CH402-15 Synthetic Chemistry I (Organic)

22/23

Department Chemistry Level Undergraduate Level 4 Module leader Martin Wills Credit value 15 Module duration 10 weeks Assessment 20% coursework, 80% exam Study location University of Warwick main campus, Coventry

Description

Introductory description

N/A

Module web page

Module aims

This module is designed to develop student skills so that they will become aware of current problems and trends at the forefront of Organic Chemistry and consequently be able to critically evaluate current research in this area. The module is also designed so that students will be able to be original in application of their knowledge to the solutions to novel, research led problems

This will be achieved by teaching methods ranging from set lectures to student centred learning, including directed reading, workshops, and set exercises. Students will be expected to undertake a significant amount of student centred learning around the subject which will be directed appropriately during the 23 academic contact hours with the whole class. Academics will be available to respond to questions via email and students may book a time to discuss any queries.

The material will focus on the design and execution of the synthesis of complex organic

compounds, including natural products and pharmaceutically important molecules. There will be a focus on the strategy, the use of 'disconnections' (working backwards from the target to identify starting materials) and the use of protecting groups for sensitive functional groups where appropriate. Stereocontrol – both relative and absolute, and methods for asymmetric induction – will be considered throughout the module.

Students will be expected to demonstrate, in an oral presentation, their ability to critically evaluate recent published material. Students who take this module will obtain a sound overview of current national and international research areas in synthetic organic chemistry and will gain excellent training for careers in either academia or industry.

Outline syllabus

This is an indicative module outline only to give an indication of the sort of topics that may be covered. Actual sessions held may differ.

This module will be concerned with the design and execution of synthetic approaches to complex target organic molecules, with reference to absolute and relative stereocontrol, disconnections and use of protecting groups when appropriate. The selection of examples has been made with a view to including many diverse examples of target structures, several of which are pharmaceutically significant, and a broad range of synthetic chemistry reactions (which will have been taught in previous years).

Syllabus

A compulsory set of lectures and workshops will be used to disseminate the material in the following areas:

1. Introduction to strategy, disconnections, retrosynthesis, protecting groups and extreme targets

which may include palytoxin, Vitamin B12, Brevitoxin, azadirachtin, vancomycin.

2. Early classics of total synthesis in organic chemistry, which may include colchicine, morphine,

strychnine, thienamycin and penicillin.

- 3. Lessons learnt from the synthesis of small important organic molecules which may include hirsutene, periplanone B and epothilones.
- 4. Molecules with a high degree of functionality, which may include avermectin, erythromycin, Amphotericin B and Deoxyerthyrionlide B.
- 5. Construction of highly complex structures which may include ginkolide B, calicheamycin, taxol, ingenol.
- 6. The use of cycloadditions in complex molecule synthesis, which may include FR182877, estrone, platensimycin, progesterone, daphniphylline alkaloids, incargranine A.
- 7. Enantioselective strategies which may include biotin a-arylpropionic acids, menthol, zaragozic

acid, statins, Jorunnamycin A.

8. Peptide synthesis with emphasis on peptide bond formation, coupling reagents, orthogonal protecting groups and solid phase synthesis. Relevant examples may include some natural peptides (e.g. oxytocin, vasopressin and angiotensin; vancomycin and cyclosporins) and peptide-

based drugs (e.g. angiotensin and protease inhibitors, synthetic peptide hormones, enfuvirtide

and eptifibatide).

- 9. Carbohydrate synthesis, with emphasis on glycosidic bond formation (including stereoelectronic effects that influence the selectivity at the anomeric position) and the use of protecting groups.
- 10. Strategies for the synthesis of oligosaccharides. Relevant examples may include acarbose, heparins and carbohydrate-based vaccines.

These classes will involve introductory lecture/seminars, and problem classes (workshops). Academics will be available to respond to questions via email and students may book a time to discuss any queries.

Learning outcomes

By the end of the module, students should be able to:

- Be aware of subject knowledge to an appropriate level.
- demonstrate a contextual understanding of contemporary synthetic methods.
- have gained an ability to interpret and evaluate contemporary research work.

Indicative reading list

Because this is a research module the recommended texts are likely to be recently published research articles and thus may change over the years.

