



Academic Consortium 21

AC21 international forum 2014

AC21 Special Project Report

Overarching title: Efficient syntheses of bioactive natural products and their analogues

Universities involved: Universities of Freiburg, Strasbourg, Nagoya and Stellenbosch (host)

Executive summary:

Where there is [an academic] will, there is a way! In early 2021, AC21 funding was received for a project involving the four Universities of Freiburg, Strasbourg, Nagoya and Stellenbosch, with the original plans spanning the timeframe March 2021 to May 2022. However, lockdown and travel restrictions due to the Covid-19 pandemic meant that organization of these AC21-funded interactions was severely affected. Due to travel restrictions, in 2021 (October) and early 2022 (February), only two well attended online Postgraduate & Postdoctoral events could be organized (~ 50 participants each). Finally in December 2022, members from three of the four AC21-affiliated universities involved were able to meet for a series of three very well-received morning symposia at the Universities of Cape Town, the Western Cape and Stellenbosch (with a combined attendance number of ~150 attendees over the 3 day events). This document provides a report-back in terms of what was achieved through the AC21 funding received, of which ~80% of the budget was spent. A request is tabled to the AC21 to allow the remaining 20% to be utilized for Stellenbosch students and academics in terms of “return” visits to the Universities of Freiburg, Strasbourg and Nagoya.

Signed:

Prof. Willem van Otterlo

Project lead applicant, Stellenbosch University, 21 February 2023

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Acknowledgements:

I would like to thank the following people for their invaluable assistance during the organization of the Ac21 events, including the two online seminars:

- a) Dr Dino Berthold (postdoctoral fellow at Universities of Stellenbosch and Freiburg)
- b) Mr Khaya Gould (PhD student, University of Stellenbosch)
- c) Mr Japie Goldie (University of Stellenbosch, Chief Departmental Officer, for organizational assistance, university accounting and record-keeping)

Event 1: Postgraduate Student and Postdoctoral Fellow AC21 Online Symposium 1: Held on 27 October 2021, 09h00-11h00 (UTC +2) with 4 speakers: Mr Mickael Choury, *University of Strasbourg*, Dr Jun Zheng, *Albert Ludwig University of Freiburg*, Mr Yota Sakakibara, *Nagoya University* & Dr Dino Berthold, *Stellenbosch University/University of Freiburg*, Online Facilitator: Mr Khaya Gould (*Stellenbosch University*). ~80 Online participants during the event signalled excellent interest in the event.

SAVE THE DATE
27 OCT | 9H00-11H00 | UTC +02H00

SU-UF-US-NU AC21 PG
Student-Postdoc Symposium

SPEAKER 1 **Mickael Choury**

University of Strasbourg (Université de Strasbourg)

Synthesis of medium-sized N,S-heterocycles by metal-catalyzed cyclization

SPEAKER 2 **Dr Jun Zheng**

Albert Ludwig University of Freiburg

Transition-Metal and Photoredox Dual Catalyzed Selective Hydroaminoalkylation of Unsaturated Bonds

SPEAKER 3 **Yota Sakakibara**

Nagoya University (Nagoya daigaku)

Photoredox-catalyzed decarboxylative transformation of arylacetic acid

SPEAKER 4 **Dr Dino Berthold**

Stellenbosch University / University of Freiburg

Regioselective Hartwig Borylation of Orthogonally Diprotected 4-Bromonaphthalene-1,8-diols
Followed by Cross Coupling Reactions: A Straightforward Access to Tetrasubstituted Naphthalene
Building Blocks for Naphthylisoquinoline Alkaloid Synthesis



MS Teams link >
sent 25 Oct 2021

Queries > Willem van Otterlo wvo@sun.ac.za
or Khaya Gould 18182496@sun.ac.za



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Event 2: Postgraduate Student and Postdoctoral Fellow AC21 Online Symposium 2: Held on 15 February 2022 – 10h00-12h00 (UTC +2) with 4 speakers: Ms Sarah Momm, *Albert Ludwig University of Freiburg*, Dr Océane Florés, *University of Strasbourg*, Mr Hiroki Shudo, *Nagoya University* & Ms Doaa Ali, *Stellenbosch University/University of Cape Town*, Online Facilitator: Dr Dino Berthold, *Stellenbosch University/University of Freiburg*). ~65 Online participants.

