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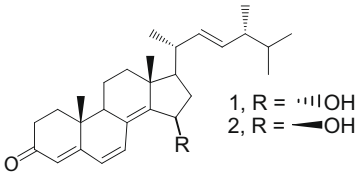
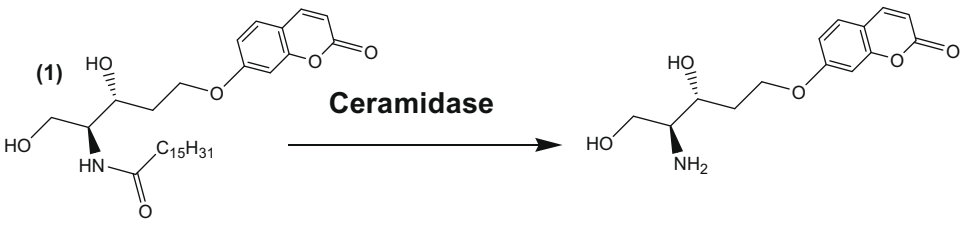
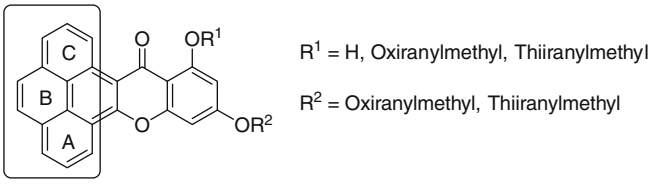
Contents

ARTICLES

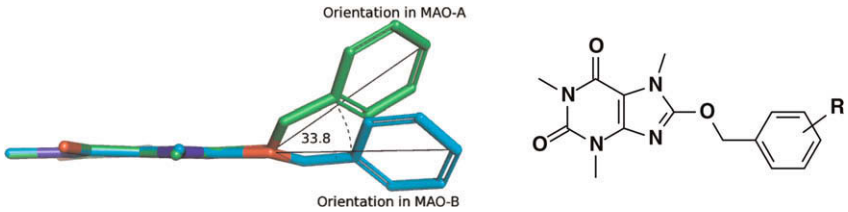


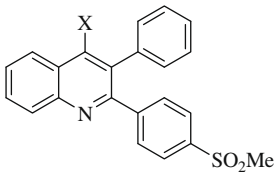
Two novel ergosterol derivatives, ganodermasides A (**1**) and B (**2**), were isolated from spores of a medicinal mushroom, *Ganoderma lucidum*. They exhibited remarkable activity on extending replicative lifespan of a yeast strain via *UTH1* gene.



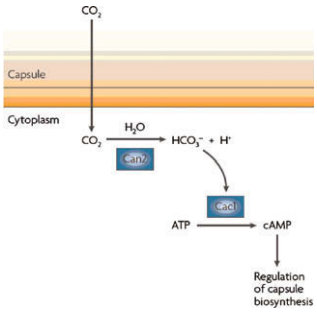


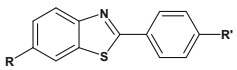
Target structures





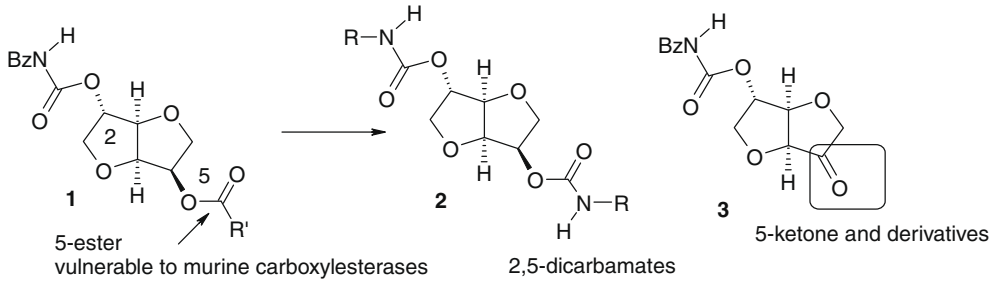
A new group of 2,3-diarylquinoline derivatives possessing a methylsulfonyl COX-2 pharmacophore at the *para*-position of the C-2 phenyl ring were designed and synthesized as selective COX-2 inhibitors. In vitro COX-1/COX-2 structure–activity relationships were determined by varying the substituents on the C-4 quinoline ring.

