International Workshop on Chemistry and Chemical Biology of Carbohydrates, Nucleic Acids and Antibiotics

International Workshop on Chemistry and Chemical Biology of Carbohydrates, Nucleic Acids and Antibiotics

Dear Colleagues,

This conference has been organized to give a real opportunity for discussing the latest results in the field of chemistry and chemical biology of carbohydrates, nucleic acids and antibiotics.

Our meeting like any other conference also aims at making aquaintances, exchanging ideas, and visiting new places. We sincerely hope that the blend of foreign scientists, the Hungarian participants, and the atmosphere of the Mátra with the aid of our generous sponsors will provide all of you with an enjoyable and memorable stay in Hungary.

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INVITED SPEAKERS AND PARTICIPANTS

Prof. em. Dr. Beat Ernst, Department of Pharmaceutical Sciences, Universitat Basel, Switzerland

Prof. M. Carmen Galan, School of Chemistry, University of Bristol, United Kingdom

Dr. Brijesh Rathi, Department of Chemistry, Hansraj College, University of Delhi, India

Dr. Poonam, Department of Chemistry, Miranda House, University of Delhi, India

Dr. Lenka Malinovska, Masaryk University, Brno**,** Czech Republic

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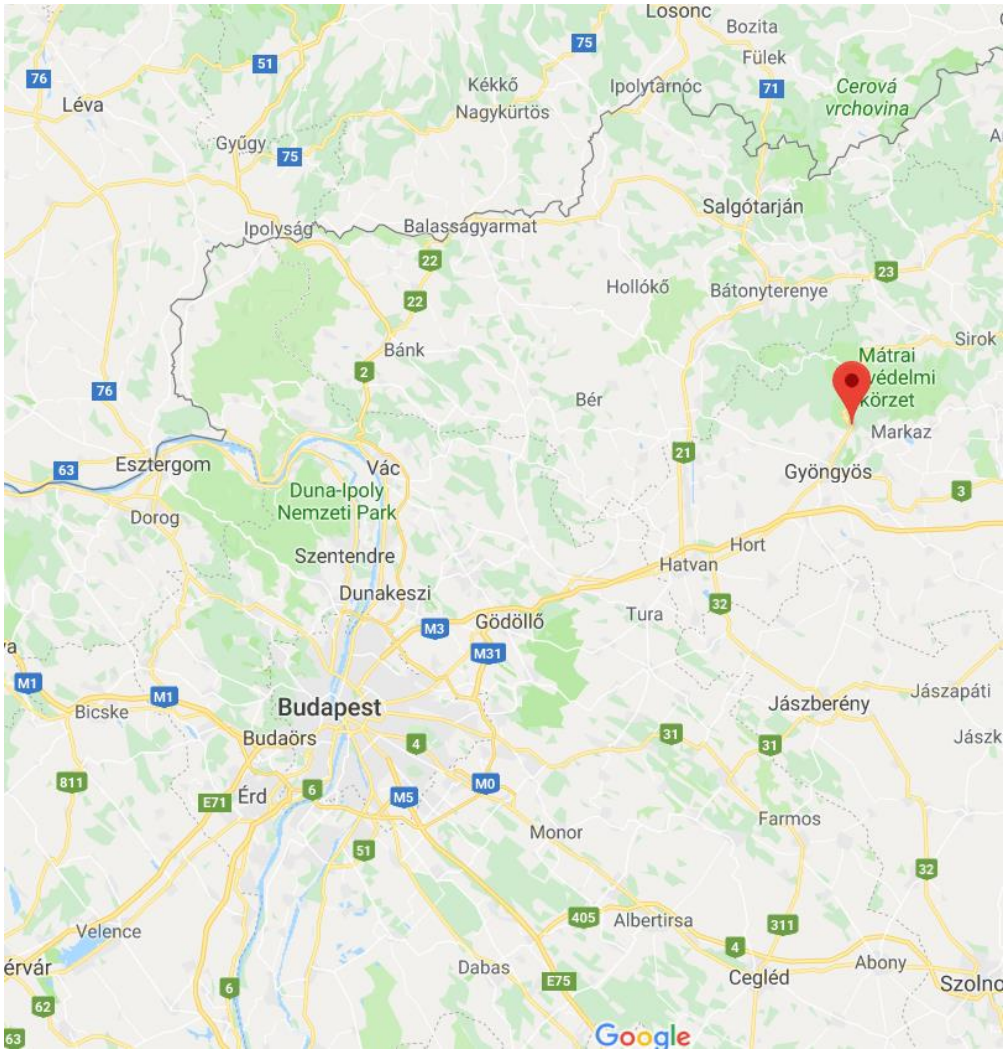
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PROGRAM

