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Novel dinitroquinazolinodipeptide analogs with anthelmintic potential

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Background: N-heterocyclic analogs are well known for their pharmacological potential. Prompted from the biopotential of substituted quinazolines and benzoic acids, these two vital moieties were combined together into single nuclei. Further, keeping in view the anthelmintic potency and taking advantage of biodegradability and biocompatibility of amino acids and peptides, present investigation was aimed toward the synthesis of novel dinitroquinazolinodipeptide.

Objective: The present study was designed to synthesize a novel series of 4-[7-nitro-2-(3-nitrophenyl)-4-oxo-3, 4-dihydro-3-quinazolinyl] benzoyl dipeptide analogs and to evaluate them for anthelmintic potential.

Materials and Methods: 4-[7-Nitro-2-(3-nitrophenyl)-4-oxo-3, 4-dihydro-3-quinazolinyl] benzoic acid were coupled with various amino acids and peptide methyl esters using DCM as coupling agent and NMM as base. The protection of free amino group was done using t-BOC and carboxyl terminal was protected by esterification with methanol using thionyl chloride. Deprotection at amino/carboxyl ends was done using TFA and LiOH respectively. Some of the ester derivatives were hydrolyzed using lithium hydroxide to get corresponding dipeptide derivatives. All the newly synthesized dinitroquinazolinodipeptide were subjected to evaluation against earthworm species for anthelmintic activity.

Results: All the synthesized compounds were well characterized by analytical techniques including IR, 1H/13C NMR, MS spectral data and elemental analysis. Comparison of anthelmintic activity data revealed that hydrolyzed peptide derivatives are more active than their corresponding ester derivatives. Selected compounds and their hydrolyzed derivative were found to exhibit higher bioactivity against all three earthworm species, in comparison to standard drugs. Moreover, compounds bearing tryptophan and histidine residues in their amino acid chains were found to possess good activity against all earthworm species whereas other compound showed only moderate level of activity.

Conclusion: On passing toxicity studies, some of the newly synthesized dinitroquinazolinodipeptide analogs may prove good candidates for clinical studies and may be potential anthelmintic agents of tomorrow.

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