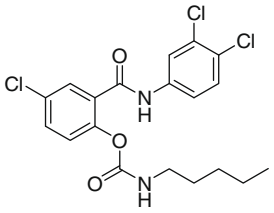




9a R=R'= amidino x HCl
9b R=R'=imidazolinyl x HCl
10a R=NO_2, R'= amidino x HCl
10b R= NO_2 R'=imidazolinyl x HCl
11a R= amidino x HCl, R'= NO_2
11b R=imidazolinyl, R'= NO_2
12a R=amino, R'= amidino x HCl
12b R=amino, R'=imidazolinyl x HCl
13a R= amidino x HCl, R'=amino
13b R=imidazolinyl x HCl, R'=amino

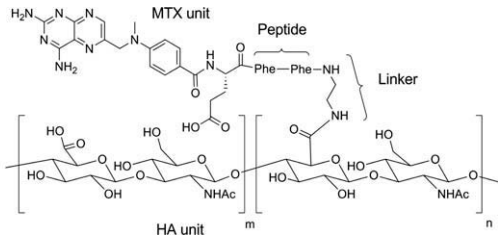
The series of new nitro-amidino, amino-amidino and diamidino-substituted 2-phenylbenzothiazoles were prepared. All compounds except diamidino-substituted 2-phenylbenzothiazole **9a** show exceptionally prominent tumor cell-growth inhibitory activity.



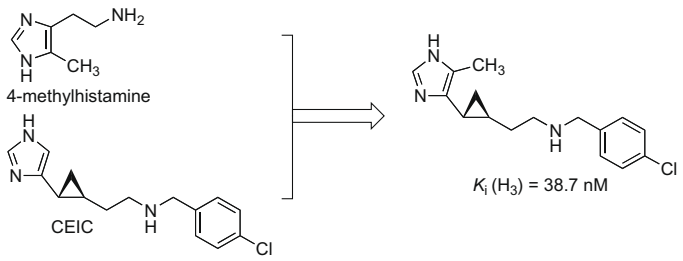


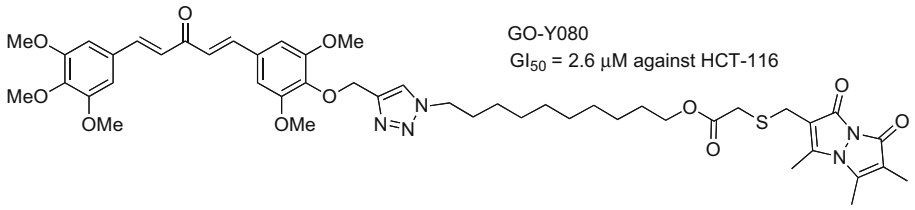
MIC = 0.5-1 μmol/L against MDR-Tuberculosis strains
EC_{50} = 40 μmol/L
SI = 40-80

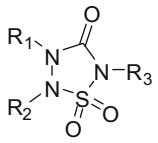
DK226



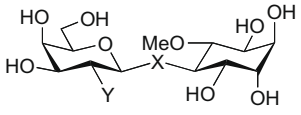
We found **DK226** to be a candidate drug for the treatment of osteoarthritis. Among several hyaluronic acid and methotrexate conjugates, **DK226** showed remarkable inhibition of the proliferation of human synovial fibroblasts in vitro and knee swelling in rat antigen-induced monoarthritis in vivo.





