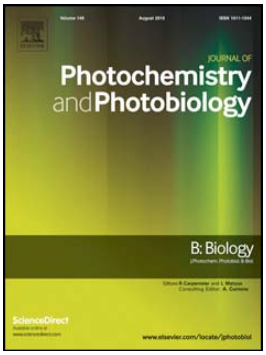

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Potent Acetylcholinesterase Inhibitors: Synthesis, Biological Assay and Docking Study of Nitro Acridone Derivatives

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Abstract

The reaction of *o*-halobenzoic acid with aniline derivatives and their subsequent cyclization reaction yielded the acridone derivatives. The series of nitro acridone derivatives were prepared by Ullmann condensation in presence of copper as catalyst and were characterized by FTIR, ^{1}H, ^{13}C NMR and Mass spectra. The structure of 5-nitro-(2-phenyl amino) benzoic acid **(4)** was confirmed by X-ray crystallography and was found to crystallize in *P21/c* space group. The *in vitro* efficacy of the compounds for their acetylcholinesterase (AChE) and antimicrobial inhibitory activities have been evaluated against the standard drugs Ampicillin and Gentamicin against gram positive and gram negative bacteria. 1,7-dinitroacridone was found to be the most potent AChE inhibitor (IC_{50} = 0.22 µM). Moreover, the compounds have been screened for their antioxidant activity using the DPPH assay. Also, docking study results were found to be in good agreement with the results obtained through *in vitro* experiments. The docking study further predicted possible binding conformation.

Keywords: Acridone; Acetylcholinesterase; Antioxidant activity, Docking study.