

Part A. PERSONAL INFORMATION

CV date

24/02/2023

First and Family name	Antonio J. Moreno Vargas	
Researcher codes	Open Researcher and Contributor ID (ORCID**)	0000-0002-5771-2012
	SCOPUS Author ID (*)	6603018640
	WoS Researcher ID (*)	I-1702-2015

(*) Optional

(**) Mandatory

A.1. Current position

Name of University/Institution	University of Seville		
Department	Department of Organic Chemistry-Faculty of Chemistry		
	E-mail	ajmoreno@us.es	
Current position	Full Professor from 18/05/2022		
Key words	Heteronorbordadienes, iminosugars, bioconjugation, enzyme inhibition, glycosidases, cytotoxic agents, click chemistry		

A.2. Education

PhD, Licensed, Graduate	University	Year
Degree in Chemistry	University of Seville	1997
PhD	University of Seville	2001

A.3. General indicators of quality of scientific production

- 7 Thesis supervised: L. Molina Sanz (USE, 2009), E. Moreno Clavijo (USE, 2010), P. Elías Rodríguez (USE, 2017), M. Martínez-Bailén (USE, 2019), E. Gil de Montes (USE, 2020), V. Pingitore (USE, 2021), S. Fratta (USE, 2023).
- Citations: 1270 (Scopus); Citations/year (last 5 years): 77 (Scopus)
- 74 overall scientific publications: 71 articles (43 in Q1, 9 of them in D1) and 3 book chapters.
- 4 patents.
- Scientific publications from 2018 (last 5 years): 16 articles (14 in Q1, 5 of them in D1, senior author in 11).
- Index h: 21 (Scopus)

Part B. CV SUMMARY

Degree in Chemistry from the University of Seville (1997, Extraordinary Degree Award) and PhD in Chemistry from the same University (2001, European Doctorate, Extraordinary Doctorate Award). The Doctoral Thesis, supervised by Dr. I. Robina and Dr. J. Fuentes, was developed in the Department of Organic Chemistry of the Faculty of Chemistry of the Univ. of Seville, and described the synthesis of peptidomimetics and glycosidase inhibitors from polyhydroxyalkyl-heterocycles. I carried out a post-doctoral stay in the Glycochemistry and Asymmetric Synthesis Laboratory of the EPFL (Lausanne, Switzerland) under the supervision of Prof. P. Vogel (Jan/2002-May/2003 and July/2004-September/2004). During this stay I worked in the synthesis and reactivity of 7-azanorborene systems. After this stay, I got a contract as Assistant Professor in the Dept. of Organic Chemistry of the University of Seville (May 2003-Oct 2009), Associate Professor (Nov 2009-April 2022) and Full Professor (since May 2022). The research topics in which I have participated during these years have been funded by different european, national and regional agencies, and most of them have been related to the preparation of bioactive molecules. Several papers have been published concerning these topics. Among them, I can highlight the preparation of HIV entry inhibitors (BMC and JOC, 2007), the synthesis of E-Selectin (EurJOC 2008) and cholera toxin ligands (Chem Eur. J. 2013), and the synthesis/biological evaluation of glycosidase inhibitors (selected articles: Chem. Commun. 2005, 4xOBCs 2009, 2014 y 2016, 3xEurJOCs 2013,2014 BMC 2013, Chem. Eur. J. 2017, EurJMCs 2017, 2018, 2020, JOC 2018, 3xBioorg. Chem. 2019, Bioorg. Chem. 2022). In the field of glycosidase inhibition, I have established very close collaborations with the research groups of Prof. F. Cardona (Univ. of Florence, synthetic aspects), Prof. A. Kato (Univ. Toyama, biological evaluation on human enzymes and



