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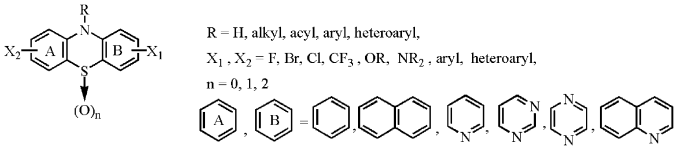
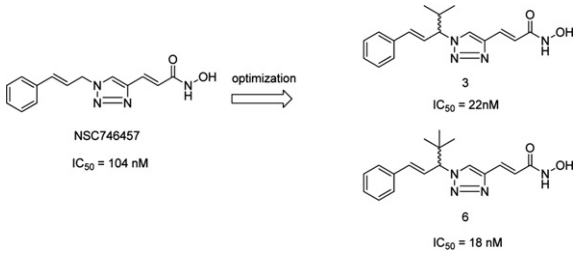
Contents

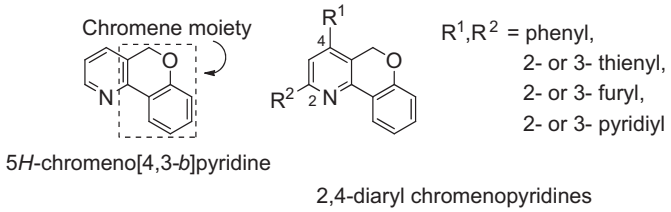
INVITED REVIEW ARTICLE

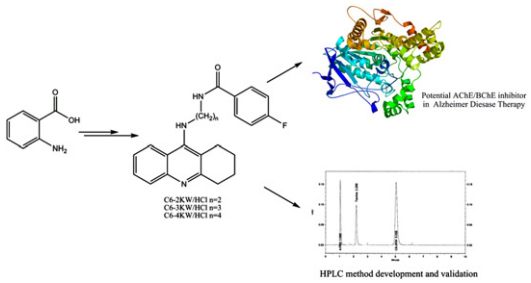


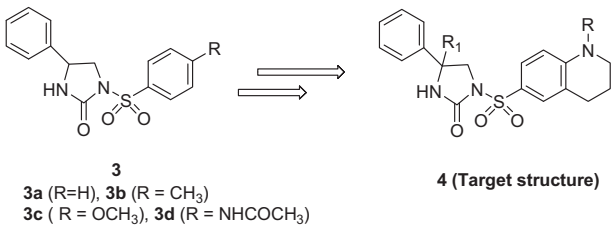
ORIGINAL ARTICLES

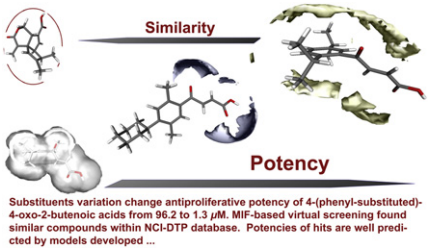
Optimization of lead compound NSC746457 led to identification of two compounds with potent activity against HDAC enzymes.

