A convenient method for the synthesis of (prop-2-ynyloxy)benzene derivatives via reaction with propargyl bromide, their optimization, scope and biological evaluation

ABSTRACT

A highly convenient method has been developed for the synthesis of (prop-2-ynyloxy) benzene and its derivatives. Differently substituted phenol and aniline derivatives were allowed to react with propargyl bromide in the presence of K2CO3 base and acetone as solvent. The compounds were synthesized in good yields (53–85%). Low cost, high yields and easy availability of compounds helped in the synthesis. Electron withdrawing groups favor the formation of stable phenoxide ion thus in turn favors the formation of product while electron donating groups do not favor the reaction. Phenol derivatives gave good yields as compared to that of aniline. As aprotic polar solvents favor SN2 type reactions so acetone provided best solvation for the reactions. K2CO3 was proved to be good for the synthesis. Antibacterial, Antiurease and NO scavenging activity of synthesized compounds were also examined. 4-bromo-2-chloro-1-(prop-2-ynyloxy)benzene2a was found most active compound against urease enzyme with a percentage inhibition of 82.00±0.09 at 100 µg/mL with IC50 value of 60.2. 2-bromo-4-methyl-1-(prop-2-ynyloxy)benzene2d was found potent antibacterial against Bacillus subtillus showing excellent inhibitory action with percentage inhibition of 55.67±0.26 at 100 µg/ml wih IC50 value of 79.9. Based on results, it can be concluded that some of the synthesized compounds may have potential antiurease and antibacterial effects against several harmful substances.

Keyword: (Prop-2-ynyloxy)benzene; Propargyl bromide; Antiurease; Antibacterial