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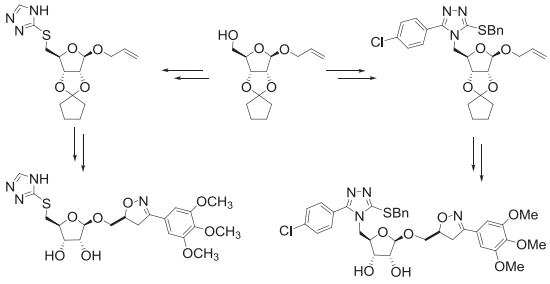
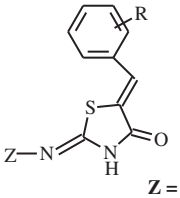
European Journal of Medicinal Chemistry Vol 47, 2012

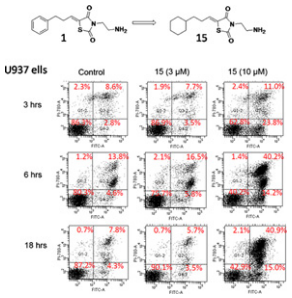
Contents

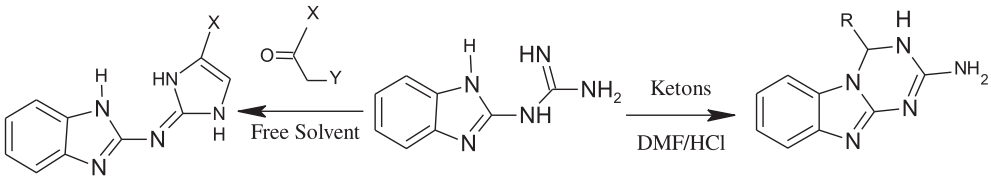
ORIGINAL ARTICLES

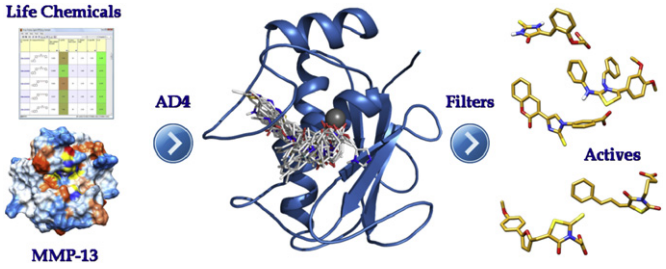




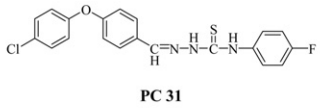
Z = benzo/benzoisothiazole

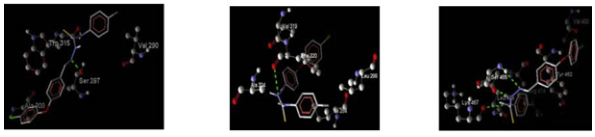


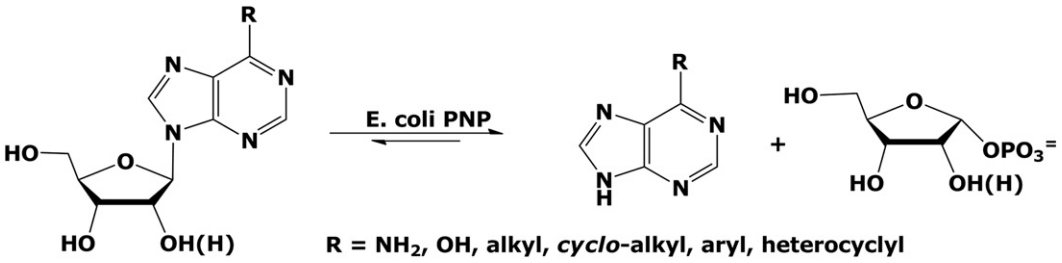


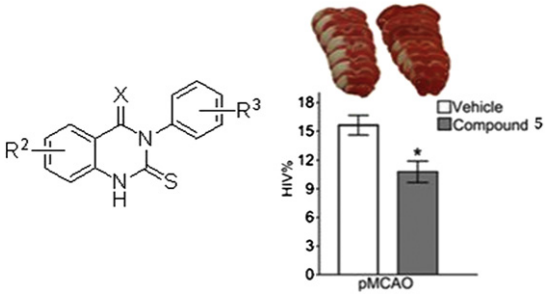


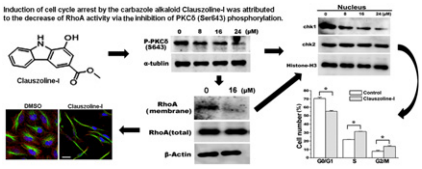
Thirty six novel *N*-(4-substituted phenyl)-2-[4-(substituted)benzylidene] hydrazinecarbothioamides were synthesized and evaluated for anticonvulsant activity. Their computational studies were also reported. 2-[4-(4-Chlorophenoxy)benzylidene]-*N*-(4-fluorophenyl)hydrazinecarbothioamide **PC 31**emerged as most active compound of the series.

