FROM INNOVATION TO THE MARKET: ADDING VALUE TO THE COMPOUNDS FROM ACADEMIC RESEARCH AND TEACHING

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Introduction

Research and teaching activities at universities produces a large number of novel chemical compounds that are unavailable on the global market. However, on completion of research projects, many of these compounds have no further use and end up being stored before being sent for disposal. **To maximise the value of these chemicals, a unique initiative was established in the School of Chemistry of the University of Nottingham called Nottingham Research Chemicals (NRC).** This pioneering project allows the introduction of chemicals from research and teaching to the market *via* collaboration with our industrial partner – Key Organics Ltd. Since mid-2015, the NRC project has introduced 169 (as of May 2021) various compounds that resulted from teaching (summer studentships) and research (PhD and post-doctoral) and continues to grow its portfolio. Further details of the latest compounds identified and commercialised by NRC and Key Organics are described below.

At the University

- Internal awareness of the project
- Selection of compounds from research & teaching activities
- Shipping of the compounds to Key Organics for sale
- External promotion

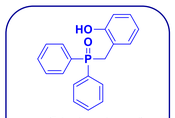
At Key Organics Ltd.

- Addition of the compounds to the BIONET shop
- Marketing & promotion
- Sales
- Market research

Recent Compounds in the Market

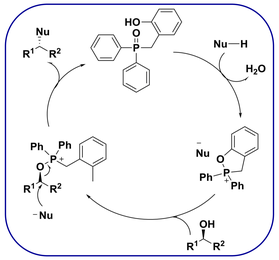
Mitsunobu Organocatalyst[1]

Professor Ross Denton & co-workers have developed a phosphine oxide based organocatalyst that greatly improves the efficiency and sustainability of the Mitsunobu Reaction (*Science*, 2019, 365, 910–914). The catalyst promotes nucleophilic substitution reactions of primary and secondary alcohols in a redox-neutral catalysis manifold that produces water as the sole by-product.

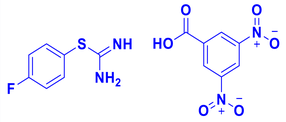


(2-hydroxybenzyl) diphenylphosphine oxide

NS-00168



Isothiouronium salts[2]

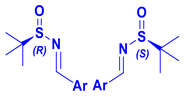


S-(4-Fluorophenyl)isothiouronium 3,5-dinitrobenzoate

NS-00140

Dr Liam Ball and co-workers have demonstrated the efficient synthesis of a range of isothiouronium salts via nickel-catalysed C-S cross-coupling of (hetero)aryl iodides and thiourea (*Chem. Eur. J.*, 2019, 25, 8903 – 8910). The resulting air-stable, odorless solids serve as user-friendly sources of thiophenols in synthesis. NRC has used this methodology to produce a diverse collection of these isothiouronium salts featuring synthetically useful functionality.

Chiral sulfinimines[5]

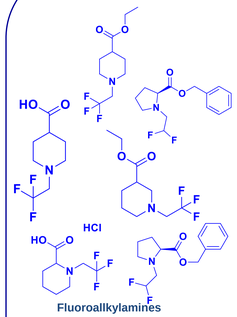


Chiral sulfinimines

NS-00021-39

Based on research from **Professor Rob Stockman** (*Eur. J. Org. Chem.*, 2016, 21, 3500), NRC has generated a selection of chiral sulfinimines that can be used as ligands for asymmetric catalysis as well as precursors for preparation of chiral amines.

Fluorinated Building Blocks[3]

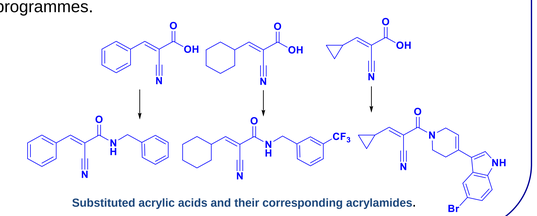


Fluoroallkylamines

Tuning the basicity of amines is important in many medicinal chemistry programmes. The introduction of fluoroalkylamines is one strategy that has been used to achieve this and a recent publication by **Professor Ross Denton** & co-workers have demonstrated a practical and catalyst- free trifluoroethylation reaction (*Nature Comm.*, 2017, 8, 15913). NRC has applied this novel methodology to create a range of medicinally relevant tertiary β-fluoroalkylamine building blocks that are ideal for further functionalisation.

Covalent inhibitor warheads

In medicinal chemistry programmes, there is an ongoing interest in the development of inhibitors that irreversibly bind to the target enzyme. The use of an electrophilic warhead is one way of achieving this type of inhibition and NRC has worked with **Professor Chris Hayes** to design and produce a selection of cyano acrylic acids/amides that can be incorporated into drug discovery programmes.

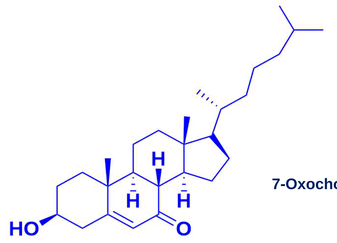


Substituted acrylic acids and their corresponding acrylamides.

Our most latest entry to the market:

Electrochemical allylic oxidation (under publication)

We have also recently introduced some very interesting compounds like 7-Oxocholesterol using catalyst mediated allylic oxidation from the research of Prof. Pete Licence's group. The method can generate pharmaceutically relevant functionalised cholesterol derivative using TEMPO.



7-Oxocholesterol

Acknowledgements

We would like to thank the University of Nottingham & EPSRC Impact Acceleration Account for financial support.

References

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4. J. Li, S. Jiang, G. Procopiou, R. A. Stockman, G. Yang, Eur. J. Org. Chem., 2016, 21, 3500