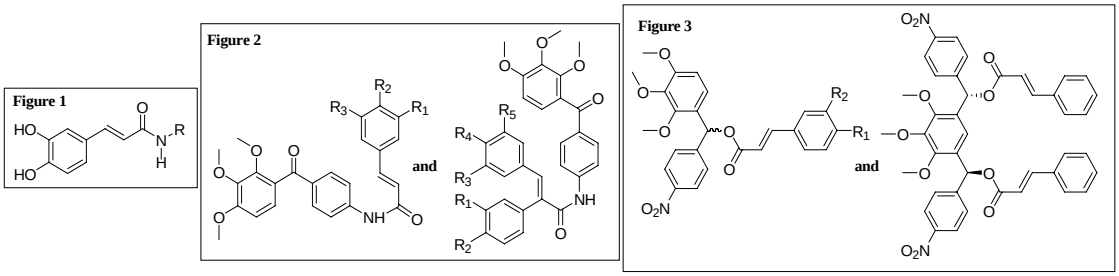
Abstract

Hydroxy aromatic acids and their derivatives are well known as antioxidant and help to reduce the risk of several diseases like diabetes, cancer, malaria, cardiovascular, renal, visual dysfunction and atherosclerosis. So a series of amide and ester derivatives were synthesized by coupling reaction and by Mitsunobu reaction (Figure 1, 2 and 3). Pyrogallol, obtained from gallic acid by bioconversion was taken as starting material for the synthesis of novel amide and ester derivatives of substituted cinnamic acids, substituted 2,3-diphenylacrylic acids and functionalized arylcarbinols.



In vivo activities of synthesized caffeic acid amides (caffemide) were investigated on adult male albino rats (Wistar strain) whereas *in vitro* antibacterial studies were conducted against *Mycobacterium smegmatis*, *Pseudomonas aeruginosa*, *Staphylococcus aureus* WT and *Staphylococcus aureus* MRSA (Figure 1). It was observed that three caffemide showed antibacterial activities towards *M. smegmatis, P. aeruginosa, S. aureus* WT and *S. aureus* MRSA with MIC value less than 50µg/ml at different time interval. Based on experiments the selected caffemide, N-(2-bromo-4-fluorophenyl)-3-(3,4-dihydroxyphenyl)-acrylamide (CPAM) showed antioxidant, anti diabetic and antibacterial activities *in vivo* and *in vitro* methods. This newly synthesized CPAM was found to reduce blood sugar level about 3 times in streptozotocin (STZ) induced diabetic rats at dose of 2.5 mg/kg body weight after 15 days treatment. Toxicological and post diabetes studies suggested that CPAM had no adverse effects on body weight, blood, liver and kidney of the experimental animals. The ED_{50} and ED_{90} of CPAM against rats were determined as 1 mg and 2.5 mg/kg body weight respectively. The presence of CPAM in blood serum of tested rats also ensured its wide range of applicability. Thus, anti-oxidative CPAM reduces blood sugar level and may be useful for the treatment of secondary infections that are common for diabetic individuals.