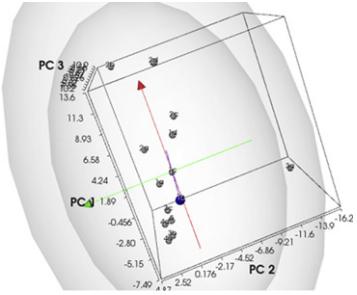


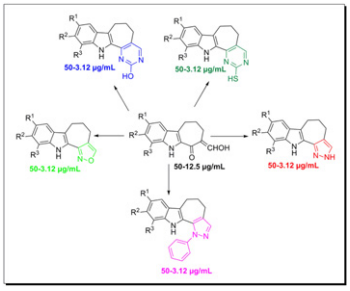


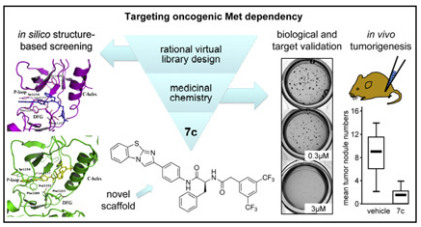


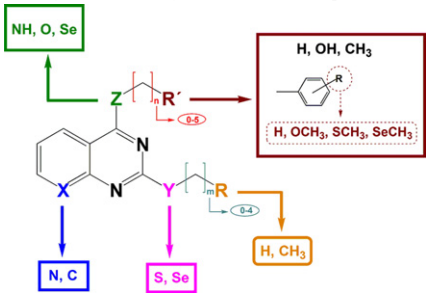


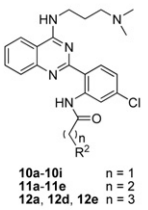


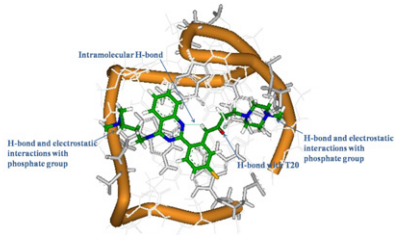




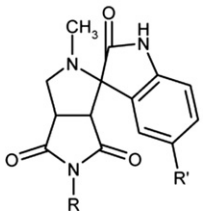


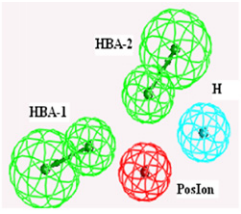


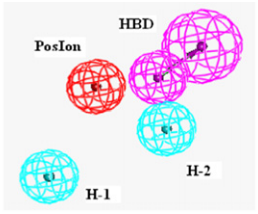


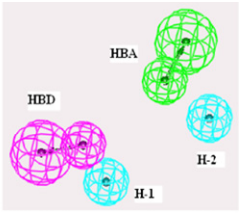


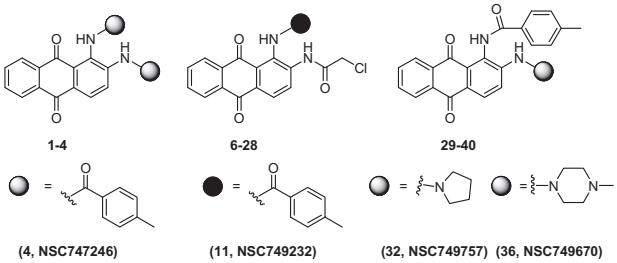
11d

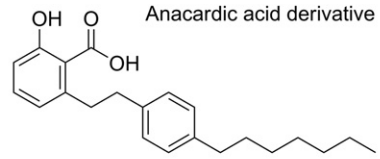






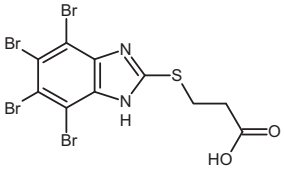




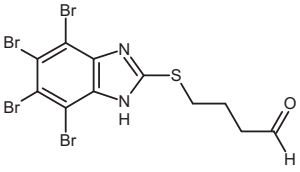


Tip60 IC_{50} 74 μM p300 IC_{50} > 200 μM
MOF IC_{50} 47 μM PCAF IC_{50} >> 200 μM

