ELSEVIER

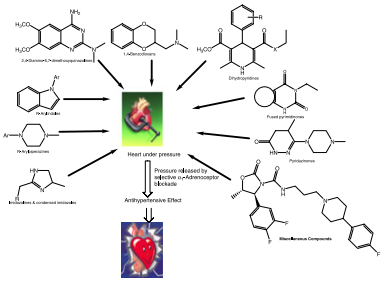
Bioorganic &
Medicinal
Chemistry

Bioorganic & Medicinal Chemistry Vol. 16, No. 9, 2008

# Contents

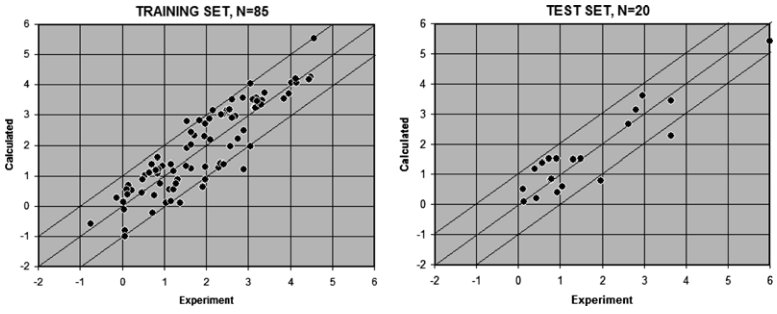
## REVIEW



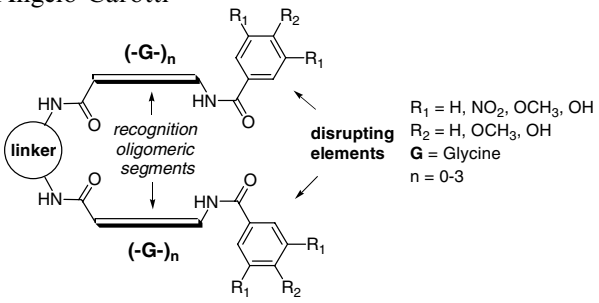


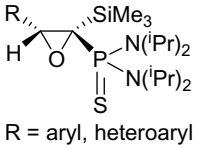

## ARTICLES





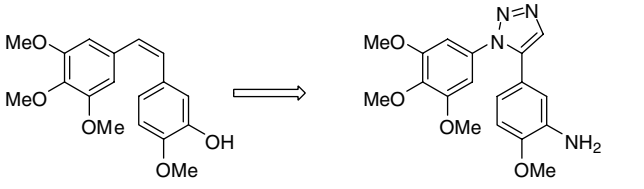




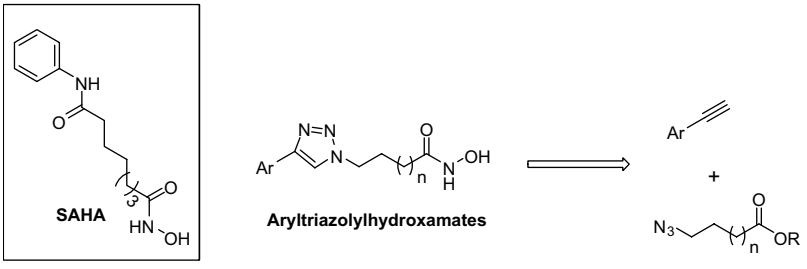

These compounds display strong inhibitory activity toward both bovine CPA and human CPB.



