K. " Biotransformations in Organic Chemistry", 6th ed.; Springer-Verlag: Berlin Heidelberg, **2011**.

[2] (a) Merabet-Khelassi. M.; Bouzemi, N.; Fiaud, J._C.; Riant. O; Aribi-Zouioueche., *L. C. R. Chimie*. **2011**, 14, 978–986. (b) Merabet-Khelassi. M.; Aribi-Zouioueche. L.; Riant. O., *Tetrahedron: Asymmetry*, **2009**, 20, 1371-2377. (c) A. Zaïdi, M. Merabet-Khelassi, L. Aribi-Zouioueche, *Catal Lett*., **2015**, 145, 1054–1061.

Efficient synthesis of a new class of 3-(aryl sulfonyl hydrazone) Coumarins

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[b]*Laboratory of Animal Ecophysiology, Faculty of Sciences of Sfax Tunisia;*
[c]*Research Unit of BMG, Faculty of Sciences of Gafsa, Tunisia*

The coumarin derivatives are known for their various applications in the biological and photophysical sectors (1). On the other hand, the polycyclic compounds, containing in their structure sulfonyl hydrazonyl motif showed a great interest during the last years especially in medicinal chemistry for the design of bioactive molecules (2). Considering of all these benefits and in continuation of our interest on the synthesis of a novel heterocyclic compounds, we propose in the present work the synthesis of new 3-(aryl sulfonyl hydrazone) coumarins wich would be combining of the distinct pharmacophores of two different biologically active compounds. In order to access these products we have realized: (i) the condensation of various salicylic aldehydes (**1-3**) methylacetoacetate (**a**) by knovenagel reaction, (ii) the preparation of 3-(aryl sulfonyl hydrazone) coumarins (**1c-6c**) per action of sulfonohydrazide (**b-b'**) on the 3-acetylcoumarins thus obtained (**1a-3a**). All the structures obtained are identified and characterized by the basis spectroscopic techniques ^{1}H NMR, ^{13}C NMR, IRTF and microanalysis. These compounds were evaluated *in vitro* for their preliminary antidiabetic properties via the inhibition of α-amylase enzyme activity as compared to a referent synthetic drug named acarbose.

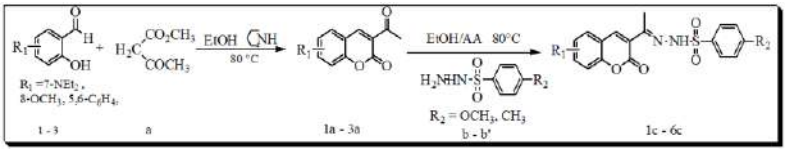

Fig. Synthesis of 3-(aryl sulfonyl hydrazone) coumarins.

Key words: knovenagel condensation, coumarin, sulfonohydrazone, antidiabetic

References

[1] Salwa H, Adel B S, Anis B H, Najla C, Mohamed T, Maxime M, Mohamed K, *Mediterranean Journal of Chemistry*, **2012**. 1, 5: 246.

Green synthesis of *N*-alkylbenzamides *via* the rearrangement of *N*-alkyloxaziridines in water and in the presence of iron (III) sulfate as the catalyst

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We have identified inexpensive and eco-friendly benign $Fe_2(SO_4)_3{\cdot}5H_2O$ as a catalyst for the atom economical rearrangement of 2-alkyl-3-aryloxaziridines to the corresponding N-alkylbenzamides in water as the solvent and in the presence of SDS as the surfactant. The overall approach, starting from N-alkylamines and benzaldehydes, and involving oxaziridines as intermediates[1], appears to be greener and more cost effective than its analogues described in the literature[2]. The aspects of greenness, high yields and tolerance of a wide range of N-alkylamines and aromatic aldehydes make this methodology an easy and practical alternative for the synthesis of N-alkylbenzamides.

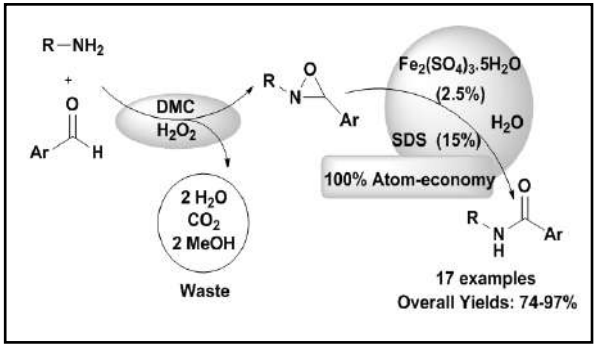

Fig. Atom economical synthesis of *N*-alkylbenzamides.

Key words: Green chemistry, *N*-alkyloxaziridines, *N*-alkylbenzamides, rearrangement, iron(III) sulfate.

References

[1] J. Kraiem, D. Ghedira, T. Ollevier, *Green Chem*.,2016, *18*, 4859-4864.
[2] J. Kraiem, T. Ollevier, *Green Chem*., 2017, *19*, 1263-1267, and references cited in.

RHENIUM(I) COMPLEXES OF BISTRIAZOLE-BASED LIGANDS: SYNTHESIS AND INTRACELLULAR DETECTION

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A tricarbonyl rhenium (I) complex having an aromatic diimine ligand, $[Re(CO)_3XL]$ (L = diimine and X = halogen, phosphine, or imine) has been studied extensively [1–3], since the complexes are expected to apply to electroluminescence devices [4], emissive supramolecules [5], and photocatalysts for CO_2 reduction [6] and so forth [7]. In this work, we describe the synthesis of rehnium complexes $[Re(CO)_3Cl(L)]$ by click chemistry to obtain bistriazoles (diimine) ligands from bis(thiocarbamates) and dicarbamates previously synthesized [8,9] (Figure 1). These complexes, which are IR active and fluorescent too, exhibit internalization and stability in a cellular environment, giving them an unambiguous signature in the cellular environment [10]. Their cells (HaCat) penetration was detected using IR spectroscopy (AFM-IR) to try to take up the challenge of locating a compound, endogenous or not, in a cell.

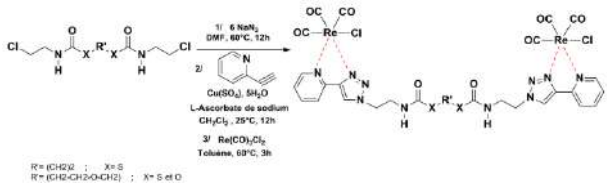

Fig 1. Rhenium complexes synthesis.

Keywords: bis(thiocarbamates), dicarbamates, click chemistry, rhenium complexes, AFM-IR

References

[1] M. Wrighton, D.L. Morse, *J. Am. Chem. Soc*., **1974**, 96, 998.
[2] K. Koike, N. Okoshi, H. Hori, K. Takeuchi, O. Ishitani, H. Tsubaki, I.P. Clark, M.W. George, F.P.A. Johnson, J.J. Turner, *J. Am. Chem. Soc.*, **2002**, 124, 11448.
[3] C. Riplinger, M.D. Sampson, A.M. Ritzmann, C.P. Kubiak, E.A. Carter, *J. Am. Chem. Soc*., **2014**, 136, 16285.
[4] J.P. Bullock, E. Carter, R. Johnson, A.T. Kennedy, S.E. Key, B.J. Kraft, D. Saxon, P. Underwood, *Inorg. Chem.*, **2008**, 47, 7880.
[5] H. Takeda, O. Ishitani, *Coord. Chem. Rev*., **2010**, 254, 346.
[6] K. Koike, H. Hori, M. Ishizuka, J.R. Westwell, K. Takeuchi, T. Ibusuki, K. Enjouji, H. Konno, K. Sakamoto, O. Ishitani, *Organometallics*, **1997**, 16, 5724.
[7] (a) H. Tsubaki, A. Sekine, Y. Ohashi, K. Koike, H. Takeda, O. Ishitani, *J. Am. Chem. Soc*., **2005**, 127, 15544; (b) S. Sato, A. Sekine, Y. Ohashi, O. Ishitani, A.M. Blanco-Rodríguez, A. Vlcek, T. Unno, K. Koike, *Inorg. Chem.*, **2007**, 46, 3531.
[8] H. Maouati, M. A. K. Sanhoury, D. Merlet, I. Chehidi. *Heteroat. Chem*., **2015**, 26, 391.
[9] H. Maouati, M. A. K. Sanhoury, D. Merlet, I. Chehidi. *J. Soc. Chim. Tun*., **2016**, 19, 13.
[10] E. A. Hillard, A. Vessières, S. Top, P. Pigeon, K. Kowalski, M. Huché, G. Jaouen, *J. Organomet. Chem.*, **2007**, *692*, 1315.

Synthesis of new amino lactams compounds under palladium-catalyzed reaction β-iodovinylic acid

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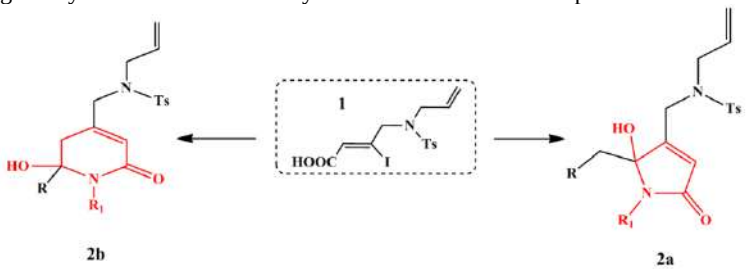
The heterocyclization reaction is one of the most important reactions in organic synthesis. The synthesis of five- and six-membered ring lactams constitutes an important class of biologically active compounds and has been a focus of considerable attention in synthetic organic chemistry and in medicinal chemistry**[1,2]**. In connection with our project to develop synthesis of new heterocycles, we proposed selective conversion of β-iodovinylic acid **1** to γ-hydroxylactams **2** in the presence of a catalytic amount of [Pd] *via* a multicomponent reaction (**Scheme**). In our studies evaluating the chemical of the β-iodovinylic acid **1**, we have extensively investigated the metal-catalyzed methods of functionalization which allow the rapid preparation of new amino lactams **2**. Encouraged by these successful results, other works are now underway to expand the scope of this compound, as well as to develop its applications in organic synthesis in our laboratory and their activities will be presented.



Scheme : Synthesis of new amino lactams compounds

Key words : β-iodovinylic acids, palladium catalyst

References :

[1] Yang, Y.-L.; Lu, C.-P.; Chen, M.-Y.; Chen, K.-Y.; Wu, Y.-C.; Wu, S.-H., *Chem. – Eur. J.*, **2007**, *13*, 6985.

[2] Gan, C.-Y.; Low, Y.-Y.; Thomas, N. F.; Kam, T.-S., *J. Nat. Prod.*, **2013**, *76*, 957.

EFFICIENT OXIDATION OF 5-HYDROXYMETHYLFURFURAL TO 5-HYDROXYMETHYL-2 (*5H*)-FURANONE

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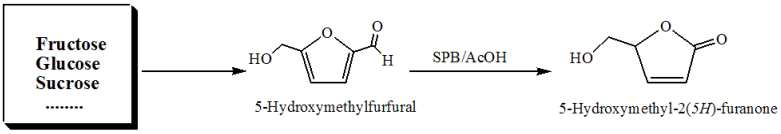
The 5-hydroxymethyl-2(*5H*)-furanone is a versatile chemical intermediate used to produce a variety of products such as unsaturated (+)-Muscarine and certain analogues of prostacyclin and chrysanthemic acid. In this study, we have successfully tested a sodium perborate ($NaBO_3.4H_2O$) known by its acronym SPB in the oxidation of 5-hydroxymethylfurfural (5-HMF) using acetic acid (AcOH) as solvent. The SPB has been proven to be an efficient reagent for the oxidation of 5-HMF into 5-hydroxymethyl-2(5H)-furanone in one step. A reaction mechanism has been proposed for this purpose. In this work a variety of important reaction parameters, such as SPB amount, reaction temperature, and time were explored. The maximum yield to 5-hydroxymethyl-2(*5H*)-furanone was achieved in 94% at room temperature in 24h with 5-HMF conversion of 100% was obtained in SPB/ 5-HMF mol ratio = 1.5 under optimal reaction conditions. Then it could be obtained in a high yield of 87% at 50°C after a short reaction time of 4h. At high temperature (100°C) 5-hydroxymethyl-2(*5H*)-furanone yield decrease dramatically.



Scheme 1. First chemoselective oxidation of 5-hydroxymethylfurfural into 5-hydroxymethyl-2(*5H*)-furanone

Keywords: 5-HMF, 5-hydroxymethyl-2(*5H*)-furanone, SPB, AcOH solvent.

References

[1] Mann, J.; Thomas, A. *J. Chem. Soc., Chem. Commun*. 1985, 737-738.
[2] Kang, K. H.; Cha, M. Y.; Pae, A. N.; Il Choi, K.; Cho, Y. S.; Koh, H. Y.; Chung, B. Y. *Tetrahedron Lett.* 2000, *41*, 8137–8140.

Diastereomeric 1,3,2-dioxaphosphorinanes: Conformational and configurational dependence upon conformation of the 1,3-diol precursors

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The conformational study of the 1,3,2-dioxaphosphorinanes has found more popularity since the discovery of a chemotherapy drug : cyclophosphamide[1]. Diastereomeric 1,3,2-dioxaphosphorinanes **2** were synthesized and to find the predominant conformations, the influence of the diol precursors is studied and density functional theory calculation (DFT) is used[2].

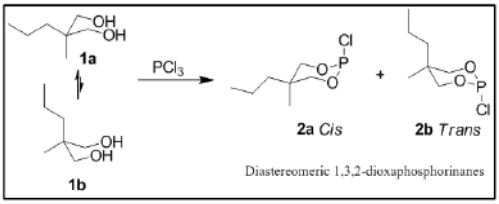

Fig 1. Influence of 1,3-diols precursors

Then, Hydrolysis of chlorophosphite leads to diastereomeric cyclodialcoxyphosphites **3** which are identified by NMR (^{31}P, ^{1} H, ^{13}C).

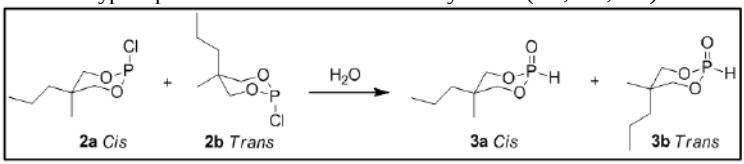

Fig 2. Hydrolysis of chlorophosphite

Keywords: ^{31}P NMR, diastereomeric products, 1,3,2-dioxaphosphorinane, cyclodialcoxyphosphites, heterocyclic synthesis.

[1] Hill, D. L. *Review of Cyclophosphamide*, Thomas, C. C. Springville, IL, **1975**
[2] Mnallah Kanzari, D.; Efrit, M.L.; Ben Akacha, A. *Phosphorus, Sulfur and Silicon* **2017**, 665-673.

Synthesis of a series of new platinum organometallic complexes derived from bidentate *Schiff* base ligands and their catalytic activity in the hydrosilylation and dehydrosilylation of styrene

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The synthesis and properties of a novel class of platinum complexes containing Schiff bases as O,N-bidentate ligands is described as are the solution and solid state properties of the uncomplexed ligands. The platinum complexes were prepared from [$PtBr_2$(COD)] (COD = 1,5-cyclooctadiene) and *N*-(2-hydroxy-1-naphthalidene)aniline derivatives in the presence of base ($NaOBu^t$). Instead of a substitution reaction to afford cationic species, the addition of the Schiff base ligands results in both the formal loss of two equivalents of bromide and addition of hydroxide to the COD ligand of the complexes. It is proposed that this reaction proceeds through a cationic platinum complex [Pt(N-O)(COD)]Br which then undergoes addition of water and loss of HBr. An example of a dinuclear platinum complex in which two cyclo-octene ligands are bridged by an ether linkage is also reported. The platinum complexes were evaluated as catalysts for the hydrogenative and dehydrogenative silylation of styrene, the resulting behaviour is substituent, time and temperature dependent.

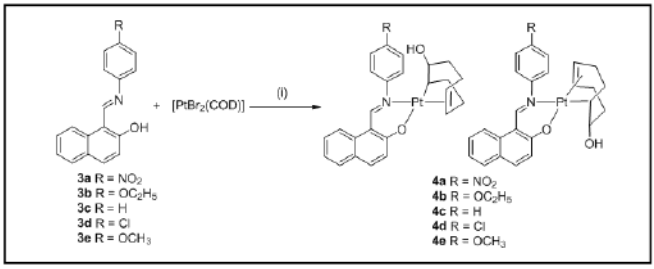

Fig.1 Synthesis of platinum organometallic complexes
(i) + NaOtBu, +H_2O, -NaBr, - HBr, - HOtBu, thf, 24 hrs.

Key words: Organometallic complexes ; Platinum(II) ; *Schiff*'s bases ; Catalytic activity ; Hydrosilylation ; X-Ray Diffraction.

References

[1] M. B. Lachachi, T. Benabdallah, P. M. Aguiar, M. H. Youcef, A. C. Whitwood and J. M. Lynam, *Dalton Trans.*, 2015, 44, 11919.
[2] L. Ciano, N. Fey, C. J. V. Halliday, J. M. Lynam, L. M. Milner, N. Mistry, N. E. Pridmore, N. S. Townsend and A. C. Whitwood, *Chem. Commun.*, 2015, 51, 9702.

New Routes to *N*-heterocycles from Propargylic alcohols and 1,2-Amino Alcohols

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Nitrogen-containing heterocycles are widespread in various bioactive natural products and synthetic drugs. They have received extensive attention owing to their potential as versatile starting materials for various organic reactions and their presence in a large number of natural products and pharmacologically active agents. In this account, we summarize the preliminary results of a collaborative work between two different teams based in Tunisia and in France, respectively. The Tunisian team is a specialist of the use of amino alcohols for the synthesis of various oxazolidin-2-ones and oxazolones **[1]**. The French team is a specialist of the use of binucleophile for the Fe/Au catalyzed one pot synthesis of various heterocycles **[2]**. We thus associated our efforts to develop new direct access to oxazines, morpholines, pyrimidinones, oxazinones…

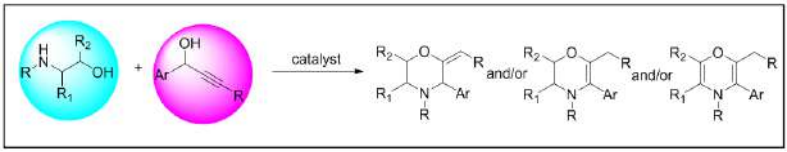

Fig. Formation of *N*-hetecycles from propargylic alcohols and 1,2-amino alcohols

Key words: heterocycles, propargylic alcohols, amino alcohols, catalysis.

References

[1] Slama, S.; Besbes, R., *Tetrahedron*, **2014**, 70, 4732-4737.
[2] Gayon, E.; Gerard, H.; Vrancken, E.; Campagne, J. –M., *Synlett*, **2015**, 26, 2336.

Electrochemical Assisted Synthesis of 3,4-dihydro-3-methyl-4-methylenequinazolin-2(1H)-one

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Belen BATANERO[b] and Mohamed Lamine BENKHOUD[c]

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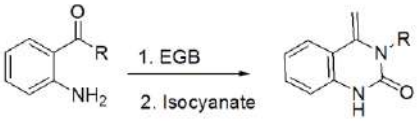
[b] *Department of Organic Chemistry, University of Alcal_a, 28871 Alcal_a de Henares Madrid, Spain*
[c]*Laboratory of Physical Chemistry of Microstructures and Micro-systems, University of Cartage, Institut Préparatoire aux Etudes Scientifiques et Techniques, BP51, 2070 La Marsa,Tunisia*

3,4-dihydro-3-methyl-4-methylenequinazolin-2(1H)-ones are obtained in good yields by using commercially available starting compounds when, under low temperature conditions, a solution of dry acetonitrile iselectrolyzed at a graphite sheet as cathode to produce cyanomethyl anion as EGB, that is further reacted with 2-Aminoacetophenone or 2-Aminobenzophenone and isocyanates. The use of a magnesium rod, as sacrificial anode, is definitive to avoid 3-aminocrotonitrile anion formation.



Keywords: 3,4-dihydro-3-methyl-4-methylenequinazolin-2(1H)-one, EGB; isocyanate, 2-Aminoacetophenone, 2-Aminobenzophenone.

Unprecedented access to β-arylated selenophenes via palladium-catalysed direct arylation

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[b)] *Institut des Sciences Chimiques de Rennes, UMR 6226 CNRS–Université de Rennes 1 "Organométalliques, Matériaux etCatalyse", Campus de Beaulieu, 35042 Rennes, France.*

Several methods allow the access to α-arylated selenophenes;[1] whereas the synthesis of β-arylated selenophenes remains very challenging. We demonstrated that the Pd-catalysed coupling of benzenesulfonyl chlorides with selenophenes affords regiospecifically the β-arylated selenophenes.[2] The reaction proceeds with easily accessible catalyst, base and substrates, and tolerates a variety of substituents both on the benzene and selenophene moieties. This transformation allows the programmed synthesis of polyarylated selenophenes with potential applications in pharmaceutical and material chemistry, as the installation of aryl groups at the desired positions can be achieved.

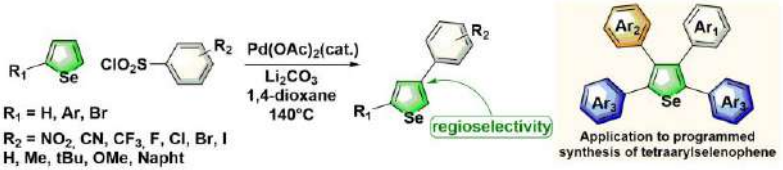

Figure 1 The palladium-catalysed coupling of benzenesulfonyl chlorides with selenophene derivatives allows the access to β-arylated selenophenes with complete regioselectivity.

Key words: Catalysis, Arylation, C-H bond activation, Palladium, Direct arylation, Selenophenes, Benzensulfonyl chlorides.

References

[1] a) S. Tamba, R. Fujii, A. Mori, K. Hara, N. Koumura, *Chem. Lett.* **2011**, *40*, 922-924; b) D. S. Rampon, L. A. Wessjohann, P. H. Schneider, *J. Org. Chem.* **2014**, *79*, 5987-5992.
[2] A. Skhiri, R. Ben Salem, J.-F. Soulé, H. Doucet, *Chem. Eur. J.* **2017**, *23*, 2788–2791.

SELECTIVE SYNTHESIS OF MONO- AND BIS-BUTENOLIDES α-AMINOMETHYL ADDUCTS

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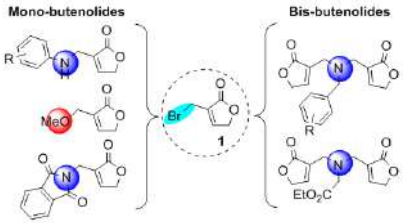
Substituted α,β-unsaturated lactones represent an important class of organic compounds, which can be considered as the basic core in a large number of synthetic bioactive molecules and natural products.[1] The presence of the butenolide scaffold is also known to be associated with several biological activities.[2] The development of efficient methodologies to install various fragments at the butenolide core remains of high interest.[3] In this communication, we describe the first lines of our study devoted to the coupling of various amines and 3-(bromomethyl)butenolide **1** as a common precursor. The reaction variety of primary amines in the methanol cleanly and selectively afforded mono- and bis-butenolides α-aminomethyl adducts. In addition, the reactivity of phtalimidates derivatives with lactone bromide **1** under metal-free and Pd-catalytic conditions expected a new butenolide adduct. In deep contrast, the use of secondary amines did not afford the expected amino derivatives but instead led to the formation of a C-O bond.



Key words: 3-(Bromomethyl)butenolide, amines derivatives, phtalimidates, mono- and bis-butenolides α-aminomethyl adducts.

References

[1] V. Kotzabasaki and all, *J. Org. Chem.*, **2016**, *81*, 4406.
[2] Q. Xiao and all, *J. Med. Chem*., **2011**, *54*, 525.
[3] A. Talbi, A. Arfaoui, T. Bsaibess, M.L. Efrit, A. Gaucher, D. Prim and H. M'Rabet. *J. Org. Biomol.Chem*., **2017**, *15*, 3298.

Efficient new protocols for converting primary amides into nitriles promoted by $P(NMe_2)_3$ or $P(OPh)_3$

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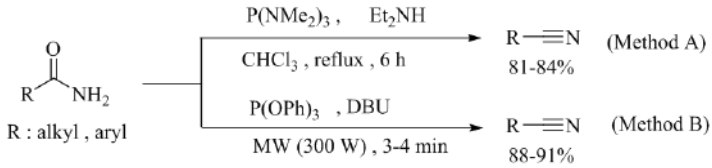
Nitrile synthons are extensively applied in organic synthesis and used for the production of pharmaceuticals, agrochemicals, polymers, and other material molecules[1]. Nitriles are used as starting material for a large number of other functional groups like carboxylic acids, amines, ketones and a large number of molecules of commercial significance. Moreover, cyano group itself is present in HIV protease and 5-lipoxygenase inhibitors, and many other biological important molecules[2]. As a result, the conversion of the primary carboxamido functionality into the cyano group is an important process which has extensive utility in organic synthesis[3]. Herein, we report two convenient and efficient protocols for this operation. These new dehydration processes are facilitated by the ease of primary amides to undergo coupling with tris(dimethylamino)phosphine or triphenylphosphite followed by rapid elimination with diethylamine or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) to form the corresponding nitriles (Scheme 1). While a wealth of dehydrating agents were used to effect this transformation[4], the mild, readily available and low cost reagents, either $P(NMe_2)_3$ or $P(OPh)_3$, applied in these newly developed protocols, to our knowledge, are unprecedented. Furthermore, performing the reaction under microwave irradiation and in solvent-free conditions, offers significant advantages such as efficiency, good yields, short reaction times, easy work-up and environmental safety, what make this protocol more amenable for high throughput library synthesis.



Scheme 1

Keywords: Nitriles, primary amides, dehydration, microwave irradiation.

References

[1] L. N. Mander, M. M. McLachlan, J. Am. Chem. Soc., **2003**, 125, 2400.
[2] M. N. Janakiraman, K.D. Watenpaugh, P. K. Tomich, K. T. Chong, J. W. Strohbach, Bioorg. Med. Chem. Lett., **1998**, 8, 1237.
[3] A. V. Narsaiah, K. Nagaiah, Adv. Synth. Catal., **2004**, 346, 1271.
[4] C. Kuo, J. Zhu, J. Wu, C. Chu, C. Yao, K. Shia, Chem. Commun., **2007**, 301.

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COPPER FREE SONOGASHIRA REACTION OF ARYL HALIDES WITH TERMINAL ALKYNES CATALYSED BY NEW PALLADIUM TRIPHENYLPHOSHINE COMPLEXES

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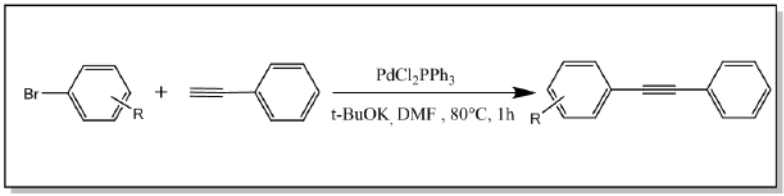
The sonogashira cross-coupling reaction between an aryl halide and a terminal alkyne has emerged as one of the most widely used carbon-carbon bond forming reaction in organic chemistry[1-2]. The most common catalytic systems used for this coupling reaction include $PdCl_2PPh_3$ with copper(I) salt as the co-catalyst. However the development of copper free systems has received considerable attention and significant progresses in this direction have been made using a variety of palladium complexes. In this work, we report the use of a new series of Palladium triphenylphosphine to catalyze the coupling of aryl halides with terminal alkynes with high efficiency under Sonogashira reaction conditions in absence of co-catalyst.(Scheme 1).



Scheme 1. $PdCl_2PPh_3$catalysed sonogashira reaction

Key words: palladium triphenylphosphine complexes, sonogashira cross-coupling, aryl halide, alkyne.

References

[1] a) M. Kozaki, K. Okada. Org. Lett. 2004, 6, 485; b) T. Agou, T. Kojima, J. Kobayashi, T. Kawashima. Org. Lett. 2009, 11, 3534.
[2] a) T. Yatabe, Y. Suzuki, J. Y. Kawanishi. Mater. Chem. 2008, 18, 4468. b) Y. Morisaki, T.Sawamura, T. Murakami,Y. Chujo. Org. Lett. 2010, 12, 3118; c) C. Resta, G. Pescitelli, L. Di Bari. Macromolecules. 2014, 47, 7052.