WEDNESDAY, MAY 22, 2019
LECTURE HALL

14:00 LUNCH

15:00-15:15 OPENING CEREMONY

WELCOME ADDRESSES

LÁSZLÓ SOMSÁK, CONFERENCE CHAIR

SESSION 1. CHAIRPERSON: **ANIKÓ BORBÁS**

15:15-16:00

BRIJESH RATHI:

MULTISTAGE ANTIMALARIALS TARGETING MALARIAL PROTEASES

Laboratory for Translational Chemistry and Drug Discovery, Department of Chemistry, Hansraj College University Enclave, University of Delhi

16:00-16:30

POONAM:

PHYSICO-CHEMICAL STUDIES OF BIOACTIVE SCAFFOLDS
Department of Chemistry, Miranda House University Enclave, University of Delhi

16:30-17:00 BREAK

SESSION 2. CHAIRPERSON: **VERONIKA NAGY**

17:00-17:20

FRUZSINA DEMETER[1], ANIKÓ BORBÁS[1], MIHÁLY HERCZEG[1,2]:

AN EFFICIENT SYNTHESIS OF THE PENTASACCHARIDE REPEATING UNIT OF *PSEUDOMONAS AERUGINOSA* PSL EXOPOLYSACCHARIDE FOR LECTIN-BINDING STUDIES

[1]*Department of Pharmaceutical Chemistry, University of Debrecen*

[2]Research Group for Oligosaccharide Chemistry of Hungarian Academy of Sciences

17:20-17:40

NÓRA DEBRECZENI, MIKLÓS BEGE, LIZA BUZÁS, PÁL HERCZEGH, ANIKÓ BORBÁS:

SYNTHESIS OF NEW TYPES OF NUCLEOSIDE DIMER COMPOUNDS

Department of Pharmaceutical Chemistry, University of Debrecen

17:40-18:00

LEVENTE HOMOLYA[1], RACHEL MATHOMES[2], ÁDÁM SIPOS[3], TIBOR DOCSA[3], LÁSZLÓ JUHÁSZ[1], JOSEPH M. HAYES[2], LÁSZLÓ SOMSÁK[1]:

COMPUTER AIDED DESIGN AND SYNTHESIS OF NEW GLYCOGEN PHOSPHORYLASE INHIBITORS

[1]Department of Organic Chemistry, University of Debrecen, Hungary
[2]School of Pharmacy & Biomedical Sciences, University of Central Lancashire, Preston, PR1 2HE, United Kingdom
[3]Department of Medical Chemistry, Faculty of Medicine, University of Debrecen, Hungary

18:00-18:20

JÁNOS JÓZSEF[1], NÓRA DEBRECZENI[2], DÁNIEL ESZENYI[2], LÁSZLÓ JUHÁSZ[1], ANIKÓ BORBÁS[2], LÁSZLÓ SOMSÁK[1]:

EXO-MANNAL DERIVATIVES AS SUBSTRATES OF THIOL-ENE REACTIONS

[a]Department of Organic Chemistry, University of Debrecen, Hungary
[b]Department of Pharmaceutical Chemistry, University of Debrecen, Hungary