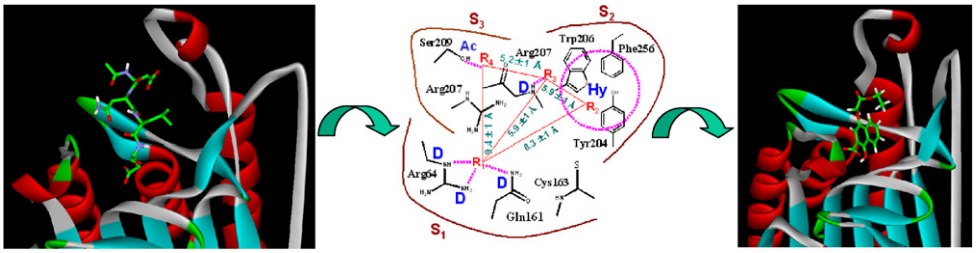



$IC_{50}$ = 4.8 μM for tubulin inhibition

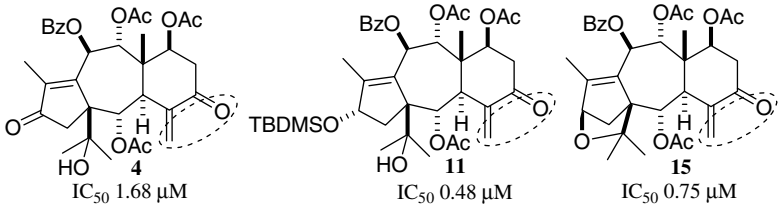




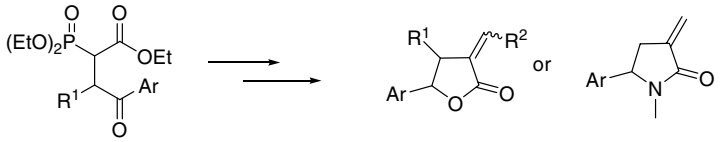



COSMOS : Conversion to small molecules through optimized-peptides strategy

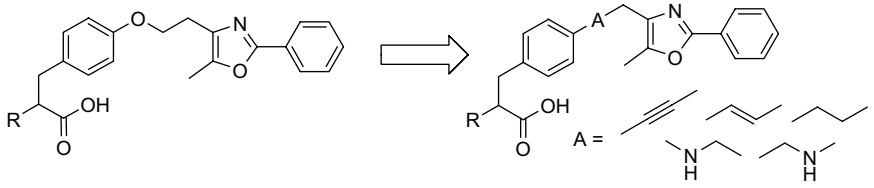




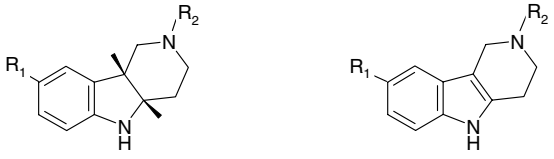




A series of 5-aryl-3-alkylidenedihydrofuran-2(3*H*)-ones and 5-aryl-3-methylidenepyrrolidi-2-nones were synthesized starting from 4-aryl-2-diethoxyphosphoryl-4-oxobutanoates. Target compounds were evaluated for their cytotoxic activity against L-1210, HL-60 and NALM-6 cell lines.



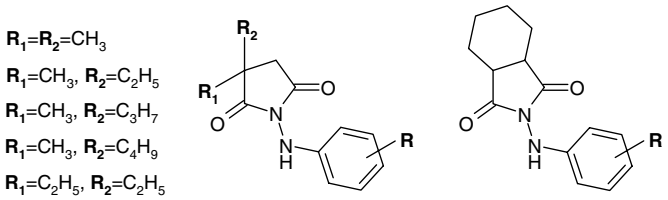




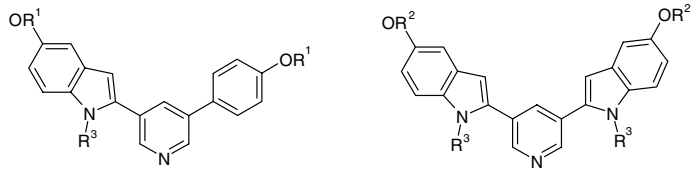
(±)-**7a** $R_1 = CH_2COOK$, $R_2 = CH_3$
(±)-**7b** $R_1 = CH_2COONa$, $R_2 = CH_2Ph$

**5a** $R_1 = CH_2COOK$, $R_2 = CH_3$
**5b** $R_1 = CH_2COOK$, $R_2 = CH_2Ph$
**5c** $R_1 = CH_2COOK$, $R_2 = CH_2CH_2Ph$

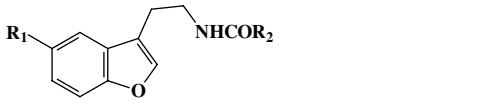



The majority of compounds investigated showed activity in the MES and *sc*PTZ screens the most widely employed seizure models for early identification of candidate anticonvulsants.

