World Academy of Science, Engineering and Technology
International Journal of Pharmacological and Pharmaceutical Sciences
Vol:9, No:09, 2015

Synthesis and Antibacterial Evaluation of Natural Bioactive 3,4-DihydroisocoumarinAnalogues

Authors : Hummera Rafique, Aamer Saeed

Abstract : Synthesis of structural analogues of various well known bioactive natural 3,4-dihydroisocoumarins viz. Scorzocreticin, Annulatomarin, Montroumarin, and Thunberginol B, have been carried out starting from 3,5-dimethoxy-4-methylphenyl acetic acid. 3,5-Dimethoxy-4-methylphenyl acetic acid was then condensed with various aryl acid chlorides (a-e) to afford the corresponding 6,8-dimethoxy-7-methyl-3-aryl isocoumarins (5a-e). The alkaline hydrolysis of isocoumarins yields keto-acids (3a-e), which were then reduced to hydroxyacids, followed by cyclodehydration with acetic anhydride furnish corresponding 3,4-dihydroisocoumarins (7a-e). Finally, demethylation of 3,4-dihydroisocoumarins was carried out to afford 6,8-dihydroxy-7-methyl-3-aryl-3,4-dihydroisocoumarins (7a-e). Antibacterial evaluation of all the synthesized compounds were carried out against ten bacterial strains, it was concluded that isocoumarins (5a-e) and 3,4-dihydroisocoumarins (7a-e) are more active against gram positive bacteria then gram negative. However, the 6,8-dihydroxy-3,4-dihydroisocoumarin derivatives (8a-e) are more active against gram negative then gram positive.

Keywords : 3,5-Dimethoxy-4-methylhomophthalic acid, natural 3,4-Dihydroisocoumarin analogues, antibacterial activity, isocoumarins, demethylation
Conference Title : ICPPNP 2015 : International Conference on Pharmacognosy, Phytochemistry and Natural Products
Conference Location : London, United Kingdom
Conference Dates : September 25-26, 2015