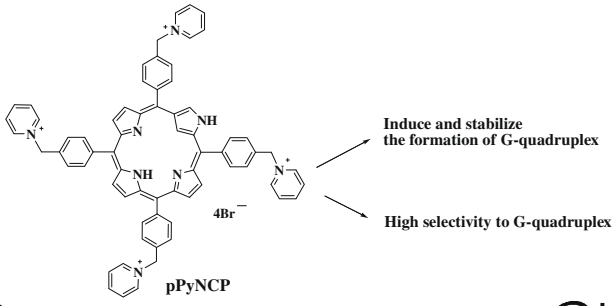


A series of 1,2,3,5-thiatriazolidin-3-one 1,1-dioxide derivatives were synthesized and used to probe the S′ subsites of human neutrophil proteinase 3.

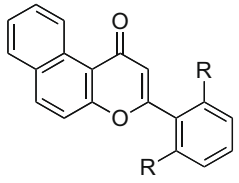


INS-2 X = O; Y = NH_2
C-INS-2 X = CH_2; Y = NH_2
C-INS-2-OH X = CH_2; Y = OH

C-INS-2 and C-INS-2-OH, two C-glycoside analogs of INS-2, a putative second messenger–modulator for insulin, were synthesized. C-INS-2 was found to activate pyruvate dehydrogenase phosphatase comparable to INS-2, but failed to activate protein phosphatase 2Cα. C-INS-2-OH was inactive in affecting either phosphatase.

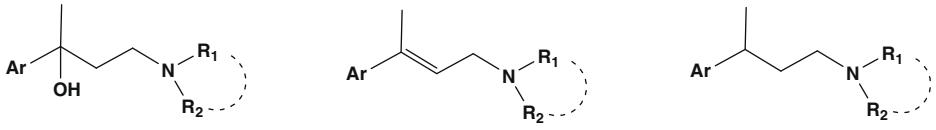


One N-confused porphyrin derivative was prepared and its first observation that it could stabilize G-quadruplex and possessed high selectivity over duplex DNA was made.

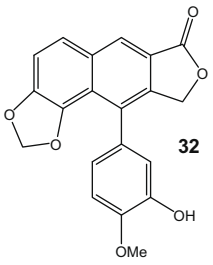


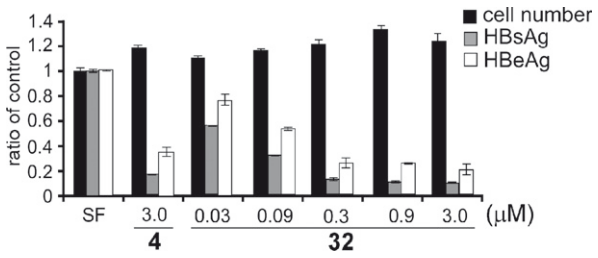
***ortho*-substitution to bicyclic molecule** ⇨ **planarity** ⇨ **crystal packing** ⇨ **solubility** AhR agonistic activity

R	dihedral angle (°)	melting point (°C)	solubility (μg/mL)	EROD EC_{50} (μM)
H	17.8	166	84.6	1.4
F	40.5	150	248	0.20



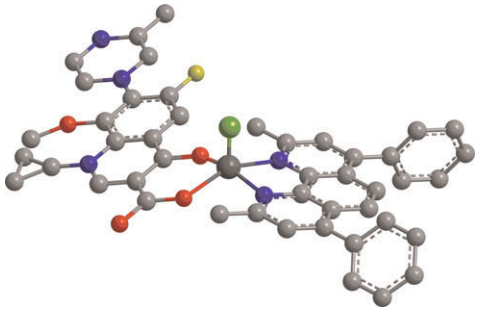
Preparation and SAR results of novel σ ligands are herein presented. Among the most σ_1-active compounds, (*R*/*S*)-**1d** showed noticeable selectivity towards σ_2 subtype and excellent selectivity against opioid receptors.