SAVE THE DATE
15 FEB | 10H00-12H00 | RSA TIME

SU-UF-US-NU AC21 PG #2
Student-Postdoc Symposium

SPEAKER 1 Sarah Momm

Albert Ludwig University of Freiburg

Group of Prof. Reinhard Brückner

Synthesis of Benzotropolons by a Sequence of Acylation, Ring-Closing Metathesis and Elimination Induced-Fragmentation

SPEAKER 2 Dr. Océane Florés

University of Strasbourg (Université de Strasbourg)

Group of Prof. Jean Suffert

Cyclocarbopalladation/Stille Cascade: Stereoselective Access to Functionalized Quaternary Carbons

SPEAKER 3 Hiroki Shudo

Nagoya University (Nagoya daigaku)

Group of Assoc. Prof. Yagi (Prof. Itami)

Synthesis, Properties and Reactivity of Polyfluorocycloparaphenylenes

SPEAKER 4 Doaa Ali

Stellenbosch University / University of Cape Town

Group of Kaschula & Hunter

Synthesis and Mechanistic Studies into the Anti-cancer Activity of Garlic-Related Trisulfides



MS Teams link >
sent 11 Feb 2022

Queries > Willem van Otterlo wvo@sun.ac.za
or Khaya Gould 18182496@sun.ac.za



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General feedback about the events was very positive with both students and academic staff enjoying the excellent science presented and the interactions between the participants in term of a Q&A session at the end of each talk. Another advantage was that there were no costs involved in organizing these events.

Event 3 (Main) Part a: AC21 Symposium @ Stellenbosch University: Held on 5 December 2022 – 09h00-14h00 with 5 speakers: **Prof. Mihaela Gulea**, *University of Strasbourg*, Ms Gciniwe Mathenjwa (postgraduate), *University of Cape Town*, Dr Ayodeji Egunlusi (postdoctoral fellow) *University of the Western Cape*, Dr Wade Petersen, *University of Cape Town* & Prof. Denzil Beukes, *University of Western Cape*, Facilitator: **Prof. Willem van Otterlo**, *Stellenbosch University*. ~50 registered participants – see list in appendix. (AC21 delegates & institutions in bold).

Academic Consortium 21 Symposia

**Bioactive natural products and analogues:
synthetic approaches and related topics**

MON 5 DEC

9H00-14H00

STELLENBOSCH UNIVERSITY

de Beers Building, room 2003
RSVP: Prof. Willem van Otterlo
wvo@sun.ac.za by 25 Nov

- 09h00-09h30** Coffee/Tea
- 09h30-10h15** **Prof. Michaela Gulea** (Strasbourg)
Metal-catalyzed synthesis of medium-sized *N,S*-heterocycles
- 10h15-10h35** **Ms Gciniwe Mathenjwa** (UCT)
Rational modification of tetrahydroindazolones as potential extracellular HSP90 inhibitors
- 10h40-11h00** **Dr Ayodeji O. Egunlusi** (UWC)
Norbornane derivatives as potential neuroprotective agents
- 11h00-11h30** Coffee/Tea
- 11h30-12h15** **Dr Wade Petersen** (UCT)
Photochemical synthesis of nitrogen containing heterocycles
- 12h15-13h00** **Prof. Denzil Beukes** (UWC)
Biodiscovery in South Africa: highlights, challenges and future prospects
- 13h00-14h00** Light lunch

In collaboration with Universities of:

- Stellenbosch (RSA)
- Western Cape (RSA)
- Cape Town (RSA)
- Freiburg (Germany)
- Strasbourg (France)



Event 3 (Main) Part b: AC21 Symposium @ University of the Western Cape: Held on 6 December 2022 – 09h00-14h00 with 5 speakers: **Prof. Jean Suffert**, *University of Strasbourg*, Ms Jonathan da Luz (postgraduate), *University of Cape Town*, Mr Assly Mafaune (postgraduate) **Stellenbosch University**, Dr Clint Veale, *University of Cape Town* & Dr Catharine Kaschula, **Stellenbosch University**, Facilitator: Prof. Denzil Beukes, *University of Western Cape*. ~50 registered participants – see list in appendix. (AC21 delegates & institutions in bold).