SYNTHESIS OF MIXED ANHYDRIDES OF FATTY ACIDS

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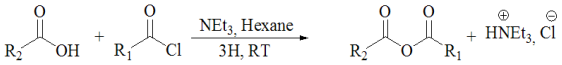
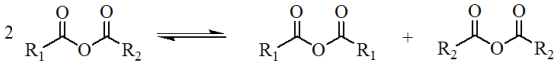
Carboxylic anhydrides in organic chemistry are acylating agents appreciated for their high reactivity compared to carboxylic acids. They are also important classes of chemicals that have been used extensively as synthetic intermediates in the preparation of a variety of fine or special chemicals such as amides, esters, peptides, drugs, etc. Mixed anhydrides obtained from two different carboxylic acids are molecules finding an increasing interest in the chemical industry due to their high reactivity due to the difference in the pK values of the two moieties of the asymmetric molecules. Many useful methods have been reported in the literature for the synthesis of carboxylic acid anhydrides. The preferred method is the reaction between the carboxylic acid and acid chloride.

Unsymmetric anhydrides, characterized by the general formula $R_1CO\text{-}O\text{-}COR_2$, can be easily prepared by the reaction of the fatty acid (R_1) with the acid chloride (R_2) in organic solvent and in the presence of triethylamine as catalyst (acid acceptor) as it is indicated in scheme 1 [1].



Scheme 1. Synthesis of mixed carboxylic acid anhydride.

The main difficulty in the synthesis of the mixed anhydride is its instability when formed and it disproportionation into correspondent symmetrical anhydrides. The stability of mixed anhydrides ($R_1CO\text{-}O\text{-}COR_2$) is generally related to the nature of R_1 and R_2 groups. When the sizes of the two alkyl or aryl carboxylic acids are decidedly different, the mixed anhydrides tend to decompose easily. A chemical equilibrium established between the three types of anhydrides which form a mixture in the crude as it is indicated in scheme 2 [2].



Scheme 2. Disproportionation reaction between two mixed anhydrides.

Key words: fatty acid, mixed anhydride, symmetrical anhydride.

References

[1] Trabelsi, I.; Essid, K.; Frikha, M.H. Synthesis of mixed anhydrides of fatty acids: Stability and Reactivity. Ind. Crop. Prod. 2017,97, 552-557.

An efficient Triton B catalyzed one-pot transformation of epoxides with mercaptocarboxylic acids and thioacetate into the corresponding 1,4-oxathian-2-ones

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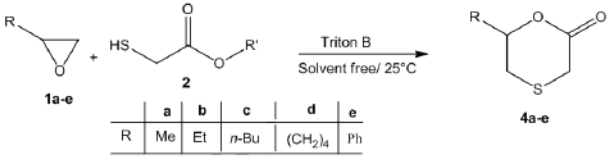
1,4-Oxathian-2-one derivatives constitute an important class of biologically active compounds [1]. They are used against tumors, HIV [2], candidacies and pulmonary aspergillosis [3]. The increasing interest for these compounds has led to the development of many synthetic methods using different substrates [4] and catalysts [5]. In this work, we describe a convenient and efficient one pot synthesis of 1,4-oxathian-2-one derivatives via Triton B catalyzed regioselective epoxide ring-opening-ring-closing reaction cascade with thioacetate and mercaptocarboxylic acids. This synthesis was carried out at room temperature, in short reaction times and under solvent-free conditions (Scheme).



Scheme : Synthesis of new 1,4-oxathian-2-ones

Key words: epoxides, mercaptocarboxylic, acids thioacetate, 1,4-oxathian-2-ones

References

[1] O'Sullivan, O. C. M.; Collins, S. G.; Maguire, A. R.; Bohm, M.; Sander, W. *Eur. J. Org. Chem.* **2006**, 2918-2924.
[2] Borkow, G.; Bernard, J.; Nguyen, T. M.; Belmonde, A. A.; Wainberg, M. A.; Parniak, M. A. *J. Virol.* **1997**, *71*, 3023-3030.
[3] Miyauchi, H.; Tanio, T.; Ohashi, N. *Bioorg. Med. Chem. Lett.* **1996**, *6*, 2377-2380.
[4] Stanovnik, B. *Org. Prep. Proced. Int.* **2014**, *46*, 24-65.
[5] Mikolajczyk, M. Drabowicz, J. *Topics In Stereochemistry* **2007**, *13*, 333-468.

FACILE SYNTHESIS OF [1,2,4]TRIAZOLO[1,5-*a*]PYRIDINE DERIVATIVES BY ULTRASONIC IRRADIATION

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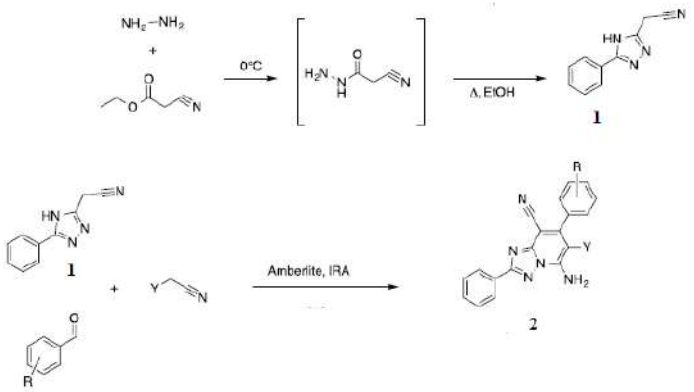
Laboratoire de Chimie Appliquée: H.C.G.P, Faculté des Sciences de Sfax-Tunisie

Synthesis of [1,2,4]triazolo[1,5-*a*]pyridine derivatives was carried out by using ultrasonic irradiation in the presence of Amberlite IRA-400. The condensation of triazole **1** with aldehyds and malononitrile or ethyl cyanoacetate offered with (MCRs) "one-pot" reaction the [1,2,4]triazolo[1,5-a]pyridine derivatives with good yields.



All the structures obtained are identified and characterized by ^{1}H NMR, ^{13}C NMR, IRTF, HRMS and elemental analysis.

Keywords
Ultrasound irradiation, « One-pot » synthesis of triazolopyridines.

Development of well-defined amphiphilic graft copolymers

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The synthesis of polymers with complex architectures has been the subject of numerous studies since the popularization of controlled polymerization techniques, such as anionic, cationic or controlled radical polymerization.
Among the different classes of architectural polymers, our recent studies mainly focus on the synthesis of biocompatible amphiphilic copolymers that can be used as surfactant or micellar vessel for controlled delivery systems.
For instance, several synthesis pathways were investigated in order to obtain amphiphilic graft copolymers, such as poly(vinylacetate)–g–poly(vinylpyrrolidone) (PVAc-g-PVP), poly(vinylacetate)–g–poly(vinylalcohol) (PVAc-g-PVOH)[1,2] and poly(ε-caprolactone)–g–poly(N-vinylcaprolactam) (PCL-g-PNVCL) copolymers (Figure 1).
Structural and thermal properties of the resulting polymers were determined by NMR, DSC, TGA. Their colloidal properties were studied by DLS analysis of micellar aqueous solution.

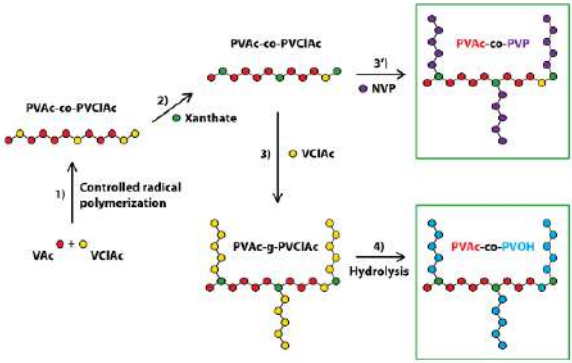

Fig. 1 – Example of amphiphilic graft copolymers synthesis

Key words: macromolecular synthesis, biocompatible, graft, colloids.

References

[1] Atanase L.-I., Winninger J., Delaite C., Riess G. (2014), *European Polymer Journal*, 53, p. 109-117
[2] Atanase L.-I., Winninger J., Delaite C., Riess G. (2014), *Colloids and Surfaces A Physicochemical and Engineering Aspects*, 461, p. 287-294

Preparation and characterization of complexes inclusion (metformin hydrochloride encapsuled in β-cyclodextrin)

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[b)] *University Yahia Fares, Medea, Algeria*

Inclusion complexes were prepared by encapsulation of the metformin hydrochloride in the β-cyclodextrin in the solid state. The products obtained were characterized by UV-VIS and FTIR spectroscopy and has been shown a complex formation with specific functional groups.
Solubility studies demonstrate clearly that the solubility of the metformin is increased during the formation of an inclusion complexe between metformin hydrochloride and β-cyclodextrin and have been able to bring out the stoichiometry 1: 1 for the complexes formation.
The release kinetics studies of the active ingredient by dissolution tests and in optimized conditions showed a controlled dissolution, the active ingredient is exhausted completely after 8H, a very slow dissolution in comparison with the reference product glucophage whose complete dissolution was estimated 2H.

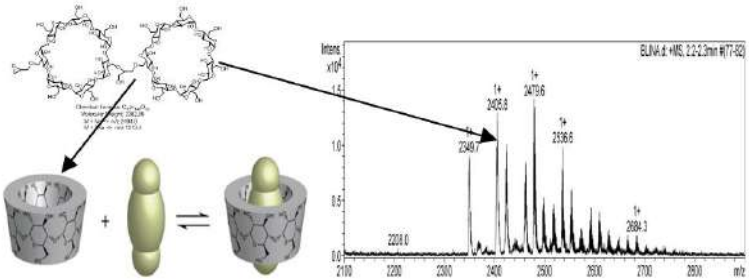

Fig 1: Spectre MS compexex metformin/cyclodextines

Key words: cyclodextrin-metformin-encapsulation-solubility

References

[1] T. S. K. P. Sampath Kumar, Shameem Banu, P. Naga Lakshmi, D. Bhowmik, "Microencapsulation technology" Indian Journal of Research in Pharmacy and Biotechnology, vol. 1(3), pp. 324 - 328, Mai-Juin 2013.
[2] A. S. Jiothi, Sri., Suria, Pradba, P.Musthuprasanna and P. "Microencapsulation : a review" International Journal of Pharma and Bio Sciences, vol. 3, Mar 2012.

Synthesis and Characterization of Fulleropyrrolidines by HR-MS and NMR Spectroscopy

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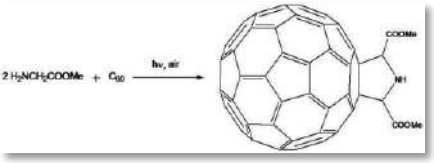
Since their discovery in 1985 and the development of an efficient method for the synthesis of fullerenes, countless studies showed that this molecule and its derivatives exhibit paramount potentialities in several fields.
In the present study, we synthesized three mixtures of FP-PA by reacting native C60 with GME under light irradiation[1] with different number of equivalent (4, 10, and 50 of GME/C60 ratio). We thereafter used 1D NMR (^{1}H-NMR, ^{13}C-NMR), 2D NMR (COSY, DOSY, HSQC and HMBC), and HRMS to analyze the obtained mixture. DOSY experiments allowed us a better distinction of FP-PA chemical shifts in their ^{1}H NMR spectra than other 2D experiments. Principal Component Analysis (PCA) provided us a better understanding of the special features of each mixture, notably the regio-isomerism of the obtained compounds. For the time being, these experiments enabled us to characterize and confirm the existence of a Z, E stereo-isomerism for the mono-adducts present in the mixtures.



Key words: Fullerenes, Fulleropyrrolidines, photo-addition, NMR, HR-MS

References:

[1] M. De Person, A. Coffre, R. Skanji, M. Ben Messaouda, M. Abderraba, Y. Zhang, F. Moussa, "Mechanism and number of adducts of photo-addition of glycine methyl-ester to [60]fullerene", Tetrahedron 2013, 69: 6826-31.

Poster Communications' List

(alphabetically authors' names)

Communicatings' Names	Ref
A. Abdelli, H. Boufroura, F. Bourdreux, A. Gaucher, M.L. Efrit, H. M'rabet, D. Prim *FST - Tunis* A convenient synthesis of l,2-azaphospholidines and extension to the preparation of azaphosphacane and azaphosphanane higher homologues	**PC 01**
A. Abid, F. Charrier-El Bouhtoury, S. Gabsi *FSS - Sfax* Characterization of simple and acetylated lignin	**PC 02**
R. Aissa, S. Guezane Lakoud, L. Aribi-Zouioueche *University of Badji Mokhtar - Annaba, Algeria* Efficient catalyst for the α-aminophosphonates synthesis under green chemistry conditions	**PC 03**
F. Aloui, B. Ben Hassine *FSM - Monastir* Helically chiral phosphorus-containing species	**PC 04**
K. Amirat, N. Ziani, F. Mebarki, D. Messadi *University of Badji Mokhtar - Annaba, Algeria* Prediction of the toxicity of benzo [C] phenanthridine family in silico	**PC 05**
S. Amirat, F. Madi, Am. Zaboub, A. Gheid, R. Merdes *University of 08 Mai 1945 - Guelma, Algeria* Syhtheses of derives benzothiazolinones anti-bacteriens activity	**PC 06**
Y. Arfaoui *FST - Tunis* A theoretical DFT insights into the Nature of chalcogen bonding between CX2 (X= S, Se, Te) and some nitrogenated molecules	**PC 07**
D. Atoui, K. Saïd, R. Ben Salem *FSS - Sfax* Mechanistic study of the styrene coupling reaction with aryl halides catalysed by iron	**PC 08**
S. Azouni, M.L. Efrit *FST - Tunis* Synthesis of vinyl triazole carbothioamide and vinyl triazine thione from N-acryloyl imidates	**PC 09**

Communicatings' Names	Ref
S. Baachaoui, O. Ouerfelli, M.A. Tabarki, R. Besbes *FST - Tunis* Synthesis of five-membered heterocycles by the [3+2] cycloaddition of aziridines and alkynes	**PC 10**
A. Baaliouamer, A. Keddad, F. Mouhouche, M. Hazzit *USTHB - Bab Ezzouar, Algiers, Algeria* GC and GC/MS Analysis of the Algerian *Chenopodium ambrosioides* L. Essential Oils: Insecticidal effects against *Callosobruchus maculates* in stored Chickpea	**PC 11**
T. Barhoumi-Slimi, A. Thebti, M. A. Sanhoury, A. Boudebbous *ISSTE - Borj Cédria* Synthesis and anticholinesterase activity of arylphosphoramidates	**PC 12**
M. Ben Hammouda, S. Boudriga, M. Askri *FSM - Monastir* Synthesis of new spiropyrrolothiazoles *via* multicomponent 1,3-dipolar cycloaddition reaction	**PC 13**
S. Ben Hassine, B. Jamoussi, F. Dumoulin *FST - Tunis* Use of click chemistry to prepare porphyrin-based single-strain polymer	**PC 14**
S. Ben Mâamer, S. Sahtel, M.A. Tabarki, R. Besbes *FST - Tunis* Selective approaches to isoxazol-5-ones and aziridines-2-carboxylates from brominated cinnamates	**PC 15**
R. Ben Said, A. I. Hamed, K. Essalah, A. S. Al-Ayed, S. Boughdiri, B. Tangour *FST - Tunis* Fast characterization of *C*-glycoside acetophenones in *Medemia argun* male racemes (an Ancient Egyptian palm) using LC-MS analyses and computational study with their antioxidant effect	**PC 16**
N. Benamara, M. Merabet-Khelassi, L. Aribi-Zouioueche *Badji Mokhtar Annaba-University* Optimization of the *CRL*-Catalyzed Acetylation of 2-Hydroxymethyl-1-phenylthioferrocene by Addition of Cinchona Alkaloids	**PC 17**

Communicatings' Names	Ref
N. Benosmane, M. Balahouane, B. Boutemeur, S.M. Hamdi, M. Hamdi *University of Bab Ezzouar - Algeria* A convenient synthesis of pyrandione derivatives using P-toluenesulfonic acid as catalyst under ultrasound irradiation	**PC 18**
A.Y. Benzaim, I. Bazine, Z. Cheraiet, A. Boukhari *University of Badji Mokhtar - Annaba, Algeria* Improvement of the synthesis of bezoxazine derivatives by Lewis acids	**PC 19**
S. Benzerdjeb, B. Mostefa-Kara, D.Villemin, A. Benmeddah *University of Abou Bekr Belkaid - Tlemcen, Algeria* Polyphenols oxidation. A chemical and enzymatical study	**PC 20**
A. Bouattour, M. Fakhfakh, S. Abid, L. Paquin, R. Le Guével, A. Corlu, S. Ruchaud, S. Bach, H. Ammar, J-P. Bazureau *FSS - Sfax* Exploration of potential kinase inhibition and antiproliferative activities of new 2-imino-2*H*-[1]-benzopyran-3-carbonitriles and their derivatives	**PC 21**
L. Boubakri, S. Yasar, I. Özdemir, N. Hamdi *ISSTE - Borj Cédria* Coupling reactions of arylhalides and phenylboronic acid catalyzed by PEPPSI complexes	**PC 22**
S. Boudah, S. Sebih *USTHB - Algeria* Synthesis, characterization and capillary gas chromatographic of a nematic liquid crystal	**PC 23**
S. Boudriga, B. Mhaweh, M. Askri *FSM - Monastir* Straightforward and highly diastereoselective Synthesis of a new set of functionalized dispiropyrrolidines involving multicomponent 1,3-dipolar cycloaddition with azomethine ylides	**PC 24**
S. Boudriga, M. Askri, M. Knorr *FSM - Monastir* Regioselective [3 + 2] cycloaddition of *N*-metalated azomethine ylides with 2-arylideneindane-1,3-diones: Facile access to highly substituted spiropyrrolidines	**PC 25**

Communicatings' Names	Ref
M. Boukthir, F. Zribi, A. Ibon, M. Belhouchet, F. Chabchoub *FSS - Sfax* Synthesis, crystal structure and DFT studies of dihydropyrimidine-5-carbonitrile	**PC 26**
B. Bouzayani, H. Ben Ammar, R. Ben Salem *FSS - Sfax* Studies on the reactivity of aminothiophenes	**PC 27**
N. Bouzayani, S. Marque, B. Djelassi, Y. Kacem, B. Ben Hassine *FSM - Monastir* A green synthesis and biological properties of enantiopure iminonaphthalinolate derivatives	**PC 28**
E. Cherni, K. Essalah, M. Abderrabba, S. Ayadi *FST - Tunis* Theoretical study of ring -opening of N-heterocyclic compounds	**PC 29**
N. Chouchene, S. Boudriga, M. Askri, M. Knorr *FSM - Monastir* Synthesis of new dispiropyrrolidine derivatives *via* one-pot azomethine ylide cycloaddition	**PC 30**
I. Dakhlaoui, L. Zribi, F. Chabchoub *FSS - Sfax* Synthesis and Reactivity of 5-amino-1-phenyl-1*H*-1,2,4-triazoles	**PC 31**
C-H. Deguines, Y. Arega Mersha, C. Delaite, N. Khenoussi *LPIM - France* Extraction of Kosso active compounds for biomedical textile applications	**PC 32**
D. Dridi, G. Kirsch, F. Meganem *FSB - Bizerte* Biological activities of new derivative from coumarine	**PC 33**
E. Errais, N. Abidi, J. Duplay, A. Jada, M. Trabelsi-Ayadi *FSB - Bizerte* Potential of enzyme-derived chemicals in wastewater treatment	**PC 34**

Communicatings' Names	Ref
K. Essalah, S. Ben Salah, T. Boubaker, B. Tangour *IPEIM - Tunis* Theoretical investigation on the regioselectivity of 4-nitrobenzochalcogenadiazoles *N*-alkylation	**PC 35**
A. Fajraoui, J. Ben Nasr, C. Lacoste, M. Ben_Amar *ENIS - Sfax* Extraction, characterization and casting of a natural origin dye extracted from flowers of the acacia cyanophylla in the PLA	**PC 36**
M. Fray, A. Thebti, R. Abidi A. Boudabbous, T.Barhoumi-Slimi *FST - Tunis* Synthesis, characterization and biological activities of α, β-unsaturated N-acylhydrazones and their copper(II) complexes	**PC 37**
S. Ghrairi, A. Thebti, A. Boudebbous, T. Barhoumi-Slimi *FST - Tunis* Biological activity of β-chloro-α, β-unsaturated aldehydes and their zinc(II) complexes	**PC 38**
M. Hachicha, S. Li, M. Boukraa, L. Soulere, Y. Queneau, M.L. Efrit *FST - Tunis* Synthesis of new analogues of acyl homoserine lactone inhibitor of bacterial Quorum Sensing	**PC 39**
A. Hafedh, A. Abdelli, M.L. Efrit, H. M'rabet *FST - Tunis* A simple synthesis of functionalized γ-lactams from Michael's acceptors	**PC 40**
N. Hafedh, F. Aloui, B. Ben Hassine *FSM - Monastir* Synthesis, X-ray analysis and photophysical properties of new helically chiral hexacyclic systems	**PC 41**
A. Hamdi, A.S. Al-Ayed *Qassim University, Kingdom of Saudi Arabia* New Efficient macrocyclic for preparation of new nanoparticles and silica nanotube	**PC 42**

Communicatings' Names	Ref
F. Hamini, Yousfi Mohamed *University Amar Telidji-Laghouat, Algeria* Phenolic content of some dates cultivars from Algeria, test of the antioxidant activity of total phenolic content *in-vitro*	**PC 43**
K. Hamrouni, O. Mansouri, K. Boujlel, R. Besbes, M.L. Benkhoud *FST - Tunis* Electrochemically induced ring-opening of some substituted aziridines catalyzed by the tris (2,4-dibromophenyl) amine as redox mediator	**PC 44**
E. Hamzaoui, I. Essid, S. Touil *FSB - Bizerte* A new synthesis of a-aminophosphonate derivatives	**PC 45**
A. Haouat, F. Louafi, A. Chibani *El Oued University - Algeria* One-pot, electoreductive amination of aldehydes	**PC 46**
N. Jamel Eddine, Y. Kacem, B. Ben Hassine *FSM - Monastir* Efficent synthesis of novel fonctionalized bis-oxazolines and bis-thiazolines *via* one-pot condensation of α-amino esters and nitriles	**PC 47**
Y. Kacem, R. Hassani, D. Prime, B. Ben Hassine *Faculty of Pharmacy - Monastir* Synthesis and structural characterization of enantiopure oxazoline-derived palladacycles	**PC 48**
M. Kammoun, M. Bouzid, R. Aydi *Institut Supérieur de Biotechnologie - Sfax* Synthesis and application of dihydroisoquinoline oxaziridines	**PC 49**
A. Keniche, H. Baghdad, J. Kajima Mulengi[a], S. Bellifa, F. Nass *University of Tlemcen - Algeria* Effect of β-cyclodextrin on antimicrobial, antifungal and antioxidant activities of different propolis samples from Tlemcen and Chlef (Algeria)	**PC 50**

Communicatings' Names	Ref
A. Khalfallah, A. Kriaa, A. Hedhli *FSG - Gabès* Synthesis and surface-active properties of *F*-alkylated succinate crown ethers	**PC 51**
R. Khammar, A. Bendjeddou, T. Abbaz, R. Rehamnia, D.Villemin *University of Mohamed Cherif Messaadia - Souk Ahras, Algeria* Synthesis and theoretical study of new organic materials bearing a tetrathiafulvalene unit	**PC 52**
O. Khedher, R. Ben Salem, Y. Moussaoui *FSS - Sfax* Kinetics and thermodynamics of the solid-liquid extraction process of total polyphenols, antioxidants and extraction yield from *Echinops spinosus*	**PC 53**
S. Maache, T. Abbaz, A. Bendjeddou, A. Gouasmia, D. Villemin *University of Mohamed Chérif Messaadia - Souk-Ahras, Algeria* Synthesis and characterisation of new organic materials based-tetrathiafulvalene	**PC 54**
S. Maache, T. Abbaz, A. Bendjeddou, A. Gouasmia, D. Villemin *University of Mohamed Chérif Messaadia - Souk-Ahras, Algeria* A new donor -π from the family tetrathiafulvalene : Synthesis, electrical conductivity	**PC 55**
H. Maouati, C. Aroulanda, D. Merlet, I. Chehidi *FST - Tunis* Experimental and theoretical conformational investigation of bis (thiocarbamates) and dicarbamates	**PC 56**
A. Mayooufi, M. Romdhani Younes, J. Thibonnet *FST - Tunis* Regioselective methodology for the synthesis of new amino butyrolactones compound underpalladium-catalyzed tandem cross-coupling/cyclization reaction	**PC 57**
M. Merabet-Khelassi, N. Braia, L. Aribi-Zouioueche *Badji Mokhtar-Annaba University* Enzymatic kinetic resolution of 1-Indanol and its Acetate: Study of Some Parameters	**PC 58**

Communicatings' Names	Ref
O. Mhasni Briki, F. Rezgui *INRAP - Tunis* General and efficient transesterification of β-keto esters with various alcohols using Et_3N as a Brønsted base additive	**PC 59**
K. Mliki, M. Trabelsi *FSS - Sfax* Uncatalysed specific and efficient oxidation of 5-hydroxymethylfurfural in 5-hydroxymethyl-2(*5H*)-furanone by using sodium perborate	**PC 60**
D. Mnallah Kanzari, M.L. Efrit, A. Ben Akacha *FST - Tunis* Synthesis of β–cycloalcoxyphosphonated hydrazones and mechanistic study by ^{31}P NMR	**PC 61**
S. Mokbli, H.M. Sbihi, I.A. Nehdi *ISB - Sidi Thabet* A comparative study of *Brachychiton populneus* seed and seed-fiber oils inTunisia	**PC 62**
S. Mokbli, H.M. Sbihi, I.A. Nehdi *ISB - Sidi Thabet* Yucca aloifolia oil methyl esters	**PC 63**
S. Mouanni, D. Amitouche, T. Mazari, A. Boumechhour, S. Benadji, L. Dermeche, C. Rabia *USTHB - Algiers, Algeria* Simple and green oxidation of cyclohexanone to adipic acid with Keggin type mixed salts	**PC 64**
R. Omrani, M.A.K. Sanhoury, T. Ben Dhia, M.L. Efrit, A. Ben Akacha *FST - Tunis* Synthesis and chacterization of tin tetrachloride complexes with phosphonothioamidates and phosphonoamidates	**PC 65**
O. Ouerfelli, J. Pyktowicz, T. Brigaud, R. Besbes *FST - Tunis* Ring expansion of aziridine-2-carboxylates: An efficient entry to new nitrogen-containing compounds	**PC 66**

Communicatings' Names	Ref
F. Rais *ENIS - Sfax* Preparation, caracterization of sulfated diethanolamides of olive pomace oil and applied as lime soap dispersants	**PC 67**
G. Rigane, R. Ben Salem *FSS - Sfax* Isolation and characterization of two new iridoid compounds from *Olea europaea* L.	**PC 68**
F. Saadi, A. Arfaoui, H. Amri *FST - Tunis* An efficient synthesis of polysubstituted vinyl ethers	**PC 69**
L. Saher, A. Benazzouz, M. Makhloufi-Chebli, L. Dermeche, B. Boutemeur-Khedis,C. Rabia, Artur. M. S. Silva, M. Hamdi *University of Mouloud Mammeri - Algeria* Role of water in the reaction of triacetic lactone and salicylaldehyde	**PC 70**
L. Saher, A. Benazzouz, M. Makhloufi-Chebli, B. Boutemeur-Khedis, A.M.S. Silva, M. Hamdi *University of Mouloud Mammeri - Algeria* A one-pot three-component synthesis of novel hybrid coumarin-3,4-dihydropyrimidin-2(1*H*)-ones/thiones under microwave irradiation	**PC 71**
K. Said, L. Mhamdi, R. Ben Salem *FSS - Sfax* Facile and efficient Suzuki–Miyaura coupling reaction of aryl halides catalyzed by catalyst based on ZnS-doped Ni (5%)	**PC 72**
H.M. Sbihi, I.A. Nehdi, M. Romdhani Younes *FST - Tunis* Characterization of White Mahlab (*Prunus mahaleb* L.) seed oil: A rich source of α-eleostearic acid	**PC 73**
H.M. Sbihi, I.A. Nehdi, M. Romdhani Younes *FST - Tunis* Lipase/enzyme catalyzed biodiesel production from *Prunus mahaleb*: A comparative study with base catalyzed biodiesel production	**PC 74**

Communicatings' Names	Ref
S. Sebih, F. Athman, S. Boudah *USTHB - Algeria* Synthesis and gas chromatographic study of a new liquid crystal	**PC 75**
M. Slimani, A.Keniche, J.M. Aiupura, J. Kajima Mulengi *University of Tlemen - Algeria* The first NMR investigation of the complexation of aziridine with cyclodextrine	**PC 76**
A. Smaoui, K. Essalah, B. Tangour *IPEIM - Tunis* Theoretical investigation on the reactivity of Nefopam in super-acid middle	**PC 77**
A. Touabet *USTHB - Algeria* Analysis of Natural Substances Using a New Liquid Crystal as Stationary Phase	**PC 78**
N. Touj, A. Chakchouk-Mtibaa, I. Özdemir, L. Mellouli, S. Yaşar, N. Hamdi *FSB - Bizerte* An efficient one-pot synthesis of triazoles by copper (I) catalyzed azide-alkyne cycloaddition (CuAAC) reaction under mild conditions in water: Synthesis, characterization and biological activities	**PC 79**
A. Toumi, S. Boudriga, M. Askri *FSM - Monastir* Design of novel dispirooxindolopyrrolidines through [3+2] cycloaddition reactions of azomethine ylides with hydantoin derivatives	**PC 80**
I. Trabelsi, K. Essid, M. Hédi Frikha *FSS - Sfax* Mixed carboxylic-fatty anhydride esterification using Amberlyst-15 as a heterogeneous catalyst	**PC 81**
A. Yahyaoui, G. Rigane, R. Ben Salem *FSS - Sfax* Can use of natural plants extracts protect extra virgin olive oil from oxidation during microwave heating?	**PC 82**

Communicatings' Names	Ref
A. Zaouech, A. Raoudha *FSB - Bizerte* Inclusion complex of 2-amino-4,5,6,7- tetrahydro-1-benzothiophene-3-carbonitrile and beta-cyclodextrin: Synthesis and Spectroscopic study	**PC 83**
N. Ziani, K. Amirat, D. Messadi *University of Badji Mokhtar - Annaba, Algeria* Prediction by silico methodologies of aquatic toxicity in tetrahymena pyriformis by aromatic amines	**PC 84**
L. Zribi, F. Zribi, F. Chabchoub *FSS - Sfax* Synthesis of 9-amino-2,5-diphenyl-[1,2,4]triazolo[1',5':1,6]pyrido[3,2-*d*]pyrimidine-4-carbonitrile derivatives	**PC 85**

Posters Communications' Abstracts

A convenient synthesis of l,2-azaphospholidines and extensionto the preparation of azaphosphacane and azaphosphanane higher homologues

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Building and functionalizing P-heterocycles has stimulated the research of methodological synthetic tools for many years due to their biological and therapeutic properties [1, 2]. In connection with our ongoing activity aimed at synthesizing new P-heterocycles [3], we disclose in this communication a versatile single step methodology towards the preparation of new l,2-azaphospholidines. Allylphosphonochloridates **1** react with primary amines through a single synthetic operation involving the formation of N–P and N–C bonds to afford l,2-azaphospholidines **2**. This methodology was next successfully extended to prepare eight- and nine-membered saturated N,N,P-heterocycles by reacting the corresponding phosphonochloridate with 1,2- and 1,3-diamines respectively. DFT calculations allowed the identification of two diastereomers that display preferred boat-chair and twisted-boat-chair conformations.

