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(57) Abstract :
In the present study, fifteen novel glitazones were designed and synthesized for their neuroprotective and anti-inflammatory potential. The in silico computational approaches for their binding affinity to activate PGC-1a via PPAR-? binding and molecular dynamic simulation was carried out to study the conformational changes on molecular interaction with the active site of the protein. The proposed fifteen novel glitazones were synthesized by Knoevenagel condensation reaction and analyzed for their structural integrity. The synthesized compounds are screened for TR-FRET PPAR-? competitive binding assay to arrive at a selective PPAR-? ligand and also PPAR-? transcriptional activity in SHSY5Y cells is measured with an ELISA-based PPAR? transcription factor assay kit. To check the mitochondrial potential, changes in cells, JC-1 staining studies are carried out. The neuroprotective effects of synthesized glitazones are tested in Lipopolysaccharide (LPS) intoxicated SHSY5Y neuroblastoma cell lines. The anti-inflammatory potential of novel synthesized glitazones is estimated by flow cytometry for pro-inflammatory cytokines namely, TNF-a, NF-kB and IL-6 are estimated by flow cytometry method. The compounds PP001, PP002 and PP010 are found to have significant neuroprotective and anti-inflammatory activity. In neuroinflammatory circumstances, the neuroprotection afforded by the novel glitazones, PP001, PP002 and PP010 may be connected to the activation of central PGC-1a signaling via the PPAR- ? receptor.

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