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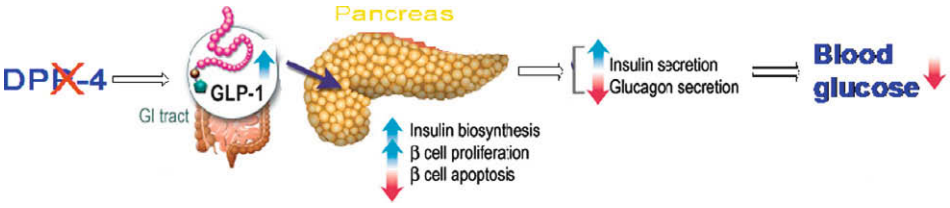
Contents

REVIEW



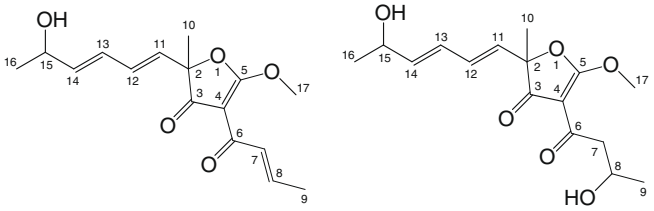
ARTICLES

$$Cu(I)\text{-}[GSH]_2 + O_2 \rightleftharpoons Cu(II)\text{-}[Complex] + O_2^{\cdot-}$$

$$Cu(II)\text{-}[Complex] + 2O_2^{\cdot-} \xrightarrow[TEMPOL]{} Cu(II)\text{-}GSSG + H_2O_2 + O_2$$

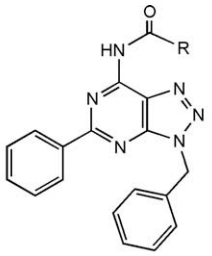
$$Cu(II)\text{-}GSSG + 3GSH \longrightarrow Cu(I)\text{-}[GSH]_2 + 1/2GSSG$$

$Cu(I)$–$[GSH]_2$ reacts with oxygen forming superoxide radicals. Upon removal of such radicals Cu(II)–GSSG is formed. Subsequent addition of GSH regenerates the $Cu(I)$–$[GSH]_2$ complex. Redox implications of these interactions are discussed.

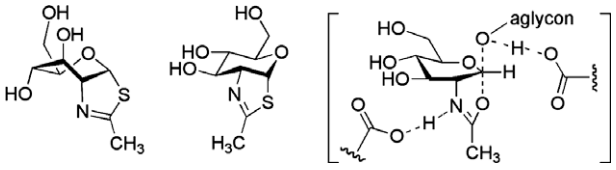


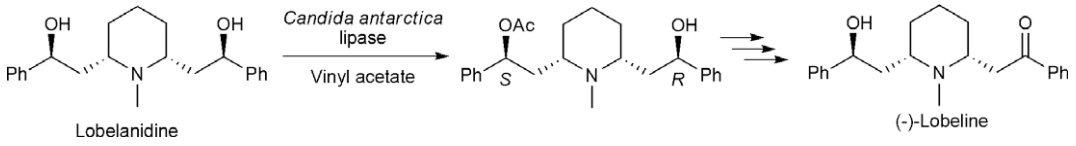
Penicilliol A (**1**) PenicilliolB(**2**)

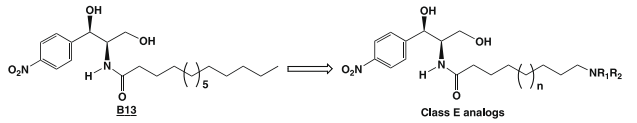
Penicilliols A (**1**) and B (**2**) are novel 5-methoxy-3(2*H*)-furanones isolated from cultures of a fungus (*Penicillium daleae* K.M. Zalessky) derived from a sea moss, and these compounds selectively inhibited activities mammalian Y-family DNA polymerases.



