ABSTRACT

Present work consists of study of β-Lactamase (BLase) inhibitory potential of phytochemicals from Apocynaceae & Compositae families and Ketophosph(on)ates. For this study; an easy, efficient & economical method was developed, used successfully and published.

In this study, thirty two compounds were prepared and characterized by EIMS & ^{1}H-NMR. These compounds comprise of: Diethyl (2-oxo-2-phenylethyl) phosphonate(1), Diethyl [(E)-1-benzoyl-2-phenylvinyl] phosphonate(2), Diethyl[(E)-1-benzoyl-2-(2-hydroxyphenyl) vinyl] phosphonate(3), Diethyl [(1E,3E)-1-benzoyl-4-phenylbuta-1,3-dien-1-yl] phosphonate(4), Diethyl [(1E)-1-benzoyl-2-phenylprop-1-en-1-yl]phosphonate(5), Diethyl [(E)-1-benzoyl-2-(4-hydroxy-3-methoxyphenyl) vinyl] phosphonate(6), Diethyl benzyl phosphonate(7), Diethyl [2-(2-hydroxyphenyl)-2-oxo-1-phenylethyl] phosphonate(8), Diethyl [(3E)-2-oxo-1,4-diphenylbut-3-en-1-yl] phosphonate(9), Diethyl(2-oxo-1,2-diphenylethyl) phosphonate(10), Diethyl (2,4-dioxo-1-phenylpentyl) phosphonate(11), Diethyl (2,4-dioxo-1,4-diphenylbutyl) phosphonate(12), Ethyl (diethoxyphosphoryl) acetate(13), Diethyl (oxiran-2-ylmethyl) phosphonate(14), Diethyl[2-(2-hydroxyphenyl)-1-oxiran-2-yl-2-oxoethyl] phosphonate(15), 6-Diethyl [(3E)-1-oxiran-2-yl-2-oxo-4-phenylbut-3-en-1-yl] phosphonate(16), Diethyl (1-oxiran-2-yl-2-oxo-2-phenylethyl) phosphonate(17), Diethyl (1-oxiran-2-yl-2,4-dioxopentyl) phosphonate(18), Diethyl (1-oxiran-2-yl-2,4-dioxo-4-phenylbutyl) phosphonate(19), Diethyl (2-nitrobenzyl) phosphonate(20), six derivatives (21-26) containing the Tetraethyl ethane-1,2-diylbis (phosphonate) motif, Diethyl methylphosphonate(27), Diethyl [2-(2-hydroxyphenyl)-2-oxoethyl] phosphonate(28), Diethyl [(3E)-2-oxo-4-phenylbut-3-en-1-yl] phosphonate(29), Diethyl (2-oxo-2-phenylethyl) phosphonate(30), Diethyl(2,4-dioxopentyl) phosphonate(31) and Diethyl (2,4-dioxo-4-phenylbutyl) phosphonate (32). Seventeen compounds showed BLase inhibition activity. The compounds 1, 12, 29 & 32 were found more active than Clavulanic acid (used as standard).

Extraction and bioassay guided isolation of *Cichorium intybus* resulted in the purification and identification of ten compounds: [Lupeol (33), β-Sitosterol(34), p-hydroxy phenyl acetic acid(35), Isovanillic acid(36), Syringic acid(37), Vanillic acid(38), Esculetin(39), Scopoletin(40), Umbelliferone(41) and Kaempferol(42)]. Stigmasterol(43) was the only compound isolated from *Ageratum conyzoides* but six compounds: [Conessine(44), Kurchinin(45), Conimine(46), Kurchamine(47), Holaromine(48) & Kurchessine(49)] were extracted from *Holarrhena antidysenterica.*

However two compounds: [Lupeol(32) & Campesterol(50)], were extracted from *Carissa opaca* while Quercetin(51) & Kaempferol(42) were isolated from *Alstonia scholaris*. β-Sitosterol(34), α-Amyrin(52) & Ursolic acid(53) came out of *Calotropis procera*. All the phytochemicals were subject to BLase inhibition study. In general these phytochemicals showed poor activity however Kurchamine(47), Holaromine(48) and Quercetine(51) were relatively more active in this respect.