Additional texts that are recommended for reading in association with the notes. Books

Classics in Total Synthesis; K. C. Nicolaou and E. J. Sorensen, Wiley-VCH 1996. Classics in Total Synthesis II, K. C. Nicolaou and E. J. Sorensen, VCH 2003.

Molecules that changed the world, K. C. Nicolaou and T. Montagnon, Wiley-VCH, 2008.

S. Warren and P. Wyatt, Organic Synthesis: The Disconnection Approach, Wiley, 2nd Edn 2008 and

the associated workbook, 2nd Edition 2009.

'General Aspects of the Glycosidic Bond Formation', A. V. Demchenko, from 'Handbook of Chemical Glycosylation: Advances in Stereoselectivity and Therapeutic Relevance', Wiley, 2008.

The Medicinal Chemist's Toolbox: An Analysis of Reactions Used in the Pursuit of Drug Candidates, Stephen D. Roughley and Allan M. Jordan, J. Med. Chem. 2011, 54, 3451–3479.

In addition, other annual reviews of progress frequently appear in review journals. For more detailed reviews of particular areas, students can search the web of knowledge or Scifinder Scholar for comprehensive literature surveys.

Research element

e.g. essay, dissertation, individual or group research, research skills activity, etc.

International

e.g. includes mobility opportunities, explores concepts and ideas in a global context, fosters a global mindset and awareness of diversity, etc.

Subject specific skills

Problem solving Independence and initiative Information literacy and research skills

Transferable skills

Problem solving Independence and initiative Information literacy and research skills

Study

Study time

Type Lectures Seminars Practical classes Other activity Private study Assessment Total

Required

12 sessions of 1 hour (8%) 5 sessions of 1 hour (3%) 3 sessions of 2 hours (4%) 31 hours (21%) 68 hours (45%) 28 hours (19%) 150 hours

Private study description

N/A

Other activity description

Preparation for presentation 28 hrs. Attending all presentations, 3h (depends on class size).

Note that:

'Seminar' includes 3 x 1h workshops by M Wills and 1 x 1h revision sessions by R Kitson and M Wills.

'Laboratory Class' refers to 3 x 2h workshops by R Kitson.

Costs

No further costs have been identified for this module.

Assessment

You do not need to pass all assessment components to pass the module.

Students can register for this module without taking any assessment.

Assessment group D4

	Weighting	Study time
Presentation	20%	28 hours
10 minutes		
In-person Examination	80%	

Feedback on assessment

Feedback comments and grade on assessed work (oral presentation) provided on copy of marksheet. Cohort level examination feedback provided via Moodle.

Past exam papers for CH402

Availability

Pre-requisites

To take this module, you must have passed:

- Any of
 - <u>CH3E9-15 Advanced Organic Chemistry and Laboratory</u>
 - CH3F3-30 Advanced Chemistry (Organic, Inorganic and Physical)

Courses

This module is Optional for:

- Year 1 of TCHA-F1PB MSc in Chemistry with Scientific Writing
- TCHA-F1PE Postgraduate Taught Scientific Research and Communication

- Year 1 of F1PE Scientific Research and Communication
- Year 2 of F1PE Scientific Research and Communication
- UCHA-F110 Undergraduate Master of Chemistry (with Industrial Placement)
 - Year 4 of F110 MChem Chemistry (with Industrial Placement)
 - Year 4 of F112 MChem Chemistry with Medicinal Chemistry with Industrial Placement
- Year 5 of UCHA-F107 Undergraduate Master of Chemistry (with Intercalated Year)
- UCHA-F109 Undergraduate Master of Chemistry (with International Placement)
 - Year 4 of F109 MChem Chemistry (with International Placement)
 - Year 4 of F111 MChem Chemistry with Medicinal Chemistry (with International Placement)
- UCHA-4M Undergraduate Master of Chemistry Variants
 - Year 4 of F105 Chemistry
 - Year 4 of F110 MChem Chemistry (with Industrial Placement)
 - Year 4 of F109 MChem Chemistry (with International Placement)
 - Year 4 of F126 MChem Chemistry with Med Chem (with Prof Exp)
 - Year 4 of F125 MChem Chemistry with Medicinal Chemistry
 - Year 4 of F106 MChem Chemistry with Professional Experience
- Year 5 of UCHA-F127 Undergraduate Master of Chemistry with Medicinal Chemistry(with Intercalated Year)