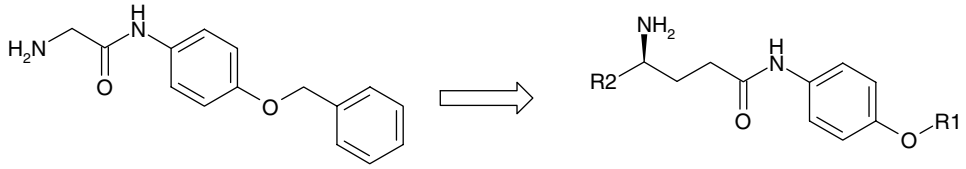


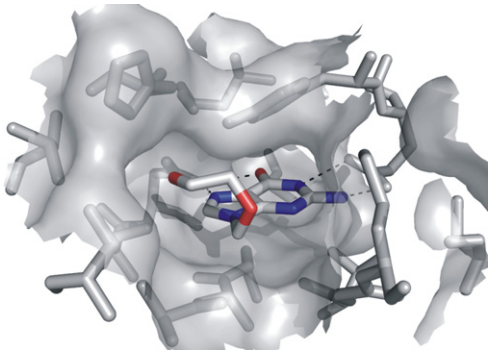




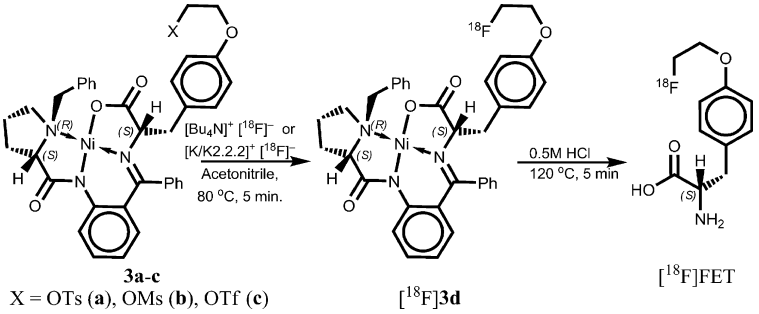








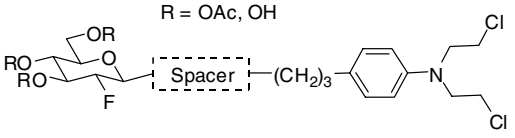



**3a-c**
X = OTs (**a**), OMs (**b**), OTf (**c**)

[$^{18}$F]**3d**

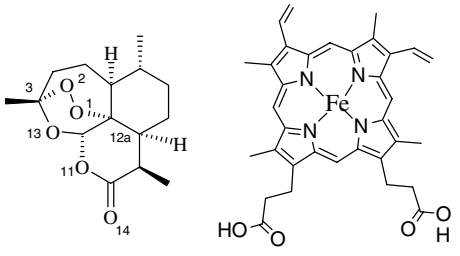
[$^{18}$F]FET

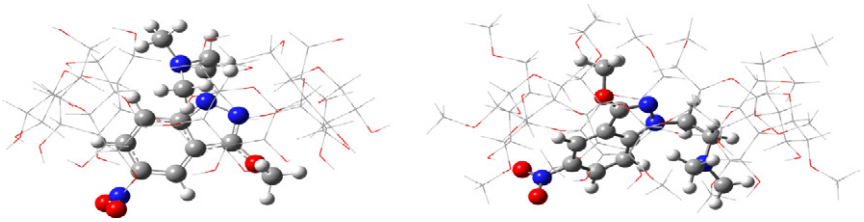





The synthesis and in vitro cytotoxicity of new fluoroglucoconjugates in which chlorambucil is attached to the C-1 position of the FDG skeleton using a variety of linkages are reported.

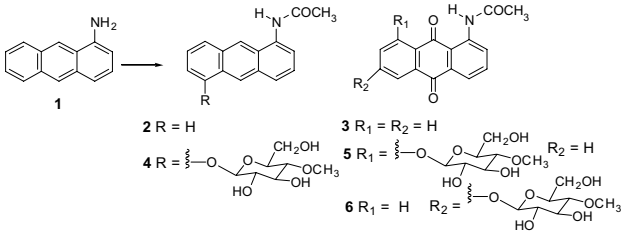






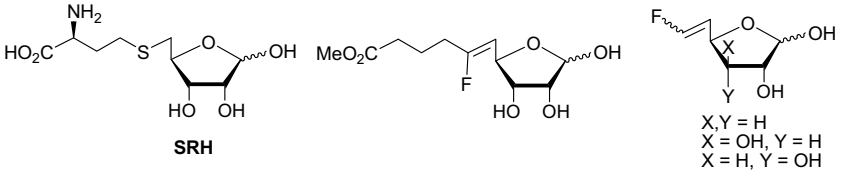
The inclusion properties of 5-nitroindazole into native and (2,6-dimethyl)-β-cyclodextrin were evaluated using phase-solubility studies, electrochemistry, NMR and molecular modeling techniques.