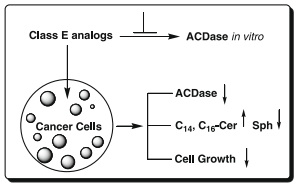
R= phenyl, substituted phenyl, cycloalkyl, heterocyclic ring

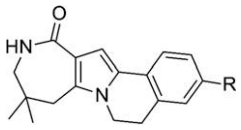




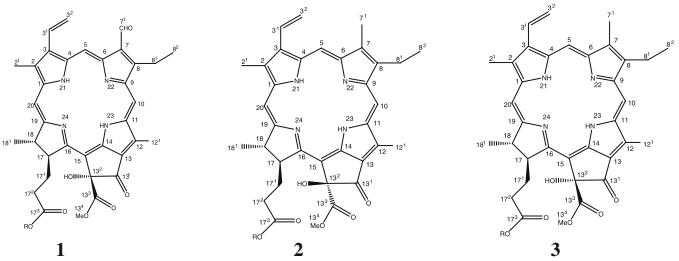


Inhibitory Effects	B13	Class E analogs LCL463	LCL464	LCL506
Cell growth MCF-7 (IC50/μM)	20	6	10	15
ACDase *in vitro* 50μM (%Ctrl)	7	59	47	67
ACDase *cellular* 10μM/ 2h (%Ctrl)	101	45	34	56
Cellular SPLs 10μM/ 2h	C_n-Cers ↑ Sph ↑		C_{14}-, C_{16}-Cers ↑ Sph ↓	



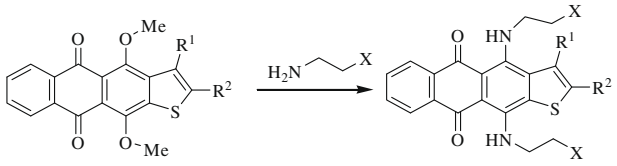


A series of new azepinopyrroloisoquinolinones were designed as potential cytotoxic compounds and were synthesized using a radical oxidative aromatic substitution reaction as the key step.

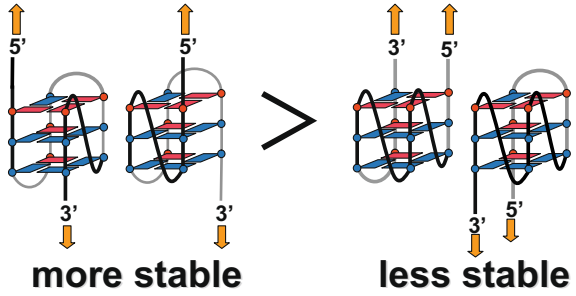


1 2 3

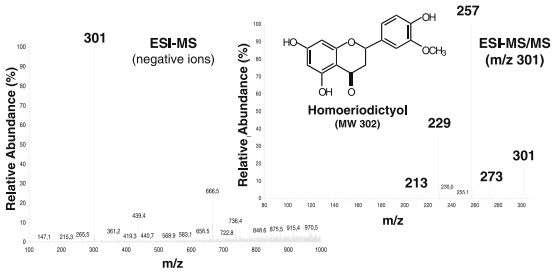
Three chlorophyll derivatives (phaeophytins) were isolated from the chloroform extract of *Clinacanthus nutans* Lindau leaves by means of chromatographic techniques and bioactivity-guided fractionation to give three pure compounds. They exhibited anti-HSV-1F activity at subtoxic concentrations. Their inhibitory activity affected the virus before viral entry to the host cells. This effect might be virucidal and interfering viral adsorption or penetration.



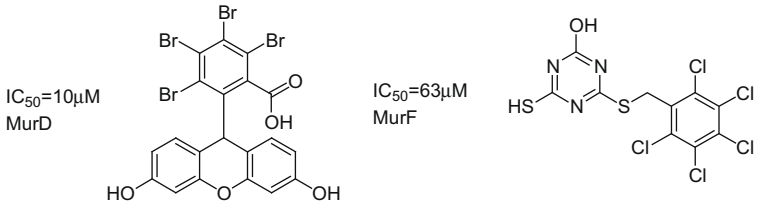
The preparation and cytotoxic properties of novel series of 4,11-bis[(aminoethyl)amino]anthra[2,3-*b*]thiophene-5,10-diones are described. The anthra[2,3-*b*]thiophene-5,10-diones carrying *N*-methyl- or *N*,*N*-dimethylamino groups at the side chains demonstrated a remarkable activity against drug resistant tumorcells. The derivatives with guanidine groups in the side chains were identified as potent inhibitors of telomerase activity.

