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Bioorganic &
Medicinal
Chemistry

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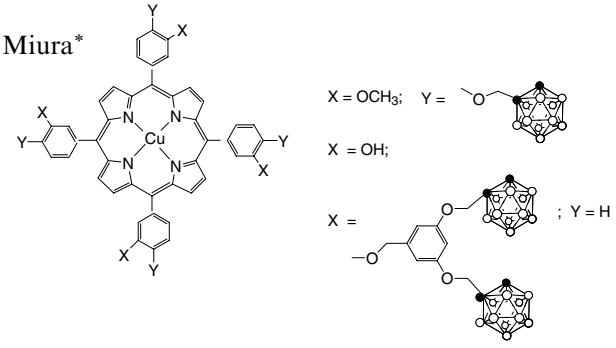
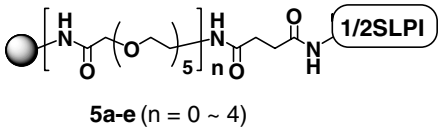
Contents

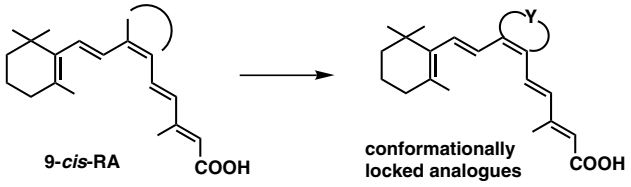
ARTICLES

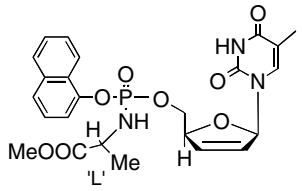




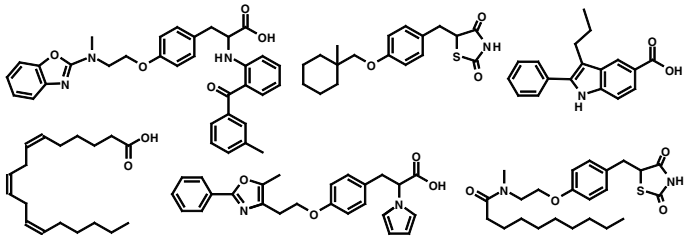
5a-e (n = 0 ~ 4)

By repeatedly introducing hydrophilic PEG spacer between affinity resin, composed of polymethacrylate, and a bioactive peptide (1/2SLPI), purification ability of target protein, elastase, was effectively improved.

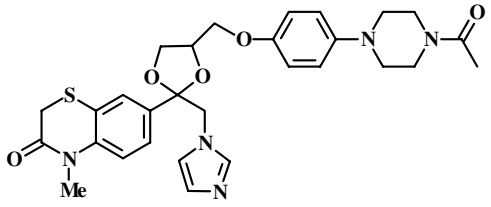




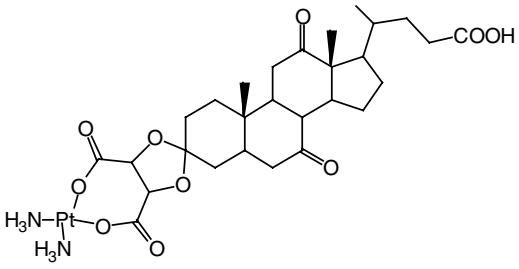
The synthesis of naphthylphosphoramidate derivatives of stavudine was achieved using a four-step procedure. The derivatives were subjected to several different enzymes including lipase, esterase, Subtilisin Carlsberg, and *Carica papaya*, and their hydrolysis rates were determined. Based on the rates of hydrolysis, we were able to differentiate between the chiralities at the phosphorus center of the phosphoramidate compounds.

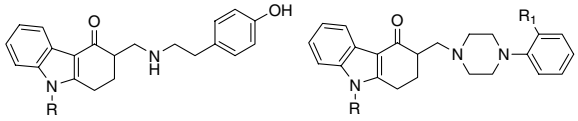


Binding affinity and gene transactivation of a large and diverse set of PPARγ agonists were subjected to a 2D QSAR treatment. Multilinear models of good quality and predictive power were obtained and thoroughly validated.

