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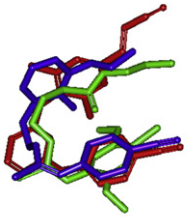
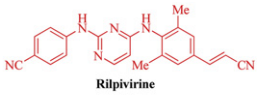
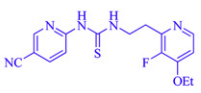
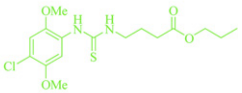
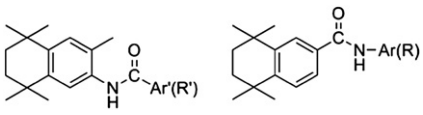
ORIGINAL ARTICLES

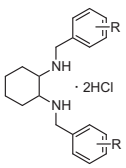






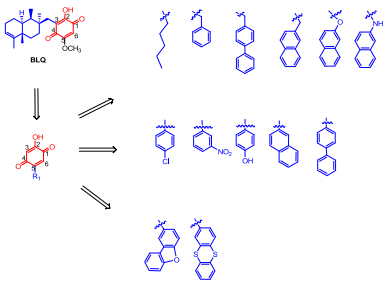


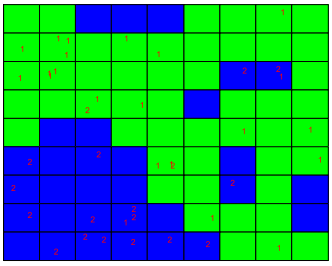




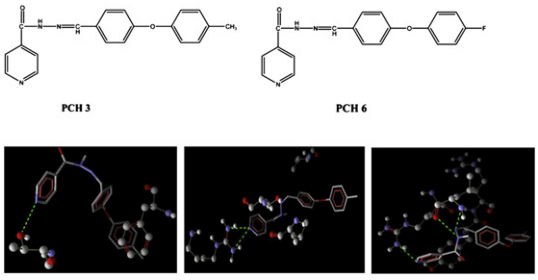
36 Examples

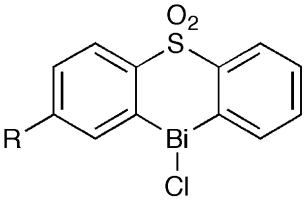
R-4	MIC (μg/mL)			
	E. Coli	*P. aeruginosa*	*S. aureus*	*E. epidermidis*
Me	0.25	0.125	0.125	0.125
Et	0.008	0.004	0.008	0.008
i-Pr	0.004	0.0005	0.008	0.008
n-Bu	0.008	0.0005	0.008	0.008
t-Bu	0.008	0.0006	0.004	0.008



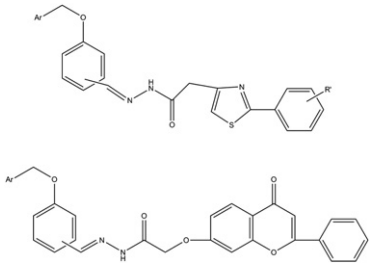


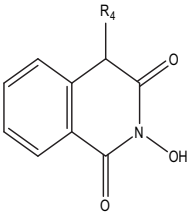
Class 1= active, Class 2= inactive



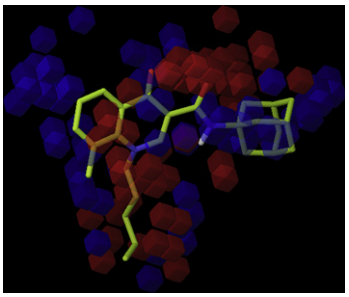


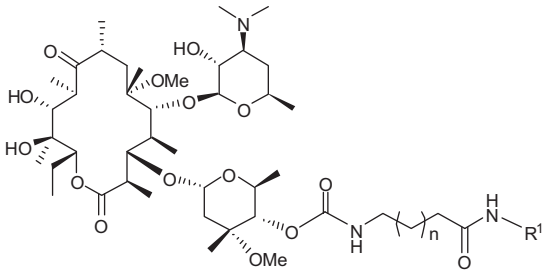
R = Me, Ph, OMe, Cl, H, *t*-Bu, CF_3, F, NMe_2