19:00 DINNER (RESTAURANT)

THURSDAY, MAY 23, 2019
LECTURE HALL

SESSION 3. CHAIRPERSON: **LAJOS KOVÁCS**

9:00-9:20

NÁNDOR KÁNYA, SÁNDOR KUN, LÁSZLÓ SOMSÁK:

A STUDY FOR THE APPLICATION OF THE MITSUNOBU REACTION ON A HEPTULOPYRANOSONIC ESTER

Department of Organic Chemistry, University of Debrecen, Hungary

9:20-9:40

ISTVÁN KACSIR[1], ÉVA BOKOR[1], PÉTER BUGLYÓ[2], ATTILA BÉNYEI[3], TIBOR DOCSA[4], ÁDÁM SIPOS[4], LÁSZLÓ SOMSÁK[1]:

PREPARATION OF NEW *C*- AND *N*-GLYCOPYRANOSYL AZOLES AND THEIR USE AS BIDENTATE LIGANDS FOR THE FORMATION OF HALF-SANDWICH RU(II) COMPLEXES

[1]Department of Organic Chemistry, University of Debrecen, 4032, Debrecen, Hungary
[2]Department of Inorganic and Analytical Chemistry, University of Debrecen, Hungary
[3]Department of Physical Chemistry, University of Debrecen, 4032, Hungary
[4]Department of Medicinal Chemistry, Faculty of Medicine, University of Debrecen, Hungary

9:40-10:00

JUDIT HOTZI, VIKTOR KELEMEN, MIHÁLY HERCZEG, ANIKÓ BORBÁS:

PHOTOINDUCED ADDITION OF THIOLS TO A 2,3-UNSATURATED *N*-GLYCOSIDE

Department of Pharmaceutical Chemistry, University of Debrecen

10:00-10:20

GYÖNGYI GYÉMÁNT, CSABA HÁMORI, LILI KANDRA: α-AMYLASES: FROM PORCINE PANCREAS TO COLORADO POTATO BEETLE

Department of Inorganic and Analytical Chemistry, University of Debrecen

10:20-10:40

ZSOLT SZŰCS[1], RÉKA PETŐ[1], ESZTER OSTORHÁZI[2], LAJOS NAGY[3], ANIKÓ BORBÁS[1], PÁL HERCZEGH[1]:

SYNTHESIS OF NEW GLYCOPEPTIDE DERIVATIVES: COVALENTLY LINKED TEICOPLANIN DIMERS

[1]Department of Pharmaceutical Chemistry, University of Debrecen, Hungary
[2]Department of Medical Microbiology, Semmelweis University, Budapest, Hungary
[3]Department of Applied Chemistry, University of Debrecen, Hungary

10:40-11:00 BREAK

SESSION 4. CHAIRPERSON: **LÁSZLÓ SOMSÁK**

11:00-12:00

BEAT ERNST: CARBOHYDRATE-LECTIN INTERACTIONS – WHAT MAKES THEM UNIQUE?

Department of Pharmaceutical Sciences, Pharmacenter, University of Basel

12:30-13:30 LUNCH

SESSION 5. CHAIRPERSON: **MARIETTA TÓTH**

13:30-14:30

M. CARMEN GALAN: CATALYTIC STEREOSELECTIVE SYNTHESIS OF GLYCOSIDES. OLD CATALYSTS, NEW TRICKS.

School of Chemistry, Cantock's Close, University of Bristol

14:45-15:00 CONFERENCE PHOTO

15:00-15:15 BREAK

SESSION 6. CHAIRPERSON: **LILI KANDRA**

15:15-15:35

ESZTER KALYDI[1,2], GÁBOR BENKOVICS[1]: CYCLODEXTIN-BASED GLUCOCLUSTERS FOR BRAIN-TARGETED DRUG DELIVERY

[1]*Cyclolab Ltd, Budapest*
[2]*Lóránt Eötvös University, Budapest*

15:35-15:55

LAURA LIGETHY[1,2], ZOLTÁN FÜLÖP[1], ÉVA FENYVESI[1]: EFFECT OF LIMONENE-CYCLODEXTRIN COMPLEXES ON BACTERIAL COMMUNICATION