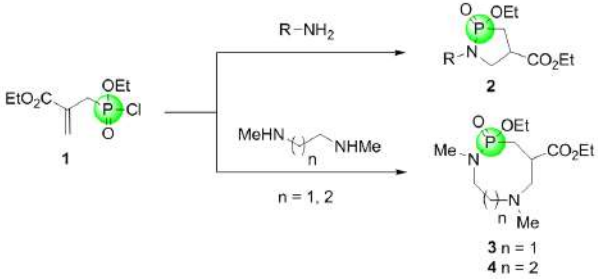

Fig. One pot N–P bond formation – aza-Michael addition sequence.

Key words: P-heterocycles, l,2-azaphospholidines, Aza-Michael.

References

[1] Brewster, R.; Vandergeten, M. -C.; Montel, F. Eur. *J. Org. Chem*., **2014**, 905.
[2] Point, V.; Malla, R. K.; Carriere, F.; Canaan, S.; Spilling, C. D.; Cavalier, J. –F. *J. Med. Chem*., **2013**, 56, 4393.
[3] Abdelli, A.; Bourdreux, F.; Gaucher, A.; Efrit, M. L.; M'rabet, H.; Prim, D. *Tetrahedron Lett*. **2016**, *57*, 379.

Characterization of simple and acetylated lignin

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Lignin is a renewable material obtained in large quantities as a by-product of the olive industry. In this context, this study aims to study lignin as a macromonomer in the rigid synthesis of polyurethane foam after chemical modification. For this purpose, the lignin extracted from spent olive residue by treatment with sulfuric acid according to the method described by Mansour et al. The comparison by characterization of the single and acetylated isolated lignin according to the physico-chemical analyzes ATG, DSC and FTIR shows its value as a biomass capable of being transformed after a chemical modification to polyol.

Key words: Lignin, Olive pomace, polyuréthane, physico-chemical analyzes

References

[1] Doherty, W.O.S., Mousavioun, P., Fellows, C.M., 2011. Value-adding to cellulosic ethanol: lignin polymers. Industrial Crops and Products 33, 259–276
[2] Gandini, A., Belgacem, M.N., 2008. Lignin as components of macromolecular materials. In: Belgacem, M.N., Gandini, A. (Eds.), Monomers, Polymers and Composites from Renewable Resources. Elsevier, Amsterdam, pp. 243–271.
[3] Monteil-Rivera, F., Phuong, M., Ye, M., Halasz, A., Hawari, J., 2013 Isolation and characterization of herbaceous lignins for applications in biomaterials. Ind. Crops and Products 41, 356– 364.
[4] Nadji, H., Bruzzèse, C., Belgacem, M.N., Benaboura, A., Gandini, A., 2005. Oxypropylation of lignins and preparation of rigid polyurethane foams from the ensuing polyols. Macromol. Mater. Eng. 209, 1009-1016
[5] Toledano, A., García, A., Mondragon, I., Labidi. J., 2010. Lignin separation and fractionation by ultrafiltration. Separation and Purification Technology 71, 38–43.
[6] Strassberger Z., Tanase S. and Rothenberg G., 2014. The pros and cons of lignin valorisation in an integrated biorefinery. RSC Advances, 4, 25310.
[7] Venkatesh, V., Nguyen, N., 1992. Evaporation and concentration of black liquor, In: R.P. Green, G. Hough, editors. ―Chemical recovery in the alkaline pulping processes‖. Atlanta: TAPPI, pp. 5-12.

Efficient catalyst for the α-aminophosphonates synthesis under green chemistry conditions.

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The α-aminophosphonates are considered as analogues of amino acids have been found a wide range of applications in chemistry and biological. [1] There are significant progresses in synthetic methods leading to α-aminophosphonates under eco-friendly conditions. [2] However, the application of multi-component reactions in one pot for the phosphorus–carbon bond formation via the *Kabachnik Fields* reaction has received intense interest in recent years. [3]
In this work, we have developed an efficient and a simple method for the synthesis of α-aminophosphonates via a three component condensation in one pot; aromatic aldehyde differently substituted, primary amine and *H*-dielthylphosphite, comparing the two catalysts $CuN_2O_6.3H_2O$ and $CON_2O_6.3H_2O$ in the absence of the solvent, at room temperature within a short reaction. The results show that the $CuN_2O_6.3H_2O$ (10mol %) is the best catalyst that can promote multi-component under green chemistry conditions to give α-aminophosphonates in good yields without chromatography column. All the synthesized compounds are characterized by NMR ^{1}H, ^{13}C, ^{31}P and HRMS spectra.

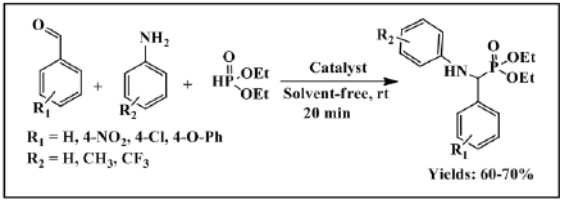

Fig. Synthesis of α-aminophosphonates

Key words: α-aminophosphonates, Kabachnik–Fields, Lewis Acid, multi-component reactions.

References

[1] (a) Allen. M., Fuhrer. C.W., Tuck. B., Wade. R., Wood. J. M. *J. Med. Chem*. **1989**, 32, 1652-1661, (b) Giannousis, P.P.P., Bartlett, A., *J. Med. Chem*. **1987**, 30, 1603.
[2] (a) Ordóñez. M., Rojas-Cabrera. H., Cativiela. C. *Tetrahedron.* **2009**, 65, 17-49, (b) Guezane-Lakoud, S., Merabet-Khelassi. M., Aribi-Zouioueche. L. *Res. Chem. Intermed.* **2016**, 42, 4403–4415, (c) W. Leitner, Green Solvents–Progress in science and application: *Green Chem.* **2009**, 11, 603.
[3] Sandeep. M. Agawane, Jayashree M. Nagarkar, *Tetrahedron Lett.* **2011**, 52, 3499–3504.

Helically chiral phosphorus-containing species

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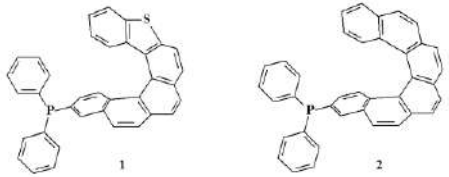
Helicenes are polycyclic aromatic hydrocarbons composed of *ortho*-fused aromatic rings resulting in helical chiral and highly conjugated structures. These helices can wind in either clockwise or counter-clockwise direction to present *left*- and *right*-handed chiral helical structures of (*M*) and (*P*) configurations, respectively.
Helical backbones, which combine electron delocalization and non-planarity of the π-electron network, demonstrate various properties and applications such as circularly polarized luminescence,[1] non linear optical[2] and the ability to act as potentially useful components in chiral discotic liquid crystalline materials,[3] as building blocks for helical conjugated polymers[4] and as rotors.[5] In particular, functionalized hexahelicenes and larger [*n*]helicenes are promising candidates for chiral catalysts[6] and ligands[7] in asymmetric syntheses because of their rigid framework, high optical stability and resistance to isomerization.
We recently developed methods for the synthesis and resolution of various interesting helically chiral aromatic structures.[8] Thus, in view of the importance of helicenes in asymmetric synthesis and due to the small number of known [6]helicene-based phosphines, we wanted to extend our approach to the design and synthesis of new types of phosphorus-containing species, like **1** and **2**, witch are capable of forming metallated complexes.



Key words: Chirality, Helicenes, Couplings, Photolysis, Complexes.

References

[1] Tang, Y.; Cook, T. A.; Cohen, A. E. *J. Phys. Chem.* A 2009, *113*, 6213-6216.
[2] Bossi, A.; Licandro, E.; Maiorana, S.; Rigamonti, C.; Righetto, S.; Stephenson, G. R.; Spassova, M.; Botek, E.; Champagne, B. *J. Phys. Chem.* C 2008, *112*, 7900-7907.
[3] (a) Verbist, T.; Sioncke, S.; Persoons, A.; Vyklicky, L.; Katz, T. J. *Angew. Chem., Int. Ed.* 2002, *41*, 3882–3884; (b) Nuckolls, C.; Katz, T. J.; Katz, G.; Collings, P. J.; Castellanos, L. *J. Am. Chem. Soc*. 1999, *121*, 79-88.
[4] (a) Fox, J. M.; Lin, D. *J. Org. Chem.* 1998, 63, 2031-2038; (b) Dai, Y.; Katz, T. J. *J. Org. Chem.* 1997, *62*, 1274-1285.
[5] (a) Kelly, T. R.; Tellitu, I.; Pérez Sestelo, J. *Angew. Chem., Int. Ed*. 1997, *36*, 1866-1868; (b) Kelly, T. R.; Silva, R. A.; De Silva, H.; Jasmin, S.; Zhao, Y. *J. Am. Chem. Soc*. 2000, *122*, 6935-6949.
[6] Dreher, S. D.; Katz, T. J.; Lam, K. C.; Rheingold, A. L. *J. Org. Chem.* 2000, *65*, 815-822.
[7] (a) Reetz, M. T.; Beuttenmüller, E. W.; Goddart, R. *Tetrahedron Lett*. 1997, *38*, 3211-3214; (b) Reetz, M. T.;Sostmann, S. *J. Organomet. Chem.* 2000, *603*, 105-109; (c) Kawasaki, T.; Suzuki, K.; Licandro, E.; Bossi, A.; Maiorana, S.; Soai, K. Tetrahedron: Asymmetry 2006, *17*, 2050-2053.
[8] (a) Aloui F.; Jabli M.; Ben Hassine B., *Synth. Commun*., 2013, *43*, 277-291; (b) Ben Braiek, M.; Aloui F., Ben Hassine B. *Tetrahedron Lett. 57*, 2016, 4273-4276; (c) Ben Braiek M., Aloui F., Ben Hassine B. *Tetrahedron Lett*. 2016, *57*, 2763-2766.

PREDICTION OF THE TOXICITY OF BENZO [C] PHENANTHRIDINE FAMILY IN SILICO.

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Chemotherapy has played an important role in the treatment of cancer, especially for inoperable, metastatic and sytemic tumors. Many agents used clinically, available for cancer chemotherapy differentiate by their mechanisms of action. The appearance of cell populations resistant to cancer incite scientists to continually seek new structures. Enzymes of the type Topoisomerase are, for several years, promising targets [1,2].Among the agents expressing an activity for antitopoisomerase, the alkaloids of family of benzo [c] phenanthridines are well known [3]. Numerous studies have been to find synthetic routes of natural derivatives (nitidine, fagaronine, Chélerythrin, sanguinarine...), in order to have sufficient quantities to confirm their molecular mechanism of action.

A structure lethal dose 50 relationship has been searched for a set of family of benzo [c] phenanthridines while favoring a hybrid genetic algorithm / multiple linear regression approach, the structural parameters being calculated with the Hyperchem [4] and DRAGON [5] software. Among the 100 simple models with one explanatory variable, we chose the one with the best values of the prediction parameter (Q2) and the coefficient of determination (R2). The reliability of the proposed model has also been illustrated using various techniques Evaluation: leave-many out, cross-validation, randomization test, and validation by the test set.

LogIC 50 = 7.27 - 7.76 BELe7 - 3.22 Mor32v - 0.220 F05[N-O]

N_{tot} = 87; S = 0.5289, Q2 (%) = 80.04, R2 (%) = 82.21, F = 86.2724, P = 0.000.

Key words: Structure / lethal dose 50; benzo [c] phenanthridine family ; software; Molecular descriptors.

References

[1] H-K. Rhee, H. J. Park, S. K. Lee, C-O. Lee and H-Y. Park Choo, "Synthesis, cytotoxicity, and DNA topoisomerase II inhibitory activity of benzofuroquinolinediones." Bioorg. Med. Chem., 2007. 15: 1651-1658.

[2] J. S. Kim, H-K. Rhee, H. J. Park, I-K. Lee, S. K. Lee, M-E. Suh, H. J. Lee, C-K. Ryu, H-Y. Park Choo, "Synthesis of 6-chloroisoquinoline-5,8-diones and pyrido[3,4- b]-phenazine-5,12-diones and evaluati on of their cytotoxicity and DNA topoisomerase II inhibitory activity." Bioorg. Med. Chem., 2007. 15: 451-457.

[3] T. Ishikawa, H. Ishii, "Recent advances on antitumor-active benzo[c]phenanthridine alkaloids." Heterocycles, 1999. 50(1): 627-639.

[4] Hyperchem™ (2000), Release 7, Hypercube for Windows, Molecular Modeling System.

[5] Todeschini, R., Consonni, V. and Pavan, M. (2006), "DRAGON Software for the Calculation of Molecular Descriptors ", Release 5.4 for Windows,Talete s.r.l., Milano.

SYHTHESES OF DERIVES BENZOTHIAZOLINONES ANTI-BACTERIENS ACTIVITY

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The capacity antibacterial of certain heterocyclic structures seems to be conditioned by the presence of the grouping nitro in their formulation [1, 2].This is why it seemed to us interesting to prepare and study the following series of the compounds (fig. 1)

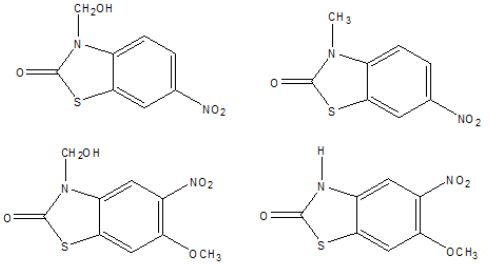

Fig. 1

In addition to the nature and the position of the modifying substituent present on the homo cycle or the heterocyclic, this lipophilic sulphur vector has character acide[3] could be a conveyor of various choices of drug, in particular in the increase in their cerebral concentration compared to other fabrics.

The preliminary pharmacological evaluation highlighted for some of these compounds, of the properties antibactériennes close to those to Nitroxoline used like reference product.

References

[1] Amirat, Magister, Chimie pharmaceutique. 2002. U.Badji Mokhtar Annaba.
[2] les propriétés antibactériennes du 2-nitro-benzofurane. J.P.Bachelet et R.Royer.
[3] S, Yous. Thèse de Doctorat en Chimie pharmaceutique. 1991. U. de Lille II.

A theoretical DFT insights into the Nature of chalcogen Bonding between CX2 (X= S, Se, Te) and some Nitrogenated Molecules

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Chalcogen bonding is a noncovalent interaction, in some ways analogous to halogen and hydrogen bonding, occurring between a chalcogen atom in one molecule and a negative site in another [1-3]. There are a few theories about electrostatic fundamentals and nature of chalcogen bond. DFT calculations were performed on a series of complexes formed between chalcogen CX_2 (X=S,Xe,Te) and pyrimidine (1a) and its 1,4 or 1,2 analogues (1b,1c, respectively) to gain a deeper insight into the nature of chalcogen bonding[4,5]. It appears that the charge-transfer-type contribution has been demonstrated to dominate the chalcogen bonding in these complexes. Our calculations clearly indicate that electrostatic interactions are mainly responsible for their binding energies.

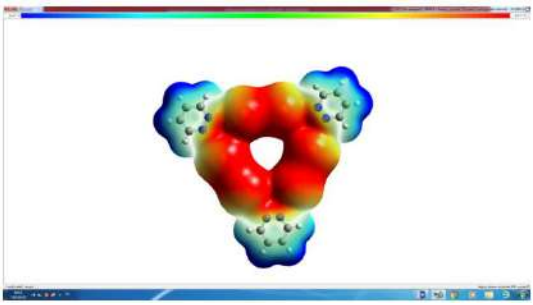

Fig. PES of the CTe2 and pyridazine interaction

Key words: Chalcogen-bond, DFT

References

[1] R. Steudel and and Y. Steudel, *Eur. J. Inorg. Chem.* **2007**, 4385–4392
[2] M. Iwaoka and N. Isozumi, *Molecules* **2012**, *17*, 7266-7283
[3] W. S. Zou, J. Han, and W. J. Jin**,** *J. Phys. Chem. A* **2009,** *113*, 10125–10132
[4] A. Toutchkine, and all, *J. Phys. Chem. A* **2007,** *111*, 10849-10860
[5] Gaussian 09, Revision *A.1*, M. J. Frisch, Gaussian, Inc., Wallingford CT, **2009**

Mechanistic study of the styrene coupling reaction with aryl halides catalysed by iron

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The effect of the nature of the halogen in the arylation reaction of styrene was tested in the presence of a new iron-based catalyst which has the advantage of being less expensive, non-polluting and non-toxic. The results obtained, show that the use of iodobenzene generates the arylation of the styrene external carbon producing both the trans and the cis forms with yields of 55% and 17% respectively. However, the use of bromobenzene, chlorobenzene and 1-bromo-4-chlorobenzene involves the tri-arylation of styrene with yields of 85%, 80% and 90%, respectively. In this respect, we propose reactional mechanism that could explain the obtained results.

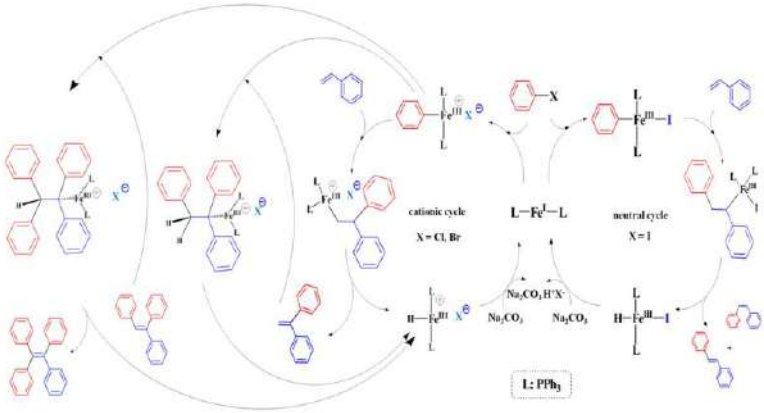

Fig. Neutral and cationic mechanisms of the arylation reaction of styrene according to the nature of the aryl halide.

Key words: catalysis, iron, couplage, selectivity.

SYNTHESIS OF VINYL TRIAZOLE CARBOTHIOAMIDE AND VINYL TRIAZINE THIONE FROM N-ACRYLOYL IMIDATES

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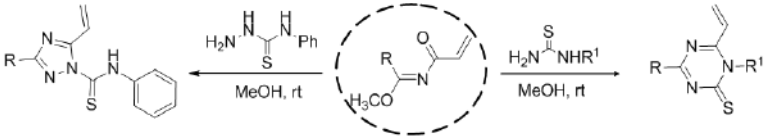
Particular attention has been paid in the literature to thiosemicarbazides and thioureas due to their biological properties such as antiviral[1], anti-tumor[2], and antimicrobial properties[3].
We report the results obtained by the action of thiosemicarbazide on the one hand and on the other hand of the thiourea derivatives on the N-acryloyl imidates.
Thus, two new series of vinyl triazole carbothioamide and vinyl triazine thione which can probably be endowed with biological activity according to the following reactions scheme are obtained.



These compounds were established by spectroscopic analysis NMR 1D (^{1}H, ^{13}C) and IR.

Keywords : Imidate, thiosemicarbazide, triazole carbothioamide, thiourea.

References

[1] Banerjee, D.; Yogeeswari,P.; Bhat, P.; Thomas, A.; Srividya, M.; Sriram, D., Eur. *J. Med. Chem.* **2011**, 46, 106-121.
[2] Patole, J.; Padhye, S.; Newton, C. J.; Christopher, A.; Powel, A. K.; Indian *J. Chem.* **2004**, 43A, 1654-1658.
[3] Otero, L., Vieites, M.; Boiani, L.; Denicola, A.; Rigol, C.; Opazo, L.; Olea-Azar, C.; Maya, J. D.; Morello, A.; Krauth-Siegel, R. L.; *J. Med. Chem.* **2006**, 49, 3322-3331

Synthesis of Five-Membered Heterocycles by the [3+2] Cycloaddition of Aziridines and Alkynes

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Unsaturated N-heterocycles such as pyrroline derivatives are ubiquitous structural motifs found in an array of natural products and pharmaceuticals with diverse biological and medicinal properties.[1] Thus, it has gained considerable attention in organic synthesis, medicinal chemistry and material science.[2] Among them, the cycloaddition of alkynes with aziridines *via* C–C bond and C–N bond cleavage is a highly atom-efficient and convergent approach to the preparation of these compounds.[3] In this work, we aimed to study the uncatalyzed and metal-catalyzed reactions between aziridine-2-carboxylates and mono- or bifunctionalized alkynes. This study allowed us to develop two highly regio- and stereoselective synthetic pathways for new pyrrolines. The [3+2] cycloadditions of alkynes with azomethine ylides, which are obtained from aziridines via C-C bond heterolysis upon thermolysis, afforded the substituted 3-pyrrolines (Product 1). While metal-catalyzed provided the fully substituted 2-pyrrolines (Product 2) via the cleavage of the C-N bond of aziridines.

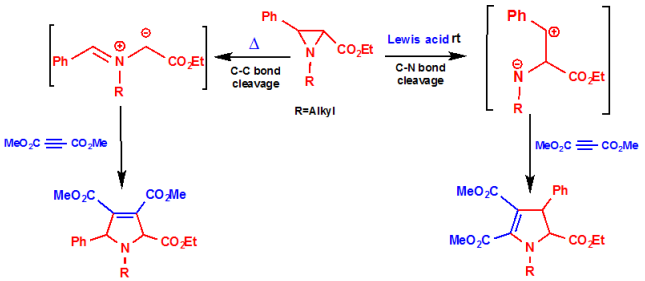

Figure : Synthetic pathways to the preparation of pyrroline derivatives

Keywords: Aziridine; Alkyne; Cycloaddition; N-heterocycles; C–C and C–N Bond cleavage; Catalysis; Selectivity.

[1] Komoda, T.; Sugiyama, Y.; Abe, N.; Imachi, M.; Hirota, H.; Hirota, A. *Tetrahedron Lett.***2003**, 44, 1659-1661.
[2] Jiang, H.; He, Jian, Liu,T.; Yu, J.-Q. *J. Am. Chem. Soc.***2016**, 138, 2055-2059.
[3] Huisgen, R.; Scheer, W.; Huber, H. *J. Am. Chem. Soc.***1967**, 89, 1753-1755.

GC and GC/MS Analysis of the Algerian *Chenopodium ambrosioides* L. Essential Oils: Insecticidal effects against *Callosobruchus maculates* in stored Chickpea

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Several problems including pollution of the environment, pest resurgence, lethal effect on non-target organisms, increasing cost of applications and intoxication of consumers were observed when the stored grain pests were controlled by chemical pesticides. So, to promote environmental sustainability, many phytochemicals including essential oils begin to have a lot of interest as a potential source of natural insecticides [1-4].
Hence, the objective of the current study was to determine the chemical constituents and bio-pesticide toxicity of the essential oils extracted by hydro-distillation from the aerial parts of *Chenopodium ambrosioides* L. (Mexican tea, Epazote) growing in two Algiers regions (Birkhadem and Khemis el Khechna).
The chemical composition of these essential oils was investigated by GC/FID and GC/MS using two capillary columns of different polarities, CP-SIL-5CB and DB-WAX. Mass spectra and retention indices were used to identify a total of 21 compounds. The major constituents were: cis ascaridole (82-74.9%), carvacrol (3.9-3.9%), p-cymene (2.9-8.5%) and α-terpinene (0.6-2.4%), respectively in Birkhadem (B) and Khemis –El Khechna (K) oils.
Biopesticide tests reveal that these essential oils with a concentration of 0.31µl/cm^2, exhibited a powerful contact toxicity against *Callosobruchus maculatus* adults (0-24 hour old) in stored chickpea. B.oil gave the lowest lethal dose (LD_{50}: 0.12 µl/cm^2, LD_{10}: 0.028 µl/cm^2) in comparison to K.oil (LD_{50}: 0.24 µl/cm^2, LD_{10}: 0.15 µl/cm^2). Inhibition of fecundity has been studied at LD_{50} and LD_{10}; very low average fecundity values ranging from 0.15±0.5 to 0±0 eggs/♀ for LD_{50} and 0.05±0.5 to 0.1±0.5eggs/♀ for LD_{10} were observed for the two respective regions, B. and K.

Key words: Essential oils, Bio-pesticide, *Callosobruchus maculates*, Epazote, chickpea grains

References:

[1] S. Zoubiri, A. Baaliouamer, Essential oil composition of *Coriandrum sativum* seed cultivated in Algeria as food grains protectant, *Food Chemistry* **2010,** vol. 122 (4), 1226-1228.
[2] S. Zoubiri, A. Baaliouamer, Chemical composition and insecticidal properties of some aromatic herbs essential oils from Algeria, *Food Chemistry* **2011**, 129 (1), 179-182.
[3] S. Zoubiri, A. Baaliouamer, Larvicidal activity of two Algerian Verbenaceae essential oils against Culex pipiens, *Veterinary Parasitology* **2011,**181 (2-4), 370-373.
[4] S. Zoubiri, A. Baaliouamer, *Journal of Essential Oil Research* **2012**, Vol. 24,N° 4, 377-383, " Chemical composition and insecticidal properties of *Lantana camara* L. Leaf essential oils from Algeria."