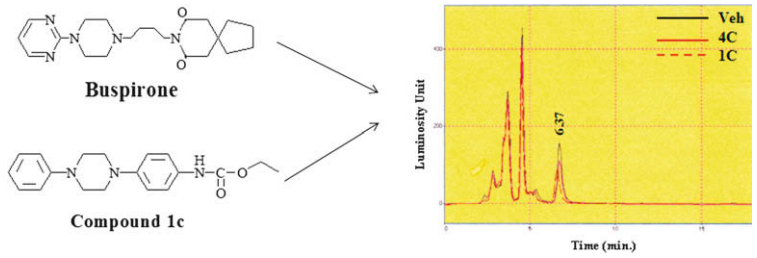


We found that the ends of stable G-quadruplex structures point in opposite directions. This result indicates that the human telomere DNA is likely to form compact higher-order structures.

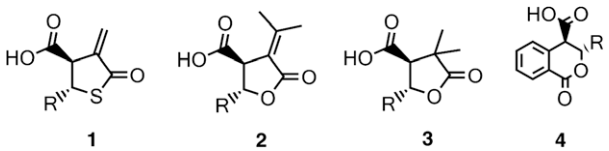


The ESI-MS/MS methodology proposed can be used as a model procedure for identification and characterization of unknowns without the prerequisite for standard compounds analysis.

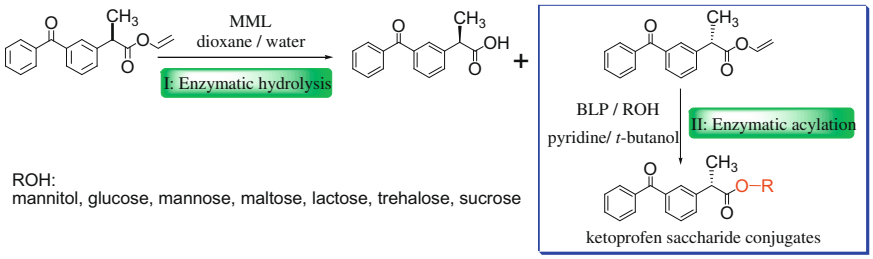




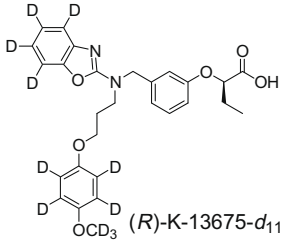
A series of *N*-{4-[4-(aryl)piperazine-1-yl]-phenyl}-amine derivatives(**1c**–**4e**) were synthesized and evaluated for their anxiolytic activity. Serotonin levels were also determined by HPLC for possible involvement of 5-HT$_{1A}$ receptors. Compounds **1c** and **4c** were found to be good anxiolytics.



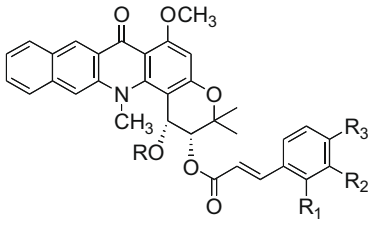
The synthesis, biological evaluation, and molecular docking studies of several novel series of **C75** derivatives are described.



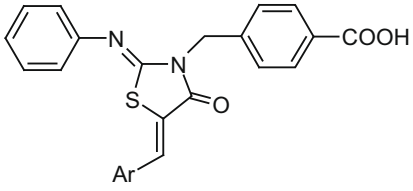
Ketoprofen-saccharide conjugates were synthesized by selectively enzymatic hydrolysis and acylation. The products have better water solubility than parent ketoprofen and thus suitable for potentially pharmaceutical application.

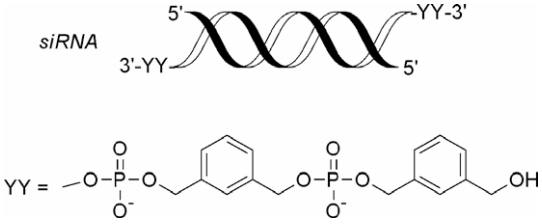


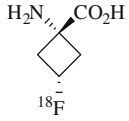
Cinnamoyl esters of (±)-*cis*-1,2-dihydroxy-6-methoxy-3,3,14-trimethyl-1,2,3,14-tetrahydro-7*H*-benzo[*b*]pyrano[3,2-*h*]acridin-7-one are slower DNA alkylators than the corresponding diacetate S23906-1, and are significantly active against C-38 adenocarcinoma implanted in mice.