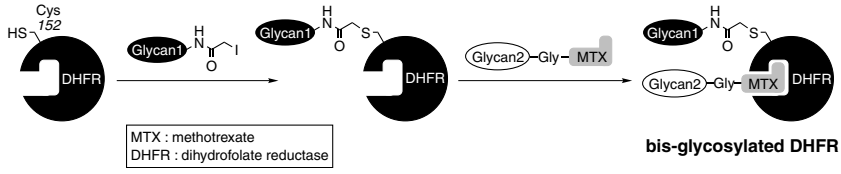


Several bile acid derivatives and their platinum(II) bonded forms were tested as potential inducers of erythroid differentiation of human leukemic K562 cells. *cis*-[(3-Dehydrocholanoyliden-L-tartrate)-diammineplatinum(II)] stimulates erythroid differentiation of K562 cells and an increase of fetal hemoglobin (HbF) production in erythroid precursor cells from peripheral blood.

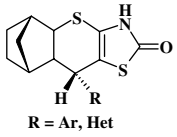




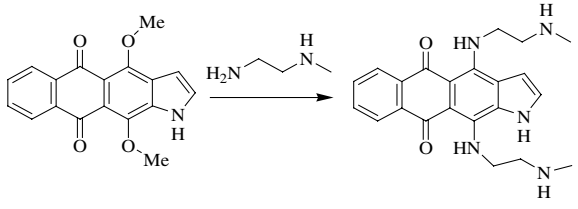
A series of new 1,2,3,9-tetrahydro-4*H*-carbazol-4-one derivatives structurally related to HEAT, a potent α_1-adrenergic receptor antagonist, was synthesized. Binding affinities of new compounds for the human cloned α_1-adrenergic receptor subtypes were evaluated.



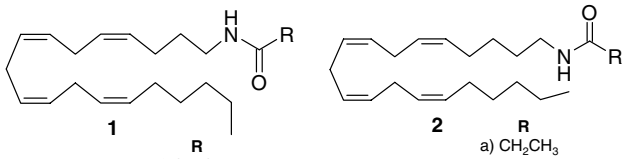
Artificial glycoproteins having structurally defined synthetic oligosaccharides were generated.

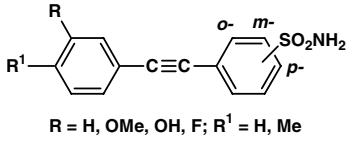


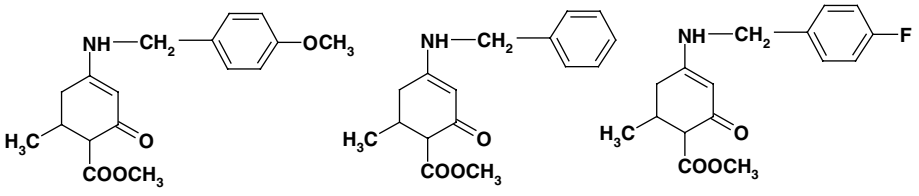
Synthesis, anticancer activity, lipophilicity, and QSAR studies for new thiopyrano[2,3-*d*][1,3]thiazol-2-ones with norbornane moiety and aryl- or heteroaryl-substituents are described.



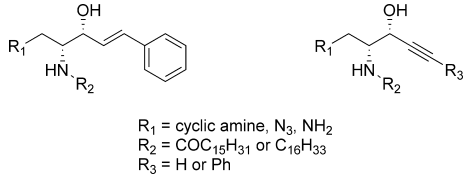
The preparation and cytotoxic properties of derivatives of 4,11-diaminonaphtho[2,3-*f*]indole-5,10-dione are described. The naphthoindoles carrying *N*-methyl- or *N*,*N*-dimethylamino groups have remarkable activity against Pgp-positive MDR cells and are also potent for colon carcinoma cell lines, regardless of the p53 status.