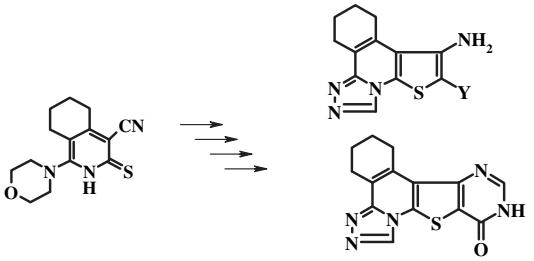


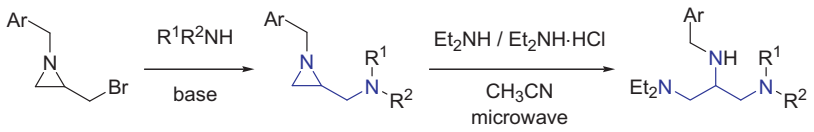


R_4 = alkyl, arylalkyl



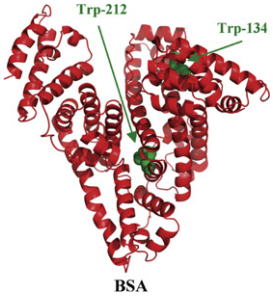




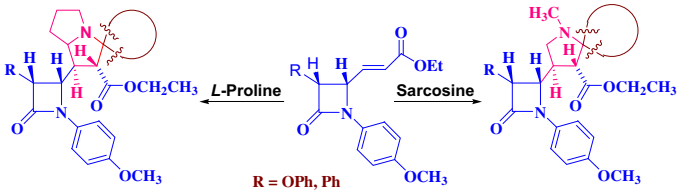


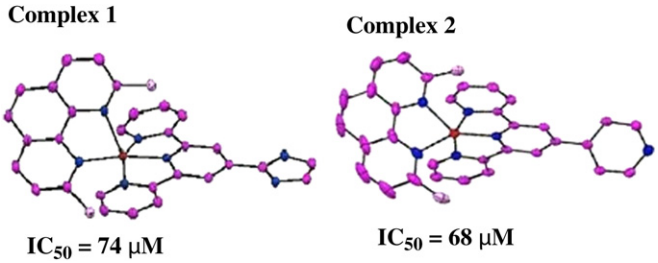
R1R2NH = adenine, thymine, 1,2,4-triazole, Et_2NH

The binding of baicalein to bovine serum albumin (BSA) in aqueous solution has been studied by fluorescence, UV, CD and the three-dimensional (3D) fluorescence spectra.

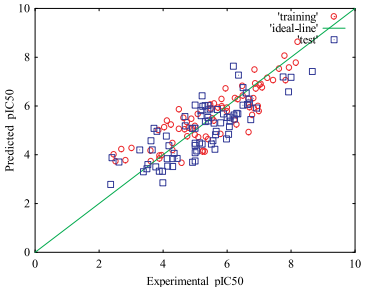


Synthesis of novel β-lactam grafted spiropyrrolidine/pyrrolizidines has been accomplished by intermolecular 1,3-dipolar cyloaddition reaction. These compounds showed good antimicrobial activity even at lower concentration.

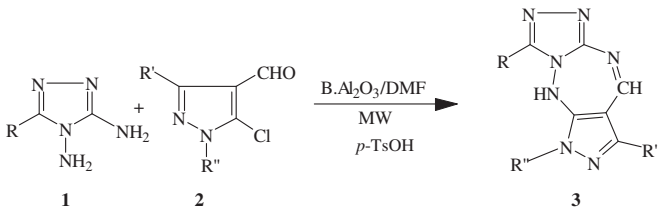


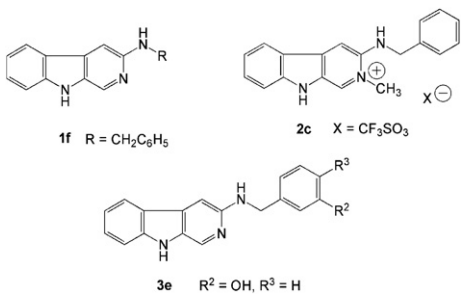


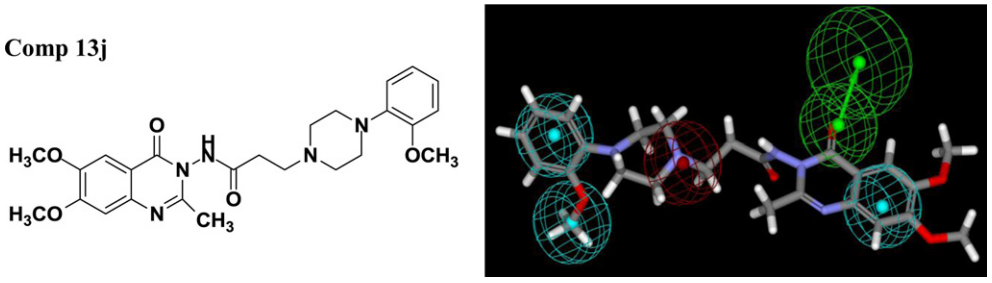
A predictive model of hERG activity was developed and evaluated. Statistical parameters and enrichment factor indicated the model's effectiveness. The test with randomized experimental data demonstrated its robustness.



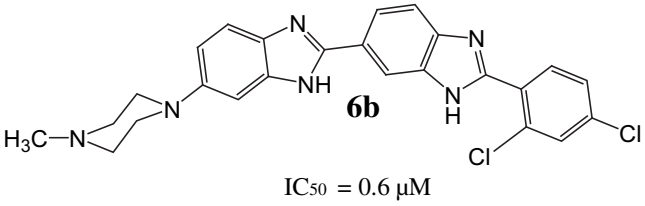
A simple, efficient and environment-friendly procedure is developed for the synthesis of antifungal active 1-substitued-8-aryl-3-alkyl/aryl-4*H*-pyrazolo [4,5-*f*][1,2,4]triazolo[4,3-*b*][1,2,4]triazepines in the presence of *N*,*N*-dimethylformamide as an energy transfer medium, basic alumina as solid support and *p*-TsOH as catalyst under microwave irradiation.





