

Design and synthesis of 2-(benzylideneamino)isoindolines

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Background and Aims: Non-steroidal antiinflammatory drugs (NSAIDs) are used to alleviate mild to moderate pain and in the treatment of inflammatory conditions via COX-2 inhibitor activities. There are some evidences which reveals hydrazone and phthalimide pharmacophores have analgesic and anti-inflammatory activities. Here in we report the design and synthesis of new ligands which they have designed based on the hybridation of two phthalimide and hydrazone pharmacophore, which could acting as COX inhibitor.

Methods: The designed compounds were synthesized by condensation of the aminophthalimide with the respective aromatic aldehydes (homocyclic and heterocyclic) in absolute ethanol at room temperature. The product of this reaction was precipitated by addition of water, filtered, dried and recrystallized from appropriate solvent to give the desired products.

Results: A group of 2-(benzylideneamino)isoindoline-1,3-dione, possessing a variety of substituents (Me, OMe, Cl, F, and CF₃) at the 2-, 3-, and 4-positions of the phenyl ring, were synthesized in 67–96% yield by condensation of the respective benzaldehyde with 2-aminophthalimide. All of compound characterized by TLC followed by IR and proton NMR. The synthesized compounds were freely soluble in acetone and chloroform. All of obtained compounds are solid and melting around the 210-265 °C.

Conclusions: A Series of 2-(benzylideneamino)isoindolines analogs were designed, synthesized and characterized. Ultimately, their ability as analgesic and anti inflammatory are under investigation in mice. Based on our previous in-vivo screening studies this pharmacophore has good analgesic and anti-inflammatory activities.

Keywords: 2-(benzylideneamino) isoindoline, Analgesic and antiinflammatory