4,5,6,7-tetrabromo- and 4,5,6,7-tetraiodo-*1H*-benzimidazoles and their newly obtained N^1- and 2-S-carboxyalkyl derivatives showed potent inhibitory activity against human protein kinase CK2 catalytic subunits. Among newly synthesized compounds **5c** and 5**e** exert the highest anti-CK2 activity. CK2α′ was up to 6 times more sensitive to the studied compounds than CK2α

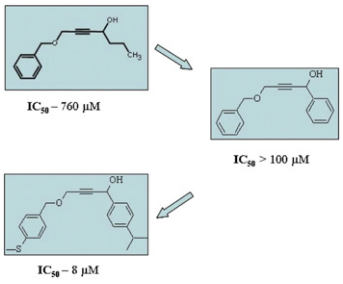


5c

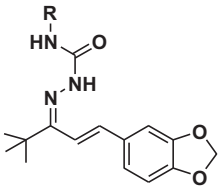


5e

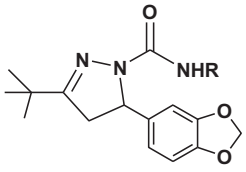
In this study, a group of 4-(benzyloxy)-1-phenylbut-2-yn-1-ol derivatives were designed using Site point connection method, synthesized and evaluated for their 5-Lipoxygenase (5-LOX) inhibitory activity.



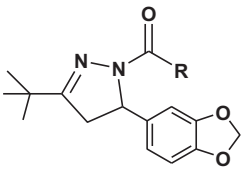
The synthesis and anticonvulsant activity of certain novel stiripentol analogues **7a**–**h**, (±) **8a**–**h**, and (±) **13a**–**f** are reported. The anticonvulsant potential of the prepared compounds was evaluated using subcutaneous pentylenetetrazole (scPTZ) and maximal electroshock seizures (MES) screens.



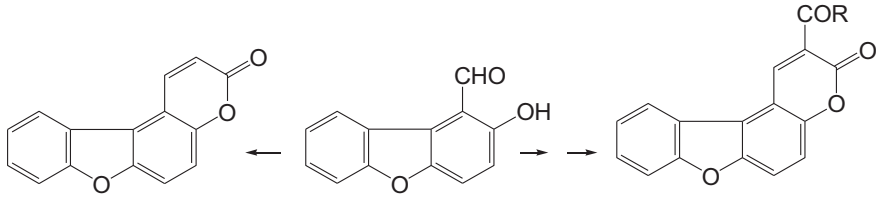
7a-h



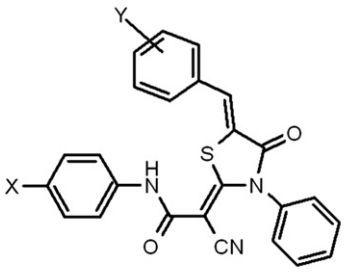
(±)-**8a-h**

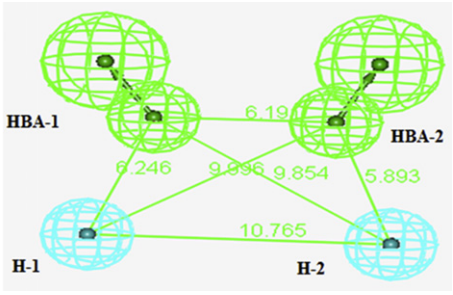


(±)-**13a-f**

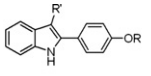


4-Thiazolidinones were prepared in a high stereoselective manner and tested for their antitumor activity. Compound **4b** was the most effective agent against colon HCT116 and breast MCF7 cancer cell lines.

