ABSTRACT

Number of thiazine related heterocyclic compounds were synthesized using various approaches to utilize indigenously available raw materials. The syntheses of targeted molecules were afforded by the easy to handle and cost effective routes with the high possible purity and yield.

Synthesis of various 1,2-benzothiazine 1,1-dioxides was accomplished via Heck reaction using ligand free palladium catalyst. It involved synthesis of halogen containing sulfonyl chloride, alkyl/aryl sulfonamide, *N*-alkylation with different alkenyl groups by conventional methodologies followed by improved Heck cyclization with $Pd(OAc)_2$/DIPA in 1-methyl-pyrolidin-2-one or toluene. In this way, two isomeric benzothiazines (with endocyclic & exocyclic double bonds) along with seven membered thiazipene products were obtained. It was also found that endocyclic product dominates over the exocyclic isomer. In accordance with the yield, endocyclic product and thiazipines were isolated in almost equal amounts (38.3% & 37.2%).

Synthesis of [Cp*Ru(η^6-((*R*)-8-(Hydroxy)-2-*S*-oxa-2-*S*-phenyl-2,1-benzothiazine))]Cl for their application as catalyst in chiral synthesis is was also accomplished. Chiral 2,1-benzothiazine derivative was employed to its complexation with cyclopentadiene-Ru salt under microwave radiations.

One pot synthesis of a series of *N*-alkyl 1, 2-benzothiazine 1, 1-dioxide derivatives was carried out from commercially available saccharine with improved yield in less time avoiding extra work-ups. Number of carboxamide derivatives were also synthesized by reacting ester with different amines. Further, methyl 4-hydroxy-2*H*-1,2-benzothiazine-3-carboxylate-1,1-dioxide , *N*-alkylated derivatives methyl 4-hydroxy-2-methyl-2*H*-1,2-benzothiazine-3-carboxylate 1,1-dioxide, methyl 4-hydroxy-2-ethyl-2*H*-1,2-benzothiazine-3-carboxylate 1,1-dioxide & methyl 4-hydroxy-2-propyl-2*H*-1,2-benzothiazine-3-carboxylate 1,1-dioxide , and carboxamide derivative *N*-(2-bromo-4-nitrophenyl)-4-hydroxy-2*H*-1,2-benzothiazine-3-carboxamide 1,1-dioxide were oxidized at C-3 carbon to get corresponding alcoholic products which were found dehydrated during crystallization process in methanol.

In another scheme, a novel series of potentially biologically active, 4-hydroxy-*N*'-(phenylsulfonyl)-2*H*-1,2-benzothiazine-3-carbohydrazide 1,1-dioxide were synthesized starting from *N*-alkylation of sodium saccharin with methyl chloroacetate. Ring expansion of methyl(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3*H*)-yl)acetate followed by its hydrazinolysis afforded, 4-hydroxy-2*H*-1,2-benzothiazine-3-carbohydrazide 1,1-dioxide, which was reacted in a straight forward manner with various substituted sulfonyl chlorides to get the title compounds.

The newly synthesized compounds were characterized by spectroscopic techniques (FT-IR, NMR, MS) and Single Crystal X-ray diffraction analyses. Anti-bacterial and anti-oxidant activity of some of the series was also studied. Some of the compounds showed the better activities than the standards. The results are reported in respective units.