Synthesis and anticholinesterase activity of arylphosphoramidates

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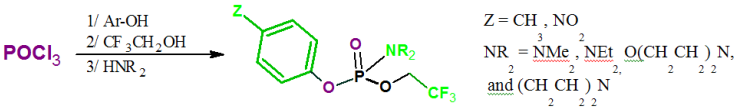
Organophosphorus compounds are widely used as pesticides and chemical weapons as neurotoxic agents through their inhibitory effect on acetylcholinesterase [1]. The development in the field of medicinal chemistry of these compounds is currently characterized by a remarkable orientation towards the synthesis of their derivatives as prodrugs [2]. Many bioactive compounds in nature contain phosphorylated groups. Indeed, these natural products play a key role in regulating transmembrane signaling [3]. Recent studies have shown that phosphoramidates and phosphates can be used as anticancer agents [4], anti-HIV [5] and against Alzheimer's disease [6]. It was also shown that the biological activity of these compounds depends significantly on the phosphorus atom substituents [7].In this work, we describe the synthesis of new arylphosphoramidates (scheme) and their anticholinesterase activity. Theirbiological activity against pathogenic bacteria has been also evaluated. The substituents on the phosphorus atom of the arylphosphoramidates $(ArO)P(O)(NR_2)(OR')$ were selected tomimic the already used phosphoramidate prodrugs [2].



Scheme

References:

[1] Edward C. Meek, H. W. Chambers, A. Coban, K. E. Funck, R. B. Pringle, M. K. Ross, J. E. Chambers, Toxicol. Sci.,126 (2012) 525.
[2] C. M. Timperley, M. Waters, J. Fluorine Chem. 126 (2005,) 1144.
[3] M. J. Berridge, R. F, Irvine, Nature 312 (1984) 315.
[4] H. Chapman, M. Kernan, E. Prisbe, J. Rohloff, M. Sparacino, T. Terhorst, R. Yu. Nucleosides, Nucleotides Nucleic Acids20 (2001) 621.
[5] C. Mc Guigan, J. Med. Chem. 36 (1993) 1048.
[6] J. Patocka, Chem. Listy, 92 (1998) 1016.
[7] C. A. Roman, J. Balzarini, C. Meier. J. Med. Chem. 53 (2010) 7675.

Synthesis of new spiropyrrolothiazoles *via* multicomponent 1,3-dipolar cycloaddition reaction

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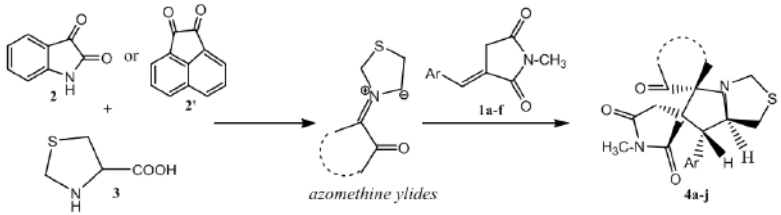
Nitrogen spiro-heterocycles are important structural building blocks featured in a large number of naturally occurring alkaloids, drugs and pharmaceutically active compounds [1]. In particular, spiropyrrolothiazole derivatives are interesting for their exhibition of a wide range of biological activities such as antidiabetic [2], anticancer [3], antimicrobial [4] and acetylcholinesterase-inhibitory behavior [5]. Prompted by the synthetic interest and application of spiropyrrolothiazole skeleton as part of our research on *N*–spiroheterocycles [6], we describe herein the synthesis of a novel series of dispiropyrrolothiazoles **4a-j** *via* one-pot multicomponent 1,3-dipolar cycloaddition reactions of *(E)*-3-arylidene-1-methyl-pyrrolidine-2,5-diones **1a-f** with azomethine ylides. The latter have been generated *in situ* by reaction of various cyclic ketones 2 or 2' and 4-thiazolidinecarboxylic acid **3.**



Scheme 1. Synthesis of spiropyrrolothiazole derivatives

Key words: Spiropyrrolothiazoles, 1,3-dipolar cycloaddition.

References

[1] K. Arya, P. Tomarand and J. Singh, *RSC Adv.* 2014, **4**, 3060; (b) C. E. P. Galvis and V. V. Kouznetsov, *Org. Biomol. Chem.* 2013, **11**, 7372; (c) A. Dandia, A. K. Jainand and A. K. Laxkar, *RSC Adv.* 2013, **3**, 8422; (d) N. R. Ball-Jones, J. J. Badillo and A. K. Franz, *Org. Biomol. Chem.* 2012, **10**, 5165.

[2] K. Atul, M. R. Awatar, S. A. Kumar, S. A. Bahadur and T. A. Kumar, *Ind. Pat. Appl.* 2011, **48**, 20110114.

[3] A. Kumar, G. Gupta, S. Srivastava, A. K. Bishnoi, R. Saxena, R. Kant, R. S. Khanna, P. R. Maulikand and A. Dwivedi, *RSC Adv.*, 2013, **3**, 4731.

[4] G. Wu, L. Ouyang, J. Liu, S. Zeng, W. Huang, B. Han, F. Wu, G. He and M. Xiang, *Mol. Divers.* 2013, 17, 271.

[5] S. Sivakumar, R. R. Kumar, M. A. Ali and T. S. Choon, *Eur. J. Med. Chem.* 2013, **65**, 240.

Use of click chemistry to prepare porphyrin-based single-strain polymer

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Polymers that include porphyrins have been created with a wide variety of structures and used in a wide range of applications [1]. Polymerization has been carried out using many different mechanisms and synthetic techniques. Copper catalyzed azide-alkyne cycloaddition (CuAAC) known as "click reaction" is playing an important role in porphyrin chemistry [2-4] and is used in this work to prepare porphyrin-based single-strain polymer. For this purpose, diazido-terminated porphyrin was designed and prepared, and reacted with dipropargylated molecules. This work will be the basis for new synthetic methods opening the way to novel materials with potential biological applications, such as photodynamic therapy.

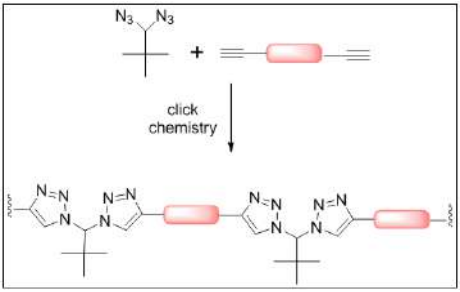

Fig. Schematic synthetic pathway explored in this work

These compounds will be characterized by spectroscopic analyses: ^{1}H and ^{13}C NMR, Maldi-MS, UV-vis and IR.

Key words: porphyrin, click chemistry, single-strain polymer

References

[1] N. U. Day, C. C.Wamsera, M. G. Walter, *Polym. Int.* **2015**, *64*, 833-857.
[2] K. Ladomenou, V. Nikolaou, G. Charalambidis, A. G. Coutsolelos, *Coord. Chem. Rev.* **2016**, *306*, 1-42.
[3] F. Dumoulin, V. Ahsen, *J. Porphyrins Phthalocyanines*, **2011**, *15*, 481-504.
[4] S. Acherar, L. Colombeau, C. Frochot, R. Vanderesse, *Curr. Med. Chem.* **2015**, *22*, 3217-3254.

Selective approaches to isoxazol-5-ones and aziridines-2-carboxylates from brominated cinnamates

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α-bromocinnamates are known to be useful compounds for the synthesis of many types of nitrogen-containing structures with various architectures[1]. Their coupling with mono- and binucleophilic reagents is an effective way to access heterocyclic ring systems such as aziridine-2-carboxylates which are recognized as versatile building blocks widely applied in the synthesis of natural products and pharmaceuticals[2], and isoxazolinones which are of considerable interest in medicinal chemistry and are often found in many bioactive compounds[3]. We report, in this work, a diastereoselective synthesis of aziridine-2-carboxylates **2** from α-bromocinnamate **1** and primary amines. On the other hand, the conversion of compounds **1** into isoxazolin-5-ones **3** in the presence of N-alkyl hydroxylamines is also investigated. The structure of these compounds were confirmed by ^{1}H-NMR and by ^{13}C-NMR.

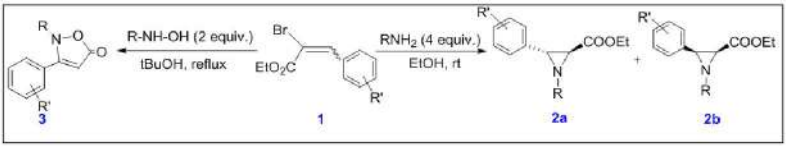

Fig. Synthesis of 3-phenylisoxazolin-5-ones and ethyl-3-phenyl-aziridine-2-carboxylates via α-bromocinnamates.

Key words: α-bromocinnamates, aziridine-2- carboxylates, isoxazolin-5-ones

References

[1] Kakehi, A.; Ito, S. *J. Org. Chem.* **1974**, *39*, 1542.
[2] Pellissier, H. Tetrahedron 2010, 66, 1509.
[3] Baraldi P., Barco A., Benetti S., Pollini G.P., Simoni D., *Synthesis*, **10**:857-869 (1987).

Fast characterization of *C*-glycoside acetophenones in *Medemia argun* male racemes (an Ancient Egyptian palm) using LC-MS analyses and computational study with their antioxidant effect

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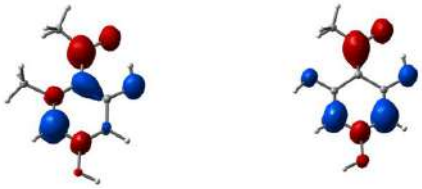
Medemia argun is an ancient endemic palm growing in Nubian Desert of Egypt and Sudan. Liquid chromatography coupled with mass spectrometry in negative ion mode (LC/ESI-MS) has proved to be a potent tool for rapid identification and characterization of complex phytochemicals in male racemes of *M. argun*[1,2]. A total of seven compounds were tentatively identified comprising of two C-glycoside acetophenones, along with the known compounds one stilbene derivative and four known flavonol derivatives from 40% methanolic portion. The product ions of acetophenone derivatives $[M\text{-}H]^-$ were shown to be cross-ring cleavages of the hexoside moiety $[M\text{-}(90/120)\text{-}H]^-$ characteristic for C-glycoside linkage. The position of *C*-*C*-linkage was elucidated by DFT study using the Fukui functions and descriptors [3]. The results revealed that hexose was conjugated with aglycones at C3 or C5. In addition, the theoretical antioxidant activity of compounds **6** and **7** was evaluated by using Bond Dissociation Enthalpy (BDE) [4].



Aglycone **1 (A1)** Aglycone **2 (A2)**

Fig. f_i^2 isovalues surfaces showing nucleophilic (blue) and electrophilic (red) regions of **A1** and **A2**.

Key words: *argun*, male racemes, C-glycosides acetophenones, LC-MS, DFT

References

[1] V. Tä ckholm. Flora, 267-275. In W. Helck and E. Otto (eds) Lexikon der Ä gyptologie, Band II, Erntefest-Horjedef volume 2. Wiesbaden, Otto Harassowitz 1977.
[2] V. Tä ckholm, M. Drar, Cairo University Press. Flora of Egypt. Volume III :Angiospermae, part Monocotyledones (Liliaceae - Musaceae) 1954.
[3] J. Padmanabhan, R. Parthasarathi, U. Sarkar, V. Subramanian, P.K. Chattaraj, Chemical Physics Letters 383 (2004) 122-128.
[4] P.Trouillas, P.Marsal, A. Svobodova, J. Vostalova,R. Gazak, J. Hrbac, P. Sedmera, V. Kren, R. Lazzaroni, J.L. Duroux, D.J. Walterova, Phys. Chem. A. 112 (2008) 1054-1063.

Optimization of the *CRL*-Catalyzed Acetylation of 2-Hydroxymethyl-1-phenylthioferrocene by Addition of Cinchona Alkaloids.

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The 1,2-disubstituted ferrocene derivatives are widely used in various area of chemistry, especially in the field of asymmetric catalysis [1]. One of the most attractive ways for their preparation under enantio enriched forms is the enzymatic kinetic resolution [2]. However, when dealing with the kinetic resolution with a lipase, basic parameters such as the nature of the enzyme, the acylating agent, the solvent, or the additive are often screened during the optimisation process [3]. In our previously study, we have shown that the use of the *o-(*4-chlorobenzoyl) hydroquinine as additive in the enzymatic transesterification in the presence of *Candida Rugosa Lipase (CRL)* of a planar chirality-typed molecule such as 2-hydroxymethyl-1-phenylthioferrocene optimise considerably the reactivity and the selectivity of this lipase [4].
Herein we describe the effect of the amount loading of two cinchona alkaloids during the acetylation of 2-hydroxymethyl-1-phenylthioferrocene: the *o-(*4-chlorobenzoyl) hydroquinine ***A1*** and the cinchonidine ***A2*** catalyzed by *CRL*, in order to explain the mode of action of those additive on the catalytic performance of the lipase. The obtained results show that the activation threshold of these additives depends on the structure of each one. For ***A1*** is determined at 20 mol% and at 5 mol% for the additive ***A2***. Under these conditions, the *(S)* -2-hydroxymethyl-1-phenylthioferrocene is obtained enantiomerically pure ee> 99%.

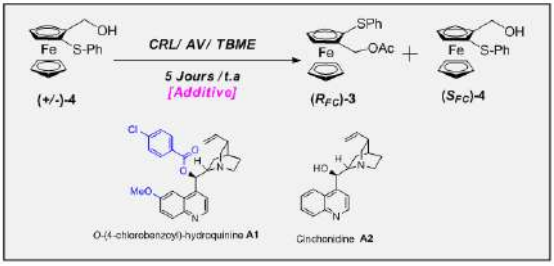

Fig. Enzymatic acetylation of -2-hydroxymethyl-1-phenylthioferrocene.

Key words: Enzymatic acetylation, alkaloids, additive, *candida rugosa lipase.*

References

[1] Hayashi. T.; Mise. T.; Fukushima. M.; Kagotani. M.; Nagashim. N., *Bull. Chem. Soc. Jpn.* **1980**, *53*, 1138-1151. [2] Lambusta. D.; Nicolosi. G.; Patti. A.; Piattelli. M.,*Tetrahedron Letters*, **1996,** *Vol.37, N°1*, 127-130. [3] Faber, K. " Biotransformations in Organic Chemistry", 6th ed.; Springer-Verlag: Berlin Heidelberg, **2011**. [4] M. Merabet-Khelassi, L. Aribi-Zouioueche, O. Riant, *Tetrahedron Asymmetry*.,**2008,** 19, 2378-2384.

A CONVENIENT SYNTHESIS OF PYRANDIONE DERIVATIVES USING P-TOLUENESULFONIC ACID AS CATALYST UNDER ULTRASOUND IRRADIATION

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A new series of 3,3'-{alkane-α,ω-diylbis[imino-eth-1-yl-1-ylidene]}bis(6-methyl-2*H*-pyran-2,4(3*H*)-dione) derivatives (3c-e) has been synthesized by the convenient ultrasound-mediated condensation of a diamine with dehydroacetic acid in the presence of a catalytic amount of
p- toluenesulfonic acid. The structure of all synthesized compounds was elucidated by IR spectroscopy, 1H NMR spectroscopic spectra, elemental analysis, and mass spectroscopy. A tautomeric form for the derivatives species is also proposed [1].

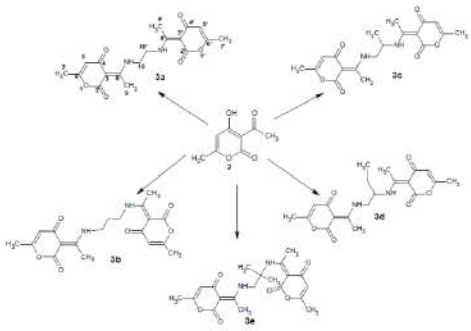

Fig. Pyrandione Derivatives

Key words: Dehydroacetic acid, Schiff base, Condensation, Catalyst, Ultrasound irradiation.

IMPROVEMENT OF THE SYNTHESIS OF BEZOXAZINE DERIVATIVES BY LEWIS ACIDS

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2-substituted 3,4-dihydro-1,3-benzoxazines exhibit a wide range of biological activity, such as antibacterial[1], fungicidal[2], antitumour[3], antituberculosis[3], and anti-inflammatory[4] effects, therefore, the synthesis of these compounds has attracted great interest in medicinal chemistry. Several methods had been reported in the literature using SnCl4, TMSCl to produce these coumpounds[5].

We have prepared 3,4-dihydro-2substituted-1,3-benzoxazine by aza-acetalisation using o-aminomethylphenol and benzaldehyd derivatives us starting materials in the presence of Lewis acid ($FeCl_3.6H_2O$, $NiSO_4.2H_2O$) under refluxed conditions.

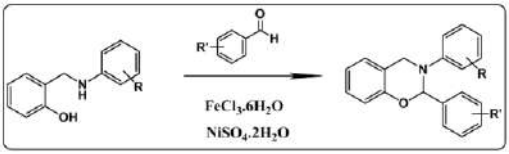

Fig. Synthesis of 2-substituted 3,4-dihydro-1,3-benzoxazines

Key words: Benzoxazine, Aza-acetalisation, Lewis acid

References

[1] a) E. Rajanarendar; G. Mohan; S. Reddy. *Indian.J.Chem*. **2008**, 47B, 112; b) G. Nagarajan; S. Kavimani; *Der Pharmacia Sinica.* **2010**, 1, 109.

[2] (a) K. Waisser; K. Gregor; L. Kubicova; V. Klimesova; J. Kunes; M. Machacek; J. Kaust ova. *Eur J Med Chem* **2000**, 35, 733; (b) K. Waisser; K. Gregor; H. Dostal; J. Kunes; L. Kubicova; V. Klimesova; J. Kaustova. *Source II Farmaco* **2001**, 56, 803.

[3] (a) S. Wang; Y. Li; Q. You; Y. Liu; A. Lu. *Bioorg Med Chem Lett* **2008**, 18, 4095. (b) A. Pasternak; S. D. Goble; M. Struthers; P. P. Vicario; J. M. Ayala; J. D. Salvo; R. Kilburn; T. Wisniewski; J. A. DeMartino; S. G. Mills; L. Yang. *Med Chem Lett* **2010**, 20, 4.

[4] A.Esthve-Subriana, *Britst.Pat.* **1980**, 203, 410.

[5] Z. Tang; W. Chen; Z. Zhu; H. Liua. *J. Heterocyclic Chem.***2011**, 48, 255.

Polyphenols Oxidation. A Chemical and Enzymatical Study

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Oxidation is one of the most frequently used processes in the organic synthesis and although a great number of methods have been developed to carry out this transformation, most of them have the disadvantage of using highly corrosive acids, or toxic metallic compounds that generate undesirable wastes. The use of enzymes extracted from natural substances could be a suitable solution for this kind of reactions.
Enzymatic reactions meet perfectly the most important green chemistry criteria because they are done:

- ✓ In water.
- ✓ at ambient temperature.
- ✓ at a specific pH, generally neutral.
- ✓ do not produce by-products
- ✓ are done very selectively and are sometimes stereo-selective.

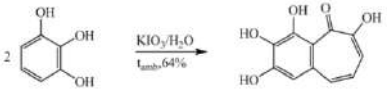
In this work, we were interested in **oxydo-reductases,** from which 2 groups attracted particularly our attention: **Polyphenol Oxydases (PPO)** and **Peroxidases (POD)**.
Various work dealt with the study and identification of Peroxydases and Polyphenol oxydases in various fruits and vegetables, such as tomatoes, apples, aubergines, strawberries, etc...

Polyphenol Oxydation Reaction:

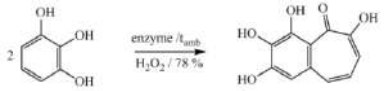
The purpurogallin is a red crystalline phenolic product that constitutes the aglycone of a Heteroside extracted from the gall nut. It can also be obtained synthetically by oxidation of pyrogallol:

- **chemically:**

Pyrogallol oxidation was carried out using KIO_3 as oxidant in water, at ambient temperature, during 24hrs. The product is obtained in pure form, after several re-crystallizations in acetic acid.



- **enzymatically :**



The enzymatic oxidation seems an interesting alternative for the synthesis of purpurogallin under mild conditions. These conditions are in harmony with green chemistry criteria (Ambient temperature, reactions in water, facilitated purification, inexpensive reaction).
The literature describes this reaction with the use of Horse Radish Peroxydase (HRP).
Our work consisted in first extracting the enzymes then trying to partially purify them in order to extract some from POD(s) or PPO(s).
The obtained results are very satisfactory, since the enzymes were only coarsely purified. The yields were optimized according to the variation of pH.

Exploration of potential kinase inhibition and antiproliferative activities of new 2-imino-2*H*-[1]-benzopyran-3-carbonitriles and their derivatives

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The results of the biological activities of new 2-imino-2H-[1]-benzopyran-3-carbonitriles **1a-f** and their derivatives **2a-f**, **3a-f**, synthesized by a solution-phase microwave[1] dielectric heating protocol, are described in this poster presentation. The biological properties involved exploration of their kinase[2] inhibitories potencies against six protein kinases (HsCDK5-p25, GSK3α/β, CLK1, HsHaspin, HsPim1 and HsAurora) and their *in vitro* inhibition of cell proliferation[3] (Huh7 D12, Caco 2, MDA-MB231, HCT 116, PC3, NCI H727, HaCat and fibroblasts). Among of all these compounds, **1d** and **2c** presented selective submicromolar activity on CLK1 (IC_{50} < 1 µM) and **1b** exhibited antitumoral activities in the Huh7 D12 and Caco2 cell lines. These preliminary results[3] are the starting point of biological exploration through a complete structure-activity relationship (SAR) study in order to identify a good inhibitor with potential applications in cancer or diseases of the central nervous system (CNS).

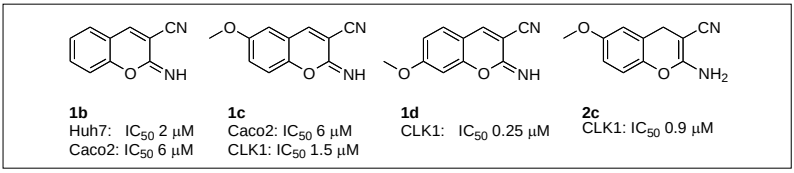

Fig.1: Structure of some iminocoumarins **1b-d** and 2-amino-6 methoxy-4*H*-1-chromene-3-carbonitrile **2c** which are active against tumor cell lines or protein kinase.

Key words: 2*H*-[1]-benzopyran-3-carbonitriles, kinase inhibition, Antiproliferative activity, microwave irradiation.

References

[1] Bouattour, A.; Fakhfakh, M.; Abid, S.; Paquin, L.; Ammar, H.; Bazureau, J-P.; *Synthesis* **2017**, under press. DOI: 10.1055/s-0036-1588825.
[2] Naert, G.; Ferré, V.; Meunier, J.; Keller, E.; Malmström, S.; Givalois, L.; Carreaux, F.; Bazureau, JP.; Maurice, M. *Eur. Neuropsychopharm.* **2015**, *25*, 2170
[3] Bouattour A., Fakhfakh M., Abid S., Paquin L., Le Guével R., Corlu A., Ruchaud S., Bach S., Ammar H., Bazureau J-P. *Arkivoc*, **2017**, under press.

Coupling reactions of arylhalides and phenylboronic acid catalyzed by PEPPSI complexes

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The coupling of organohalides with organometallic reagents utilizing Pd catalysts represents one of the cornerstones in modern organic chemistry [1]. The applications of various cross-coupling reactions are numerous and the most important were the construction of C-C and C-N bonds [2]. These coupling reactions have been utilized in the synthesis of natural products, pharmaceuticals and biologically active molecules [3]. Herein, we aim to synthesize diaryl derivatives by a Suzuki-type cross-coupling reaction of aryl chlorides and bromides with phenyl boronic acid catalyzed by PEPPSI complexes in DMF/H_2O (Figure 1).

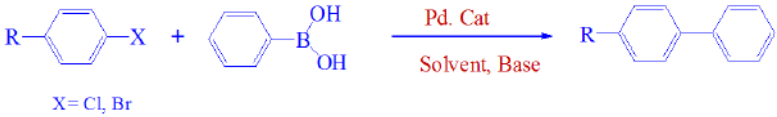

Figure 1. Coupling reaction catalyzed by Palladium complexes.

Key words: Cross-Coupling reactions, Suzuki coupling, PEPPSI complexes, arylhalides, diaryls.

References

[1] A.Meijere, F.Diederich, Metal-catalyzed Cross-coupling Reactions; Eds. 2nd ed.; Wiley: New York, (2004).
[2] L.Boubakri, A. C.Mtibaa, B.Hallouma, L.Mansour, L.Mellouli, I.Özdemir, S.Yaşar, N.Hamdi, *Molecules*, **22**, 420 (2017).
[3] C.I.Ezugwu, B.Mousavi,M.A.Asrafa, A.Mehta, H.Vardhan, F.Verpoort, *Catal. Sci.Technol*. **6**, 2050-2054 (2016).

Synthesis, Characterization and Capillary Gas Chromatographic of a Nematic Liquid Crystal

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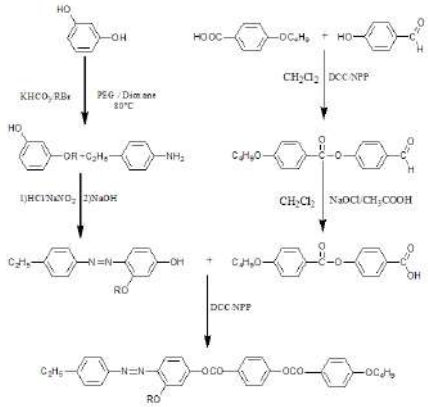
Synthesis, thermal properties and analytical performances of a new nematic liquid crystal (LC): 2-(1-Ethyl-Octyloxy)-4-(4'-(4-Butoxybenzoyloxy) benzoyloxy))-4'-Ethyl-azobenzène are described.
The synthesis LC was prepared in three steps (alkylation, diazotation and esterification). The liquid crystal synthesis scheme is given below:



The structure and the purity of LC were checked using 1H NMR and mass spectrometer.
Thermal properties of LC were determined by differential scanning calorimetry (DSC). The mesogenic compound exhibit a solid-nematic (K → N), nematic-solid (N → I) phases transition and a nematic phase within a large temperature range.
The analytical study of liquid crystal in the solid, nematic and liquid state were done using a series of appropriate solutes. The synthesized liquid crystal, used as a stationary phase, presents interesting separations of the positional and geometric isomers of volatile aromatic compounds.

References.
[1] F. Perez, P. Berdagué, J.P. Bayle, J.P. Courtieu, S. Boudah, S. Sebih, M.H. Guermouche, J. High. Resol. Chromatogr. **1997**, 20, 379.
[2] S. Boudah, S. Sebih, M.H. Guermouche, M. Rogalski, J.P. Bayle, Chromatographia. **2003**,57 307.
[3] D. Belaïdi, S. Sebih, S. Boudah, M.H. Guermouche, J.P. Bayle, J. Chromatog. A, **2005**, 1087, 52.
[4] F. Athman, M. Dahmane, S. Boudah, M.H. Guermouche, J. P. Bayle, S. Sebih, Chromatographia. **2009**, 70, 503.
[5] M. Dahmane, F. Athman, S. Sebih, M.H. Guermouche, Jean Pierre Bayle, S. Boudah, Journal of chromatography. **2010**, 1217, 6562.