[1]*Cyclolab Ltd, Budapest*
[2] *BUDAPEST UNIVERSITY OF TECHNOLOGY AND ECONOMICS*

15:55-16:15

MARIETTA TÓTH, TÍMEA KASZÁS, BERNADETT BALÁZS, BALÁZS ÁRON BARÁTH, IVETT CSERVENYÁK, PAOLA GRANATINO, ÉVA JUHÁSZ-TÓTH, ANDREA ENIKŐ KULCSÁR, ZSOLT SZENTJÓBI, LÁSZLÓ SOMSÁK:

COUPLING REACTIONS OF ANHYDRO-ALDOSE-TOSYLHYDRAZONES: NEW RESULTS

Department of Organic Chemistry, University of Debrecen, Hungary

16:15-16:35

ÉVA JUHÁSZ-TÓTH, ÁGNES HOMOLYA, LÁSZLÓ JUHÁSZ, LÁSZLÓ SOMSÁK: HALOAMINATION - NEW FUNCTIONALIZATION OF GLYCAL DERIVATIVES

Department of Organic Chemistry, University of Debrecen, Hungary

16:35-16:55

SON LE THAI, ANIKÓ BORBÁS, MAGDOLNA CSÁVÁS: SYNTHESIS OF ANTIBACTERIAL MULTIVALENT CARBOHYDRATE-ANTIBIOTIC CHIMERAS WITH POTENTIAL AFFINITY TO BACTERIAL LECTINS

Department of Pharmaceutical Chemistry, University of Debrecen

17:00-18:00 TRIP TO KÉKES (THE HIGHEST POINT OF HUNGARY)

17:00-18:00 MEETING OF THE HUNGARIAN CHEMISTS (IN HUNGARIAN)

19:00 CONFERENCE DINNER
(RESTAURANT)

FRIDAY, MAY 24, 2019

SESSION 7. CHAIRPERSON: ATTILA AGÓCS

10:00-10:20

KIM HOANG YEN DUONG[1], VIKTÓRIA GOLDSCHMIDT GŐZ[2], VIKTOR FARKAS[2], ISTVÁN PINTÉR[1], AND ANDRÁS PERCZEL[1,2]:

SYNTHESIS, APPLICATION AND ISOPROPYLIDENE DEPROTECTION OF CYCLIC β-SUGAR AMINO ACID DERIVATIVES

[1] Laboratory of Structural Chemistry and Biology, Institute of Chemistry, Eötvös Loránd University, Hungary
[2] MTA-ELTE Protein Modeling Research Group, Eötvös Loránd University, Hungary

10:20-10:40

ISTVÁN VARGA[1], ADRIENN NAGY[1], VIKTÓRIA GOLDSCHMIDT GŐZ[2], ISTVÁN PINTÉR[1], ANDRÁS PERCZEL[1,2]:

SYNTHESIS OF FMOC PROTECTED β-SUGAR AMINO ACID FOLDAMER MONOMERS

[1] Laboratory of Structural Chemistry and Biology, Institute of Chemistry, Eötvös Loránd University, Hungary
[2] MTA-ELTE Protein Modeling Research Group, Eötvös Loránd University, Hungary

10:40-11:00

MIKLÓS BEGE[1], ILONA BAKAI-BERECZKI[1], ALEXANDRA KISS[2], GÁBOR SZEMÁN-NAGY[2], PÁL HERCZEGH[1], ANIKÓ BORBÁS[1]:

SYNTHESIS OF SUGAR-MODIFIED NUCLEOSIDE DERIVATIVES VIA THIOL-ENE COUPLINGS AND STUDY THEIR BIOLOGICAL EFFECTS

[1]Department of Pharmaceutical Chemistry, University of Debrecen
[2]Department of Biotechnology and Microbiology, University of Debrecen

11:00-11:20

VIKTOR KELEMEN[1], MIKLÓS BEGE[1], DÁNIEL ESZENYI[1], NÓRA DEBRECZENI[1], ATTILA BÉNYEI[2], PÁL HERCZEGH[1] AND ANIKÓ BORBÁS[1]:

PHOTOINDUCED THIOL-ENE COUPLING REACTIONS OF HEXO- AND PENTOPYRANOSYL D- AND L-GLYCALS AT LOW TEMPERATURE - REACTIVITY AND STEREOSELECTIVITY STUDY

[1]*Department of Pharmaceutical Chemistry, University of Debrecen*
[2]*Department of Physical Chemistry, University of Debrecen*

11:20-11:40

ROLAND SZABÓ[1], ZOLTÁN KELE[1], ISTVÁN MÁNDITY[2], LAJOS KOVÁCS[1]: TOWARDS THE SYNTHESIS OF 3-(BETA-D-RIBOFURANOSYL)XANTHINE

[1]*University of Szeged, Department of Medicinal Chemistry, Hungary*
[2]*Semmelweis University, Department of Organic Chemistry, Hungary*

11:40-11:45 CLOSING REMARKS

LÁSZLÓ SOMSÁK, CONFERENCE CHAIR

12:00 LUNCH

(RESTAURANT)

ABSTRACTS OF THE INVITED PLENARY AND ORAL LECTURES

MULTISTAGE ANTIMALARIALS TARGETING MALARIAL PROTEASES

Brijesh Rathi

Laboratory for Translational Chemistry and Drug Discovery, Department of Chemistry, Hansraj College University Enclave, University of Delhi, Delhi-110007 India
*brijeshrathi@hrc.du.ac.in

Over time, several exciting advances are made for the treatment and prevention of malaria; however, this devastating disease remains a major threat to human health in many parts of the tropical world. Together the scarcity of new efficient drugs and the inevitable drug resistance of the malaria parasite, are the main obstacles for malaria eradication plans. According to WHO malaria eradication agenda, antimalarial drugs that can destroy the parasite at the liver stage, the asexual blood stage, the gametocyte stage, and the insect ookinete stage of the parasite life cycle (that is multistage activity) are the essential to eradicate malaria. As a part of our ongoing interest in this direction, we designed and synthesized a library of new compounds based on hydroxyethylamine and studied their antiplasmodial activity in *Plasmodium falciparum* culture. A number of hits were identified with significant growth inhibition of blood stage drug-resistant *P. falciparum* parasites (D6 and Dd2) at sub-micromolar concentrations. The toxicity of all the potent compounds was tested in peripheral blood mononuclear cells, leukemic monocytic cell lines, and HepG2 cells. Drug−drug interaction of potent compounds with dihydroartemisinin indicated synergistic effect against *Pf*Dd2 in culture. While in vivo experiments, a significant decrease in blood parasite load was noted in chloroquine-resistant *P. berghei* and *P. berghei* ANKA infected mouse models. Further, a notable activity was displayed by compounds against the gametocyte stage of *P. falciparum,* and liver stage infection of *P. berghei* in culture with low micromolar concentrations. Interestingly, potent compounds exerted strong and comparable efficacy against *P. berghei* liver-stage infection in mouse model. Next, compounds were tested for activity against malarial proteases and showed inhibitory concentrations at sub-micromolar range. Also, good membrane permeability and long endurance in the bloodstream was noted as supported by the preliminary pharmacokinetic experiments.

This work was supported by Science and Engineering Research Board (ECR/2015/000448), New Delhi, Government of India.

