PROGRAM

20th EUROPEAN SYMPOSIUM ON ORGANIC CHEMISTRY

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COLOGNE | GERMANY

150 Years GDCh

University of Cologne

MCB019 Pigments In Rust Fungi: Biosynthesis, Role And Evolution

E. Wang, Sydney/AU , C. Dong, Sydney/AU, T. H. Roberts, Sydney/AU, R. F. Park, Sydney/AU

MCB020 Asymmetric Synthesis and Biological Evaluation of Heteroaromatic Lipoxin A_4 Analogues

A. Zanetti, Dublin/IE

MCB021 New indole derivatives against C. albicans biofilm

F. Pandolfi, Rome/IT , M. Feroci, Rome/IT, G. Simonetti, Rome/IT, I. Chiarotto, Rome/IT, L. Scipione, Rome/IT

MCB022 Addressing challenging targets with New Modality chemistry

R. Gopalakrishnan, Dortmund/DE , S. M. Guéret, Dortmund/DE, H. Adihou, Dortmund/DE, M. Lemurell, Gothenburg/SE, T. N. Grossmann, Dortmund/DE, A. T. Plowright, Gothenburg/SE, E. Valeur, Gothenburg/SE, H. Waldmann, Dortmund/DE

MCB023 Asymmetric Synthesis of Novel Heteroaromatic Lipoxin A4 Analogues

K. Gahan, Dublin/IE

MCB024 Search for Selective MMP-2 Inhibitors in Series of Novel Triazolylmethyl Aziridines and Azetidines

K. Suta, Riga/LV , D. Stamberga, Riga/LV, A. Solops, Riga/LV, I. Domracheva, Riga/LV, M. Turks, Riga/LV

MCB025 Octa-glycoconjugated aminoporphyrazines as potential photosensitizers in photodynamic therapy

T. Klein, Tübingen/DE , T. Ziegler, Tübingen/DE

MCB026 Total synthesis of sphingolipids and sphingosine-type signaling molecules of microbial origin

D. Leichnitz, Jena/DE , L. Raguž, Jena/DE, A. Cantley, Boston/US, A. Woznica, Berkeley/US, N. King, Berkeley/US, J. Clardy, Boston/US, C. Beemelmanns, Jena/DE

MCB027 Furoquinoline from Vepris lecomteana (Rutaceae Family)

A. D. Kenmogne Kouam, Bielefeld/DE , A. F. Kamdem Waffo, Douala/CM, E. Happi Ngueffa, Douala/CM, J. D. Wansi, Douala/CM, N. Sewald, Bielefeld/DE

MCB028 Aurone Oxime Ethers as Selective Estrogen Receptor Modulators (SERMs): Design, Synthesis and Computacional Prediction of Binding Modes

P. López-Rojas, La Laguna/ES , A. Estévez-Braun, La Laguna/ES, A. Amesty, La Laguna/ES

Search for Selective MMP-2 Inhibitors in Series of Novel Triazolylmethyl Aziridines and Azetidines

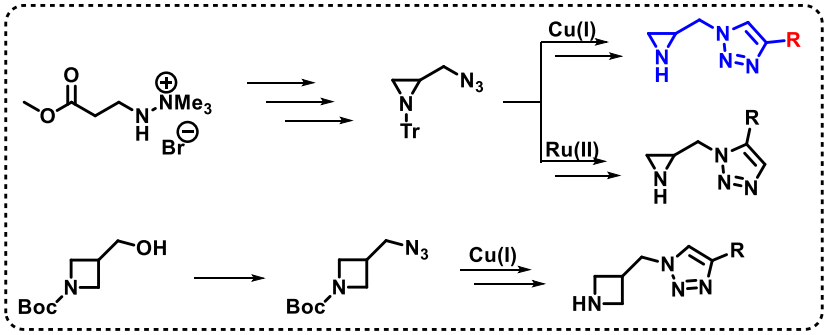
K. Suta, Riga/LV, D. Stamberga, Riga/LV, A. Solops, Riga/LV, I. Domracheva, Riga/LV, M. Turks, Riga/LV

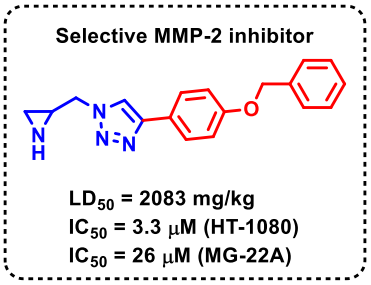
Krista Suta, Faculty of Materials Science and Applied Chemistry, Riga Technical University, P. Valdena 3, Riga, LV-1048, Latvia

Matrix metalloproteinases (MMPs) are zinc-dependent endopeptidases that are responsible for cleavage of extracellular matrix proteins such as collagen, gelatin, elastin and casein. Because of their effect on both physiological and pathological processes, MMPs have become interesting targets for treatment of cancer. In addition, it is known that MMP-2 has the most important impact to tumour growth [1].

We have previously reported promising results for aziridine derivatives with 1,4-disubstituted 1,2,3-triazole in the side chain as a new class of MMP-2 inhibitors [2,3]. Hence, we describe here an expansion of aziridine series by preparing both 1,5- and 1,4-disubstituted 1,2,3-triazole derivatives. Also azetidine-triazole conjugates were prepared.

The syntheses were realized by transition metal catalyzed azide-alkyne cycloaddition reactions (CuAAC or RuAAC) with good to excellent yields. It is the first time when RuAAC has been used with aziridine containing substrates.





The products acting as selective MMP-2 inhibitors were found among aziridine 1,4-disubstituted 1,2,3-triazole conjugates bearing relatively lipophilic side chain.

Literature:

[1] O. Zitka, J. Kukacka, S. Krizkova, D. Huska, V. Adam, M. Masarik, R. Prusa, R. Kizek, Curr. Med. Chem. 2010, 17, 3751. [2] I. Kreituss, E. Rozenberga, J. Zemitis, P. Trapencieris, N. Romanchikova, M. Turks, Chem. Heterocycl. Compd. 2013, 49, 1108. [3] N. Romanchikova, P. Trapencieris, J. Zemitis, M. Turks, J. Enzyme Inhib. Med. Chem. 2014, 29, 765.