Academic Consortium 21 Symposia

**Bioactive natural products and analogues:
synthetic approaches and related topics**

TUES 6 DEC

9H00-14H00

UNIVERSITY OF THE WESTERN CAPE

Venue: Life Sciences Auditorium
RSVP: Prof. Denzil Beukes
dbeukes@uwc.ac.za **by 25 Nov**

- 09h00-09h30** Coffee/Tea
- 09h30-10h15** **Prof. Jean Suffert** (Strasbourg)
The magic of the 4-exo-dig cyclocarbopalladation: how to produce complexity
- 10h15-10h35** **Mr Jonathan Da Luz** (UCT)
Photoredox catalysed C(sp³)-H functionalisation strategy for the synthesis of indolyl isoxazolines
- 10h40-11h00** **Mr Assly Mafaune** (SU)
Triazole-functionalised and polymer-supported phenylboronic acid catalysts for direct amidation of carboxylic acids and amines at Room temperature
- 11h00-11h30** Coffee/Tea
- 11h30-12h15** **Dr Clint Veale** (UCT)
Native mass spectrometry as a tool for mapping and drugging PPIs
- 12h15-13h00** **Dr Catherine Kaschula** (SU)
Natural dietary compounds and cancer chemoprevention: synthesis and mechanistic evaluation
- 13h00-14h00** Light lunch

In collaboration with Universities of:

- Stellenbosch** (RSA)
- Western Cape** (RSA)
- Cape Town** (RSA)
- Freiburg** (Germany)
- Strasbourg** (France)



Event 3 (Main) Part c: AC21 Symposium @ University of Cape Town: Held on 7 December 2022 – 09h00-14h00 with 5 speakers: **Prof. Reinhard Brueckner, University of Freiburg**, **Dr Dino Berthold** (postdoctoral fellow), **Stellenbosch University & University of Freiburg**, Dr Yusuf Adeyemi Adegoke (postdoctoral fellow) *University of Western Cape*, Dr Patrice Marchand, **University of Strasbourg & Prof. Gareth Arnott, Stellenbosch University**, Facilitators: Prof. Clint Veale & Dr Wade Petersen, *University of Cape Town*. ~50 registered participants – see list in appendix. (AC21 delegates & institutions in bold).

Academic Consortium 21 Symposia

Bioactive natural products and analogues: synthetic approaches and related topics

WED 7 DEC

9H00-14H00

UNIVERSITY OF CAPE TOWN

John Day LT1

RSVP: Prof. Clint Veale
clinton.veale@uct.ac.za by 25 Nov

09h00-09h30

Coffee/Tea

09h30-10h15

Prof Reinhard Brueckner (Freiburg)

New methods for the preparation of benzotropolones and pulvinones - total synthesis of the benzotropolone/bis(pulvinone) natural product aurantricholone

10h15-10h35

Dr Dino Berthold (SU/Freiburg)

Total syntheses of 5,8'-naphthylisoquinoline alkaloids employing Hartwig's borylation/methylation strategy and a novel Nickel/N,N-Ligand-catalyzed atroposelective cross-coupling

10h40-11h00

Dr Yusuf Adeyemi Adegoke (UWC)

Beta-aminocyclopenta[B]indol-3-one derivatives as potential antiproliferative agents

11h00-11h30

Coffee/Tea

11h30-12h15

Dr Patrice Marchand (Strasbourg)

Cyrcé - a cyclotron in Alsace

12h15-13h00

Prof. Gareth Arnott (SU)

Developing the inherently chiral calix[4]arene scaffold

13h00-14h00

Light lunch

In collaboration with Universities of:

Stellenbosch (RSA)
Western Cape (RSA)
Cape Town (RSA)
Freiburg (Germany)
Strasbourg (France)



Academic Consortium 21

Event 3 (Main) OVERVIEW PROGRAMME :AC21 Symposium @ Universities of Cape Town, Western Cape and Stellenbosch

The following program was designed to have a balance of constructive scientific interactions and an informative social program over the days of 4-9 December 2022:

Date	Weekday	Morning Symposia	Afternoon	Sleeping arrangements	Meals
		09:00-14:00 (includes light lunch)	14:00-18:00	Evening	
4th Dec	Sun	All guests arrive	Visit Stellenbosch on own steam	Stellenbosch: Oudewerf Hotel	Dinner: Ginos and Gelatos (Stellenbosch)
5th Dec	Mon	Host: SU	Wine farms (Stellenbosch & Franschhoek)	Stellenbosch: Oudewerf Hotel	Helena's Restaurant (Coopmanshuys, Stellenbosch)
6th Dec	Tue	Host: UWC	Kirstenbosch National Botanical Garden	Cape Town: Vineyard Hotel	Vinyard hotel Restaurant
7th Dec	Wed	Host: UCT	Table Mountain National Park (by cable car) & Simon's Town Boulders Beach Pinguin Colony	Aha Simon's Town Quayside Hotel	LuckyFish Restaurant, Kalkbay Harbour
8th Dec	Thurs	Day excursion: Cape Point National Park	Day excursion: Ostrich farm, Chapman's Peak Drive, Cape Point Vineyard	Aha Simon's Town Quayside Hotel	Lunch: Cape Point Vineyard
9th Dec	Fri	Own plans	Own plans	Fly out or travel	



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AC21 international forum 2014

AC21 Special Project Supplementary information

Overarching title: Efficient syntheses of bioactive natural products and their analogues

Universities involved: Universities of Freiburg, Strasbourg, Nagoya and Stellenbosch (host)

Supplementary information – photos & financial statements etc.

Signed:

Prof. Willem van Otterlo

Project lead applicant, Stellenbosch University, 20 February 2023

Photos from Event 3 (Main) Part a: AC21 Symposium @ Stellenbosch University held on 5 December 2022 – 09h00-14h00.



Picture 1: Many of the delegates attending the AC21 Symposium @ Stellenbosch University



Picture 2: Some of the delegates attending the AC21 Symposium @ Stellenbosch University



Picture 3: Speakers at the AC21 Symposium @ Stellenbosch University: From left to right: Dr Ayodeji Egunlusi (postdoctoral fellow) *University of the Western Cape*, Ms Gciniwe Mathenjwa (postgraduate), *University of Cape Town*, Dr Wade Petersen, *University of Cape Town*, Prof. Mihaela Gulea, *University of Strasbourg*, Prof. Denzil Beukes, *University of Western Cape* and Facilitator: Prof. Willem van Otterlo, *Stellenbosch University*.

b) Event 3 (Main) Part b: AC21 Symposium @ University of the Western Cape - Held on 6 December 2022 – 09h00-14h00



Picture 4: Many of the delegates attending the AC21 Symposium @ University of the Western Cape



Picture 5: Speakers at the AC21 Symposium @ University of the Western Cape: From left to right: Prof. Clint Veale, *University of Cape Town*, Prof. Jean Suffert, *University of Strasbourg*, Prof. Willem van Otterlo, *Stellenbosch University* (AC21 Symposium organizer), Dr Catharine Kaschula, *Stellenbosch University*, Ms Jonathan da Luz (postgraduate), *University of Cape Town*, Mr Assly Mafaune (postgraduate student) *Stellenbosch University*, (missing: Facilitator: Prof. Denzil Beukes, *University of Western Cape*).

Event 3 (Main) Part c: AC21 Symposium @ University of Cape Town: Held on 7 December 2022 – 09h00-14h00



Picture 6: Some of the delegates attending the AC21 Symposium @ University of Cape Town



Picture 7 with speakers attending the AC21 Symposium @ University of Cape Town: From left to right: Prof. Willem van Otterlo, *Stellenbosch University* (AC21 Symposium organizer), Prof. Gareth Arnott, *Stellenbosch University*, Dr Dino Berthold (postdoctoral fellow), *Stellenbosch University & University of Freiburg*, Dr Patrice Marchand, *University of Strasbourg*, Prof. Reinhard Brueckner, *University of Freiburg*, Dr Yusuf Adeyemi Adegoke (postdoctoral fellow) *University of Western Cape*, (Missing: Facilitators: Prof. Clint Veale & Dr Wade Petersen, *University of Cape Town*).

Pictures 8, 9 & 10: Some photographs showing the social interactions between invite speakers from the 3 universities attending the AC21 event



Picture 9: Delegates at Cape Point (on a walk)



Picture 10: Early evening dinner at Kalkbay



Picture 11: Breakfast at the Vineyard Hotel