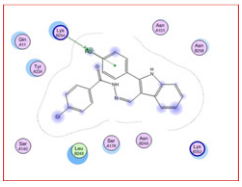


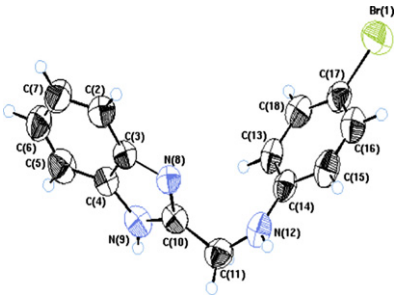


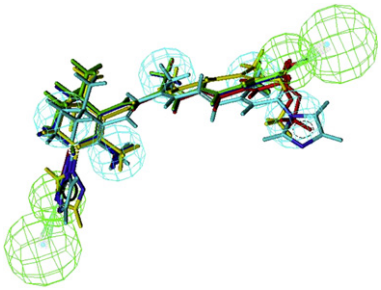
Several 3-(un)substituted-2-phenylindoles were synthesized according to Schemes 1–3. Their antitumor activity was evaluated against MCF-7 cell line. Compound **3e** was more potent than vincristine. Docking study suggested their antitubulin activity.

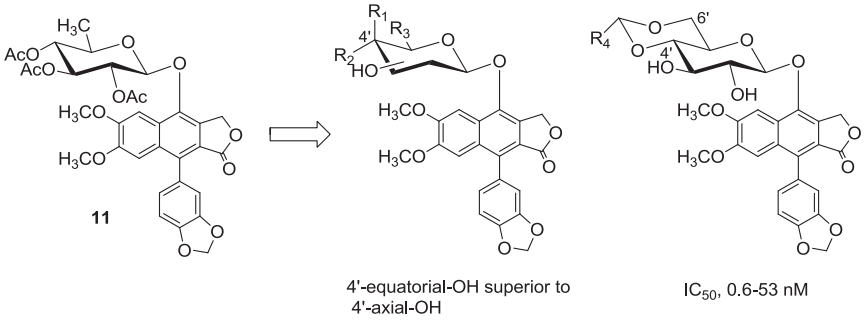


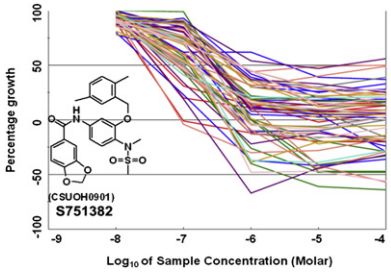
R= H, acyl, substituted alkyl
R'= H, CHO, aza-alkyl, aza-aralkyl,...

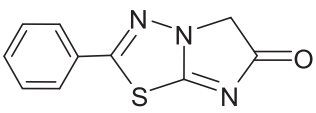




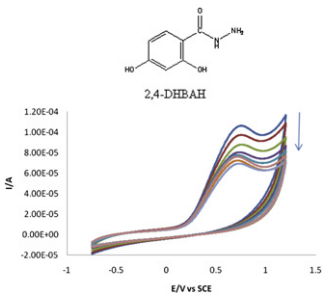


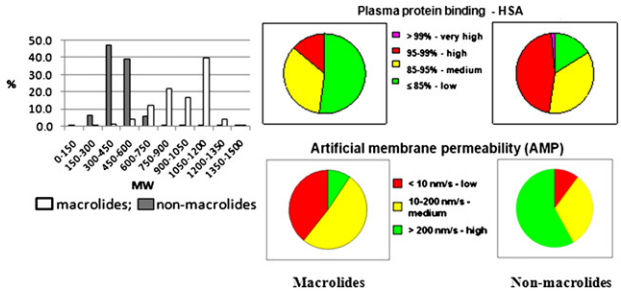


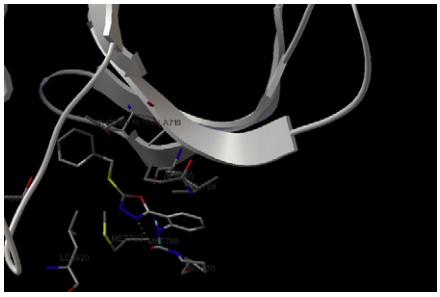


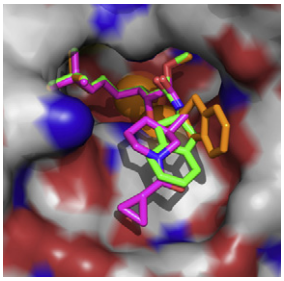


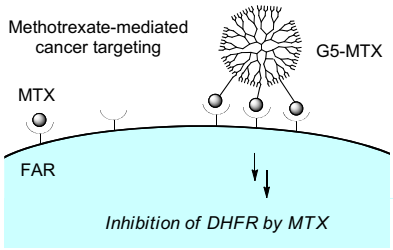
6c: $GI_{50} = 6.0$ μM
$TGI = 17.4$ μM
$LC_{50} = 55.1$ μM