Key References

[1]Poonam; Gupta, Y.; Singh, S.; Wu, L.; Rawat, M. and Rathi, B. Multistage Inhibitors of Malaria Parasite: Emerging Hope for Chemoprotection and Malaria Eradication. *Med. Res. Rev.* **2018**, *38*, 1511-1535.

[2]Singh, S.; Rajendran, V.; He, J.; Singh, A. K.; Achieng, A. O.; Vandana: Pant, A.; Nasamu, A. S.; Pandit, M.; Singh, J.; Quadri, A.; Gupta, N.; Ghosh, P. C.; Singh, B. K.; Latha, N.; Kempaiah, P.; Chandra, R.; Dunn, B. M.; Pandey, K. C.; Goldberg, D. E.; Singh, A. P.; and Rathi, B. Fast-acting small molecules targeting malarial aspartyl proteases, plasmepsins, inhibit malaria infection at multiple life stages. *ACS Infect. Dis.* **2019**, *5*, 184-198.

[3]Singh, A. K.; Rajendran, V.; Singh, S.; Kumar, P.; Kumar, Y.; Singh, A.; Miller, W.; Potemkin, V. Poonam; Grishina, M.; Gupta, N.; Kempaiah, P.; Durvasula, R., Singh, B.; Dunn, B. M. and Rathi, B. Antiplasmodial activity of hydroxyethylamine analogs: Synthesis, biological activity and structure activity relationship of plasmepsin inhibitors. *Bioorg. Med. Chem.* **2018**, *26*, 3837-3844.

PHYSICO-CHEMICAL STUDIES OF BIOACTIVE SCAFFOLDS

Poonam

Department of Chemistry, Miranda House University Enclave, University of Delhi, Delhi-110007 India

*poonam.chemistry@mirandahouse.ac.in

Bioactive scaffolds such as flavanoids and oseltamivir conjugates are highly potent against several pathogens. Keeping in mind the potential biological applications of chromones and their reduced analogs, we designed a highly efficient catalytic route for their synthesis. It has been observed that oxygen mediated reduction of functional chromones with sodium borohydride (NaBH4) catalyzed by cobalt(II) porphyrins afforded chroman-4-ols as the reduction products in 80–98% yields. Oxygen assists in the formation of hydridocobalt(III) porphyrin as a key intermediate, which releases hydride rapidly to reduce the chromones. Additionally, the correlation between quantum calculation results of the catalysts' conversions, yields, times and logarithms of the rate constants for the oxygen assisted reduction reaction was studied. The mechanism of the reaction was also justified by establishing a quantitative relationship between the rate constant, α-HOMO orbital of the catalytic complex and the stabilization energy of the complex with oxygen.

Additionally, we performed synthesis of oseltamivir-triazole conjugate (gelator) by click-reaction and studied their gelation properties by experimental and computational approaches. The important features of this gelator are amide linkage, flexible ester linkages and triazole connecting non-polar alkyl chain. The gerlator displayed gelation behaviour in several apolar organic solvents, particularly hexane. Theoretical studies suggested that the gelation propertiesare attributed to the formation of micelles. The gelator exhibited thermoreversible gelation behaviour in solvents with increase in chain length from *n*-pentane to *n*-dodecane. The interesting observations based on experimental and theoretical results will be presented. The biological studies of all the newly synthesized compounds are under progress.

This work was supported by Department of Science and Technology, Govt. of India (DST/TDT/DDP-14/2018).

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1. Poonam, Pratibha Kumari, Maria Grishina, Vladimir Potemkin, Abhishek Verma, Brijesh Rathi. *New J. Chem*., 2019, 43, 5228-5238.
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4. R. J. Wojtecki, M. A. Meador and S. J. Rowan, *Nature Materials*, 2010, 10, 14.

CARBOHYDRATE-LECTIN INTERACTIONS – WHAT MAKES THEM UNIQUE?