chaperone activity), Prof. J. Angulo (Univ. East Anglia, enzyme/inhibitor interaction) and Prof. R. Lieberman (Georgia Inst. Tech., enzyme/inhibitor crystallization). I have also developed new methodologies for the preparation of other bioactive compounds using heteronorborene systems as synthetic intermediates (OL 2011, T 2010, OBC 2013, JOC 2020). Recently, I have started two new research lines: a) studies of the reactivity of (hetero)norborenes and their applications in the bioconjugation of proteins (Chem. Sci 2019, Angew. Chem. 2020) in collaboration with Prof. G. Bernardes (Univ. Cambridge); b) synthesis and biological evaluation of new NAMPT inhibitors as anti-cancer agents (Eur. J. Med. Chem. 2022). I have participated in the preparation of different reviews (either as a book chapter or as a journal article) related to the chemistry of carbohydrates, glycosidase inhibitors and 7-(hetero)norborene systems (i.e. Curr. Drug Metabol., 2004, Curr. Org. Synth. 2008, 2011, Curr. Org. Chem. 2016). Along my research career, I have co-authored 71 scientific articles and 3 book chapters, I am co-inventor of 4 patents (without exploitation) and I have supervised 7 Thesis. Concerning the last 10 years (from 2013), I have co-authored 34 publications, being senior or co-senior author in 17 of them (5 in D1 in the last 5 years). Along my research career I have participated in 14 research projects supported by the European Union, the National Ministry or the Junta de Andalucía. Currently, I am main researcher (IP) of a National Project (PID2020-116460RB-I00) and a Regional Project (P20_00532) and supervisor of two Thesis in process.

Part C. RELEVANT MERITS (sorted by typology, last 5 years)

C.1. Publications (*corresponding authors are indicated)

1. V. Pingitore, M. Martínez-Bailén, A. T. Carmona,* Z. Mészáros, N. Kulik, K. Slámová, V. Křen, P. Bojarová,* I. Robina, A. J. Moreno-Vargas* “Discovery of human hexosaminidase inhibitors by in situ screening of a library of mono- and divalent pyrrolidine iminosugars”. *Bioorg. Chem.* **2022**, 120, 105650 (IF: 5.275, Q1/organic chemistry, 9/57, JCR 2020).
2. E. Gil de Montes, M. Martínez-Bailén, A. T. Carmona, I. Robina, A. J. Moreno-Vargas,* “Regioselectivity of the 1,3-dipolar cycloaddition of organic azides to 7-heteronorborenes. Synthesis of β -substituted furans/pyrroles”, *J. Org. Chem.* **2020**, 85, 8923-8932 (IF: 4.354, Q1/organic chemistry, 12/57, JCR).
3. E. Gil de Montes, A. Istrate, C. D. Navo, E. Jiménez-Moreno, E. A. Hoyt, F. Corzana, I. Robina, G. Jiménez-Osés,* A. J. Moreno-Vargas,* G. J. L. Bernardes* “Stable Pyrrole-Linked Bioconjugates through Tetrazine-Triggered Azanorborene Fragmentation”, *Angew. Chem. Int. Ed.* **2020**, 59, 6196-6200 (IF: 15.336, D1/chemistry multidisciplinary, 16/178, JCR).
4. M. Martínez-Bailén, A. T. Carmona,* F. Cardona, C. Matassini, A. Goti, M. Kubo, A. Kato, I. Robina, A. J. Moreno-Vargas,* “Synthesis of Multimeric Pyrrolidine Iminosugar Inhibitors of Human β -Glucocerebrosidase and α -Galactosidase A: First Example of a Multivalent Enzyme Activity Enhancer for Fabry Disease”, *Eur. J. Med. Chem.*, **2020**, 192, 112173. (IF: 5.572, D1/medicinal chemistry, 5/61, JCR 2019).
5. E. Gil de Montes, E. Jiménez-Moreno, B. L. Oliveira, C. D. Navo, P. M. S. D. Cal, G. Jiménez-Osés, I. Robina, A. J. Moreno-Vargas,* G. J. L. Bernardes,* “Azabicyclic vinyl sulfones for residue-specific dual protein labelling”, *Chem. Sci.*, **2019**, 10, 4515–4522 (IF: 9.346, Q1/chemistry multidisciplinary, 21/177, JCR).
6. M. Martínez-Bailén, A. T. Carmona,* A. C. Patterson-Orazem, R. L. Lieberman, D. Ide, M. Kubo, A. Kato, I. Robina, A. J. Moreno-Vargas,* “Exploring substituent diversity on pyrrolidine-aryltriazole iminosugars: Structural basis of β -glucocerebrosidase inhibition”, *Bioorg. Chem.*, **2019**, 86, 652-664 (IF: 4.831, Q1/organic chemistry, 8/57, JCR).
7. M. Martínez-Bailén, E. Jiménez-Ortega, A. T. Carmona, I. Robina, J. Sanz-Aparicio,* D. Talens-Perales, J. Polaina, C. Matassini, F. Cardona, A. J. Moreno-Vargas,* “Structural basis of the inhibition of GH1 β -glucosidases by multivalent pyrrolidine iminosugars”, *Bioorg. Chem.*, **2019**, 86, 652-664 (IF: 4.831, Q1/organic chemistry, 8/57, JCR).
8. A. T. Carmona, S. Carrión-Jiménez, V. Pingitore, E. Moreno-Clavijo, I. Robina, A. J. Moreno-Vargas,* “Harnessing Pyrrolidine Iminosugars into Dimeric Structures for the Rapid Discovery of Divalent Glycosidase Inhibitors”, *Eur. J. Med. Chem.*, **2018**, 151, 765-776 (IF: 4.833, D1/medicinal chemistry, 5/61, JCR).



