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Synthesis, characterization, antianxiety activity and 3D-QSAR study of some novel indole bearing azetidinone derivatives

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Abstract:The manuscript describes synthesis of some novel indolebearing azetidinone derivatives and their evaluation for antianxiety activity.The compounds were synthesized following three step reaction to yield twenty derivatives as 3{[3-chloro-2-substituted-4-oxoazetidin-1-yl]imino}-1,3-dihydro-2H-indol-2-one (**23-42**). All the final structures were assigned on the basis of IR, ¹H NMR, mass spectra and elemental analyses.The final derivatives 3{[3-Chloro-2-(4-hydroxy,3-methoxy phenyl) -4-oxoazetidin-1-yl]imino}-1,3-dihydro-2H-indol-2-one (**29**) and 3{[3-Chloro-2-(4-(Dimethylamino)phenyl) -4-oxoazetidin-1-yl]imino}-1,3-dihydro-2H-indol-2-one (**29**) were found to be promising molecule in the series. The dimethyl amino and hydroxy substitution on the para position of phenyl ring system provided with active compounds having percentage preferences of open arm with 69.44and 83.33 respectively at 50 mg/kg dose level when compared to the standard drug. 3D-QSAR results revealed that addition of electropositive and bulky groups at thephenyl ring will contributetowards increase in the antianxiety activity of the molecules while electronegative groups decreases the activity.

Keywords:Indole, Azetidinone, Antianxiety activity, 3D-QSAR.

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