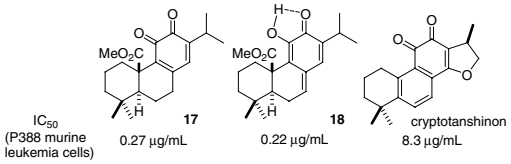




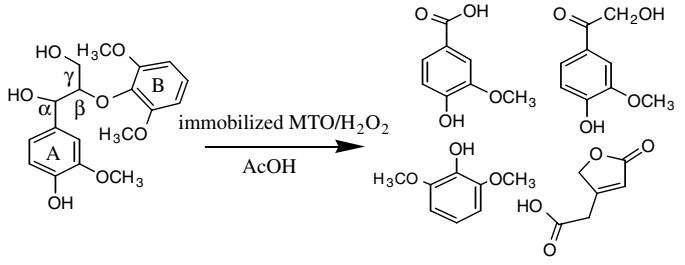


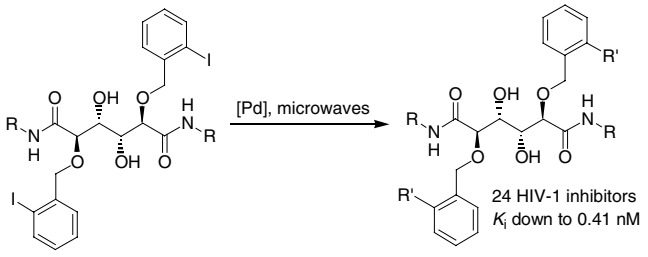
Anticonvulsant evaluation and electrophysiology data suggest that the anticonvulsant benzylamino enaminones inhibit a glutamate machinery and action potential firing in the central nervous system to produce their effects.

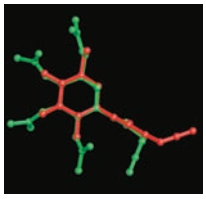


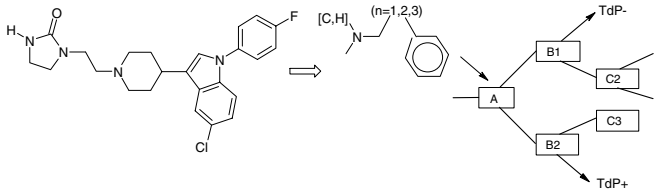


Seven known abietane diterpenoids and 11-*O*- and 12-*O*-acetylcarnosic acids were isolated from a methanol extract of *Perovskia abrotanoides* (Labiatae). Structure and cytotoxic activity relationships (SARs) of the natural and semisynthetic analogues of the presently isolated abietane diterpenoids were studied by using P388 murine leukemia cells.

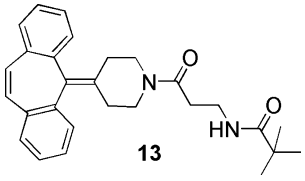




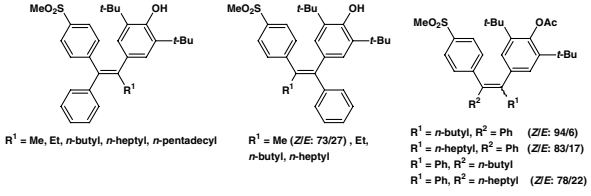


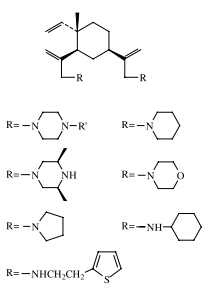


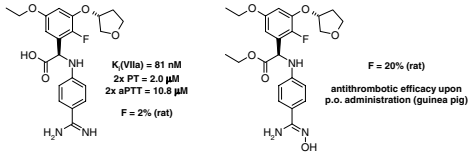
Among other descriptors, structural information of hERG channel blockers was used in a decision tree approach to classify potential Torsade de Pointes-causing substances.



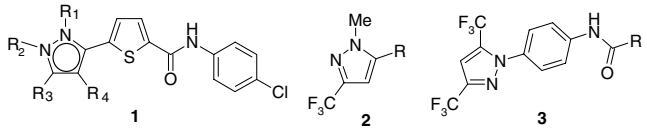
The synthesis, structure–activity relationship study, and biological testings of novel series of cyproheptadine derivatives with N-type calcium channel inhibitory activity were described.



