**Hírlevél**

**MTA Szénhidrát, Nukleinsav és Antibiotikumkémiai Munkabizottság**

**2017. november**

1. **A Munkabizottság tagjainak eredményei, megjelent közleményei** (a teljesség igénye nélkül)**:**

**Máté Kicsák, Attila Mándi, Szabolcs Varga, Mihály Herczeg, Gyula Batta, Attila Bényei, Anikó Borbás and Pál Herczegh**

**Tricyclanos: conformationally constrained nucleoside analogues with a new heterotricycle obtained from a D-ribofuranose unit**

**Organic & Biomolecular Chemistry, 2017**

**DOI: 10.1039/c7ob02296d**

Q2

Abstract: A novel type of nucleoside analogue in which the sugar part is replaced by a new tricycle, 3,7,10-trioxa-11-azatricyclo[5.3.1.05,11]undecane has been prepared by substrate-controlled asymmetric synthesis. 1,5-Dialdehydes obtained from properly protected or unprotected uridine, ribothymidine, cytidine, inosine, adenosine and guanosine by metaperiodate oxidation reacted readily with tris(hydroxymethyl)aminomethane to provide the corresponding tricyclic derivatives with three new stereogenic centers. Through a double cyclisation cascade process the tricyclic compounds were obtained in good to high yields, with very high diastereoselectivity. Formation of one stereoisomer, out of the eight possible, was observed in all cases. The absolute configuration of the new stereotriad-containing tricyclic systems was aided by conventional NMR experiments followed by chemical shift calculations using an X-ray crystal structure as reference that was in good agreement with H–H distances obtained from a new ROESY NMR method. The synthesis was compatible with silyl, trityl and dimethoxytrityl protecting groups. A new reagent mixture containing ZnCl2, Et3SiH and hexafluoroisopropanol was developed for detritylation of the acid-sensitive tricyclano nucleosides.

**Márkó Grabarics, Orsolya Csernák, Réka Balogh, Szabolcs Béni**

**Analytical characterization of human milk oligosaccharides – potential applications in pharmaceutical analysis**

**Journal of Pharmaceutical and Biomedical Analysis 146 (2017) 168–178**

Abstract: Human breast milk is the gold standard for infant feeding and the best possible nourishment a new-born could have. Breastfeeding is the natural way to provide optimal nutritional, immunological and emotional nurturing for the healthy growth and development of infants. Human milk is a complex and dynamic biofluid comprised of many hundreds to thousands of distinct bioactive structures, among which one of the most abundant substances are the non-conjugated complex carbohydrates referred to as human milk oligosaccharides (HMOs). Due to their structural diversity and abundance, HMOs possess many beneficial biological functions. In order to understand human milk composition and HMO functions, state-of-the-art glycomic methods are inevitable. The industrial, large scale chemoenzymatic production of the most abundant HMOs became a reality in the last years and it evokes the need for straightforward and genuine analytical procedures to monitor the synthetic process and the quality of the products. It is obvious, that HMOs represent the next breakthrough in infant nutrition, as the addition of HMOs (such as 2 -fucosyllactose or lacto-N-neotetraose) to infant- and follow-on formulas, processed cereal-based food and baby foods for infants and young children etc. will revolutionize this field. This review highlights the potential applications of HMOs in the (bio)pharmaceutical industry, also summarizes the analytical methods available for the characterization of HMOs. An overview of the structure and function of HMOs along with their determination methods in complex matrices are provided. Various separation methods including liquid- and gas chromatography and capillary electrophoresis for the characterization and novel approaches for the quantitation of HMOs are discussed.

**Bege, M., Bereczki, I., Herczeg, M., Kicsák, M., Eszenyi, D., Herczegh, P., Borbás, A.**

**Organic and Biomolecular Chemistry 15, 43, 2017, 9226-9233**

**A low-temperature, photoinduced thiol-ene click reaction: A mild and efficient method for the synthesis of sugar-modified nucleosides**

Abstract

Sugar-modified nucleosides are prime synthetic targets in anticancer and antiviral drug development. Radical mediated thiol-ene coupling was applied for the first time on nucleoside enofuranoside derivatives to produce a broad range of thio-substituted D-ribo, -arabino, -xylo and L-lyxo configured pyrimidine nucleosides. In contrast to the analogous reactions of simple sugar exomethylenes, surprisingly, hydrothiolation of nucleoside alkenes under the standard conditions of various initiation methods showed low to moderate yields and very low stereoselectivity. Optimizing the reaction conditions, we have found that cooling the reaction mixture has a significant beneficial effect on both the conversion and the stereoselectivity, and UV-light initiated hydrothiolation of C2′-, C3′- and C4′-exomethylene derivatives of nucleosides at -80 °C proceeded in good to high yields, and, in most cases, in excellent diastereoselectivity. Beyond the temperature, the solvent, the protecting groups on nucleosides and, in some cases, the configuration of the thiols also affected the stereochemical outcome of the additions. The anomalous L-lyxo diastereoselectivity observed upon the addition of 1-thio-β-D-gluco- and galactopyranose derivatives onto C4′,5′-unsaturated uridines is attributed to steric mismatch between the D-ribo C4′-radical intermediates and the β-configured 1-thiosugars.

2.) **Programajánló**

A soron következő Bruckner termi előadás és a Kajtár-Hollósi Alapítvány emlékülése:

**Időpont: 2017. december 1. péntek 14.00 óra**

**Helyszín:** ELTE TTK Kémiai Épület, (1117 Budapest, Pázmány P. sétány 1/a)

**063-as Bruckner-terem**

**A programot mellékletként csatoltam.**

*A havi rendszerességű hírlevélben megjelentetni kívánt anyagot kérjük minden hónap utolsó napjáig elküldeni a* [*csavas.magdolna@science.unideb.hu*](mailto:csavas.magdolna@science.unideb.hu) *email címre.*

Üdvözlettel:

Csávás Magdolna

a munkabizottság titkára

2017. november 20.