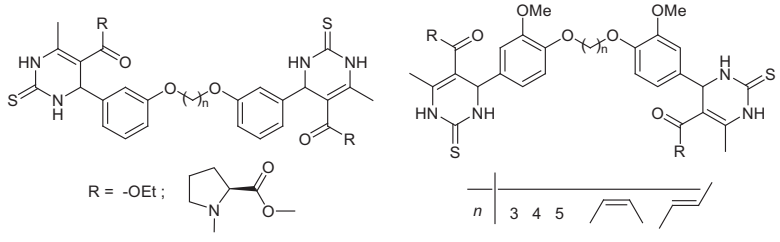


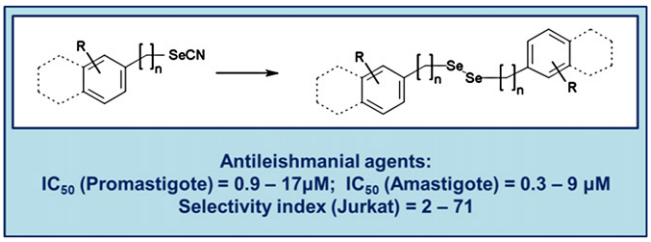




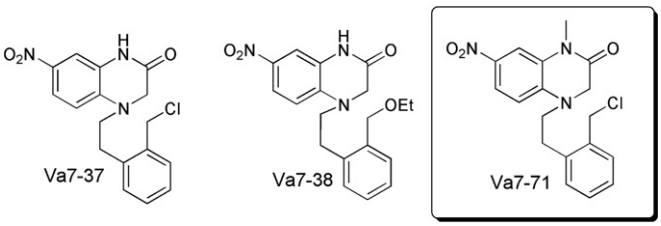


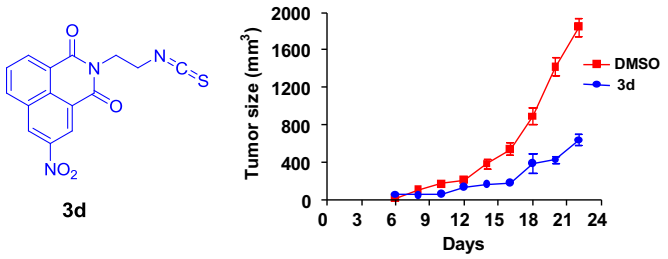




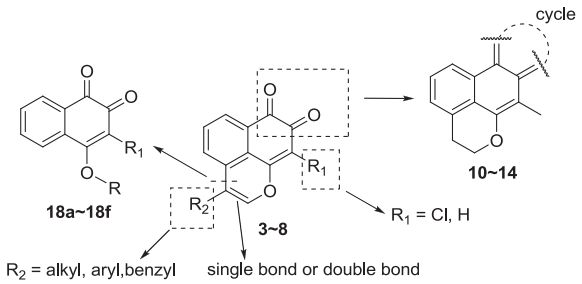


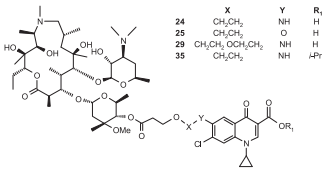
Two QSAR models to identify new trypanosomicidal compounds were developed. Three compounds showed more than 70% of anti-epimastigote elimination at 10 μg/mL. Additionally, compound Va7-71 has a 100% of intracellular amastigote elimination.





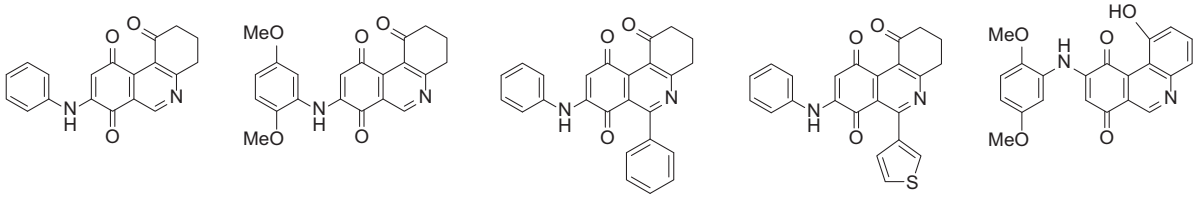
Various novel mansonone F derivatives were designed and synthesized for SARs study, and some of these compounds showed significant stronger inhibition against topoisomerase II than a positive control Etoposide.



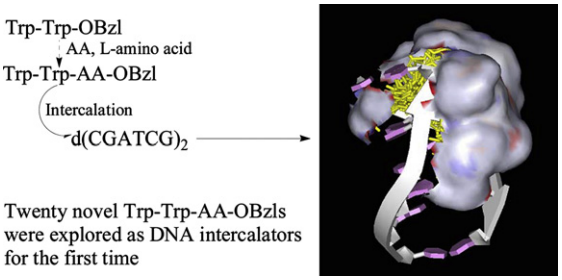


Cpd	IV			PO
	CL mL/min/kg	Vd L/kg	$t_{1/2}$ h	Oral F %
24	26.3	19.2	8.4	3.1
25	18.6	16.7	10.4	<1
29	13.2	10.7	9.1	10.7
35	9.0	20.7	26.6	10.7

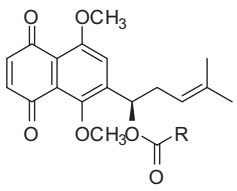
A variety of novel phenylaminophenenthridinequinones were synthesized to evaluate their antitumor activity. Among the members of the series, quinones **4a**, **7a**, **16**, **18** and **20** exhibited similar or better activity to that of the reference drug etoposide.