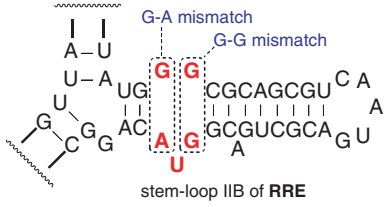




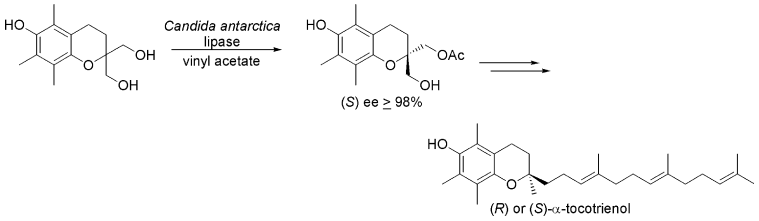
The discovery of a highly potent and selective tissue factor/factor VIIa inhibitor is described. Upon oral administration of its double prodrug in the guinea pig, a dose-dependent antithrombotic effect is observed in an established model of arterial thrombosis without prolonging bleeding time. The pharmacodynamic properties of this selective inhibitor are compared to the behaviour of a mixed factor VIIa/factor Xa inhibitor.

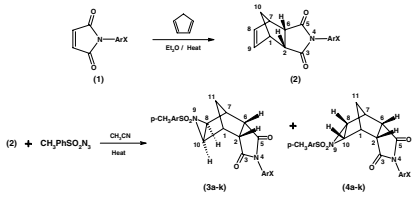


4′-Chloro-5-(substituted-pyrazolyl)thiophene-2-carboxanilide (**1**), 1-methyl-5-substituted-3-trifluoromethyl-1*H*-pyrazole (**2**) and 4′-[3,5-bis(trifluoromethyl)pyrazol-1-yl]carboxanilide (**3**) derivatives were prepared and evaluated for their CRAC channel inhibitory activity.

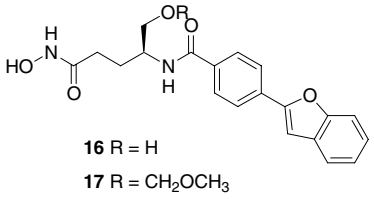


Mismatches in stem-loop IIB of RRE of HIV-1 are the site of Rev binding. Molecules binding to G-G and G-A mismatches in DNA were evaluated as inhibitors of RRE–Rev interaction.



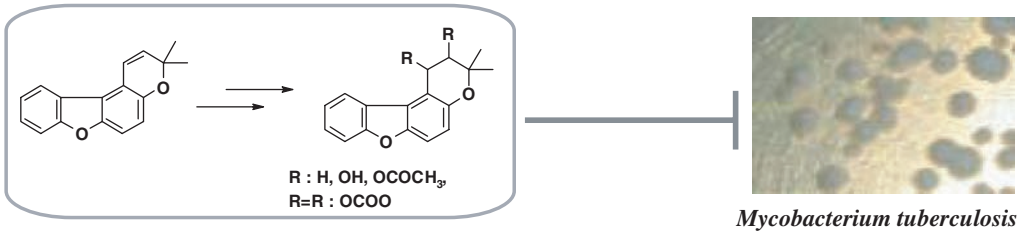


X = H (a); 4-Cl (b); 3,4-Cl_2 (c); 4-CH_3 (d); 4-OCH_3 (e); 4-Br (f); 4-NO_2 (g); 3-ethyl (h); 3-NO_2 (i); 4-F (j) and 4-OH (k).



16 R = H
17 R = CH_2OCH_3

Discovery process of matrix metalloproteinase inhibitors **16** and **17**, which demonstrate highly potent inhibitory activity against MMP-2 and MMP-9, is presented.



Mycobacterium tuberculosis

Some benzofuro[3,2-*f*][1]benzopyran displayed significant activities when tested against *Mycobacterium tuberculosis* H37Rv and *Beijing* strains, with MIC_{99} in the range of 1–10 μg/ml.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2006: The cover figure shows a synthetic multifunctional pore that is composed of rigid-rod staves (para-octiphenyls, tan) and beta-sheet hoops (arrows) and can be activated with external ligands (fullerenes, golden spheres) and closed with internal blockers (alpha-helix, red ribbon) [Gorteau, V.; Bollot, G.; Mareda, J.; Pasini, D.; Tran, D.-H.; Lazar, A. N.; Coleman, A. W.; Sakai, N.; Matile, S. *Bioorg. Med. Chem.* **2005**, *13*, 5171–5180].

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