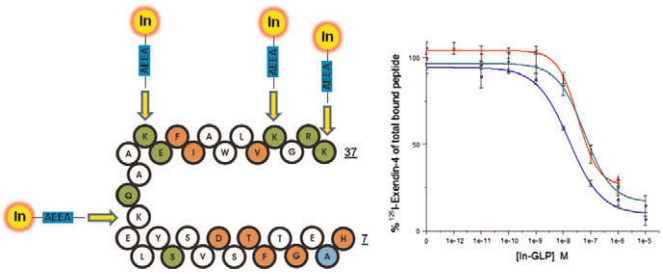


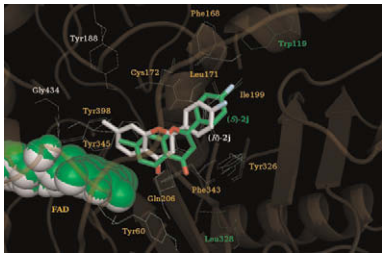


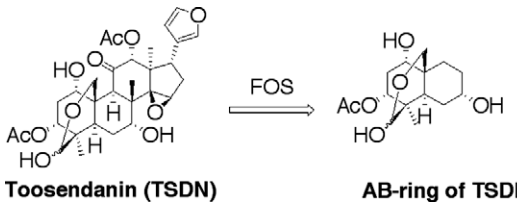
In a series of synthetic helioxanthin (**4**) derivative, compound **32** showed the most effective suppression on hepatitis B virus surface antigen (HBsAg) and e antigen (HBeAg) production in HepA2 cells with EC_{50} values of 0.06 and 0.14 μM, respectively.

Formulation of copper complexes using drug and some NN/NO donor ligands resulting in efficiency compounds that can act as DNA gyrase and exhibit SOD resemblance.

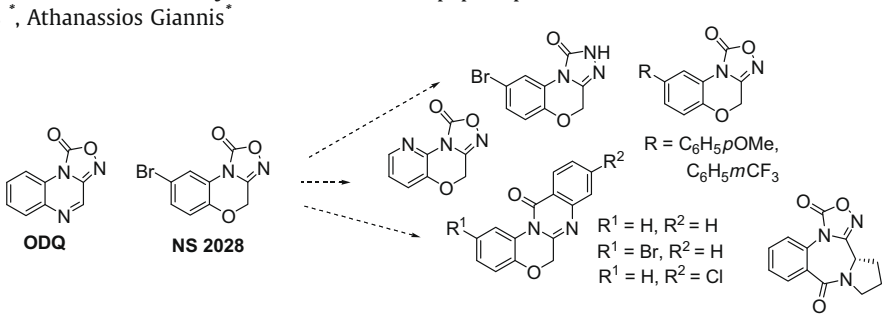




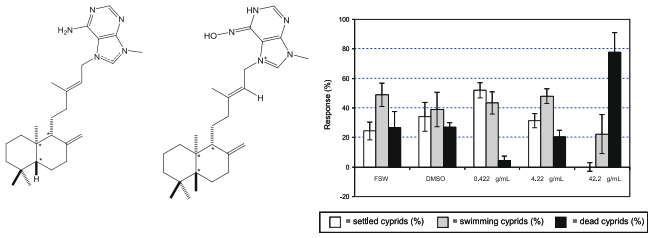


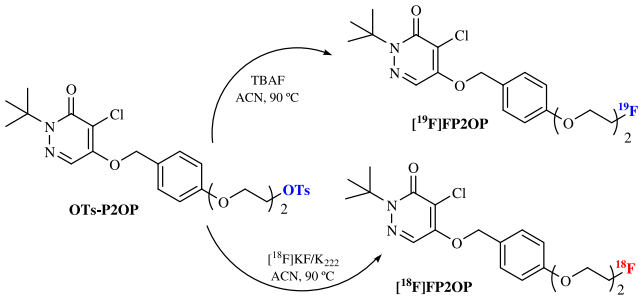


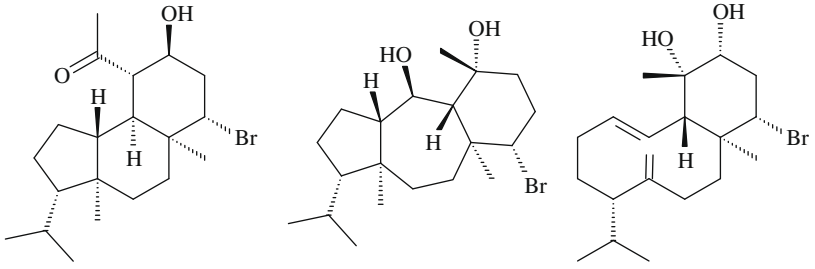
Toosendanin, a limonoid, inhibits the biological activity of BoNT/A. With the concept of function-oriented synthesis as a goal, the AB-ring of toosendanin was prepared sand examined for anti-botulinum properties.