R = H, $COCH_3$
R_1, R_2, R_3 = H, Cl, Br, OCH_3, CF_3, NO_2

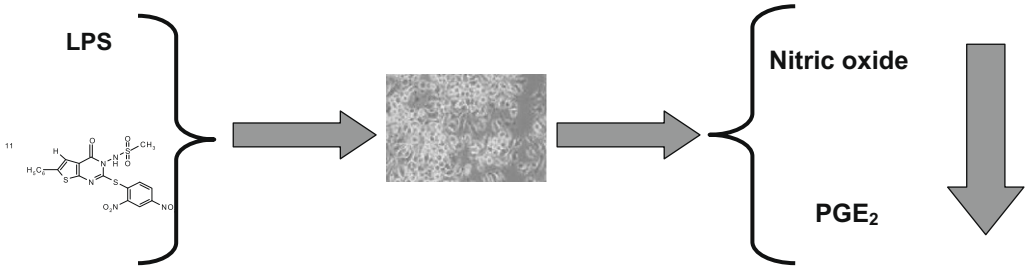




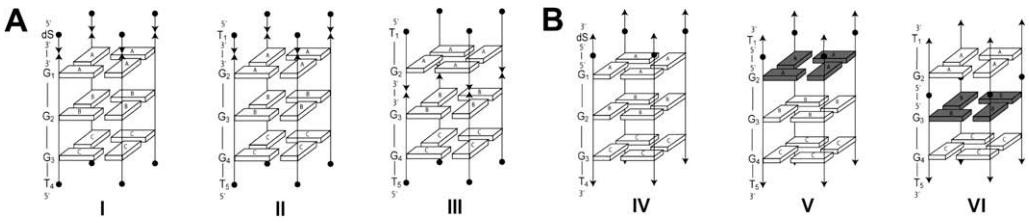


[^{18}F]**12**

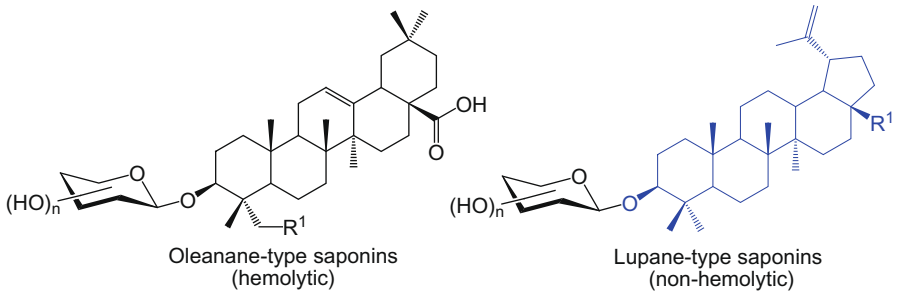
syn-FACBC **12**, the isomer of *anti*-FACBC, has been stereoselectively synthesized and [^{18}F] radiofluorinated in 52% decay-corrected yield. Biological evaluation using rat 9L gliosarcoma model showed that this tracer entered tumor cells via L-type amino acid transport in vitro with high tumor to brain ratio of 12:1 at 30 min post injection in vivo.

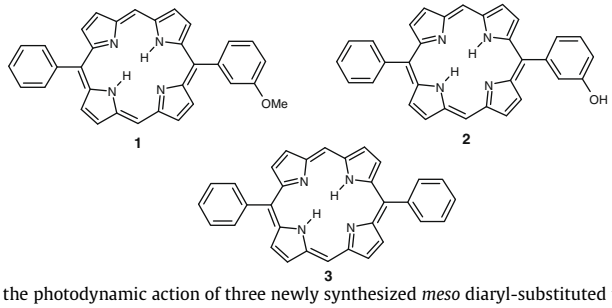


N-[2-[(2,4-Dinitrophenyl)thio]-4-oxo-6-phenylthieno[2,3-*d*]pyrimidin-3(4*H*)-y]methanesulfonamide inhibits LPS-stimulated formation of nitric oxide and PGE_2.



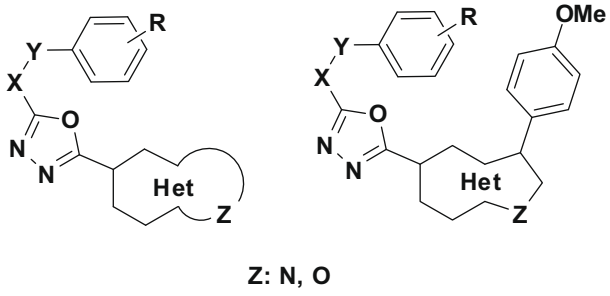
NMR and CD studies concerning quadruplexes based on sequence TGGGT and containing 3′–3′ or 5′–5′ inversion of polarity sites were reported.