Straightforward and highly diastereoselective Synthesis of a new set of functionalized dispiropyrrolidines involving multicomponent 1,3-dipolar cycloaddition with azomethine ylides

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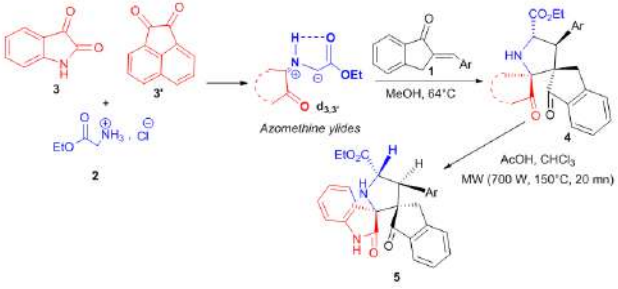
Functionalized pyrrolidines as structural motifs have drawn tremendous interest in the area of synthetic organic chemistry and medicinal chemistry since they are the ubiquitous substructures in a broad range of bioactive natural isolates and pharmaceutically important compounds. In particular, multifunctional polycyclic spiropyrrolidine represent a privileged heterocyclic skeleton that exist in a large family of naturally occurring alkaloids and synthetic products exhibiting a plethora of biological activities. [1]

In continuation of our interest in azomethine ylide [3+2]-cycloaddition reaction and in the synthesis of novel spiroheterocycles, [2] we report herein, the synthesis of novel series of dispiropyrrolidines by a *one-pot* three component [3+2] cycloaddition reaction of *(E)*-3-arylidene-1-phenyl-pyrrolidine-2,5-diones **1**, glycine methyl ester **2** and the cyclic ketones **3** or **3'**. Moreover, we disclose an epimerization of some spiroadducts leading to a new family of spiropyrrolidines **5**.



Scheme 1. Synthesis of dispiropyrrolidine derivatives **4** and **5**

Key words: Dispiropyrrolidines, 1,3-dipolar cycloaddition.

References

[1] (a) A. S. Girgis, *Eur. J. Med. Chem.*, 2009, **44**, 91; (b) R. F. George, N. S. M. Ismail, J. Stawinski and A. S. Girgis, *Eur. J. Med. Chem.*, 2013, **68**, 339.

[2] (a) S. Haddad, S. Boudriga, T. N. Akhaja, J. P. Raval, F. Porzio, A. Soldera, M. Askri, M. Knorr, Y. Rousselin, M.M. Kubicki and D. Rajani, *New J. Chem.* 2015, **39**, 520−528. (b) S. Haddad, S. Boudriga, F. Porzio, A. Soldera, M. Askri, D. Sriram, P. Yogeeswari, M. Knorr, Y. Rousselin and M. M. Kubicki, *RSC Adv.* 2014, **4**, 59462−59471.

Regioselective [3 + 2] cycloaddition of *N*-metalated azomethine ylides with 2−arylideneindane-1,3-diones: Facile access to highly substituted spiropyrrolidines

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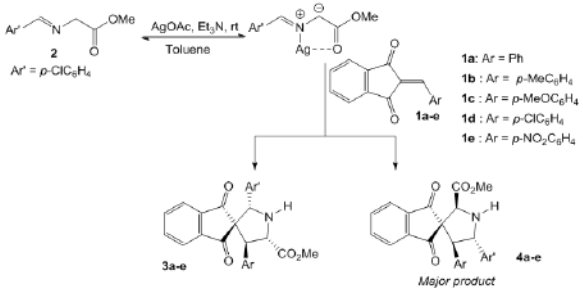
Highly substituted pyrrolidines have attracted a great deal of interest because of their frequent occurrence in natural products exhibiting significant biological activities. In particular, spiropyrrolidines skeletons are found in a large family of synthetic compounds exhibiting multifaceted bioactivities [1].

Herein, and in conjunction with our continuing efforts in the cycloaddition of azomethine ylides [2], we describe the synthesis of spiropyrrolidine derivatives through regioselective cycloaddition reaction of 2‑arylideneindane-1,3-diones **1** with *N*-metalated azomethine ylides generated *in situ* by deprotonation of the corresponding iminoesters **2** in the presence of silver acetate. The major products of the reaction **4** showed different regioselectivity from the reported spiropyrrolidines derivatives, prepared from exocyclic enones. The regio- and stereochemistry of the cycloadducts has been established by X-ray diffraction analysis and spectroscopic data.



Scheme 1. Synthesis of spiropyrrolidine derivatives **3** and **4**

Key words: Spiropyrrolidines, *N*-metalated azomethine ylides, 1,3-dipolar cycloaddition.

References

[1] (a) S. Yu, D. Qin, S. Shangary, J. Chen, G. Wang, K. Ding, D. McEachern, S. Qiu, Z. ikolovska-Coleska, R. Miller, S. Kang, D. Yang and S. Wang, *J. Med. Chem.*, 2009, **52**, 7970; (b) K. Bernard, S. Bogliolo and J. Ehrenfeld, *Br. J. Pharmacol.*, 2005, **144**, 1037.

[2] S. Haddad, S. Boudriga, F. Parzo, A. Soldera, M. Askri, M. Knorr, Y. Rousselin, M. M. Kubicki, K. Golz and C. Strohmann, *J. Org. Chem.*, 2015, **80**, 9064–9075.

SYNTHESIS, CRYSTAL STRUCTURE AND DFT STUDIES OF DIHYDROPYRIMIDINE-5-CARBONITRILE

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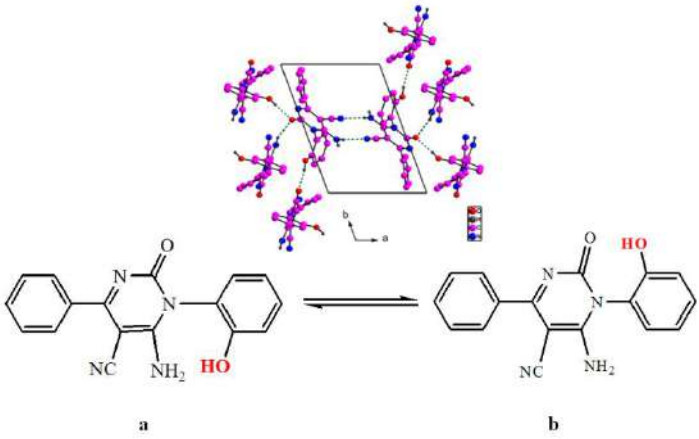
Dihydropyrimidines are an important class of compound and gaining increasingly importance due to their therapeutic and pharmacological properties[1]. We report in this communication the synthesis of dihydropyrimidine under conventionel and microwave irradiation conditions. The structures of all new compounds were fully characterized by means of IR, ^{1}H NMR, ^{13}C NMR and mass spectroscopy. Also, this structure was elucidated by X-ray crystallographic analysis but they do not allowed to explicitly show the stable compound present in solution (a or b). In this case the theoretical calculation DFT [(B3LYP/6-31G*)] in gas phase is investigated.



a b

Keywords : dihydropyrimidinone, microwave irradiation, X- ray crystal, DFT.

[1] Mostafa M Ghorab, Mansour S Alsaid, *Biomedical Research*, **2016**; 27 (1): 110-11

Studies on the reactivity of aminothiophenes

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We have developed, first, the synthesis of the two pyrrolylthiophenes via the reaction of aminothiophenes with 2,5-methoxytetrahydrofuran. The latter gave rise in a second step to aldehydes of the formylpyrrolylthiophene type. On the other hand, we have synthesized thiophene imines. Then we were able to activate α position to get and also isolate dibrominated pyrolylthiophene and brominated thiophenic imines by a bromination reaction.

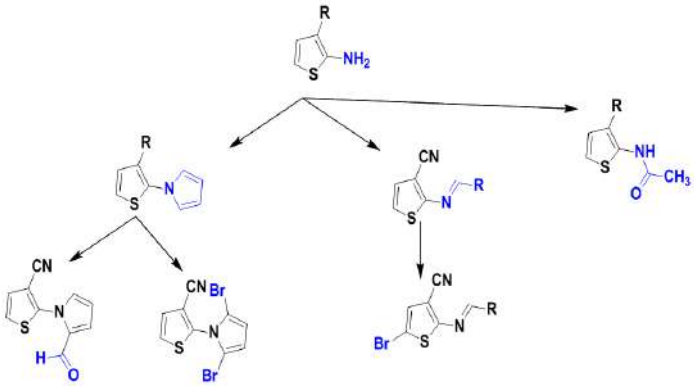

Fig. differents pathways amino-thiophenes transformations

Key words: bromination, aminothiophene, α-heteroarenes, functionalization, heterocycles.

References

[1] N. Azizi, A. Khajeh-Amiri, H. Ghafuri, M. Bolourtchian, M. R. Saidi, Syn, lett, 2009, 2245-2248.
[2] J. Fournier Dit Chabert, L. Joucla, E. David, M. Lemaire, Tetrahedron, 2004, 60, 3221.

A green synthesis and biological properties of enantiopure iminonaphthalinolate derivatives

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Green and eco-friendly procedures for the synthesis of Schiff bases have been described. A series of new chiral iminonaphtyalinolates have been synthesized by using three different methods. These compounds have been confirmed by crystallographic, spectroscopic and spectrometric analysis. The crystallographic data reveals that these molecules adopt the canonical zwitterionic form. The synthesized compounds have been screened for their antibacterial activity on several species of pathogenic bacteria. The physical properties under room temperature indicated that all the ligands are considered as organic semiconductors with available gap energy.

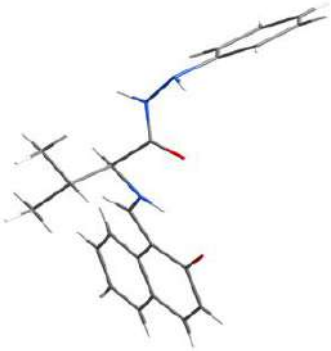

Fig. RX-ray diffraction of Shiff base

Key words: Schiff bases, zwitterionic form, ligands, gap energy.

Theoretical study of ring -opening of N-heterocyclic compounds

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Organic molecules drugs and natural products have the ability to treat human diseases [1]. A wide variety of them contains in their molecular formula heterocyclic fragment such the aziridines [2]. These organic compounds containing a three-membered heterocyclic with one amine group (-NH-) and two methylene bridges (-CH_2-).[3] They are widely used in chemotherapeutic as a drug to cancer therapy thanks to ring opening of aziridyl group by nucleophilic attack of N7-Guanine [4]. In this study, we calculated thermodynamic and kinetic parameters for the Reaction of aziridine (1) with the methyl amine (2) (Fig.1) to determine the ring opening mechanism following two pathways and by applying density functional theory using B3LYP functional with 6-311G(d,P) basis set using Gaussian09W. We carried out geometrical optimizations of reagents ((1) and (2)), products ((3) and (4)) and transition states with the polarizable continuum model (PCM) to account for the solvent effect, and the results were compared with those obtained in the gas phase.

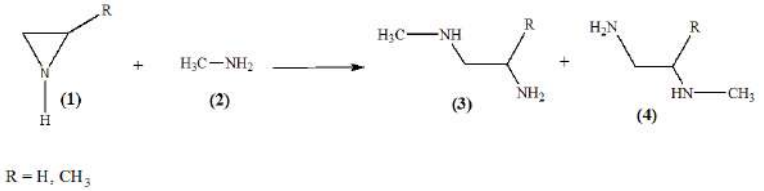

Fig.1 reaction of methylamine with aziridines

Key words: DFT/B3LYP, Aziridine, ring opening, drugs.

References

[1] M.Lahlou, Pharmacology and pharmacy, 4, 17-31, (2013).
[2] Isobe, T., Oriyama, T, Tetrahedron Letters, Volume 57, Issue 26, 2849-2852, (2016),.
[3] Albert Padwaa and S. Shaun Murphreeb Arkivoc (JC-1522R), pp.6–33, (2006).
[4] H. Torabifard, A. Fattahi, struct Chem 24:1-11, (2013).

SYNTHESIS OF NEW DISPIROPYRROLIDINE DERIVATIVES *VIA* ONE-POT AZOMETHINE YLIDE CYCLOADDITION

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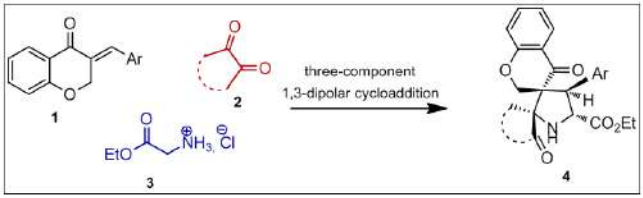
Functionalized pyrrolidines are attractive synthetic targets because of their prevalence in numerous alkaloids and applications in medicine and therapeutics [1]. On the other hand, chromanone heterocycles have been paid much attention owing to their important pharmacological proprieties [2]. Moreover, chroman-4-one derivatives are used as versatile intermediates for the synthesis of many natural products. As a consequence, the integration of these scaffolds, pyrrolidine and chromanone into a molecule may result in the discovery of new drug candidates.

As our interest in constructing structural diverse heterocycles *via* multicomponent combinatorial synthesis and in continuation of our research in the synthesis of spiroheterocycles, herein, we report the synthesis of novel series of dispiropyrrolidines by the *three*-component 1,3-dipolar cycloaddition of (*E*)-arylidene-chroman-4-ones **1** with azomethine ylides generated *in situ* from various cyclic ketones **2** and α-amino ester **3.** The stereochemistry of these dispiropyrrolidines has been confirmed by an X-ray diffraction analysis.



Scheme 1. Synthesis of dispiropyrrolidine derivatives **4**

Key words: 1,3-dipolar cycloaddition, 3-arylidenechroman-4-ones, dispiropyrrolidines, azomethine ylides.

References

[1] (a) A. S. Girgis., Eur. J. Med. Chem. **2009**, 44, 91 −100. (b) R. R. Kumar, S. M. Rajesh, S. Perumal, D. Banerjee, P. Yogeeswari, D. Sriram., Eur. J. Med. Chem. **2010**, 45, 411−422.

[2] B. Chenera, M. L. West, J. A. Finkelstein, G. B. J. Dreyer, J. Org. Chem., **1993**, 58, 5605.

Synthesis and Reactivity of 5-amino-1-phenyl-1H-1,2,4-triazoles

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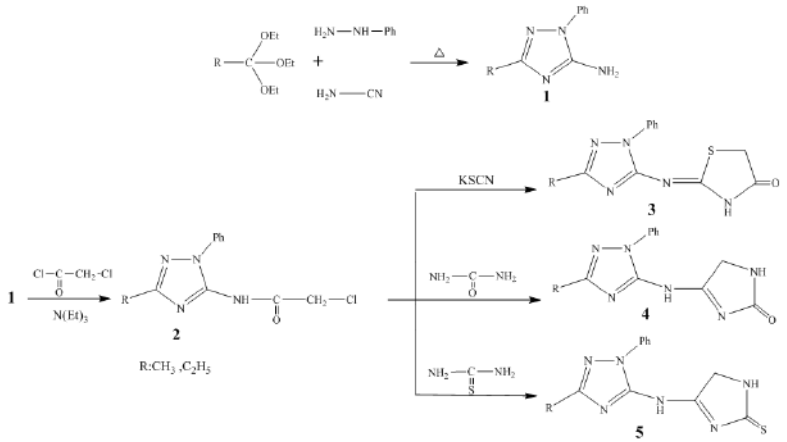
Triazoles play an important role not only in the field of organic chemistry research, but also in the biological sector. In the present work, we describe a novel route for the synthesis of 5-amino-1-phenyl-1H-1,2,4-triazole 1 via the "one pot" three-component reaction of orthoesters with cyanamide and phenylhydrazine. The reaction of amino triazoles 1 with chloroacetylchloride, gives the 2-chloroacetamides 2. The presence of two highly reactive electrophilic centers in substrate 2 prompted us to contrast the latter with urea, thiourea and potassium thiocyanate in order to obtain the new heterocyclic families 3, 4 and 5 (Scheme 1). All the structures obtained were identified and characterized by ^{1}H NMR, ^{13}C NMR and FT-IR.



Scheme 1

Keywords: aminotriazoles, 2-chloroacetamides, urea, thiourea and potassium thiocyanate.

Extraction of Kosso active compounds for biomedical textile applications

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Biomedical smart textiles are an innovative and dynamic technology, which can provide a clever answer to several problems, such as skin rashes or superficial wounds [1], [2]. One way to design these textiles is to functionalized them using natural compounds extracted from plants traditionally known for their medicinal properties.

This study intends to extract and isolate the curative compounds from Kosso (Hagenia Abyssinica), an endemic Ethiopian tree which leaves are traditionally used to cure wounds, and incorporate in textiles. At first, all chemicals are extracted using alcohol and water. The crude extract is then subject to several liquid-liquid extractions using solvent with increasing polarity in order to achieve a first separation. Those different extracts are thereupon characterized using GC-MS in order to isolate and identify the active compound. The curative compounds will be afterward included in a polymer formulation that will be shaped by electrospinning into a functionalized non-woven textile for biomedical applications.

Fig. Extraction from Kosso leaves and processing of the nanofibers

Key words: Biomedical; Extraction; Medicinal plant; Electrospinning; Textile

References

[1] B. Voncina, L. Fras, T. Ristic; Active textile dressings for wound healing in Advances in Smart Medical Textiles; 2016
[2] S. Coyle, D. Diamond; Medical applications of smart textiles in Advances in Smart Medical Textiles; 2016

Biological activities of new derivative from Coumarine

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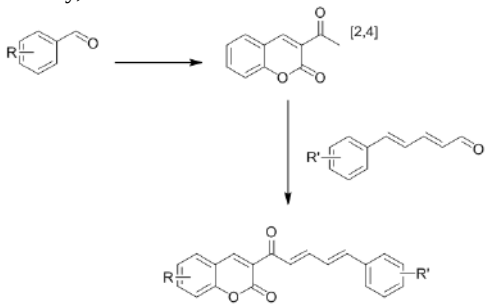
Acetyl coumarines [1], chalcone [2] derivatives are well known to have pharmacophoric elements, they have revealed their biological activities as antibacterial and antifungal [1]. In 2010, Valente et al have reported the design and synthesis of novel coumarines-based small molecules as cdc25 phosphatases inhibitors. [3]
Therefore, we have reported synthesis of new molecules with combined coumarines/chalcone scaffold, which are expected to act as cdc25 phosphatases inhibitors. Additionally, antioxidant activities have been considered.



Key words: Cdc25, Chalcone, coumarine, cancer

References

[1] Abidi.H, Mojarrad.JS, Asghaloo.H; Zarrini.G; 2011; Synthesis, in vitro anticrobial and antioxidant activities of chalcone and flavone derivatives having allylic sabstitutions. Medicinal Chemistry Research; 20(8), 1318-1324.
[2] Aboraia A.S, Hamdy M, RahmanA, Mahfouz,M., Mahmoud A,E L Gendy ;2006; Synthesis characterization and antibacterial activities of oxadiazole derivatives. Bioorganic & medicinal chemistry letter; 14: 1236-46.
[3] Valente.S, Bana.E, Viry.E, Bagrel.D, Kirsch.G; 2010; Synthesis and biological evaluation of novel coumarin-based inhibitors of Cdc25 phosphatases; Bioorganic and Medicinal Chemistry Letters;20;5827-5830.
[4] T.Hiari and H.Togo, 2005, Preparation and synthetic Use of Polymer-supported Acetoacetate Reagent; Synthesis, 2664-2668

Potential of enzyme-derived chemicals in wastewater treatment

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The treatment of textile effluents which contain diverse organic molecules, remains a major challenge. Recent studies have shown that adsorption on natural clays is a promising sustainable and efficient process to treat effluents, even when anionic dyes are released in the wastewater [1]. This should be difficult owing to the negative surface charge of the clay and the anionic nature of the dye. It was observed that an enzyme-derived chemical additive (CHT Catalase) used in the dyeing process and released in the effluent, contributes to a better adsorption of effluent's anionic dye by clay, whereas others like a sequestering agent (Cotoblanc) disadvantage the process. Synthetic effluent's batch adsorption experiments were done with different adsorbents, in presence of CHT Catalase or Cotoblanc. Electro kinetic analyses were done, providing insights on surface charge evolutions in the organic-inorganic system during adsorption. The results allowed identifying the best adsorbents for effluent treatment, and to propose interaction schemes between the organic molecules and the inorganic adsorbents as shown on Fig.1.

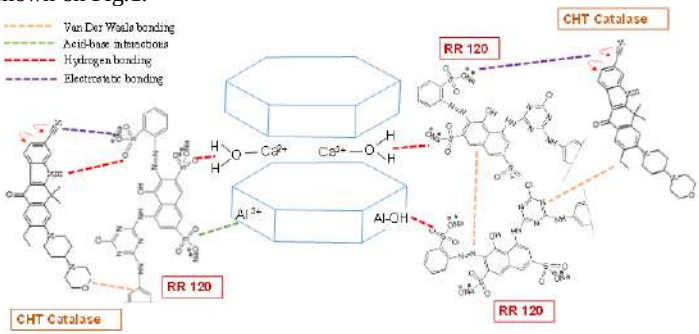

Fig.1 Interaction scheme of smectite adsorbent with RR120 anionic dye and an enzyme-derived chemical (CHT Catalase)

Key words: organic dye; enzyme-derived additive, textile wastewater, treatment

Reference

[1] N. Abidi et al., Treatment of dye-containing effluent by natural clay, J. Cleaner Prod. 86 (2015) 432–440.

Theoretical investigation on the regioselectivity of 4-nitrobenzochalcogenadiazoles *N*-alkylation

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Synthetis of N-alkylated substituted benzochalcogenadiazoles afford a mixture of two isomers noted (2) (for methylation on N1) and (3) (for methylation on N3 atom) in toluene exhibiting a strong regioselectivity in favor of product (2). First principle investigation has been performed in order to interpret this selectivity. Geometrical parameters, atomic charge distribution, reactivity descriptors and spectroscopic properties have been determined for the studied molecules with DFT/B3lyp/6-311G(d) calculations. All the obtained values fit well with experimental information but fail in the interpretation of the observed strong regioselectivity. Different transitions states have been also determined. Thermodynamic stability favored compound (2) and kinetics characteristics support the increasing of chalcogen reactivity as atomic number does.

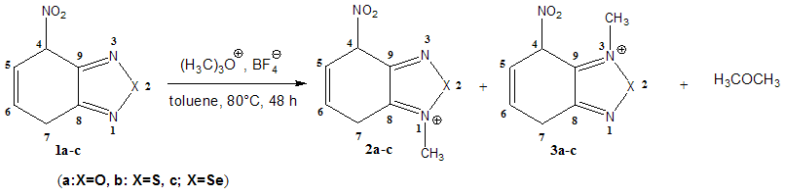

Fig.1 Alkylation of 4-nitrobenzochalcogenadiazoles

Key words: 4-nitrobenzochalcogenadiazoles; B3LYP; Transition state, Conceptual DFT.

Extraction, characterization and casting of a natural origin dye extracted from flowers of the acacia cyanophylla in the PLA

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Acacia cyanophylla belonging to the family of fabaceae, of Australian origin, has attracted the attention of scientists; its yellow flowers are generally used as antioxidant and anti-acetyl cholinesterase for therapeutic applications against Alzheimer's disease [1]. This work focuses on extracting a natural dye from the acacia cyanophylla flowers and incorporating it into the PLA 5200 D. The dye is extracted and isolated from ethyl acetate. It appears as yellow crystals [2]. The toxicity of the dye is studied; we used an acute toxicity method. Spectral analyzes such as FTIR, differential scanning, gravimetric thermal analysis, X-rays have been demonstrated to identify the dye. Molding is the method used to dye PLA with the extracted yellow dye. The results revealed that this dye is non-toxic and is unstable at a temperature above 130 ° C; In fact, it changes color from yellow to caramel then to rust and finally it degrades.

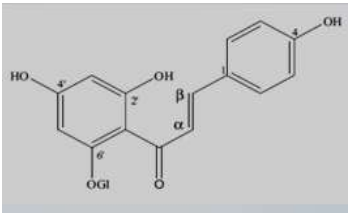

Fig. Isosalipurposide

Key words: Natural dye, acacia cyanophylla flowers, Isosalipurposide.

References

[1] Ghouila, H., Mekni, N., Haddar, W., Mhenni, F.M., Ben Janet., 2012. Extraction, identification and dyeing studies of Isosalipurposide, a natural chalcone dye from Acacia cyanophylla. Industrial Crops and Products 35, 31-36

[2] Filippo, I., 1978. A new chalcone glycoside and Isosalipurposide from Acacia. Phytochemistry 17, 822–823.

Synthesis, characterization and biological activities of α, β-unsaturated N-Acylhydrazones and their Copper(II) complexes.

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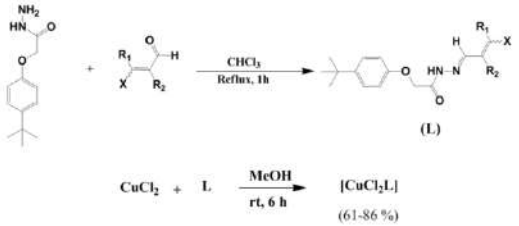
N-Acylhydrazones (NAHs) are very useful azomethines in many areas. They have found applications in medicine as antimicrobial and antitumor agents; in commerce as dyestuffs and agrochemicals and in environment as analytical agents [1-3]. Recently, they are attracting considerable attention as potential systems for information storage and optoelectronic devices [4]. Particularly, they have been used as highly effective extractants of several metals [5]. Copper complexes have a long history of medicinal use and their antitumor properties have attracted attention recently as they are less toxic than complexes of non-essential metals such as platinum [6, 7]. In this work, we describe the synthesis of α,β-unsaturated N-Acylhydrazones and their new copper(II) complexes. These new complexes ([$CuCl_2L$]) (L = p-$tBuPhOCH_2(CO)NHN{=}CHCR^1C{=}CR^2Cl$) are fully characterized by IR, DRX, UV-Vis and fluorescence spectroscopies in solid state and they are characterized by molar conductivities measurements in liquid state. Comparative study of biological activity of both ligands and copper(II) complexes will be presented.



References

[1] Maia, C.R.; Tesch, R.; Fraga, C.A. *Expert Opin. Ther.* Pat. 24(11) (201) 1161-1170.
[2] Rupiani, S.; Buonfiglio, R.; Manerba, M.; Di Ianni, L.; Vettraino, M.; Giacomini, E.; Masetti, M.; Falchi, F.; Di Stefano, G.; Roberti, M.; Recanatini, M. *Eur. J. Med. Chem.* 101 (2015) 63-70.
[3] Ochs, S.-M.; Fasciotti, M.; Pereira-Netto, A.D. *J. Spectro.* (2015) 1-11.
[4] Manuel, N. *Chaur Rev. Colomb. Quím.41* (2012) 349-358.
[5] I.Hamzi, T. M. Barhoumi-Slimi, R. M. Abidi. *Heteroat. Chem, (*2016**)** 1-10.
[6] S.Zhang,C.Tu,X.Wang,Z.Yang,J.Zhang,L.Lin,J.Ding,Z.Guo,Eur.*J.Inorg.Chem*.(2004), 20,4028.
[7] R. S. Kumar, K. Sasikala, S. Arunachalam, *J. Inorg. Biochem.* 102 (2008), 234.

Biological activity of β-chloro-α, β-unsaturated aldehydes and their Zinc(II) complexes

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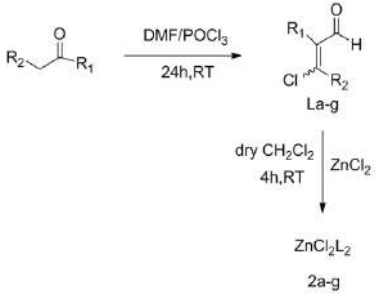
Aldehydes are widespread in the environment. They are an important industrial compounds that are used for the synthesis of chemicals and pharmaceutical products, food additives, and disinfectants[1]. Because of their reactivity, the carbonyl carbon of some aldehydes can react easily with nucleophiles, notably with electron-rich biological macromolecules. On the other hand they could represent health effects including general toxicity, allergenic reactions [2], mutagenicity, and carcinogenicity[3]. Moreover biological reactions, essential to life processes, usually involve transition metals coordinating to heteroatoms of proteins in a variety of modes and play a vital crucial role in the conformation and function of biological macromolecules. In this work, we report the synthesis, characterization and the biological activity of β-chlorovinylaldehydes compared to their new zinc dichloride complexes with the general formula $[ZnCl_2L_2]$ (L= $(CHO)R_1C=CR_2Cl$). The adducts have been characterized in solution using NMR, IR and UV-Vis spectroscopies.



Keywords: β-Chlorovinylaldehydes, Zinc(II) complexes, characterization, biological activity.

References:

[1] R. Benigni, L. Passerini, A Rodomonte *; Environ. Mol. Mutagen*.136 –143 (2003).
[2] GY. Patlewicz, ZM. Wright, DA. Basketter, CK. Pease, JP. Lepoittevin, AE. Gimenez. Contact Dermat 47(2002),219–226.
[3] VJ. Feron , HP. Til, F de Vrijer, RA Woutersen, FR Cassee, PJ van Bladeren ; *Mutat Res*. 259 (1991) 363-85.