Beat Ernst

Department of Pharmaceutical Sciences, Pharmacenter, University of Basel, Klingelbergstrasse 50, 4056 Basel, Switzerland E-mail: beat.ernst@unibas.ch

Over the last two decades, a wealth of physiological and pathophysiological functions related to carbohydrate-lectin interactions have been uncovered. However, only a fraction of these discoveries have led to new therapeutic concepts.[1] The reasons are manifold: first, carbohydrates are generally regarded as highly demanding lead structures because of their notoriously insufficient pharmacodynamic (PD) properties, as well as their nondrug-like pharmacokinetic (PK) profiles. In addition, lectins typically exhibit solvent-exposed, extended binding sites, and are therefore often considered to be undruggable targets. However, an improved understanding of the principles controlling carbohydrate-lectin interactions have recently led to a number of promising preclinical and clinical candidates, e.g. for the therapy of inflammation,[2] cancer,[3] and viral and bacterial infections.[4]

In the first part of this lecture, approaches to overcome the pharmacodynamic drawbacks traditionally associated with lectin targets will be discussed.

In the second part, solutions to these PK/PD drawbacks will be presented, exemplified by an approaches leading to glycomimetics with nanomolar affinity as well as drug-like pharmacokinetic properties.

This work was supported by the Swiss National Science Foundation and GlycoMimetics, Inc.

1 a) B. Ernst, J.L. Magnani. From Carbohydrate Leads to Drugs. *Nat. Rev. Drug Discov.* **2009**, *8*, 661-677; b) J. L. Magnani, B. Ernst. Glycomimetic Drugs - A New Source of Therapeutic Opportunities. *Discovery Medicine* **2009**, *8*, 247-252.

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CATALYTIC STEREOSELECTIVE SYNTHESIS OF GLYCOSIDES. OLD CATALYSTS, NEW TRICKS.

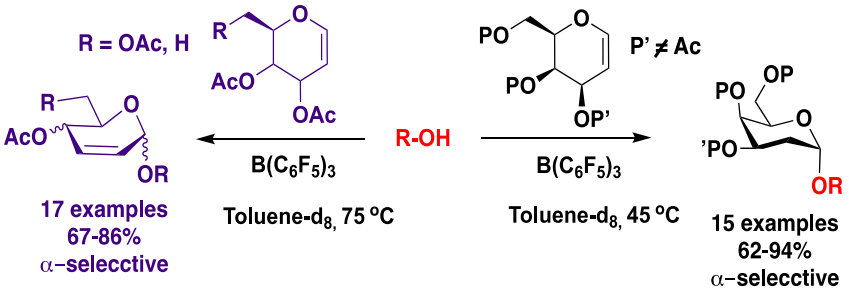
M. Carmen Galan

School of Chemistry, Cantock's Close, University of Bristol, Bristol BS8 1TS. United Kingdom. Phone: +44 (0)1179287654.
E-mail: m.c.galan@bris.ac.uk.

The stereoselective synthesis of glycosides remains one of the biggest challenges in carbohydrate chemistry.[1] The chemical synthesis of complex carbohydrates generally involves the coupling of a fully protected glycosyl donor bearing a leaving group at its anomeric centre, with a suitably protected glycosyl acceptor (R-OH). In many instances, these reactions lead to a mixture of two stereoisomers.

In recent years, our group has endeavoured to develop catalytic and stereoselective methods to address this important synthetic challenge.[2-5] Recent years have seen a steady increase in the application of organocatalysis applied to oligosaccharide synthesis,[3] since the reaction conditions are mild and the careful choice of catalyst can offer significant improvements over traditional methods in terms of atom economy, high yields and control of anomeric selectivity.