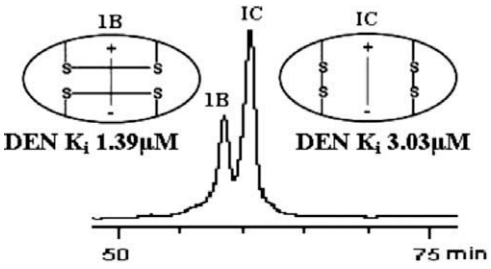


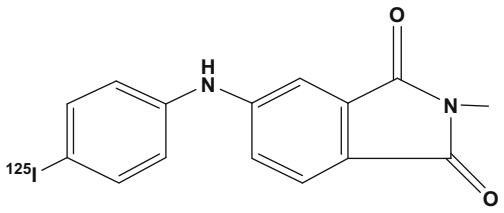
Herein, we report an expeditious synthesis of the known sGC inhibitors ODQ and NS 2028, as well as the preparation and biological evaluation of a small library of analogues.





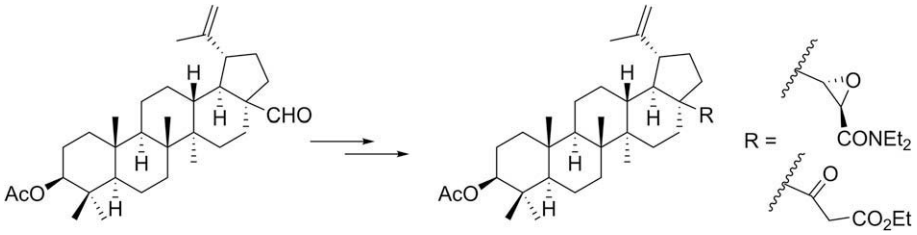


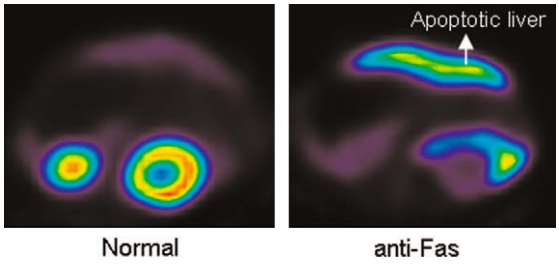




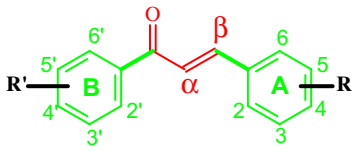
[^{125}I]MAPH (K_d = 0.21 nM)

A novel anilinophthalimide derivative of [^{125}I]MAPH is a promising candidate probe for SPECT imaging in the brain.





μSPECT images of ^{99m}Tc(CO)$_3$-HIS-*cys*-AnxV before (normal) and after treatment with anti-Fas.



Here, we describe a series of methoxylated chalcones. The most active derivative act as promising anticancer, anti-inflammatory and antioxidant agent.

*Corresponding author
Supplementary data available via ScienceDirect

COVER

When investigating a natural product besides determining its biological activity, it is also critical to consider its origin, molecular structure, and ultimately if possible structure activity relationships. The major limonoid constituent found in M. toosendan is toosendanin, a tetranortriterpene. We have confirmed toosendanin's gross molecular structure/stereochemistry via crystallographic analysis and its anti-botulinum properties both in a cellular and animal model. To gain a deeper understanding of toosendanin's remarkable anti-botulinum properties, the AB-ring of toosendanin was synthesized and used to dissect its importance in botulinum intoxication. [Nakai, Y.; Pellett, S.; Tepp, W.H.; Johnson, E.A.; Janda, K.D. *Bioorg. Med. Chem.* **2010,** *18,* 1280–1287].

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