SYNTHESIS OF NEW ANALOGUES OF ACYL HOMOSERINE LACTONE INHIBITOR OF BACTERIAL QUORUM SENSING

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The bacteria are able to communicate with each other for coordinating gene expression depending on their population density. This communication system use small molecules called autoinducers (AIs) as chemical messengers and is referred to as quorum sensing (QS)[1]. Many research groups have synthesized and reported compounds as AHL analogues modulateur of QS with structural variations displaying agonists or antagonists activities.[2]. In this context, our team developed new AHLs by replacing the amide function (zone 2) with bioisosteric functions such as Sulfonamide[3], Triazole[4]…As part of continuing research into the synthesis of AHLs analogues by replacing the amide function, the aim of this study is to replace the amide by heterocyclic rings in particular oxadiazoles.
The structures of all the newly prepared AHLs were confirmed by spectroscopic NMR (^{1}H, ^{13}C) and Heigh-Resolution mass spectrometry.

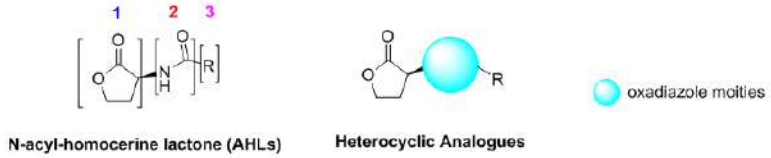

Fig: Structure of AHLs as modulator of Quorum-Sensing bacteria

Keywords: Quorum-Sensing/ AHLs/ Modulator / bioisosteric functions

1 W. C. Chan, B. J. Coyle, P. Williams, J. Med. Chem. 2004, 47, 4633–4641
2 A. M. Stevens, Y. Queneau, L. Soulère, S. von Bodman, A. Doutheau, Chem. Rev. 2011, 111, 4-27.
3 L. Soulère, M. Frezza, Y. Queneau, A. Doutheau, J. Mol. Graphics Modell. 2007, 26, 581-590.
4 M. Sabbah, F. Fontaine, L. Grand, M. Boukraa, M. L. Efrit, A. Doutheau, L. Soulère, Y. Queneau, Bioorg. Med. Chem. 2012, 20, 4727-4736.

A simple synthesis of functionalized γ-lactams from Michael's acceptors

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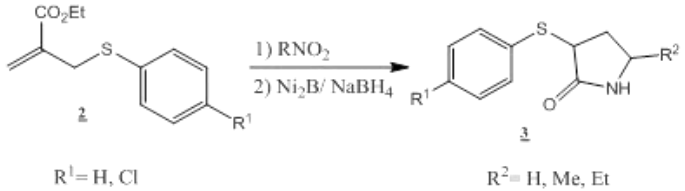
γ-Lactams are an important class of organic compounds that exhibit various biologically properties [1, 2]. As part of our continued interest in the synthesis of a new functionalized γ-lactams [3], we devised a short sequence towards the preparation of new tetrahydropyrrol-2-one derivatives. Our strategy is based on the construction of the γ-lactam scaffold via reacting various nitroalcanes with allylic sulfides **2**. The reaction process begins with Michael addition, followed by intramolecular reduction of nitro group, yielding lactams **3**.



Scheme-1: Synthesis of substituted γ-lactams **3**

Key words: γ-lactam, Michael addition, nitroalkanes, β-carbonylethoxyallylic sulfides

References

[1] Smith, M. B. Sci. *Synth*. **2005**, *21*, 647.
[2] Ordonez, M.; Cativiela, C. *Tetrahedron: Asymmetry*, **2007**, *18*, 3.
[3] Abdelli, A.; Efrit, M. L.; Gaucher, A.; M'rabet, H.; Prim, D. *Tetrahedron Lett*. **2015**, *56*, 5397.

Synthesis, X-ray analysis and photophysical properties of new helically chiral hexacyclic systems

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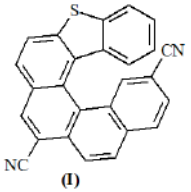
Helicenes are the most important artificial examples of helical chirality. They represent an intriguing class of polycyclic aromatic hydrocarbons (PAHs) which are composed of *ortho*-fused aromatic rings with a non-planar topology[1]. They have attracted great interest owing to their intrinsic properties (e.g. chirality, strong rotator power) and widespread potential applications as organic light-emitting diodes (OLED) [2], rotors[3] and as building blocks for helically chiral conjugated polymers[4].

In this communication, we present a short photochemical approach leading to the new helically chiral hexacyclic system **(I)** that contains a thiophene ring and two cyano groups at selected positions of the aromatic rings. The structure has been established by X-ray analysis and the optical properties have been evaluated.



(I)

Key words: photophysical properties, couplings, photolysis

References

[1] Hoffmann, N. J. *Photochem. Photobiol. C*.**2014**, *19*, 1-19.

[2] (a) Kulkarni, A. P.; Kong, X.; Jenekhe, S. A. *Macromolecules*. **2006**, *39*, 8699; (b) Jhulki, S.; Mishra, A. K.; Chow, T. J.; Moorthy, J. N. *Chem. Eur. J.* **2016**, *22*, 9375-9386

[3] (a) Kelly, T. R.; Tellitu, I.; Pérez Sestelo, J. *Angew. Chem., Int. Ed*. **1997**, *36*, 1866-1868; (b) Kelly, T. R.; Silva, R. A.; De Silva, H.; Jasmin, S.; Zhao, Y. *J. Am. Chem. Soc*. **2000**, *122*, 6935-6949.

[4] Anger, E.; Iida, H.; Yamaguchi, T.; Hayashi, K.; Kumano, D.; Crassous, J. ; Vanthuyne, N.; Rousselc, C.; Yashima, E. *Polym. Chem.*, **2014**, *5*, 4909-4914.

New Efficient macrocyclic for preparation of new nanoparticles and silica nanotubes

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In an initial phase of the present study it is planned to immobilise each of the readily available 14-membered ring macrocycles $\mathbf{L^1}$–$\mathbf{L^2}$ on Fe_3O_4 nanoparticles (obtained commercially). Immobilisation will be achieved using the optimised conditions described by Galeotti et al. for synthesising the chloropropanesilyl functionalised Fe_3O_4 cores. For example, this involves stirring and sonification (with mild heating) of a mixture of the 3-chloropropyltriethoxysilane modified Fe_3O_4 nanoparticles (see Fig. 1) with the required N_4-donor macrocycle as outlined schematically for $\mathbf{L^1}$ (cyclam) in Figure 1 below.
It is also noted that in the case of L^2, attachment via the primary amine group may also occur – and in fact this may be the preferred site of attachment for this macrocyclic system (Figure 2).

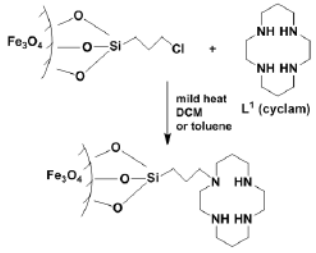

Figure 1

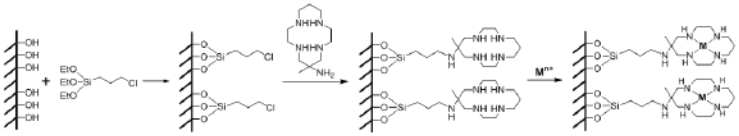

Figure 2

Key words: macrocycles, nanoparticle, nanotubes

Phenolic content of some dates cultivars from Algeria Test of the antioxidant activity of total phenolic content *in-vitro*

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This study is a contribution to the knowledge of the biodiversity of the date palm (Phoenix dactylifera L.) for better management and valorization of the biomass. We carry a biochemical characterization of 6 cultivars dates from Laghouat area at stages of Tmar and Bser and then a classification followed by a quality assessment. We estimated the total phenol and flavonoids content from the ethyl acetate and petroleum ether extracts. Following this step we tested the antioxidant activity with DPPH test (2,2-diphenyl-1-picrylhydrazyl).

This study has allowed us to highlight interesting biochemical variability among six varieties of dates studied. Most of these dates have a good commercial quality for biochemical characteristics. The rate of total phenols (PT) was significant while flavonoids were at trace levels. The stadium Bser was richer in PT and flavonoids. The ethyl acetate showed a better efficiency for the extraction of total phenols compared to petroleum ether. We found a significant correlation between the rate of total phenols and flavonoids rates of around 75%. A strong antioxidant activity of our date extracts was noted during the DPPH test. The Tizzaouet variety at the Bser stage gave an IC50 value close to that of vitamin C.

The results obtained in this study reveal that all varieties of dates are a good source of natural antioxidants and could be considered as a functional food or functional food ingredient.

Key words: Biochemical characterization; date palm fruit; antioxidant capacity; DPPH; *Phoenix dactylifera*

Electrochemically induced ring-opening of some substituted aziridines catalyzed by the tris (2,4-dibromophenyl) amine as redox mediator

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The indirect anodic oxidation of aziridines mediated by the tris (2,4-dibromophenyl) amine (Med) was investigated by cyclic voltammetry (CV) at low and high scan rates. The increase in the oxidation current of the mediator and the decrease or even disappearance of the cathodic current which corresponds to the reduction of the cation radical of tris (2,4-dibromophenyl) amine, translate the interaction in solution between the oxidized form of the mediator ($Med^{\bullet+}$) and the aziridine with a regeneration of the mediator which leads to the increase of its concentration at the electrode. This is indicative of a mechanism of homogeneous redox catalysis where the driving force of the catalysis is the opening of three-membered rings.

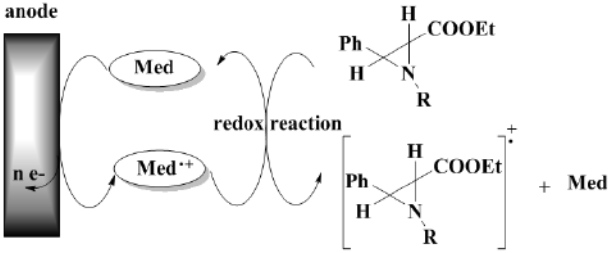

Fig. Reaction of homogeneous redox catalysis of some substituted aziridines

Key words: cyclic voltammetry, homogeneous redox catalysis, indirect oxidation, tris (2,4-dibromophenyl) amine, substituted aziridines.

A new synthesis of α-aminophosphonate derivatives

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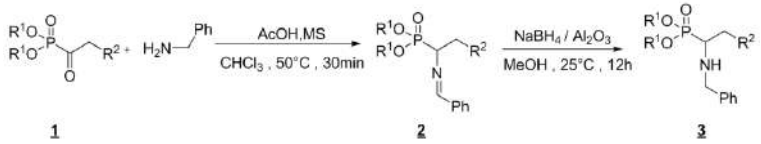
α-Aminophosphonates, which are structural analogs of α-aminoacids and transition state mimics of peptide hydrolysis, are an important class of compounds in medicinal chemistry with a wide range of biological effects as enzyme inhibitors, antibiotics[1], anticancer[2], and antithrombotic agents[3]. The reductive amination of α-ketophosphonates **1** using metal hydrides as reducing agents is one of the most efficient processes for obtaining α-aminophosphonates. Herein, we report a two-step synthesis of α-aminophosphonates which involves: (i) The acetic acid-catalyzed reaction of benzylamine with α-ketophosphonates **1** leading to the α-benzylideneiminophosphonates **2**. (ii) The reduction of compounds **2** into the corresponding α-aminophosphonates **3**, using sodium borohydride, which is inexpensive and environmentally friendly, in the presence of aluminum oxide as a solid support (Scheme 1).



Scheme 1

Keywords: α-aminophosphonates, reductive-amination, solid support.

References

[1] Atherton, F. R.; Hassal, C.H.; Lambert, R.W. *J. Med. Chem*. **1986**, *29*, 29-40.
[2] Bhattacharya, A.K.; Raut, D.S.; Rana, K.C.; Polanki, I.K.; Khan, M.S.; Iram, S. *Eur. J. Med. Chem*. **2013**, *66*, 146-152.
[3] Meyer, J. H.; Barlett, P. A. *J. Am. Chem. Soc*. **1998**, *120*, 4600-4609.

ONE-POT, ELECTOREDUCTIVE AMINATION OF ALDEHYDES

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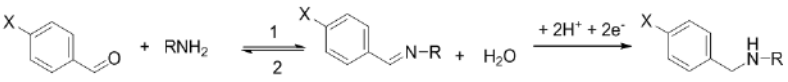
In biological and chemical systems, one-pot reductive amination of aldehydes and ketones is an important transformation, which allows the direct conversion of carbonyl compounds into amines using simple operations.[1]

A variety of reducing agents, such as sodium cyanoborohydride ($NaBH_3CN$), sodium triacetoxyborohydride [$NaBH(OAc)_3$], pyridine-borane (pyr-BH_3) have been developed for this conversion. The reductive aminations with $NaBH_3CN$ are successfully carried out using a five-fold excess of amine at pH 6 – 8. However, the use of expensive and highly toxic $NaBH_3CN$ that carries the risk of having residual cyanide in the product as well as in the work-up stream makes this procedure less attractive. Clearly the use of $NaBH_3CN$ is not acceptable in the context of green synthesis, especially in industry. [2]

Our approach is electrochemical reduction of aldehydes in presence of primary amines (scheme.1). Several medium were tested. All conversion of aldehydes to secondary amines in good yields has been carried out in CH_3COOLi at potential-controlled. This is a highly efficient and mild procedure that is applicable for a wide variety of substrates.



Scheme 1

References :

[1] S. Sato, T. Sakamoto, E. Miyazawa and Y. Kikugawa, *Tetrahedron* 60 (2004) 7899–7906

[2] (a) Zhang, J.; Blazecka, P. G.; Davidson, J. G. *Org. Lett*. 2003, 5, 553. (b) Hammarstro¨m, L. G. J.; Smith, D. B.; Talama´s, F. X.; Labadie, S. S.; Krauss, N. E. *Tetrahedron Lett*. 2002, 43, 8071. (c) Beshore, D. C.; Dinsmore, C. *J. Org. Lett*. 2002, 4, 1201. (d) Dinsmore, C. J.; Zartman, C. B. *Tetrahedron Lett*. 2000, 41, 6309. (e) Abdel-Magid, A. F.; Carson, K. G.; Harris, B. D.; Maryanoff, C. A.; Shah, R. D. *J. Org. Chem*. 1996, 61, 3849. (f) Abdel-Magid, A. F.; Maryanoff, C. A.; Carson, K. G.*Tetrahedron Lett*. 1990, 31, 5595.

Efficent synthesis of novel fonctionalized Bis-oxazolines and Bis-thiazolines via one-pot condensation of α-amino esters and nitriles

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The literature reveals that the heterocyclic molecules containing the nitrogen atom constitute the major part of natural products, fine chemicals, biologically active products, pharmaceutical and agrochemical products that play an essential role in improving the quality of life.

Among the wide variety of nitrogen-containing heterocyclic compounds, bis-oxazolines and bis- thiazolines are of great interest in the field of synthetic organic chemistry [1] as coordinating ligands, chiral auxiliaries and activating groups [2]. In this communication, we present the synthesis in one step of new series of bis-oxazolines and bis-thiazolines using α-amino esters and the bihydrochloride of an iminoether as starting materials (Fig. 1). The structure of the hetrocycles was confirmed by spectroscopic data. This methodology can be an ideal tool in asymmetric synthesis and in the preparation of biologically active functionalized heterocycles.

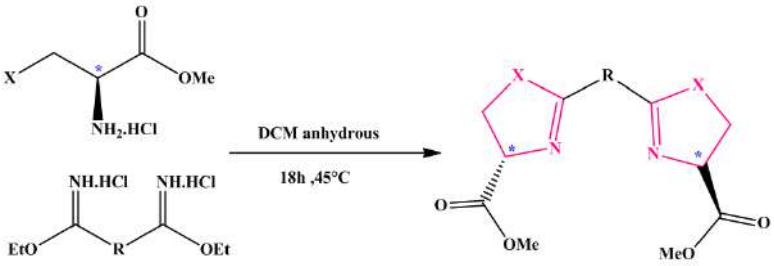

Fig 1

Key words: bis-oxazolines, bis-thiazolines, hydrochloride, iminoether.

Reference

[1] (a) J.S.Johnson, D.A.Evans., *Acc. Chem. Res*, **2000**, 33,325. (b) M.B.Andrus, J.C.Lashley., *Tetrahedron*, **2002**, 58, 845. [2] (a) A.Alexakis, C.Benhaim., *Eur. J. Org. Chem*, **2002**, 15, 3221. (b) P.Saravanan, E.J.Corey., *J. Org. Chem*, **2003**, 68, 2760.

Synthesis and structural characterization of enantiopure oxazoline-derived palladacycles

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In this communication, we report the synthesis and characterization of some new palladium-oxazoline complexes (figure) in one step with good to high yields [1]. The oxazolines were prepared from enantiomerically pure α-aminoalcohols [2]. The structures of the palladacycles were confirmed by NMR, FTIR, TOFMS and X-ray diffraction.

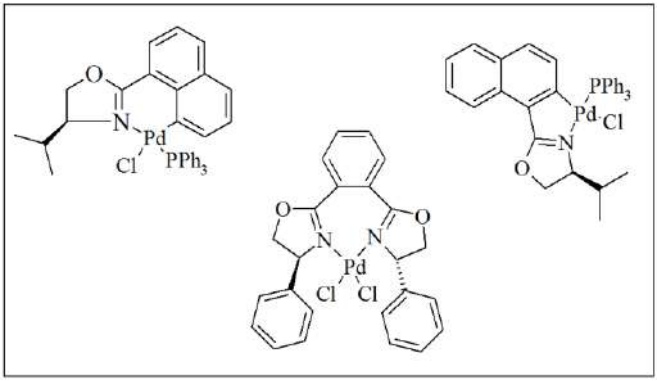

Figure : Structures of synthesised palladacycles.

Keywords : Oxazolines, Aminoalcohols, Palladacycles.

References

[1] Rym Hassani, Mahjoub Jabli, Yakdhane Kacem, Damien Prim, Béchir Ben Hassine, *Beilstein J. Org. Chem.*, **2015**, *11*, 1175-1186.
[2] Rym Hassani, Alexandre Requet, Sylvain Marque, Anne Gaucher, Damien Prim, Yakdhane Kacem, Béchir Ben Hassine, *Tetrahedron: Asymmetry*, **2014**, *25*, 1275-1279.

SYNTHESIS AND APPLICATION OF DIHYDROISOQUINOLINE OXAZIRIDINES

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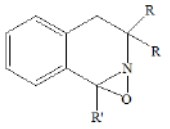
Oxaziridines, heterocyclic compounds containing oxygen, nitrogen and carbon atoms in a three-membered ring, were first reported in the mid-fifties by Emmons's. These heterocycles have been shown to be promising reagents for application as antitumor **[1,2]**, antimalaria **[3],** antifungal agents **[4]**, and penicillin analogs. The isomerization of oxaziridines in acid medium leads to nitrones with good yields. Due to their original properties, nitrones possess various biological activities among which many diseases of aging, including stroke, cancer development, Parkinson's disease and Alzheimer's disease are known to have improved radical levels Free and oxidative stress.

In a first part, we are interested in the synthesis of new oxaziridines and isoquinoline nitrones.

Oxaziridines were evaluated for their antifungal activities against *Verticillium dahliae* and *Fusarium solani* inoculated in the PDA. The results of the antifungal activity showed that these products possess an excellent activity. Nitrones have been evaluated for their antimicrobial activities against various microorganisms. The results of this activity have shown that these products have excellent activity against Gram (-) bacteria and Gram (+) bacteria.

In the second part, we have evaluate for the first time the effects of Oxaziridines **3a-c** on lipase activity in serum to high fat diet (HFD)-rats on body weight, lipid profile and liver-kidney functions.



R= Me; R'= H, CCl_3

Oxaziridines 3a-c

References:

[1] Mlochowski, J.; Kubicz, E.; Kloc, K.; Mordarski, M.; Peczynska, W.; Syper, L. *Lieb. Ann.Chem*. **1988**, 455.

[2] Said, S. B.; Mlochowski, J.; Skarzewski, J. *Lieb. Ann. Chem*. **1990**, 461.

[3] Ningsanont, N.; Black, D. St. C. ; Chanphen, R.; Thebtaranonth, Y. *J*. Med. Chem., **2003**,*46*, 2397.

[4] Peng, L.; Chen, C.; Gonzalez, C.R.; Balogh-Nair, V. *Int. J.* Mol. Sci., **2002**, *3*, 1145.

[5] R.Aydi, A. Ben Gara, R. Chaaben, H. Ben Saad, L. Fki, A. ELFeki, H. Belghith, K. Belghith and M.Kammoun, *Biomedicine &Pharmacotherapie* **2016**, 82, 660-668.

Effetc of β-Cyclodextrin on Antimicrobial, antifungal and antioxidant activities of different propolis samples from Tlemcen and Chlef (Algeria).

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Propolis, known in folk medicine since ancient times, is produced from honeybees using collected extracts from leaves, buds and exudates of various plant flora[1]. Hence, chemical composition of propolis depends on its floral origin with constituents varying widely due to its climate and geographical conditions. In addition, there are few reports on the investigation of chemical composition and biological activities of western Algerian propolis extracts in the literature. In other hand, cyclodextrins (CDs), a class of macrocyclic carbohydrates, are well known to have a hollow truncated cone with a hydrophobic cavity and a hydrophilic wall. CDs are able to form host-guest complexes with the guest molecules that possess suitable polarity and dimensions[2]. During our investigation, we focused our attention on propolis as guests for inclusion complex, because up to now, no investigations are found in the literature on the subject. The aim of this study was the investigation of the effect of CD on antimicrobial, antifungal and antioxidant activities of different ethanolic extracts of propolis (EEP) collected from differents region of Tlemcen (figure 1) and from Chlef (Algeria). Also, Total polyphenols and flavonoids contents of extracts were measured. The UV absorption spectrum showed and confirmed their polyphenols constituents. All EEPs exerted antibacterial activity against Gram-positive bacteria and on Gram-negative bacteria. The antioxidant activity was measured using ferric-reducing power (FRAP), 1,1-diphenyl-2-picrylhydrazyl (DPPH) free radical-scavenging.

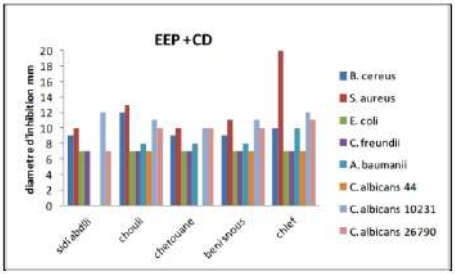

Figure 1 : Antibacterial and antifungal activity of propolis from differents regions of Tlemcen and Chlef.

Keywords : Algerian propolis, antibacterial activity, Cyclodextrin, encapsulation.

1 Silva, J.C., Rodrigues, S., Fe as, X. & Estevinho, L.M. (2012). Food Chemistry and Toxicology, 50, 1790–1795

2 Keniche A., Slimani MZ., José Miranda I., Azipura Jesus M., Kajima Mulengi J., *Mediterr.J.Chem,* 2 (2014) 620-631.

Synthesis and surface-active properties of *F*-alkylated succinate crown ethers

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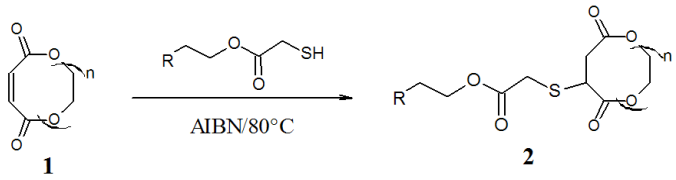
The starting compound, i.e. maleate crown ethers **1** was prepared from the reaction of maleic anhydride with polyethylene glycol in presence of HOTs [1]. The radical addition (AIBN) of hydro or fluoroalkyl sulfanylacetate to maleate crown **1** give the alkyl (sulfanylacetate succinate) crown ethers ethers **2** (Scheme 1) [2]. Surface active properties of the synthesized succinate crown ethers derivatives **2** were studied in aqueous media using surface tension method. This study exhibited gradual inecrease in the critical micelle concentration (CMC) with increases the number of ethylene oxide (CH_2CH_2O) in the polar hard.



n= 3-6
R: C_6H_{13}, C_6F_{13}, C_8F_{17}

Scheme 1: Synthesis of surfactants **2**

Key words: maleate, succinate, crown ethers, surfactants

References

[1] Khalfallah A, Ayari A, Boughariaou B, Hedhli A (2010) J. Incl. Phenom. Macrocycl Chem 67:1–6
[2] Khalfalla A, Boughariaou B, Hedhli A (2013) J Surfact. Deterg 16:191–196.

SYNTHESIS AND THEORETICAL STUDY OF NEW ORGANIC MATERIALS BEARING A TETRATHIAFULVALENE UNIT

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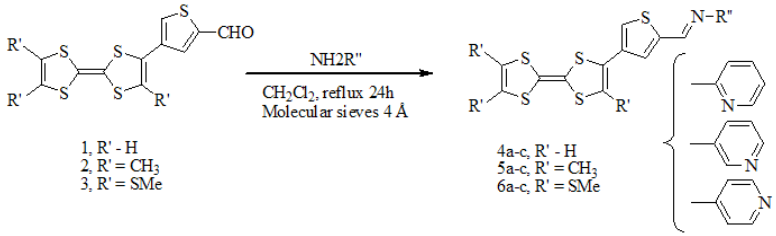
New bisymmetric tetrathiafulvalenes (TTFs) containing conjugated substituents (thiophene-π-pyridine) (4-5) were synthesized by the condensation of TTF-thiophenecarboxaldehyde derivatives (1-3) with aromatic amines. The optimization of materials with multiple properties requires careful consideration of the choice of molecules and of synthesis strategies to be used. The energy of the frontier orbitals of the various products (4-6) was calculated according to density functional theory (DFT; base 6-31G, method B3LYP Based on the energy levels of the highest occupied molecular orbital (HOMO), compounds 5a-c were identified as the best donor molecules for the formation of TTF-TCNQ CTCs.



Scheme 1. Synthesis pathway for compounds 4-5

Keywords: Tetrathiafulvalenes, Density functional theory, Organic materials

REFERENCES

[1] JL Segura; N Martin,Angew. Chem. Int. Ed., 2001, 40, 1372–1409.
[2] JM Fabre, J. Solid State Chem., 2002, 168, 367–383.
[3] D Canevet;M Sallé; G Zhang; D Zhang; D Zhu, Chem. Commun., 2009, 17, 2245–2269
[4] T Devic; M Evain; Y Moelo; E Canadell; P Auban-Senzier; M Fourmigué; P Batail, *J. Am. Chem. Soc.*, **2003,125**, 3295– 3301.
[5] JI Yamada; T Toita; H Akutsu; S Nakatsuji; H Nishikawa; I Ikemoto; K Kikuchi; ES Choi; D Graf; JS Brooks, *Chem. Commun.*, **2003**, 2230-2231

Kinetics and thermodynamics of the solid-liquid extraction process of total polyphenols, antioxidants and extraction yield from *Echinops spinosus.*

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Antioxidants derived from plants are widely used in dietary supplements and have been investigated for the prevention of diseases such as cancer, coronary heart disease and altitude sickness. In order to obtain the highest possible amount of bioactive compounds from plant material, effective extraction procedures are required. One of the most widely used extraction techniques which requires no toxic chemicals is solid-liquid extraction. Mathematical modeling of solid–liquid extraction processes is an important engineering tool in the design process in order to reduce energy, time and chemical reagents consumption. In agreement with that, the aim of this paper was determination of the best suited kinetic model for description of the solid-liquid extraction of bioactive compounds from *Echinops spinosus*. Thermodynamic activation parameters $\Delta G^{\#}$, $\Delta H^{\#}$ and $\Delta S^{\#}$ were measured, which suggest that the process was endothermic, endergonic and not spontaneous.

Fig. *Echinops spinosus*

Key words: *Echinops spinosus*, antioxidants and polyphenols.

References

[1] Paunovic, D.D., Mitic, S.S., Kostic, D.A., Mitic, M.N., Stojanovic, B.T., Pavlovic, J.L.J., 2014. Kinetics and thermodynamics of the solid-liquid extraction process of total polyphenols from barley. Adv. Technol. 3 (2), 58–63.