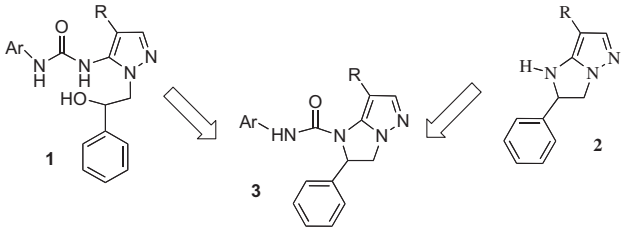




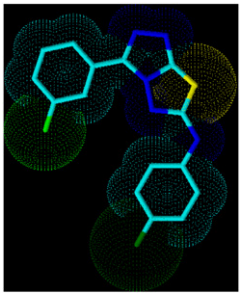




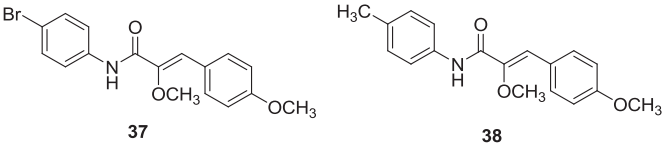


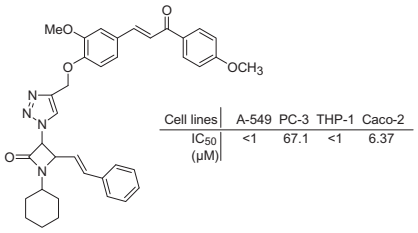


PRELIMINARY COMMUNICATIONS

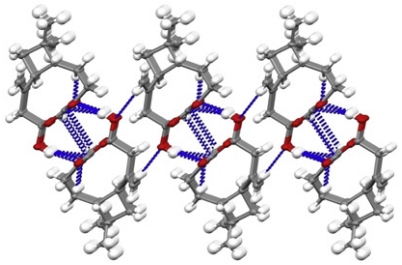


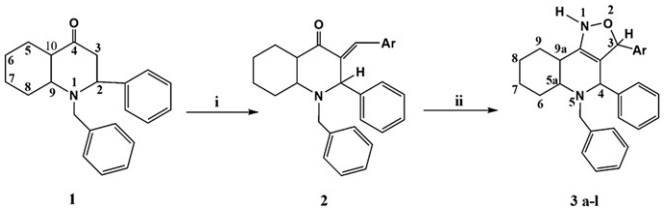
SHORT COMMUNICATIONS

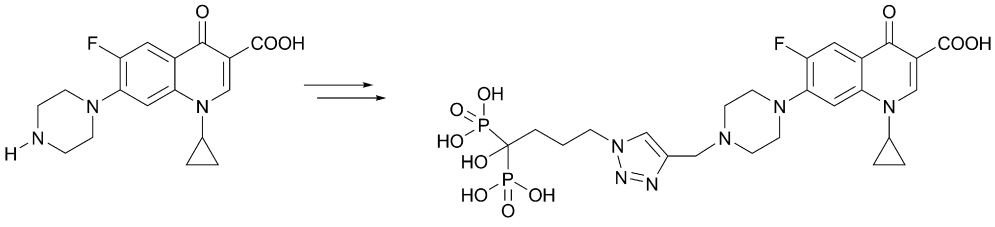


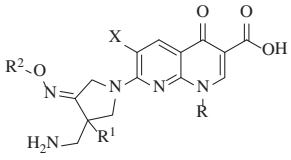


Cell lines	A-549	PC-3	THP-1	Caco-2
IC_{50} (μM)	<1	67.1	<1	6.37









RETRACTION NOTICE

COVER

This picture is taken from the review published in: European Journal of Medicinal Chemistry, 2010, Volume 45, Pages 2095-2116. The review is focused on the binding of inhibitors to the catalytic site of histone deacetylase © 2010 Published by Elsevier Masson SAS

* Corresponding authors.

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