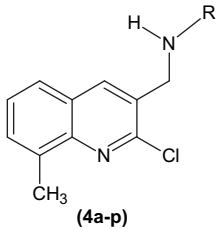


A series of 2-bisbenzimidazole derivatives were synthesized and evaluated as topoisomerase I inhibitors. Compound **6b** was found to be the most potent inhibitor.



IC_{50} = 0.6 μM

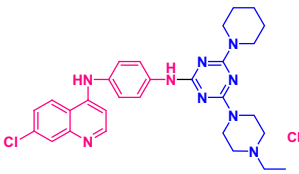
A series of heteroaryl amines containing 2-chloro-8-methylquinoline derivatives were synthesized and evaluated for antidepressant and antifungal activity.



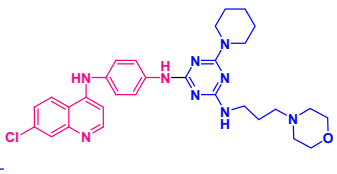
R = aryl / alkyl / benzyl

(4a-p)

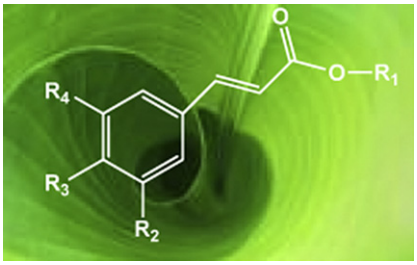
A series of novel hybrid class 4-anilinoquinoline triazines have been synthesized and screened for their antimalarial activity in in vitro and in vivo assay.

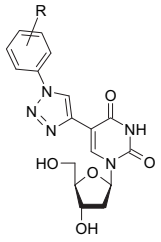


14, IC_{50} = 29.74 nM

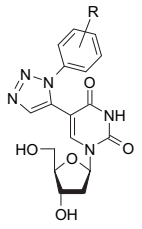


16, IC_{50} = 23.13 nM

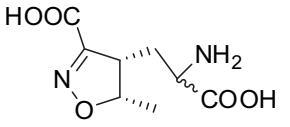


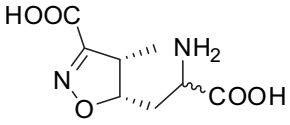


Target compounds (1,4-regioisomers)

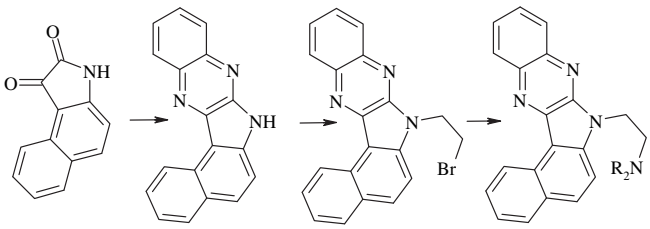


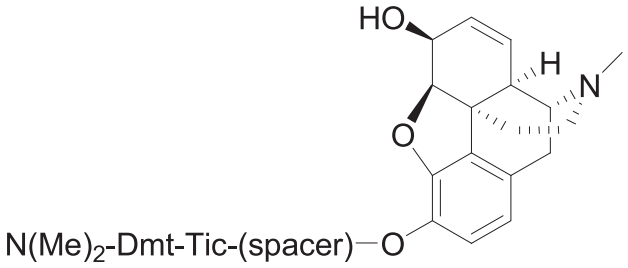
Target compounds (1,5-regioisomers)



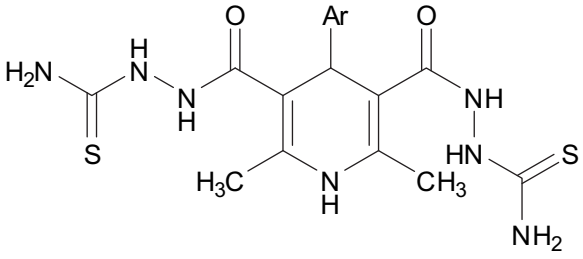


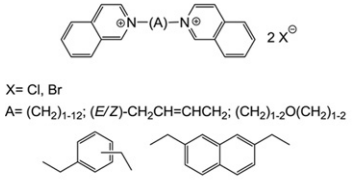
SHORT COMMUNICATIONS

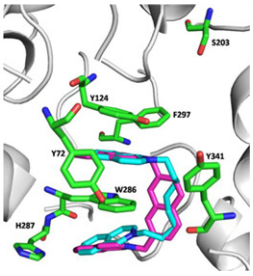




Screening for anticoagulant activity of 1,4-dihydropyridine derivatives.







COVER

An evaluation of hsp90 inhibitors chemical diversity has been performed. 2D-molecular descriptors, principal component analysis and fragment-based approach have been used to explore their chemical space. 45/5, P2000-2009 by Davide Audisio, Samir Messaoudi, Ismail Ijjaali, Elodie Dubus, François Petitet, Jean-François Peyrat, Jean-Daniel Brion, Mouâd Alami © 2010 Published by Elsevier Masson SAS

* Corresponding authors.

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