Synthesie And Characterisation Of New Organic Materials Based- Tetrathiafulvalene

Soulef Maache [a], Tahar Abbaz [a,b], Amel Bendjeddou [a], Abdelkrim Gouasmia [b] and Didier Villemin [c]

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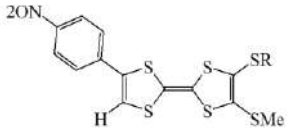
Since the discovery of the first organic material TTF-TCNQ, a major research area, was opened in organic chemistry, aimed at superconductivity. To this end, our work aimed at the synthesis and study of new materials based on charge transfer complexes prepared from π donors.



(1) R = Me

(2) R = CH2CH2CN

Fig. p-Nitrophenyl tetrathiafulvalene

Key words: tetrathiafulvalenes, superconductivity, π donors, organic materials,

References

[1] MR Bryce, J. Chem. Soc. Rev., 1991, 20(3), 355-390.
[2] CA Kraus, J. Am. Chem. Soc., 1913, 35(11), 1732-1741.
[3] TK Hansen; J Becher, Adv. Mater., 1993, 5(4), 288-292.

A New Donor -π From The Family Tetrathiafulvalene: Synthesis, Electrical Conductivity.

Soulef Maache [a], Tahar Abbaz [a,b], Amel Bendjeddou [a], Abdelkrim Gouasmia [b] and Didier Villemin [c]

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[b)] *Univ Tebessa, Fac. Sci and Tech, MOH Lab., 12000 Tebessa, Algeria*
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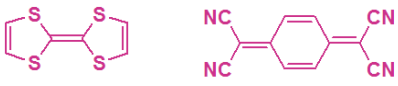
The TTF core and its derivatives-due to their characteristics, in particular their stability and reversible redox character-have found a significant number of applications in materials chemistry such as molecular switches rotaxanes and catenanes, conductive materials and superconductors, complex with the C60, conductive polymers, materials for nonlinear optics, sponges cations, ferromagnetic organic magnets, liquid crystals, and dendrimers. Our research is focused on the conducting and superconducting materials in the hope to improve results in the field and to aim to find an organic superconductor at room temperature. In a continuation of previous work of our group, in this paper we now describe the synthesis and properties of new unsymmetrically π-donor.



TTF TCNQ

Fig. Premier conducteur organique

Key words: superconducting materials, tetrathiafulvalenes, conducting, π donors.

References

[1] Fabre, J.M. Dimensionality and electrical properties in organic synthetic metals—Current results through selected recent examples. J. Solid State Chem. 2002, 168, 367–383.

[2] Ferraris, J.; Cowan, D.O.; Walatka, V.; Perlstein, J.H. Electron transfer in a new highly conducting donor-acceptor complex. J. Am. Chem. Soc. 1973, 95, 948–949.

EXPERIMENTAL AND THEORETICAL CONFORMATIONAL INVESTIGATION OF BIS (THIOCARBAMATES) AND DICARBAMATES

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In latter works we have reported the synthesis of different series of symmetric bis(thiocarbamates) (1) and dicarbamates (2) whose structures are reported in Figure 1[1, 2]. Those compounds undergo a *s-cis / s-trans* isomerization that was observed and characterized by variable temperature NMR, also useful for unambiguously assigning the stereochemistry of the compounds and identify them from their NMR spectra. In order to confirm the experimental results of activation energies calculation obtained by NMR we performed in this work the theoretical conformational investigation of those series of compounds. Different techniques [3-6] are available to reach our goal. In the case of studied molecules, we choose an approach at molecular mechanics (MM) level using a molecular dynamics (MD) strategy for exploring their conformational space [7, 8]. We are henceforth able to estimate the potential energy of each system along its conformational distribution, to find its most stable conformer and to determine the structural parameters relative to this geometry [9].

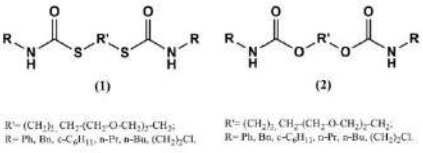

Fig1. (left) structure of bis (thiocarbamates) **(1)** and (right) dicarbamates **(2)**

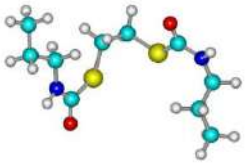

Fig2. More stable conformation of the bis(thiocarbamate) where R= n-Pr and R'= $(CH_2)_2$

Keywords: bis(thiocarbamates), dicarbamates, molecular dynamics (MD), molecular mecanics (MM), theoretical conformational analysis

Références:

[1] Maouati H., SanhouryM. A. K., MerletD., ChehidiI.. *Heteroat. Chem*., **2015**, 26, 391.
[2] Maouati H., SanhouryM. A. K., MerletD., ChehidiI.. *J. Soc. Chim. Tun*., **2016**, 19, 13.
[3] Jackowski, K.; Les, A. *J. Mol.Struct*., **1995**, 331, 295.
[4] Song, J.; Gordon, M.S.; Deakyne, C.A.; Zheng, W. *J. Phys. Chem. A*, **2004**, 108, 11419.
[5] Vallejos, S. T.; Erben, M. F.; Piro, O. E.; Castellano, E. E.; DellaVédova, C. O. *Polyhedron*, **2009**, 28, 937.
[6] Anand, A.; Roy, A. D.; Chakrabarty, R.; Saxena, A. K. ; Roya, R. *Tetrahedron*, **2007**, 63, 5236.
[7] Rappé, A. M.; Goddard, W. A. *J. Phys. Chem*., **1991**, 95, 3358.
[8] Chaquin, P. Cours : Manuel de chimie théorique, application à la structure et à la réactivité en chimie moléculaire, 2000, 190.
[9] Maouati H., Aroulanda C., Merlet D., Chehidi I. *to be submitted*, **2017**

Regioselective methodology for the synthesis of new amino butyrolactones compound underpalladium-catalyzed tandem cross-coupling/cyclization reaction

Atef Mayoufi [a,b], Moufida Romdhani Younes [a], Jérôme Thibonnet [b]

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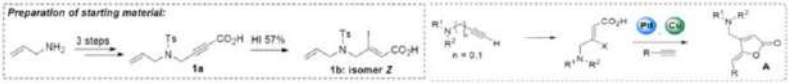
The heterocycles which are found in a broad proportion of natural substances, have a great importance because of the activity of some of them on the living organisms**[1,2]**. Indeed from their effects, many of heterocyclic compounds are used like drug. Very many publications relate more or less directly to these structures, which the most part contains oxygen or nitrogen. The heterocyclisation reactions are generally described by intramolecular cyclization reactions or not, in acid or basic medium, with use or not of metal salts as iron, silver, palladium, copper or gold salts, this in stoechiometic or catalytic proportions. The selective closing of these cycles still constitutes a challenge for the organician chemists. We were interested in this work in the selective synthesis of butyrolactones. We could show that the reaction between β-iodovinylic acids and terminal alkynes in the presence of cuprous salts in mild conditions led selectively, according to the type of substrate, to the production of one or the other of these two structures in a coupling-heterocyclization tandem reaction.



Scheme 1: Preparation of starting material and new amino lactones

Keywords: β-iodovinylic acids, oxacyclization reaction, palladium catalyst

References

[1] Bellina, F.; Ciucci, D.; Vergamini, P.; Rossi, R.*Tetrahedron***2000**, 56, 2533–2546;
[2] Lee, J. M.; Chang, S. *Tetrahedron Lett.***2006**, 47, 1375–1379;

Enzymatic kinetic resolution of 1-Indanol and its Acetate: Study of Some Parameters.

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The enzymatic kinetic resolution trough transesterification is the most practical methods for the efficient production of enantiomerically enriched building blocks enantioselectivity. For the enzymatic deacetylation of benzylic acetates, we have described, two efficient methods; the first one was performed in biphasic media, and the last one in non aqueous media, and we have that the last one, is the most effective in term of reactivity and enantioselectivity [1]. In the continuity of our investigations focused on the study of the mode of action of lipases in the kinetic resolution are studied such as the transesterification and the alkaline hydrolysis [2]. Herein we describe a comparative study of effect of some crucial parameters interfering significantly on the enzymatic catalytic processes during the transesterification of 1-Indanol and the alkaline hydrolysis of the corresponding acetate. We present the significant effect of the lipase nature, the acetyl donating in two organic solvent having different hydrophobicity during the transesterification and the effect of the solvent during the hydrolysis. The obtained results show that the *CAL-B* is the best biocatalyst, promoting both reactions in terms of reactivity and selectivity, with *(R)*-enantioselection. The both reactions transesterification / hydrolysis show a perfect enantiocomplementary applying the optimized conditions.

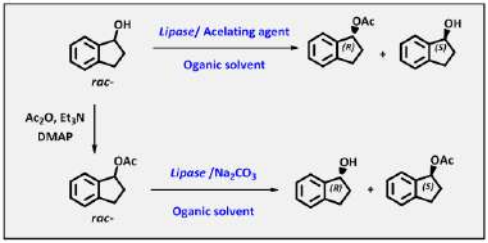

Fig. Enzymatic kinetic resolution of 1-Indanol and its acetate.

Key words: Enzymatic kinetic resolution, transesterification, Alkalin-enzymatic hydrolysis, Indanol.

References

[1] (a) Gotor.v. J. Org. Chem. 2009, 74, 5304–5310. (b) Faber, K. " Biotransformations in Organic Chemistry", 6th ed.; Springer-Verlag: Berlin Heidelberg, **2011**.

[2] (a) Merabet-Khelassi, M.; Houiene, Z.; Aribi-Zouioueche, L.; Riant, O. *Tetrahedron: Asymmetry* **2012**, 23, 823-833. (b) A. Zaïdi, M. Merabet-Khelassi, L. Aribi-Zouioueche, *Catal Lett*., **2015**, 145, 1054–1061.

GENERAL AND EFFICIENT TRANSESTERIFICATION OF β-KETO ESTERS WITH VARIOUS ALCOHOLS USING Et_3N AS A BRØNSTED BASE ADDITIVE

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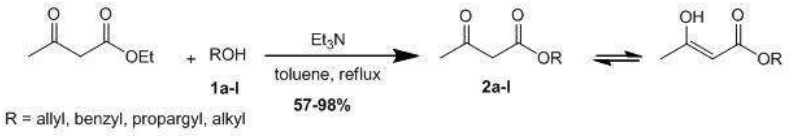
Transesterification is a transformation of an ester into another through interchange of the alkoxy moiety. This synthetic transformation has attracted much attention in organic synthesis and biodiesel fabrication.[1,2] A large number of acid or basic catalysts have been used for this purpose but none of these methods reported that Et_3N could catalyse transestrification of β-keto esters with alcohols.[3]

We report here in our results dealing with this topic involving a variety of allyl, benzyl, propargyl, and alkyl alcohols as well as Morita-Baylis-Hillman ones,[4] using commercially available and inexpensive Et_3N for the first time as a Brønsted base additive.

All β-keto esters were obtained in moderate to excellent yields with no trace mounts of the Carroll decarboxylative rearrangement ketones (Scheme 1).



Scheme 1. Et_3N-mediated transesterification of ethyl acetoacetate with various alcohols

Key words: Alcohols; Brønsted base; β-keto esters; transesterification

References

[1] a) Gelo-Pujic, M.; Guibe´-Jampel, E.; Loupy, A.; Galema, S.; Mathe, D. *J. Chem. Soc., Perkin Trans*. 1996, *1*, 2777. b) Otera, *J. Chem. Rev*. 1993, *93*, 1449.
[2] Rashid, U.; Anwar, F. *Fuel* **2008**, *87*, 265–273.
[3] Lawrence, R. M.; Perlmutter, P. *Chem. Lett*. 1992, *2*, 305.
[4] Mhasni, O.; Rezgui, F. *Tetrahedron* 2011, *67*, 6322.
[5] Mhasni, O.; Erray, I.; Rezgui, F. *Synth. Commun*. **2014**, *44*, 3320.

UNCATALYSED SPECIFIC AND EFFICIENT OXIDATION OF 5-HYDROXYMETHYLFURFURAL IN 5-HYDROXYMETHYL-2(*5H*)-FURANONE BY USING SODIUM PERBORATE

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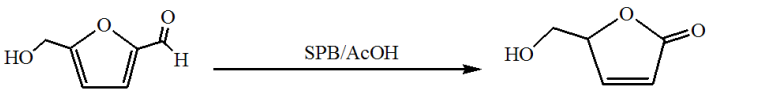
The 5-hydroxymethyl-2(*5H*)-furanone is a versatile chemical intermediate used to produce a variety of products such as unsaturated (+)-Muscarine and certain analogues of prostacyclin and chrysanthemic acid. In this study, we have successfully tested a sodium perborate ($NaBO_3.4H_2O$) known by its acronym SPB in the oxidation of 5-hydroxymethylfurfural (5-HMF) using acetic acid (AcOH) as solvent. The SPB has been proven to be an efficient reagent for the oxidation of 5-HMF into 5-hydroxymethyl-2(5H)-furanone in one step. A reaction mechanism has been proposed for this purpose. In this work a variety of important reaction parameters, such as SPB amount, reaction temperature, and time were explored. The maximum yield to 5-hydroxymethyl-2(*5H*)-furanone was achieved in 94% at room temperature in 24h with 5-HMF conversion of 100% was obtained in SPB/ 5-HMF mol ratio = 1.5 under optimal reaction conditions. Then it could be obtained in a high yield of 87% at 50°C after a short reaction time of 4h. At high temperature (100°C) 5-hydroxymethyl-2(*5H*)-furanone yield decrease dramatically.

Key words: 5-HMF, 5-hydroxymethyl-2(*5H*)-furanone, SPB, AcOH solvent.



5-Hydroxymethylfurfural 5-Hydroxymethyl-2(*5H*)-furanone

Scheme 1. First chemoselective oxidation of 5-hydroxymethylfurfural into 5-hydroxymethyl-2(*5H*)-furanone

References

[1] Mann, J.; Thomas, A. *J. Chem. Soc., Chem. Commun*. 1985, 737-738.
[2] Kang, K. H.; Cha, M. Y.; Pae, A. N.; Il Choi, K.; Cho, Y. S.; Koh, H. Y.; Chung, B. Y. *Tetrahedron Lett.* 2000, *41*, 8137–8140.

Synthesis of β–cycloalcoxyphosphonated hydrazones and mechanistic study by ^{31}P NMR

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Many heterocyclic compounds containing the phosphorus element have interesting properties in different fields: pharmaceutical, agrochemicals[1]... β–Cycloalcoxyphosphonated Hydrazones **3** were synthesized from the corresponding allene **1**, by reaction with hydrazine derivatives**.**

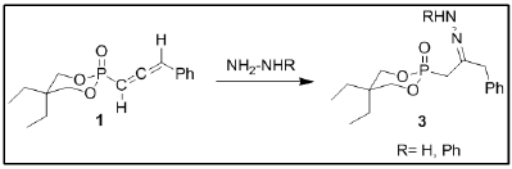

Fig 1. Synthesis of β–Cycloalcoxyphosphonated hydrazones **3**

We followed the different steps of the synthesis[2] by ^{31}P NMR.

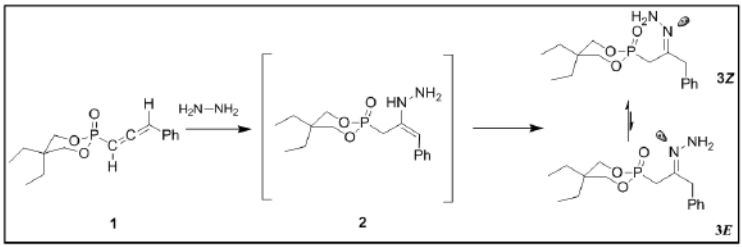

Fig 2. Mechanism of the synthesis

Key words: heterocyclic synthesis, allene, hydrazone, enamine, ^{31}P NMR

[1] Colovic, B. M. and al. *Pestic. Biochem. Physiol.* **2011**, 100, 16-22.
[2] Mnallah Kanzari, D.; Efrit, M.L.; Ben Akacha, A. *Phosphorus, Sulfur and Silicon* **2017**, 665-673.

A Comparative Study of *Brachychiton populneus* Seed and Seed-Fiber Oils inTunisia

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We conducted a comparative study of two oils extracted from *Brachychiton populneus* seeds and seed fibers grown in Tunisia. Oil yields from seed and seed fiber were 29.95 and 5.30%, respectively. GC-MS analysis showed that the most abundant fatty acid was linoleic acid (37.91%) followed by oleic acid (30.67%) in seeds. In seed fiber, the most abundant were oleic acid (62.04%) and linoleic acid (11.90%). Sterculic acid (7.27%), a rare fatty acid, was detected in seed oil. Moreover, carotenoid and chlorophyll levels were approximately two-fold higher in seed-fiber oil (39.66 and 1.9 mg/kg) than in seed oil (19.48 and 0.78 mg/kg). Tocol contents were more than 10-fold higher in fiber seed oil (979.31 mg/100 g) than in seed oil (83.2 mg/100 g).
Furthermore, thermal behavior (TGA and DSC) in both oils, and the antioxidant activity, phenolic content, and oxidative stability at different temperatures in seed oil were evaluated.
The results of this study suggest that *B. populneus* seed oil may have an important role in non-food applications and that seed-fiber oil is a source of high-value compounds.

YUCCA ALOIFOLIA OIL METHYL ESTERS

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Yucca aloifolia Linn (Y. aloifolia) is a drought-resistant arid land plant that grows in most soil types. In thiswork, Y. aloifolia seed oil (YAO) was evaluated for the first time as a potential biodiesel feedstock, which contains 16.23% oil (w/w) whereas the acidity of the oil was 11%. Two step processes i.e. acid-catalyzed esterification to reduce the acid value of YAO and then standard base-catalyzed transesterification procedure were used to synthesis the Y. aloifolia methyl esters (Yame) at optimization conditions. YAO has a high content of linoleic acid (70.77 %) followed by oleic acid (12%), and palmitic acid (8.59%). The fuel properties of biodiesel derived from YAO including density, iodine value, kinematic viscosity, cetane number, flash point, pour point, cloud point, and gross heating value were evaluated and compared with the limits of ASTM D6751 standards. The comparison showed that the biodiesel obtained from Y. aloifolia oil could be used as an alternative fuel for diesel engines.

SIMPLE AND GREEN OXIDATION OF CYCLOHEXANONE TO ADIPIC ACID WITH KEGGIN TYPE MIXED SALTS

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Adipic acid (AA) is the most important synthetic dicarboxylic acid annually produced, mainly used as a precursor for the production of nylon, this organic compound is also used in the chemical industry to produce other polymers, coatings, plasticizers and detergents. Industrial process of AA production presents serious environmental constraints due to the use of nitric acid, which causes inevitably the emission of nitrous oxide N_2O, one of the ozone depleting agents with a strong greenhouse effect and a very long residence time in the atmosphere [1,2]. The use of Keggin type mixed salts of formula $H_{3-2(x+y)}Mn_xCo_yPMo_{12}O_{40}$ ($x+y = 3/2$) denoted $Mn_xCo_yPMo_{12}$ as clean catalysts and hydrogen peroxide as green oxidant is a potential way for dealing with these disadvantages. Manganese-cobalt mixed salts were characterized by several physico-chemical techniques as IR, SEM-EDX and ^{31}P NMR spectroscopies and XRD diffraction and their catalytic properties were evaluated in the cyclohexanone oxidation to adipic acid at 90°C in free solvent. The effect of salt composition on AA yield was studied. The obtained results showed that $Mn_{0.25}Co_{0.75}PMo_{12}$ is the chemical composition that leads to the highest AA yield (41 %) under very mild operating conditions.

Key words: Keggin-type mixed salts, Oxidation, Hydrogen peroxide, Cyclohexanone, Adipic acid.

Reference

[1] A.V. Leont'ev, O.A. Fomicheva, M.V. Proskurnina, N.S. Zefirov, Russ. Chem. Rev. 70 (2001) 91–104.[2] J. Pérez-Ramırez, F. Kapteijn, K. Schöffel, J.A. Moulijn, Appl. Catal. B: Environ. 44 (2003) 117–151

Synthesis and chacterization of tin tetrachloride complexes with phosphonothioamidates and phosphonoamidates

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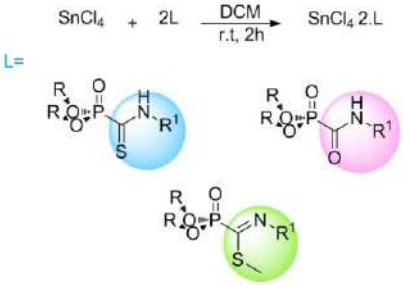
Phosphonothioamidates and Phosphonoamidates are known for their important biological and pharmaceutical activities such as antimicrobial, antihypertensive, antitumor, anti-inflammatory, anti-HIV and antidepressant properties. It was generally shown that the coordination through the phosphoryl group or other heteroatoms in the molecule could be responsible of such biological activity. In the present work, we describe the synthesis of phosphonothioamidates [1] with tin(IV) tetrachloride and report on the resulting tin complexes with these phosphonothioamidates. The new tin adducts were characterized by multinuclear (^{1}H, ^{13}C, ^{31}P and ^{119}Sn) NMR, IR and UV-vis spectroscopic techniques. The effect of the alkyl group on the stability of the complex formed will also be presented.



Keywords: Phosphonothioamidates, tin(IV) chloride, NMR spectroscopy.

References

[1] Omrani, R.; Ben Amor, F.; Bahri, M.; Efrit, M.L.; Ben Akacha, A.; *Phosphorus, Sulfur and Silicon and the Related Elements.* **2015**, 190, 10, 1715.
[2] Omrani, R.; Sanhoury, M.A.K.; Ben Dhia, T.; Efrit, M. L.; Ben Akacha, A.; *Article being prepared.*

Ring expansion of aziridine-2-carboxylates: An efficient entry to new nitrogen-containing compounds

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Aziridines have emerged as versatile synthons and have continued to find numerous applications in the preparation of a wide variety of β-functionalized amino compounds owing to the combination of their easy preparation and their propensity to undergo ring opening reactions with a wide range of nucleophiles[1].In this context and in connection with our interest in the preparation and application of aziridine-2-carboxylates[2] as precursors of azaheterocycles, we describe herein a new strategy for the synthesis of new substituted 1,3-imidazolidin-2-ones and β-lactams. This approach involved a sequence of ring opening–cyclization of aziridine-2-carboxylates upon treatment with urethane under different mild conditions. The structure of all these compounds were confirmed by ^{1}H-NMR and by^{13}C-NMR.

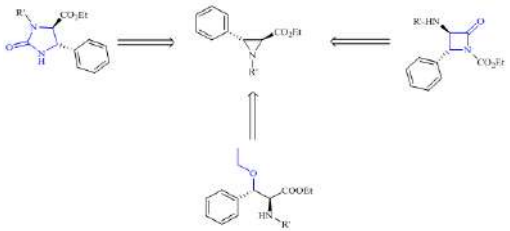

Fig. stereoselective synthesis of new compounds using aziridine-2 carboxylates template

Keywords: aminoethers, 1,3-imidazolidin-2-ones, lactams.

1 Rui, L.; Hui, Jiang.; Wan-Li, Liu.; Pei-Ming, Gu.; Xue-Qiang, Li. *Chinese. Chem. Letters*. **2014**, 25, 583-585.

2 (a) Kaabi, A.; Ould Elemine, B.; Besbes, R. *Synth. Commun*. **2011**, 41, 1472-1480.
(b) Tabarki, M. A.; Besbes, R. *Tetrahedron* **2014**, 70, 1060-1064.
(c) Kaabi, A.; Besbes, R. *Synth. Communication*. **2014**.

Preparation, caracterization of sulfated diethanolamides of olive pomace oil and applied as lime soap dispersants

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Sulfated diethanolamides of fatty acids are known to be effective lime soap dispersing agents. However, their preparation from fatty acids via fatty amides requires the use of organic solvents due to the high viscosity of both fatty amides and sulfated fatty amides. This study shows that the preparation of sulfated fatty amides is relatively easy when using olive pomace oil as the raw material. The latter, is converted into sulfated fatty amides by performing the following steps: saponification, hydrolysis, esterification, amidation, and sulfation. In the final step, the mixture obtained has sufficient fluidity, due to its high linoleic acid amide content, to obviate the use of organic solvents, as usually suggested in the literature. Characterization of the product was carried out by chemical analyses, FTIR,13C NMR, GC, and HPLC. It was shown that the yield of the amidation reaction is about 80%, and that of the sulfation reaction can exceed 100% against the pure amide (more than one sulfate group could be linked to one amide molecule). On the other hand, the Borghetty test showed that the product is an effective dispersant with a lime soap dispersing power equal to five.

Keywords : Olive pomace oil ; Fatty amides ; Sulfated fatty amides ; Lime soap dispersing power

Isolation and Characterization of two new iridoid compounds from *Olea europaea* L.

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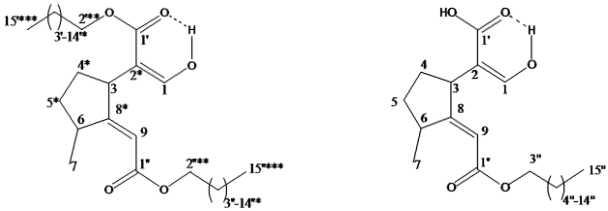
Two new iridoids, namely deoxyloganic acid tridecyl ester (1) and deoxyloganic acid lauryl ester (2), were isolated, from olive pomace and Dhokar olive fruit respectively. The two new compounds were isolated and purified through solid phase extraction (SPE) using silica Cartridge column chromatography. The structure of the new compounds were established by spectroscopic data including one and two-dimensional NMR (COSY, HMQC et HMBC), electrospray ionization mass spectrometry (ESI-MS), IR analysis and UV spectra. The antioxidant activity of the purified compound were evaluated by measuring the radicals-scavenging effect on 2, 2-diphenyl-1-picrylhydrazyl (DPPH) and by using the FRAP assays. The pure compounds hve not been previously identified in the genus *Olea* and could be used as for studying the biosynthetic pathway of oleuropein aglycon.



(1) (2)

Figure 1. Structure of new iridoid compounds

Key words: *iridoid; olive pomace; deoxyloganic acid; FRAP;Dhokar.*

AN EFFICIENT SYNTHESIS OF POLYSUBSTITUTED VINYL ETHERS

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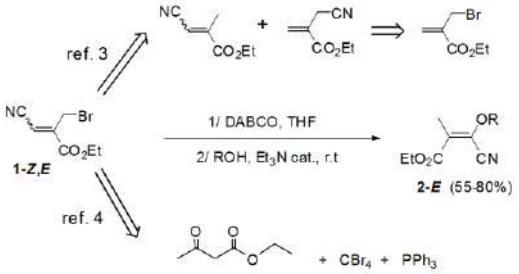
Vinyl ethers have attracted considerable attention for their potential applications as monomers in the synthesis of functional polymer materials [1] and as precursors for synthesis of pharmaceuticals [2]. In this context, we describe in this communication, an efficient approach for the synthesis of functionalized vinyl ethers **2** through successive *O*-alkylation-isomerization reactions *via* the coupling reaction of ethyl 2-(bromomethyl)-3-cyanoacrylate **1** [3,4] as a mixture of two isomers *Z* and *E* with various alcohols serving as nucleophiles. The strategy proceeds in a direct substitution of bromine atom with 1,4-diazabicyclo[2.2.2]octane providing a quaternary ammonium salt. This latter can be dislodged *via* S_N2' reaction using aliphatic and aromatic alcohols in the presence of a catalytic amount of triethylamine at room temperature, to produce functionalized allyl ethers [5] which undergoes a spontaneous isomerization, leading to the formation of vinyl ethers **2** with total streoselectivity in favor of *E*-isomer with good yields.



Key words: Ethyl 2-(bromomethyl)-3-cyanoacrylate, DABCO, alcohols nucleophiles, triethylamine, vinyl ethers.

References

[1] Kanazawa, A.; Kanaoka, S.; Aoshima, S. *Macromolecules* **2014**, *47*, 6635; (c) Sugihara, S.; Kawamoto, Y.; Maeda, Y. *Macromolecules* **2016**, *49*, 1563.
[2] Tejedor, D.; Cotos, L.; García-Tellado, F. *J. Org. Chem.* **2013**, *78*, 8853.
[3] Arfaoui, A.; Amri, H. *Tetrahedron* **2009**, *65*, 4904.
[4] McFadden, H. G.; Harris, R. N. L.; Jenkins, C. L. D. *Aust. J. Chem.* **1989**, *42*, 301.
[5] Arfaoui, A.; Saâdi, F.; Nefzi, A.; Amri, H. *Synth. Commun.* **2015**, *45*, 2627.

