Boulbaba Selmi et al., Int J Biotechnol Recent Adv. 2020
DOI: dx.doi.org/10.18689/2639-4529.a3.004

madridge CONFERENCES

3rd International
BIOTECHNOLOGY & RESEARCH CONFERENCE
October 23, 2020 | Virtual Conference

Biological Activities Evaluation of Enantiopure Isoxazolidines Derivatives: *in vitro*, *in vivo* and *in silico* Studies

Boulbaba Selmi[1]*, HabibMosbah1, Hassiba Chahdoura[1], Asma Mannai[1], Mejdi Snoussi[1], Kaïss Aouadi[1], Rui M.V. Abreu[4] and Ali Bouslama[5]

[1]University of Monastir, Tunisia
[2]University of Monastir, Tunisia
[3]University of Monastir, Tunisia
[4]Polytechnic Institute of Bragança, Portugal
[5]Sahloul University Hospital, Tunisia

A series of enantiopureisoxazolidines (3a-c) were synthesized by 1,3-dipolar cycloaddition between a (–)-menthone-derived nitrone and various terminal alkenes. The screened compounds were evaluated for their antioxidant activityby two in vitro antioxidant assays, including β-carotene/linoleic acid bleaching, and inhibition of lipid peroxidation (TBARS). The results revealed that compound 3b (EC50 = 0.55 ± 0.09 mM) was the most potent antioxidant as compared to the standard drug (EC50 = 2.73 ± 0.07 mM) using the TBARS assay. Furthermore, the antimicrobial activity was assessed using disc diffusion and microdilution methods. Among the synthesized compounds, 3c was found to be the most potent antimicrobial agent as compared to the standard drug. Subsequently, the acute toxicity study has also been carried out for the newly synthesized compounds and the experimental studies revealed that all compounds were safe up to 500 mg/kg and no death of animals were recorded. The cytotoxicity of these compounds was assessed by the MTT cell proliferation assay against the continuous human cell lines HeLa and compound 3c (GI50 = 46.2 ± 1.2 μM) appeared to be more active than compound 3a (GI50 = 200 ± 2.8 μM) and 3b (GI50 = 1400 ± 7.8 μM).

Interestingly, all tested compounds displayed a good α-amylase inhibitory activity in competitive manner with IC50 values ranging between 23.7 and 64.35 μM when compared to the standard drug acarbose (IC50 = 282.12 μM). In addition, molecular docking studies were performed to understand the possible binding and the interaction of the most active compounds to the α-amylase pocket.

Keywords: Enantiopureisoxazolidines; Antioxidant activity; Antimicrobial activity; Acute toxicity; Cytotoxicity; α-amylase inhibition; Molecular docking.

Biography:

Boulbaba SELMI obtained a PhD in Biochemistry in 1998 from the University of Technology of Compiegne (mentor: Pr. Daniel THOMAS), and post-doctoral training in 1998-2004 at different research centers (Houston Medical Center, University of Texas, USA; the National Center of Scientific Research-ENSBANA, University of Dijon, France; National Center of Scientific Research-AFMB, University of Mediterranean Aix Marseille II, France; National Center of Scientific Research –IBMC, University of Strasbourg, France). He has got Young Investigator Awards; HIV DART 2000 Frontiers in Drug Development for Antiviral Therapies, Isla Verde, Puerto Rico, USA. December 2000. Back to Tunisia, he obtained an Associate Professor in Biochemistry in Biotechnology Center of Sfax, Tunisia (2005-2007), then he mutated to the Higher Institute of Biotechnology of Monastir, Tunisia, where he became a Full Professor (January 2011) in Biochemistry and Molecular Virology. Currently, he is a Director of the Higher Institute of Biotechnology of Monastir, University of Monastir, Tunisia. His current interests are the biological activities of extracts and pure molecules obtained from medicinal plants.