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Biological Activities Evaluation of Enantiopure Isoxazolidines Derivatives: *In Vitro*, *In Vivo* and *in Silico* Studies

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A series of Enantiopure Isoxazolidines (**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** (EC_{50} = 0.55 ± 0.09 mM) was the most potent antioxidant as compared to the standard drug (EC_{50} = 2.73 ± 0.07 mM) using the TBARS assay. Furthermore, the antimicrobial activity was assessed using disc diffusion and micro dilution 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 He La and compound **3c** (GI_{50} = 46.2 ± 1.2 µM) appeared to be more active than compound **3a** (GI_{50} = 200 ± 2.8 µM) and **3b** (GI_{50} = 1400 ± 7.8 µM).

Interestingly, all tested compounds displayed a good α-amylase inhibitory activity in competitive manner with IC_{50} values ranging between 23.7 and 64.35 µM when compared to the standard drug acarbose (IC_{50} = 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: Enantiopure Isoxazolidines; Antioxidant activity; Antimicrobial activity; Acute toxicity; Cytotoxicity; α-amylase inhibition; Molecular docking.