Abstract

Present work consists of screening of *Croton sparsiflorus* (Euphorbiaceae), *Laggera aurita* (Asteraceae) and synthesized benzothiazine derivatives for their xanthine oxidase inhibitory potential. Extraction and bioassay guided isolation of *Croton sparsiflorus* resulted in the identification of eleven compounds. Three compounds were purified and identified as crotsparinine (**8**), crotsparine (**9**) and sparsiflorine (**10**). Sparsiflorine (**10**) was the most active XO inhibitor from *Croton sparsiflorus* with IC_{50} value of 18.0 ± 0.4 µM followed by crotsparine (**9**) and crotsparinine (**8**). Twelve compounds were also identified from *Laggera aurita* but only two were purified and subjected to XO inhibition potential namely 2,4-di-tert-butylphenol (**21**) and 2,4-ditert-butyl-6-nitrophenol (**22**) with IC_{50} values of 43.2 ± 1.9 µM and 40.2 ± 1.2 µM respectively. Both the isolated compounds from *L. aurita* inhibited XO strongly.

Out of thirteen synthesized benzothiazine derivatives twelve contain 1,4-benzothiazine nucleus. This nucleus is quite familiar to natural products. These derivatives were characterized by EIMS, ^{1}H-nmr and XRD analysis as 2*H*-1,4-benzothiazin-3(4*H*)-one (**24**), 2*H*-1,4-benzothiazin-3(4*H*)-one 1,1-dioxide (**25**), ethyl 4-hydroxy-2*H*-1,2-benzothiazine-3-carboxylate 1,1-dioxide (**27**), (3-oxo-3,4-dihydro-2*H*-1,4-benzothiazin-2 yl)acetic acid (**28**), ethyl (3-oxo-3,4-dihydro-2*H*-1,4-benzothiazin-2-yl)acetate (**29**), ethyl 3-oxo-3,4-dihydro-2*H*-1,4-benzothiazine-2-carboxylate (**30**), ethyl (1,1-dioxido-3-oxo-3,4-dihydro-2*H*-1,4-benzothiazin-2-yl)acetate (**31**), 2-(3-oxo-3,4-dihydro-2*H*-1,4-benzothiazin-2-yl)-*N*-phenylacetamide (**32**), *N*-cyclohexyl-2-(3-oxo-3,4-dihydro-2*H*-1,4-benzothiazin-2-yl)acetamide (**33**), 1-(3-methyl-4H-1,4-benzothiazin-2-yl) ethanone (**34**), ethyl 3-methyl-4*H*-1,4-benzothiazine-2-carboxylate (**35**), ethyl (2*E*)-2*H*-1,4-benzothiazin-3(4*H*)-ylideneacetate (**36**) and 2-benzoyl-2*H*-1,4-benzothiazin-3(4*H*)-one (**37**). They showed little to moderate XO inhibition potential with [(3-oxo-3,4-dihydro-2*H*-1,4-benzothiazin-2 yl)acetic acid (**28**) as the most active followed by 2*H*-1,4-benzothiazin-3(4*H*)-one (**24**)] { IC_{50} values of 124.2 ± 13.9 µM and 212.7 ± 16.4 µM respectively }.