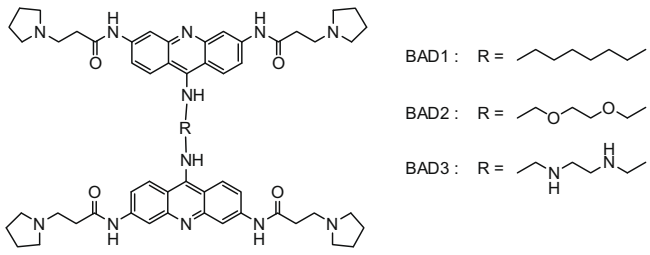




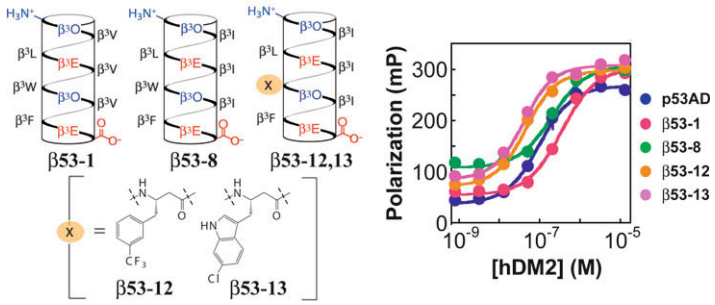
The investigation of some mechanistic aspects of the photodynamic action of three newly synthesized *meso* diaryl-substituted tetrapyrrole that have shown in vitro cytotoxicity on the human colon carcinoma cell line HCT116. The results were compared with those obtained with *m*-THPC.

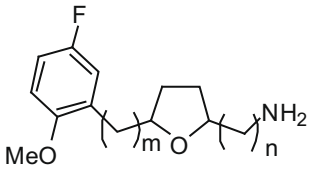
Design, synthesis and structure–activity relationships of novel oxadiazole derivatives as GSK-3β inhibitors are reported.

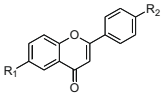




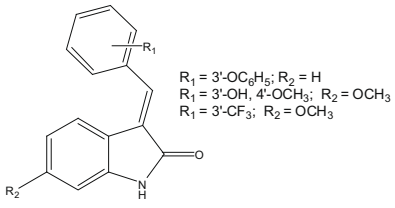
The ability of several acridine dimers designed based on the known telomerase inhibitor BRACO19 were prepared and tested for binding to G-quadruplex DNA and inhibition of telomerase and hPot1.



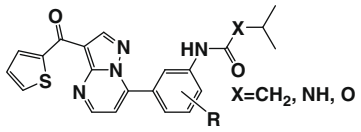




Compound	R_1	R_2
8a	FCH_2CH_2O	$N(CH_3)_2$
8b	$F(CH_2CH_2O)_2$	$N(CH_3)_2$
8c	$F(CH_2CH_2O)_3$	$N(CH_3)_2$
12	FCH_2CH_2O	NH_2
13	FCH_2CH_2O	$NHCH_3$
15b	$F(CH_2CH_2O)_2$	NH_2
15c	$F(CH_2CH_2O)_3$	NH_2
17b	$F(CH_2CH_2O)_2$	$NHCH_3$
17c	$F(CH_2CH_2O)_3$	$NHCH_3$
21	F	NH_2
22	F	$NHCH_3$
23	F	$N(CH_3)_2$



Functionalized 3-benzylidene-indolin-2-ones combine selective induction of NQO1 with potent antiproliferative activity. They can potentially protect normal cells by upregulation of NQO1 and other phase II enzymes as well as simultaneously targeting neoplastic cells.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

An insight into biologically relevant chemical space showing the scaffolds of potential natural-product based inhibitors orbiting their target, the protein structure of protein 11-beta steroid dehydrogenase (PDB code 1xu7). Graphic produced using Pymol (http://www.pymol.org). [M. A. Koch, A. Schuffenhauer, M. Scheck, S. Wetzel, M. Casaulta, A. Odermatt, P. Ertl, H. Waldmann, Charting biologically relevant chemical space: A structural classification of natural products (SCONP), *PNAS* **2005**, *102*, 17272–17277 and S. Wetzel, H. Waldmann, Cheminformatic analysis of natural products and their chemical space, *Chimia* **2007**, *61*(6), 355–360].

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