DESIGN AND SYNTHESIS CHARACTERIZATION OF NOVEL TACRINE ANALOGUES AS ANTI-ALZHEIMER'S AGENTS

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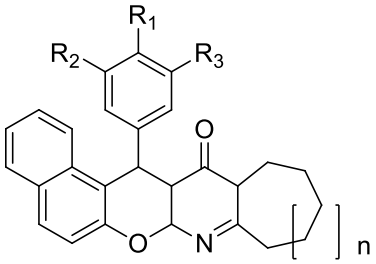
ABSTRACT:

A novel series of **Tacrine analogues** were prepared by adopting appropriate synthetic routes and characterized by physical, spectral methods, I*nsilco* docking studies revealed that these compounds could bind strongly in the active site of the Ach(Acetyl cholinesterase) enzyme and prevent enzyme-substrate interactions. On binding, the substituted groups were oriented either towards the peripheral anionic site (PAS) (Pocket A) or towards a hydrophobic cavity (pocket B) located near the active site. Cycloalkanones fused tacrine with aryl substitution at 6 th position were prepared by appropriate synthetic methodology. About 24 compounds **General structure-I** were prepared using ethylcyanoacetate with substituted aldehydes, 2-napthol and Cyclohexanone and Piperidine has starting materials. All the title compounds were characterized by spectral data (NMR, MASS and IR after purification by column chromatography. Pharmacological activity of synthesized compounds against AChE & BChE and invitro anti inflammatory against COX-1 & COX-2 is discussed



General structure-I

Keywords:

Alzheimer's disease; Multicomponent reactions; Cholinesterase inhibitors; hepatotoxity