Herein, we will report our latest developments on the application of borane catalysis to oligosaccharide synthesis. We will discuss the substrate-controlled direct α-stereoselective synthesis of deoxyglycosides from glycal whereby 2,3-Unsaturated α-*O*-glycoside products can be obtained with deactivated glycals at 75 °C in the presence of the catalyst, while 2-deoxyglycosides are formed using activated glycals that bear no leaving group at C-3 at lower temperatures. The reaction proceeds in good to excellent yields via concomitant borane activation of glycal donor and nucleophile acceptor. The method is exemplified with the synthesis of a series of rare and biologically relevant oligosaccharide analogues.



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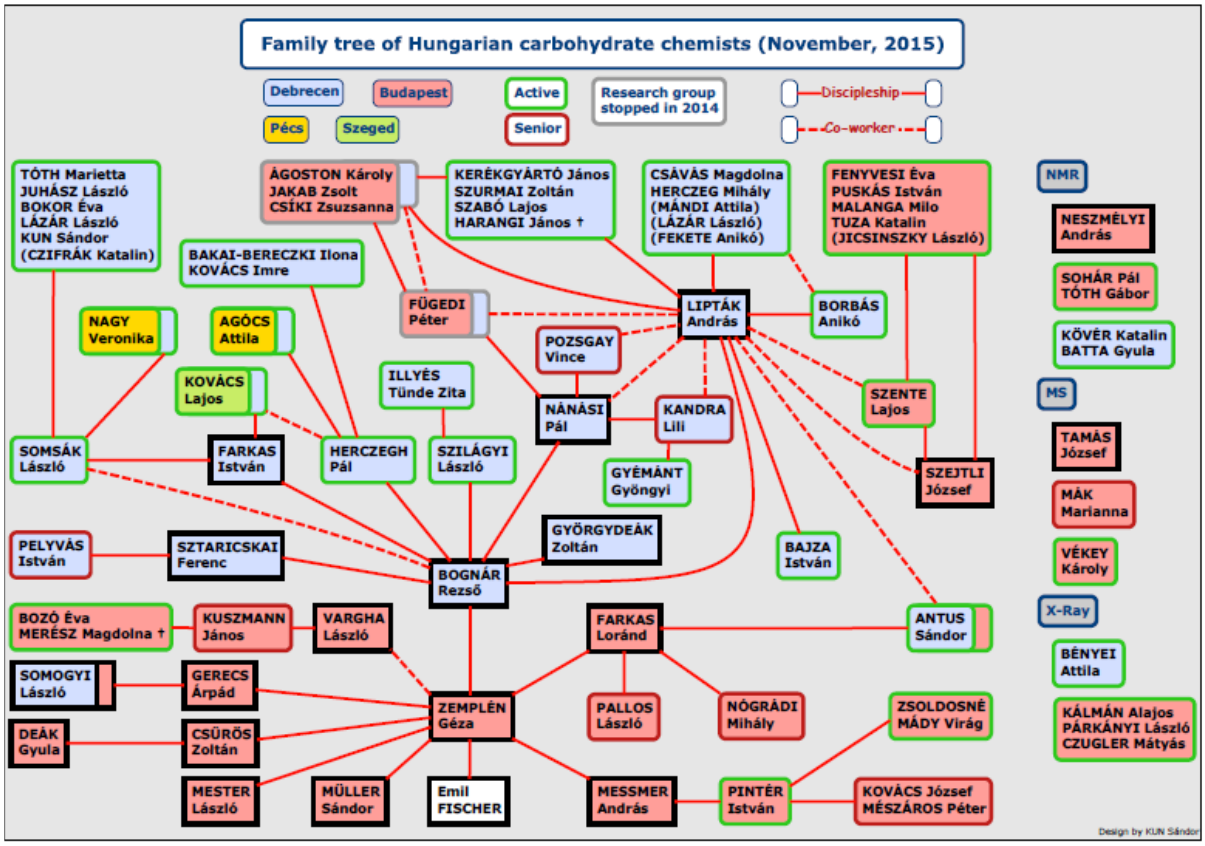
APPENDIX



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