9. P. Elías-Rodríguez, V. Pingitore, A. T. Carmona, A. J. Moreno-Vargas,* D. Ide, S. Miyawaki, A. Kato, E. Álvarez, I. Robina,* "Discovery of a Potent α -Galactosidase Inhibitor by in Situ Analysis of a Library of Pyrrolizidine-(Thio)urea Hybrid Molecules Generated via Click Chemistry", *J. Org. Chem.*, **2018**, 83, 8863-8873 (IF: 4.745, Q1/organic chemistry, 7/57, JCR).

10. M. Martínez-Bailén, A. T. Carmona, E. Moreno-Clavijo, I. Robina,* D. Ide, A. Kato, A. J. Moreno-Vargas,* "Tuning of β -glucosidase and α -galactosidase inhibition by generation and in situ screening of a library of pyrrolizidine-triazole hybrid molecules", *Eur. J. Med. Chem.*, **2017**, 138, 532-542 (IF: 4.816, D1/medicinal chemistry, 4/59, JCR).

C.2. Research projects (last 10 years)

1. Title: Integrating chemical and biological approaches to target NAD production and signaling in cancer.

Type of participation: Supervisor of Ph D student (MSCA-ITN-ETN fellowship).

Funding body: "The framework programme for research and innovation HORIZON 2020"

Duration: May 2019-April 2023. Funding: 250.904 EUR

ETN supervisors: A. J. Moreno Vargas, A. T. Carmona and I. Robina (Organic Chemistry Dept., Univ. Seville). Project coordinator: Prof. Dr. Alession Nencioni (Univ. Genoa, Italy)

2. Title: Chemical systems for the selective vectorization and release of new cytotoxic enzymatic inhibitors (Ref. PID2020-116460RB-I00).

Type of participation: Researcher IP

Funding body: Ministerio de Ciencia e Innovación

Main researcher: Antonio J. Moreno Vargas and Oscar López López (Organic Chemistry Department, Univ. Seville)

Duration: Septiembre 2021-Agosto 2024 Funding: 84.700 EUR

3. Title: (Hetero)norbornadienic systems as linkers in antibody-drug conjugates (ADCs) (Ref. P20_00532).

Type of participation: Researcher IP

Funding body: Junta de Andalucía-Plan Andaluz de Investigación, Desarrollo e Innovación (PAIDI 2020)

Main researcher: Antonio J. Moreno Vargas (Organic Chemistry Department, Univ. Seville)

Duration: Octubre 2021-Junio 2023 Funding: 90.000 EUR

4. Title: Design and synthesis of molecules with biological interest through the design of synthetic methodologies (Ref. CTQ2016-77270-R).

Type of participation: Researcher IP

Funding body: Ministerio de Economía y Competitividad

Main researcher: Inmaculada Robina Ramírez and Antonio J. Moreno Vargas (Organic Chemistry Department, Univ. Seville)

Duration: January 2017-December 2020 Funding: 68.970 EUR

5. Title: New biodegradable surfactants. Study of their physicochemical and biological properties and the interactions with species of potencial applied interest (Ref. P12-FQM-1105).