Role of water in the reaction of Triacetic Lactone and Salicylaldehyde

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b) Laboratoire de Chimie Organique Appliquée (Groupe Hétérocycles), Faculté de Chimie, Université des Sciences et de la Technologie, BP32 El-Alia 16111 Bab-Ezzouar, Algeria
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d) Laboratoire de Chimie du Gaz Naturel, Faculté de Chimie, Université des Sciences et de la Technologie Houari Boumediène, BP 32 El-Alia, 16111 Bab-Ezzouar. Alger, Algeria.
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Compounds containing coumarin and pyrone moieties are ubiquitous in a variety of important compounds and potent drugs presenting a variety of biological properties, such as anti-inflammatory, anticoagulant and anti-angiogenesis activity andalso as HIV protease inhibitors. Besides, they are also known to display a wide range of antimicrobial and antioxidant activities.[1] Among the most important objectives in organic chemistry is the control of chemo-and regioselectivity catalytic in order to achieve desired products.

As part of our ongoing research on the synthesis of bioactive compounds,[2] herein we report the reactions of 4-hydroxy-6-methylpyran-2-one **1**with salicylaldehyde **2**, being the selectivity of the multicomponent reactions controlled by the use of diverse catalysts and water as solvent (**Fig. 1**). This transformation results in two different series of heterocyclic compounds, which have a coumarin and pyranochromene patterns. In this communication the experimental procedures and the structures of compounds **3** and **4** will be described and discussed.

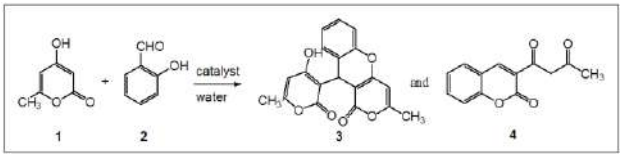

Fig.1. Synthesis of 4-(pyran-3-yl)pyrano[3,4-*b*]-4*H*-chromenes **3** or 3-(3-oxobutanoyl)-2*H*-chromen-2-ones **4** using water as solvent.

Key words: Knoevenagel, Michael addition, pyran-2-one, pyranochromenone, Multicomponent reactions (MCR), environmentally friendly processes.

References

[1] a) Kostova, I.; Mojzis, J. *Future HIV Therapy*. **2007**, 1, 315-329. b) Lee, S.; Sivakumar, K.; Shin, W. S.; Xie, F.; Wang, Q. *Bioorg. Med. Chem. Lett.* **2006**, 16, 4596-4599.
[2] a) Benazzouz, A.; Makhloufi-Chebli, M.; Khatir-Hamdi, N.; Boutemeur-Khedis, B.; Silva, A. M. S.; Hamdi, M. *Tetrahedron*. **2015**, 71, 3890-3894. b) Talhi,O.;Makhloufi-Chebli, M; Pinto, D. C. G. A.;Hamdi, M; Silva,A.M. S. *Synlett.* **2013**, 24, 2559–2562.

A one-Pot three-Component Synthesis of Novel Hybrid Coumarin-3,4-dihydropyrimidin-2(1*H*)-ones/thiones under Microwave Irradiation.

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A simple, efficient and green method for the synthesis of novel hybrid coumarin 3,4-dihydropyrimidon-2(H)-ones/thiones has been developed via “one pot “three compounds reaction of aromatic aldehyde, 3-(acetoacetyl)coumarin derivatives and urea /thiourea in refluxing acetonitrile, in the presence of a catalytic amount of sulfuric acid this reaction proceeds using microwave irradiation offer several advantages good yields,simple work up procedures and envirommental friendliness. The structure of all newly synthesized compounds has been established by 2D NMR spectroscopic spectra (HSQC, HMBC, and NOESY), elemental analysis, and mass spectrometry[1].

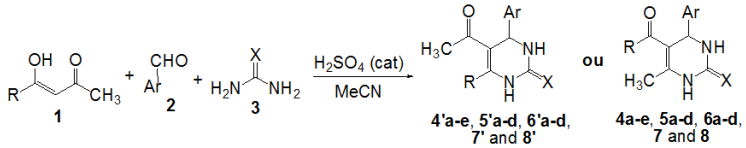

Fig. synthesis of coumarin 3,4-dihydropyrimidinones/thiones.

Key words: one pot, hybrid coumarin-3,4-ihydropyrimidinones/thiones, microwave.

Reference

[1] Benazzouz, A.; Makhloufi-Chebli, M.; Khatir-Hamdi, N.; Boutemeur-Khedis, B.; Silva, A. M. S.; Hamdi, M. *Tetrahedron*. **2015**, 71, 3890-3894.

Facile and efficient Suzuki–Miyaura coupling reaction of aryl halides catalyzed by catalyst based on ZnS-doped Ni (5%)

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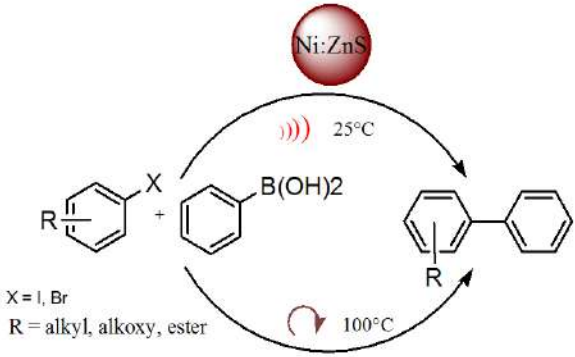
A general and efficient catalytic system for ZnS-doped Ni(5%) –catalyzed. [1] Suzuki cross-coupling reactions was developed. The cross-couplings of a wide range of aryl halides with various arylboronic acids were feasible under mild conditions in environmental friendly employing K_2CO_3 as a base and under ultrasound irradiation under optimized conditions. [2] The catalytic system could be recycled and reused without significant loss of catalytic activity. In every case, the regioselectivity of the reaction is established on ^{1}H-NMR data. The reactions are remarkably fast (5 min), with a high yield and the products are highly pure. In this context, a reactional mechanism is proposed.



Key words: Suzuki cross-coupling, ultrasound irradiation; Ni:ZnS, Catalyzed**.**

References

[1] H. Labiadha, K. Said, T. Ben Chaabane, R. Ben Salem *J. Mater. Environ. Sci. 7 (12) (2016) 4570-4579.*
[2] K. Said, Y. Moussaoui, M. Kammoun, R. Ben Salem, Ultr. Sonoch, 218 (2011) 23-27.

CHARACTERIZATION OF WHITE MAHLAB (*PRUNUS MAHALEB* L.) SEED OIL: A RICH SOURCE OF *α*-ELEOSTEARIC ACID

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Seed oils with high polyunsaturated fatty acid content are used in various industries including the food and pharmaceutical industries. White mahlab (*Prunus mahaleb* L.) seed was found to contain 31% oil. The oil was highly polyunsaturated and abundant in α-eleostearic (38.32%), oleic (31.29%), and linoleic (22.96%) acids, which together comprised 93.91% of the total fatty acids. The α-eleostearic acid was identified and characterized based on 1H-NMR, UV, and FTIR spectroscopy. The oil was characterized by a relatively high quantity of tocopherols with γ -tocopherol as the major tocopherol isomer. The physicochemical characteristics of the white mahlab seed and seed oil were also determined. The thermogravimetric analysis indicated that the oil was thermally stable up to 350 °C and began to decompose at 520 °C. This study demonstrated that these seeds may be reused and their oil incorporated into other food products, a beneficial practice considering that the compounds present in the seeds and oils have positive effects on human health.

LIPASE/ENZYME CATALYZED BIODIESEL PRODUCTION FROM *PRUNUS MAHALEB*: A COMPARATIVE STUDY WITH BASE CATALYZED BIODIESEL PRODUCTION

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Many industrial applications involve the transesterification of natural oils and fats. In the present work, methyl esters were transesterified from white mahlab oil (WMO) using methanol via base and lipase-catalyzed reactions. The oil content of the mahlab seeds was found to be 31%. The composition of the fatty acid methyl esters (FAMEs) produced from the WMO was analyzed by GC/MS, revealed α-eleostearic acid (40.7%), oleic acid (29.8%) and linoleic acid (26.6%). The effects of the reaction conditions (i.e., time, temperature, the amount of catalyst, methanol/oil molar ratio, water content and the amount of solvent) on FAME's yield were appraised. The important fuel properties of the FAME's were measured and compared with ASTM 6751 and EN 14214 biodiesel standards.

Synthesis and Gas Chromatographic Study of a New Liquid Crystal

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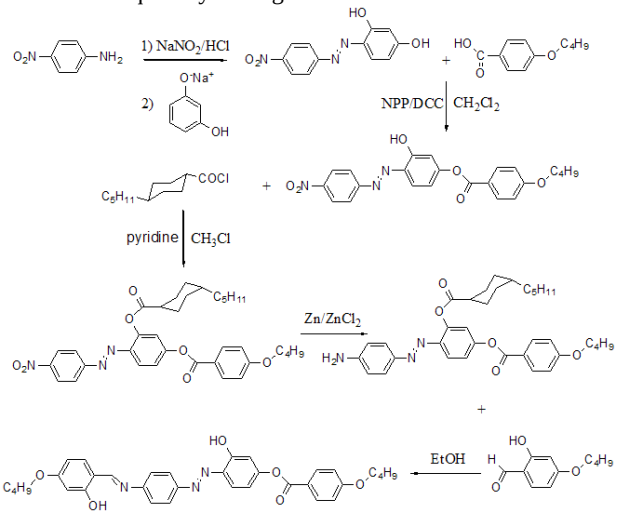
A lateral flexible ring can be introduced on a mesogenic core containing four rings. Lateral aliphatic ring containing have been synthesized.
Synthesis scheme of liquid crystal is given below:



The structure and the purity of the liquid crystal were checked using 1H NMR on an AM 250 Bruker spectrometer.
The phase transitions were observed and characterized by using optical microscopy and differential scanning calorimetry (DSC). A large nematic phase is obtained and introducing a lateral phase ring does not destroy the stability of the mesophase.
The liquid crystal was used as stationary phase in capillary gas chromatography and showed interesting properties in the separation of some aromatic compounds.

References

[1] F. Athman, M. Dahmane, S. Boudah, M.H. Guermouche, J. P. Bayle, S. Sebih, Chromatographia, 2009, 70, 503.
[2] M. Dahmane, F. Athman, S. Sebih, M.H. Guermouche, Jean Pierre Bayle, S. Boudah, Journal of chromatography. 2010, 1217, 6562, Journal of chromatography. 2010, 1217, 6562.

THE FIRST NMR INVESTIGATION OF THE COMPLEXATION OF AZIRIDINE WITH CYCLODEXTRINE

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Aziridines are known to undergo hydrolysis in the presence of cyclodextrins, whereas the latter are largely investigated as potential vectors of biologically active compounds. Despite this easy cyclodextrin induced cleavage of aziridines in aqueous medium, it was of interest to find out a model aziridine derivative that would be sufficiently water stable and form a stable complex with βcyclodextrin in aqueous medium, so that it could be used as a reference in future formulations or vectorization work. Among compounds we have investigated, we found out that only (S)-2-isopropyl-1-(onitrophenyl)sulfonyl)aziridine complied with the above mentioned solubility and stability requirements. NMR studies of the inclusion complex of this derivative With β-cyclodextrin provided useful parameters related to the stoichiometry of the complex and the association constant Ka. The geometry of the complex was assessed by 2D-ROESY experiments, suggesting a deep
insertion of the aziridine into the cavity of β-cyclodextrin.

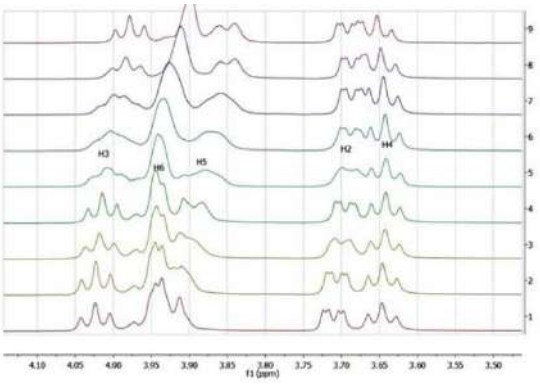

Fig.1. Expanded regions of 1H NMR spectra (500 MHz, D2O): chemical shift variations of H-3, H-6, H-5, H-2 and H-4 protons of βCD in the complex.

Keywords: Aziridines, cyclodextrine, Complexe, ROESY.

Theoretical investigation on the reactivity of Nefopam in super-acid middle

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Nefopam, attracts the attention of many researchers. This drug has been considered one of the best antalgic. Chemically, nefopam belongs to the family of benzoxazocines [1]. Its crude formula is $C_{17}H_{19}NO$ and its official nomenclature is 5-methyl-1-phenyl-3,4,5,6-tetrahydro-1H-benzoxazocine.
This molecule reacts in superacid media to form dicationic superelectrophilic species that are capable of reacting with arenes through Friedel-Crafts type reactions. This chemistry is used to prepare new derivatives of nefopam [1].
A theoretical study of the reaction of nefopam with water was initiated using the theory of the transition state. In this framework, the different reagents, intermediates and products of the reaction are optimized at the DFT/B3LYP / 6-311G(d,p) level of theory using Gaussian09. The study of the various conformers of nefopam and its stable ions in super acid media was optimized in the gas and in solution state in order to find the most stable compound. The use of conceptual DFT allows us to find the nucleophilic and electrophilic sites of the molecule and predict its reactivity in a super acid middle.

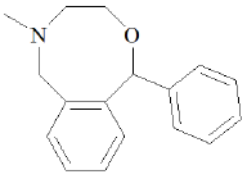

Fig.1 Nefopam structure

Key words: Nefopam; B3LYP; Transition state, Conceptual DFT. Super-acide medium

Reference

[1] L. Knoecer, D. DeSchepper, D. A. Klumpp, " Superacid-Induced Reactions of nefopam", Orga. Chem. Inter. 2010.

Analysis of Natural Substances Using a New Liquid Crystal as Stationary Phase.

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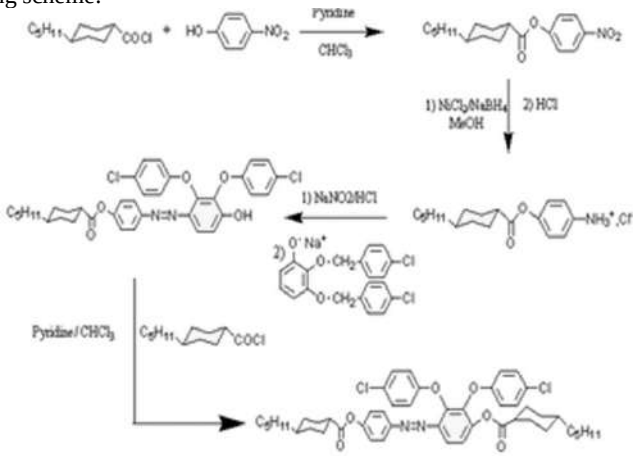
Application of a nematic liquid crystal used as stationary phase in capillary gas chromatography is investigated using some volatile natural products.
The method of synthesis of the liquid crystal uses simple reactions (esterification, alkylation, diazotation etc.). The thermal properties were established with scanning calorimetry (DSC). The liquid crystal was synthesized according to the following scheme:



The chromatographic separations abilities of stationary phase were studied by capillary fused silica column. Nice separations of some volatile natural compounds are obtained.
In the part of this work, we analyzed the PCBs contained in the soils of storage areas of transformers. The quantitative determination showed that the suspected site was effectively polluted. The extraction of PCBs was performed by techniques: soxhlet. After extraction with an appropriate solvent the extracts were separated using a new liquid crystal as stationary phase.
In the second part, we carried out the remediation of soils by phytodimerization. The selected plants are the cucumber and cypress. The degradation of PCBs was followed by GLC. The results show a significant decrease of PCBs contained in the polluted soils.

AN EFFICIENT ONE-POT SYNTHESIS OF TRIAZOLES BY COPPER (I) CATALYZED AZIDE-ALKYNE CYCLOADDITION (CuAAC) REACTION UNDER MILD CONDITIONS IN WATER: SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL ACTIVITIES

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a) Research Laboratory of Environmental Sciences and Technologies Hammam-Lif, (LR16ES09), Higher Institute of Environmental Sciences and Technology, University of Carthage, TUNISIA.
b) Laboratory of Microorganisms and Biomolecules of the Center of Biotechnolgy of Sfax-Tunisia. Road of Sidi mansour, Km 6 B.P. 1117, 3018 University of Sfax, TUNISIA.
c) İnönü University, Faculty of Science and Art, Department of Chemistry, MALATYA, TURKEY
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A series of Cu-N-heterocyclic carbene (Cu-NHC) complexes, 3a-c and 6a-d, were synthesized and characterized by elemental analysis and spectroscopic methods. The performance of these complexes showed excellent activity in 1,3-dipolar cycloaddition reactions between alkynes and azides to obtain 1,4-disubstituted triazoles in 68%–80% isolated yields. (Figure1). All obtained complexes especially compounds 3a and 3c, presented significant inhibitory activity against the tested food- borne pathogens and clinical microorganisms.

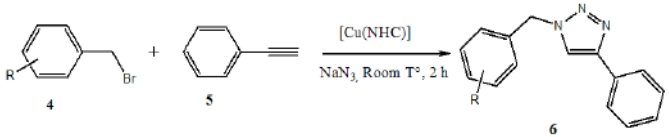

Figure 1: NHC copper (I) 3 catalyzed formation of triazoles 6

Key words: Cu-N-heterocyclic carbene, cycloaddition, triazoles, mild conditions, biological activities.

References

[1] H.C. Kolb, M.G. Finn, K.B. Sharpless, Angew. Chem., Int. Ed. 40 (2001) 2004.
[2] P. Wu, V.V. Fokin, Aldrichim. Acta 40 (2007) 7.
[3] H.C. Kolb, K.B. Sharpless, Drug Discov. Today 8 (2003) 1128.

Design of novel dispirooxindolopyrrolidines through [3+2] cycloaddition reactions of azomethine ylides with hydantoin derivatives

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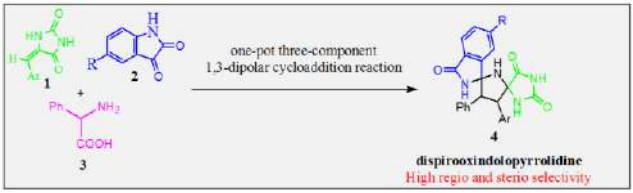
Spiro[oxindolo-2,3'-pyrrolidine] ring system is a frequent structural element that has been found in a large number of alkaloids.[1] This spiro-fused framework possess significant biological activities that continue to challenge the synthetic chemist.[2] In the other hand, hydantoin derivatives exhibit a wide spectrum of important bioactivities [3] especially the spirohydantoins, which are considered to be a novel aldose reductase inhibitor to treat for diabetes.[4]

Inspired by the broad range of biological activities of spirooxindolopyrrolidine and hydantoin derivatives, we describe herein the synthesis of a novel series of dispirooxindolopyrrolidines *via* one-pot three-component 1,3-dipolar cycloaddition reaction of 5-arylidenehydantoin **1** with azomethine ylide generated *in situ* by decarboxylative condensation of isatin **2** with 2-phenylglycine **3**.



Scheme 1. Synthesis of dispirooxindolopyrrolidine derivatives

Key words: Spiro[oxindolo-2,3'-pyrrolidine]; three-component 1,3-dipolar cycloaddition; azomethine ylide.

References

[1] (a) M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertland and H. Waldmann, *Proc.Natl.* Acad. Sci. U. S. A., 2005, **102**, 17272; (b) P. R. Sebahar, R. M. Williams, *J. Am. Chem. Soc*., 2000, **122**, 5666.

[2] (a) S. Haddad, S. Boudriga, F. Parzo, A. Soldera, M. Askri, D. Sriram, P. Yogeeswari, M. Knorr, Y. Rousselin and M. M. Kubicki, *New J. Chem*.., 2014, **4**, 59462; (b) C. Mhiri, S. Boudriga, M. Askri, M. Knorr, D. Sriram, P. Yogeeswari, F. Nana, C. Golz, C. Strohmann, *Bioorg. Med. Chem. Lett.*, 2015, 25 (19), 4308-4313.

[3] (a) Byrtus, H.; Obniska, J.; Czopek, A.; Kaminski, K.; Pawlowski, M.; *Bioorg. Med. Chem.* **2011**, *19*, 6149–6156

[4] Khanfar, M.A.; Hill, R.A.; Kaddoumi, A.; El Sayed, K.A.; *J. Med. Chem.* **2010**, *53*, 8534–8545.

MIXED CARBOXYLIC-FATTY ANHYDRIDE ESTERIFICATION USING AMBERLYST-15 AS A HETEROGENEOUS CATALYST

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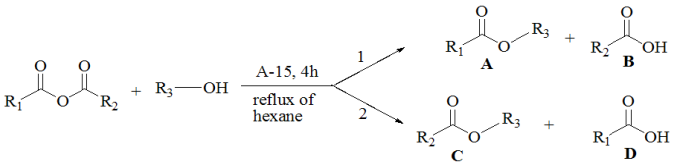
The esterification of fatty acid with alcohol under an acid catalyst is a reversible reaction limited by the low equilibrium conversion and slow reaction rate. To perform high-yielding esterification with equimolar reactions of carboxylic acids and alcohols under mild conditions, the coupling reactions between activated derivatives of carboxylic acids (carboxylic acid chlorides or anhydrides) and alcohols have been often employed.

The esterification by solid acid catalysts, which have the advantages of being easily recovered and reused as well as being compatible with environmental considerations, has also been studied. Ion-exchange resins such as Amberlyst-15 are convenient catalysts for etherification, esterification and transesterification reactions. These resins are composed of copolymers of divinylbenzene (DVB), styrene and sulfonic acid groups [being the active site (Brønsted acidity)].

The fatty ester was performed by the esterification reaction of alcohol with mixed carboxylic-fatty anhydride ($R_1CO\text{-}O\text{-}COR_2$) in organic solvent in the presence resin Amberlyst-15 as heterogeneous acid catalyst [1]. The reaction of the mixed anhydride with an alcohol leads to a complex mixture comprising the two esters and two correspondent acids as shown in Scheme 1 [1].



Scheme 2. Esterification reaction of a mixed anhydride with an alcohol.

Keywords: fatty acid, mixed anhydride, heterogeneous acid catalyst, resin Amberlyst-15, esterification.

Reference

[1] Trabelsi, I.; Essid, K.; Frikha, M.H. Synthesis of mixed anhydrides of fatty acids: Stability and Reactivity. Ind. Crop. Prod. 2017? 97, 552-557.

Can use of natural plants extracts protect extra virgin olive oil from oxidation during microwave heating?

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Effect of different microwave heating times on physical and chemical parameters of virgin olive oil enriched with plant extracts were evaluated during microwave heating (0-15 min; 1000 W). The parameters evaluated were free acidity, peroxide value, specific extinction coefficients (K_{232} and K_{270}), phenolic content, chlorophylls and carotenoids content. All studied oil samples with natural extracts exhibited a high stability against oxidation when microwaves treatments do not exceed five minutes. The microwave heating time also affects the total chlorophylls and carotenoids contents which clearly decreased as long as the exposure time increases. The use of natural antioxidants could be an effective way to protect olive oil, one of the most important world crops, from oxidation when treated by microwaves.

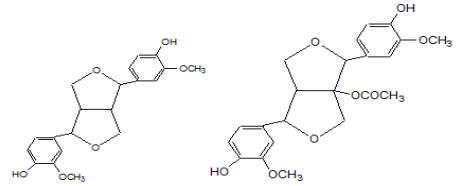

Fig. Structure of some phenolic compounds present in olive oil.

Key words: Olive oil; Microwave treatment; Phenolic content; Carotenoids content; Chlorophylls content.

References

[1] Rigane G., Ayadi M., Boukhris M., Sayadi S, Bouaziz M. (2012) J Sci Food Agric.
[2] Yahyaoui A., Rigane G., Ben Salem R. (2014) Journal of Biochemistry & Physiology.

Inclusion complex of 2-amino-4,5,6,7- tetrahydro-1-benzothiophene-3-carbonitrile and beta-cyclodextrin: Synthesis and Spectroscopic study

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The formation of an inclusion complex can enhance the solubility of guest molecules in water [1] and increase the bioavailability of drugs [2]. In this work, a 1:1 aminothiophene /beta-cyclodextrin inclusion complex was synthesized (K= 4.3×10^5 M^{-1}) and characterized by XRD, FT- IR and NMR.

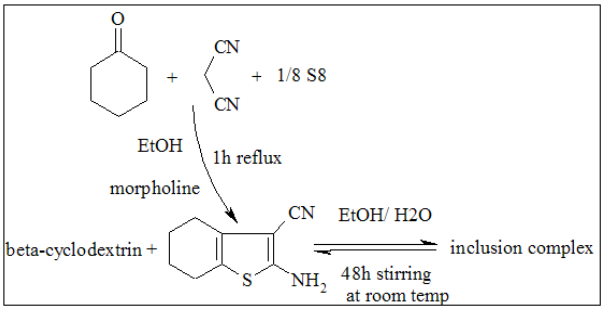

Fig 1. Synthesis route of inclusion complex

Key words: inclusion complex, aminothiophene, beta-cyclodextrin.

References:

[1] S. M. Botella and al., *J. Pharm. Biomed. Anal.*,**1996**, 14, 909-915.
[2] Trandafirescu C. and al., *Farmacia.*, **2007**, 5, 580- 589.

PREDICTION BY SILICO METHODOLOGIES OF AQUATIC TOXICITY IN TETRAHYMENA PYRIFORMIS BY AROMATIC AMINES

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Alternative methods to replace, reduce and refine animal testing are required by the European Directive on the Protection of Laboratory Animals. The sein clude in vitro toxicity tests and quantitative structure – activity relationship (QSAR) models. QSARs are computer-based mathematical models which relate the biological activity (aquatic toxicity) of compounds (aromatic amines) to theoretically calculated or experimental descriptors of their chemical structure. Two approaches was used to predict aquatic toxicity,multiple linear regression, and artificial neural networks for obtained the best models with two descriptors.
The electopological descriptors derived from E-calc and the second is the partage coefficient derived by Hyperchem software when applying genetic algorithm – variable subset selection procedure.
Such as important values statistical parameters obtained by two approaches were, by MLR :R2= 92.18, Q2 = 90.51, Q2ext= 95.26, F=188.5466, S = 0.1995, second result obtained by RNA were: Q2 = 94.79, RMSE= 0.16, Q2ext= 91.71,RMSEext= 0.18.

Keywords: Aquatic toxicity, aromatic amines, Quantitative structure-activity relationship, Multiple linear regression, Artificial neural networks,

SYNTHESIS OF 9-AMINO-2,5-DIPHENYL-[1,2,4]TRIAZOLO[1',5':1,6]PYRIDO[3,2-*d*]PYRIMIDINE-4-CARBONITRILE DERIVATIVES

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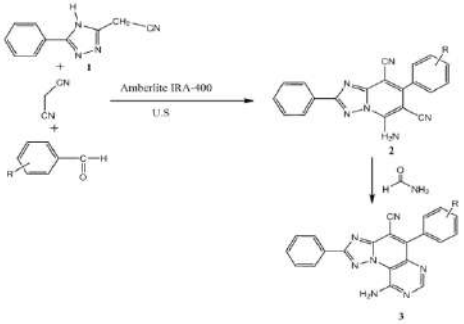
The work presented here will focus on the synthesis of a new series of 9-amino-2,5-diphenyl-[1,2,4]triazolo[1',5':1,6]pyrido[3,2-d]pyrimidine-4-carbonitrile derivatives **3**. In first the synthesis of [1,2,4]triazolo[1,5-*a*]pyridine derivatives **2** was carried out by using ultrasonic irradiation in the presence of Amberlite IRA-400 by condensation of triazole **1** with aldehyds and malononitrile. The action of **2** with formamide offered compounds **3** with good yield.



All the structures obtained are identified and characterized by ^{1}H NMR, ^{13}C NMR and IRTF.

Keywords: « One-pot » synthesis of triazolopyridines, 9-amino-2,5-diphenyl-[1,2,4]triazolo[1',5':1,6]pyrido[3,2-d]pyrimidine-4-carbonitrile.

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