

October 11-12, 2018
Amsterdam, NetherlandsLili Arabuli et al., Biochem Mol Biol J 2018, Volume: 4
DOI: 10.21767/2471-8084-C4-016EVALUATION OF BIOLOGICAL ACTIVITY
OF CERTAIN PEPTIDE DERIVATIVES OF
CYCLENCARBOXYMETHYLEN AND L-DOPALili Arabuli¹, R Jezek², P Lovecka², T Macek², T
Ruml², J Viktorova² and E Nikoleishvili¹¹University of Georgia, Georgia²University of Chemistry and Technology, Czech Republic

The most advantage of the macrocyclic polyamines is their unique capacity to bind some biologically important metals (Zn, Cu, Co, Fe) and their role was dramatically increased as behaviour models for enzymes and other metalloproteins. The modification of macrocyclic polyamine receptor molecules with additional ligands (arms) enables to interact with nucleobase, sugar and other biomolecules moieties for a more efficient multipoint recognition, as well as for thermodynamic stabilization of the ternary complexes in aqueous solution. Cyclen, cyclam, their derivatives and metallo complexes are proposed as good candidates to cross blood-brain barrier and with low toxicity as potential neuroprotective or neurorescue agents in the treatment of Alzheimer's disease. In addition, cyclen derivatives showed antibacterial, anti-HIV and anti-malarial activities. On the other hand, polyphenolic compounds, like coumarins and its derivatives are able to bind transition metal ions and inhibit hydroxyl radical and hydrogen peroxide formation produced by Fenton's reactions. Polyphenol derivatives (or metabolites) were found to have numerous therapeutic applications, such as central nervous system stimulants, antitumor and anti-HIV therapy, antibacterial, anticoagulants etc. We prepared cyclencarboxymethylen and L-3, 4-dihydroxyphenylalanine (L-DOPA) derivatives with His-rich dipeptides (cyclen-His-His, cyclen-Asp-His, cyclen-Glu-His, Dopa-His-His, Dopa-Asp-His, Dopa-Glu-His, Dopa-His-His-Dopa, Dopa-Asp-His-Dopa, Dopa-Glu-His-Dopa, Dopa-Glu-His-Dopa and Dopa-cyclen) via solid phase synthesis strategy and identified using ¹H-NMR and MALDI-TOF MS. The new DOPA and cyclen (1, 4, 7-10-(carboxymethyl)-tetraazacyclo-dodecane) oligopeptide derivatives were tested for their biological activity evaluation, such as antioxidant, antimicrobial, anticancer, antidiabetic, etc. activities using their different concentration levels. The cytotoxicity and genotoxicity of new compounds were also studied.

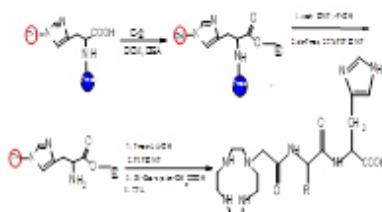


Figure 1: Stepwise reaction of functional derivation of tri-N-Boc-Cyclen-CH₂COOH with dipeptide (HH, DH, EH)

Biography

Lili Arabuli has completed his PhD from Jvane Javakishvili Tbilisi State University and Postdoctoral studies from P J Safarik University (Slovak republic), University of Michigan (US) and University of Tuscia (Italy). She is an Associate Professor and the Head of the direction of Chemistry at the University of Georgia. She has published more than 15 papers in reputed journals, book chapters and one monograph. Her research interests are mainly focused on medicinal chemistry, bioinorganic chemistry, organic and inorganic synthesis.

l.arabuli@ug.edu.ge