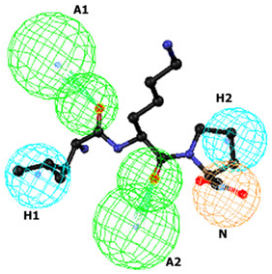


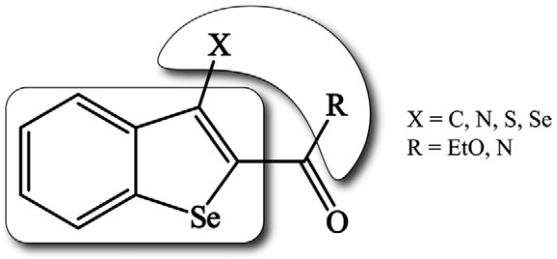
4a **7a** **16** **18** **20**

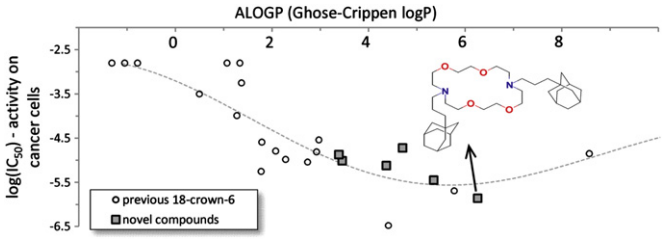


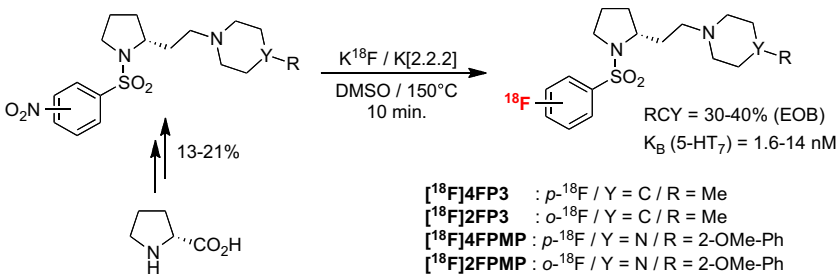
A series of the 6-isomers of 5, 8-*O*-dimethyl acylshikonin derivatives were synthesized and evaluated for their cytotoxicities. The in vivo antitumor activities of two corresponding isomers were also compared.

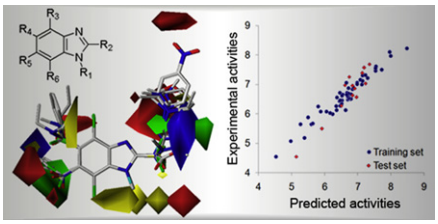




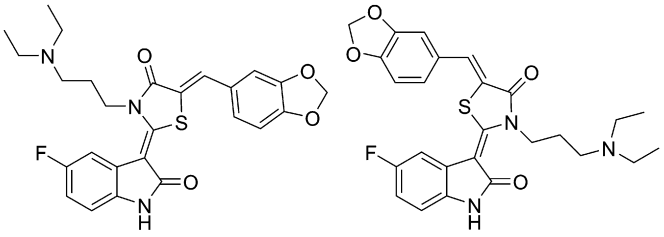




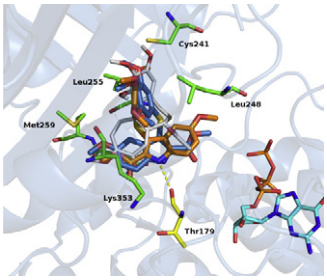




The cytotoxic activity of 4-thiazolidinone and indolin-2-one hybrid compound **10c** (IC_{50} = 0.025 μM, 0.075 μM, 0.77 μM, 1.95 μM) was significant against HT-29, H460, MDA-MB-231 and SMMC-7721 cancer cell line, respectively.

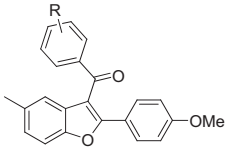


Molecular modelling studies on the binding of Arylthioindoles to tubulin colchicine site.



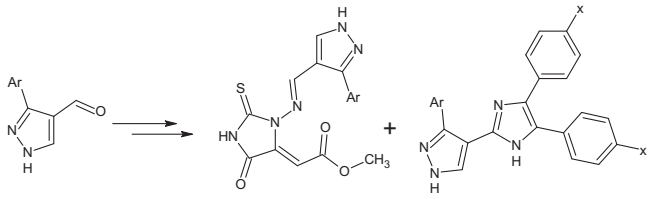
SHORT COMMUNICATIONS

Synthesis, characterization, antibacterial and antifungal properties of some novel benzofurans have been described.

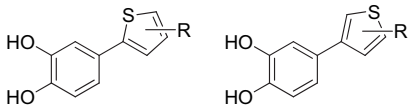


Minimum Inhibitory Concentration (μg/mL)

R	*E.coli*	*S. aureus*	MRSA	*B.subtilis*
4-OH	0.78	<0.39	0.78	0.78
4-OH-3-Me	0.78	0.78	0.78	1.56
3-OH-5-OMe	0.78	<0.39	0.78	0.78
4-OH-3-I	3.12	0.78	0.78	1.56



PRELIMINARY COMMUNICATION



Antibacterial methionine aminopetidase inhibitors

CORRIGENDUM

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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