Type of participation: Researcher

Funding body: Junta de Andalucía, Consejería de Economía, Innovación y Ciencia, Secretaría General de Universidades, Investigación y Tecnología

Main researcher: M^a Luisa Moyá Morán (Physical Chemistry Department, Univ. Seville)

Duration: January 2014- January 2018 Funding: 176.361 EUR

6. Title: Integrating chemical approaches to treat pancreatic cancer: making new leads for a cure.

Type of participation: Researcher

Funding body: Funding from the European Community's Seventh Framework Programme [FP7-2007-2013] under grant agreement n°HEALTH-F2-2011-256986"

Duration: March 2011-December 2017. Funding: 155.896 EUR

Main researcher: Inmaculada Robina Ramírez (Organic Chemistry Dept., Univ. Seville). Project coordinator: Prof. Dr. George Feldmann



7. Title: New synthetic approaches for the preparation of bioactive molecules (Ref. CTQ2012-31247).

Type of participation: Researcher

Funding body: Ministerio de Economía y Competitividad

Main researcher: Inmaculada Robina Ramírez (Organic Chemistry Dept., Univ. Seville)

Duration: January 2013-December 2015 Funding: 53.240,00 EUR

C.3. Patents

1. A. J. Moreno Vargas, L. Molina, A. T. Carmona, M. Lambelet, O. Spertini, I. Robina, "Tiofucosides containing hydroxylated prolines, synthesis and use", Ref: ES2324137B2; Priority country: Spain; Date: Jan 25th, 2010; Holder entity: USE; Exploitation: no.

2. A. J. Moreno Vargas, L. Molina, A. T. Carmona, M. Lambelet, O. Spertini, I. Robina, "Tiofucósidos conteniendo polihidroxiálquil-furanos, síntesis y uso de los mismos", Ref: ES2325567B2; Priority country: Spain; Date: Jan 25th, 2010; Holder entity: USE. Exploitation: no.

3. M. Turks, J. Mackevica, V. Rjabovs, V. Kumpins, A. J. Moreno Vargas, I. Robina, "Synthesis of bis-triazole-bridge-linked disaccharides as glycosidase inhibitors", Ref: LV 14275; Priority country: Latvia; Date: May 20th, 2011; Holder entity: Riga Technical Univ. Exploitation: no.

4. M. Turks, V. Rjabovs, J. Rjabova, J. Luginina, A. J. Moreno Vargas, E. Moreno Clavijo, "Preparation of derivatives of 3-deoxy-3-(1-(1,2,3-triazolyl)methyl) allose as glucosidase inhibitors", Ref: LV 14445; Priority country: Latvia; Date: March 20th, 2012; Holder entity: Riga Technical Univ. Exploitation: no.

C.4. Supervision of Master Students (last 10 years)

1. "Synthesis of novel anti-tumour NAMPT inhibitors and their potential for antibody drug conjugation through a oxanorbomadiene linker", C. Newburn, University of Seville/University of York, June 2021.

2. "[2.2.1]Azabicyclic systems for the bioconjugation of cytotoxic compounds", M. Salas Cubero, University of Seville, September 2019.

3. "Synthesis of enantiopure (7-hetero)norbornenes and their transformation into anti-cancer agents", E. Gil de Montes Rojas, University of Seville, July 2015.

4. "Dihidroxipyrrolidines for the preparation of multivalent glycosidase inhibitors", M. José García Álvarez, University of Seville, September 2014.

5. "Carbohydrate mimetics in the synthesis of new multivalente enterotoxin ligands", Sebastián Carrión Jiménez, University of Seville, July 2013.

6. "Synthesis of new bifunctional catalysts derived from iminocyclitols", M. Isabel Aguilar Téllez, University of Seville, June 2012.

C.5. Prizes

- Prize Bruker-University of Seville in the modality « Research Project » Edition 2018.

- Prize Bruker-University of Seville in the modality « Research Article » Edition 2019.

C.6. Evaluation tasks

Participation as referee for the following journals: Journal of Organic Chemistry, European Journal of Medicinal Chemistry, European Journal of Organic Chemistry, ChemMedChem, Chemistry of Heterocyclic Compounds.

Participation as referee for the evaluation of research project for the AEI (Agencia Estatal de Investigación)