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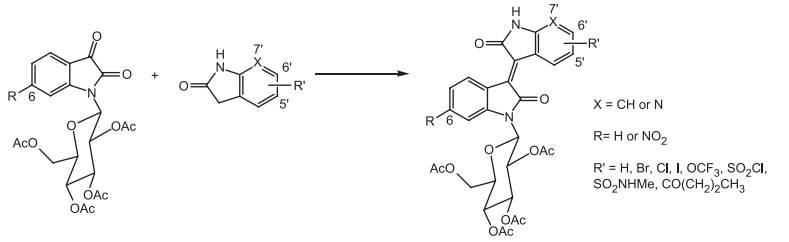
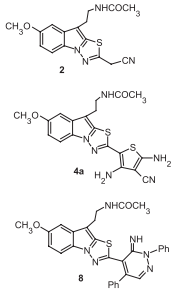
Contents

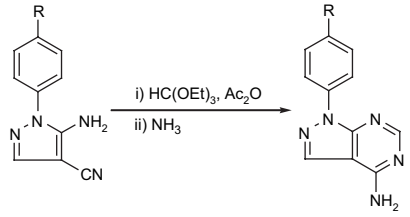
ORIGINAL ARTICLES



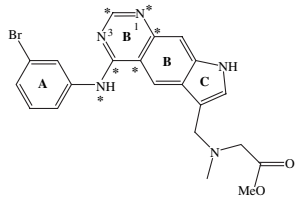
Acetylated glycosyl-isoindigos and 7'-azaisoindigos

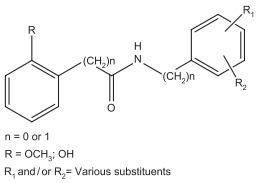
The purpose of this study was to evaluate the anti-mutagenic activity of the novel synthesized indole derivatives **2**, **4a**, and **8** in albino male mice in comparison with the parent melatonin. Efficacy of melatonin and its derivatives to influence cyclophosphamide (CP)-induced genotoxicity was tested using micronuclei (MN) formation in the bone marrow cells and determination of DNA, RNA and protein levels as well as cholinesterase and peroxidase activities in several organs of male mice. The present study suggests that compounds **4a**, **8** and melatonin were able to reduce the mutagenicity effect of CP in male mice. The ability of compounds **4a**, **8** and melatonin to reduce CP-related genotoxicity is possibly attributed to their antioxidant activity.



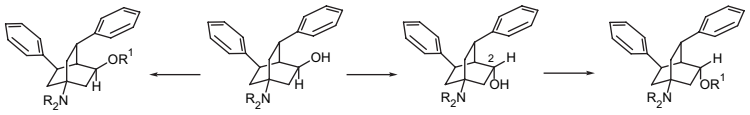


In this study, a new alternative route is presented to control the aberrant EGFR by blocking the autophosphorylation activity. Based on 3D-QSAR studies the attachment of a bulky group on C-ring along with an electronegative group on B-ring and a hydrogen-bond donor on methyl formate opens a new avenue towards the optimization of novel chemical entities to develop potent inhibitors for EGFR autophosphorylation. The predictive power of this model stems from good validations obtained by predictive r^2 and complementary docking.

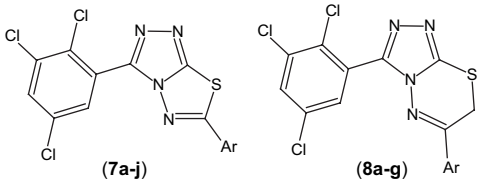




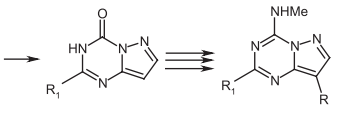
Epimerization of compounds with antiplasmodial and antitrypanosomal activity.

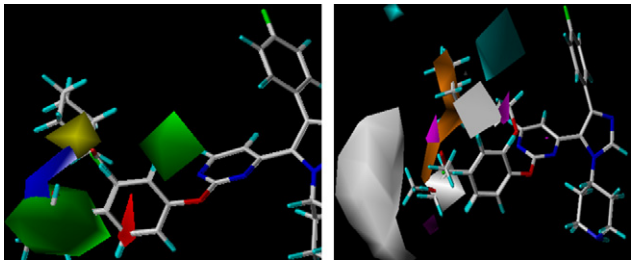


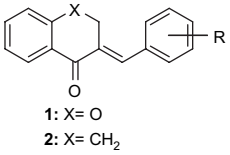
A series of 6-(substituted aryl)-3-(2,3,5-trichlorophenyl)-[1,2,4]triazolo[3,4-*b*][1,3,4] thiadiazoles (**7a–j**) and 6-(substituted aryl)-3-(2,3,5-trichlorophenyl)-7*H*-[1,2,4]triazolo [3,4-*b*][1,3,4]thiadiazines (**8a–g**) have been synthesized by condensing the triazole (**6**) with various aromatic acids in presence of $POCl_3$, and with various substituted phenacyl bromides. All the synthesized compounds have been screened for their antimicrobial and anti-inflammatory activities. Some of the compounds exhibited promising antimicrobial activities and moderate to good anti-inflammatory activity.

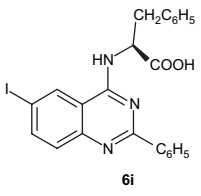


Some derivatives belonging to the series of pyrazolo[1,5-*a*]-1,3,5 triazines act as adenine bioisosteres and present potent and selective PDE4 inhibitory properties.

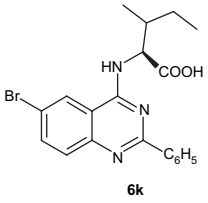




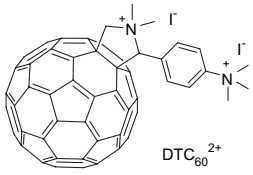




6i

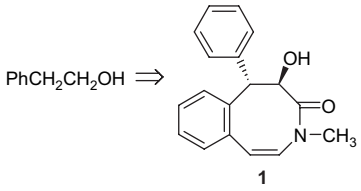


6k



LABORATORY NOTE

Zetaclausenamide **1** with hepatoprotective activity was prepared from 2-phenylethanol via six steps.



1

COVER

Overlay of the experimental and docked conformations of the ligand fluorescein in complex with an antifluorescein 4-4-20 Fab fragment (PDB code 1flr, 1.85 Å). The top-scoring conformation (purple) selected by the HINT force field, among the 255 poses generated by AutoDock, nearly overlays the crystallographic structure (yellow), while the conformation selected by the AutoDock scoring function (green) reverses the positions of the carbonyl and hydroxyl groups.
Image provided by Francesca Spyrakis, Alessio Amadasi, Micaela Fornabaio, Donald J. Abraham, Andrea Mozzarelli, Glen E. Kellogg, Pietro Cozzini.

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