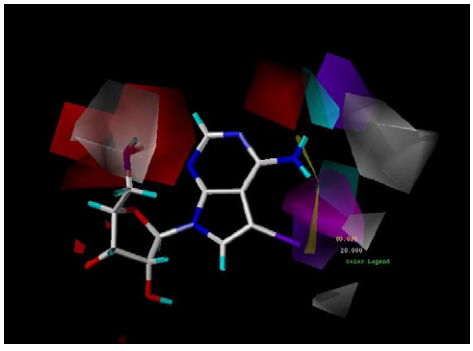


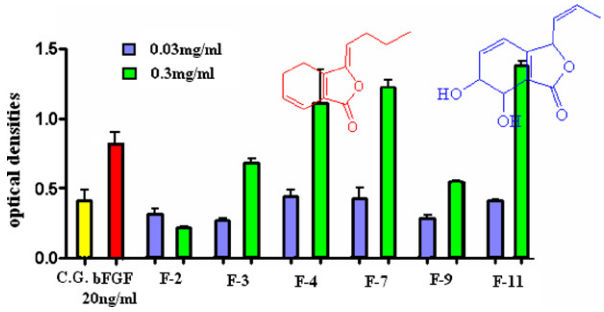

1-Aminoanthracene (**1**) was biotransformed by *Beauveria bassiana* to yield five metabolites **2**–**6**, the formation of which involved acetylation, oxidation, hydroxylation, and *O*-methylglucosylation reactions.



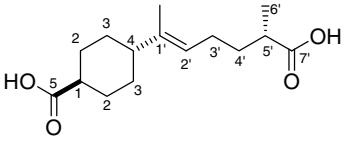






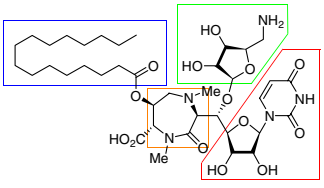



Hymenoic acid

Hymenoic acid (**1**) is a novel sesquiterpene, *trans*-4-[(1′*E*,5′*S*)-5′-carboxy-1′-methyl-1′-hexenyl]cyclohexanecarboxylic acid, isolated from cultures of a fungus, *Hymenochaetaceae* sp., and this compound selectively inhibited the activities of eukaryotic pol λ.



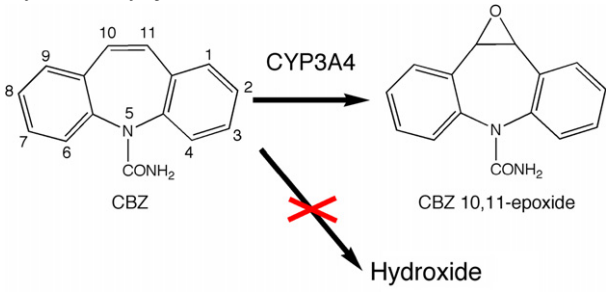


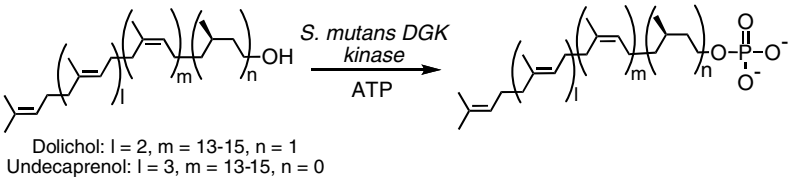

palmitoyl caprazol

Synthesis and structure–activity relationship studies of caprazamycin (CPZ) analogs, including the aminoribose-truncated and the uridine-truncated one have been carried out.

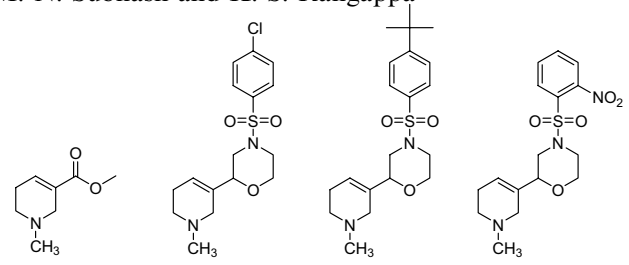


To clarify the reason why CYP3A4 produces an epoxide rather than a hydroxide, the mechanism of epoxidation of carbamazepine (CBZ) by CYP3A4 was investigated by theoretical calculations.

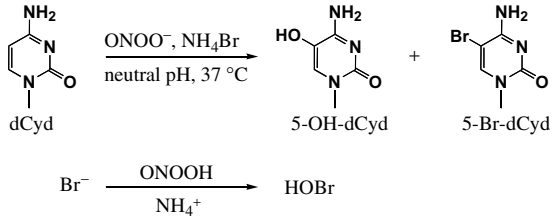






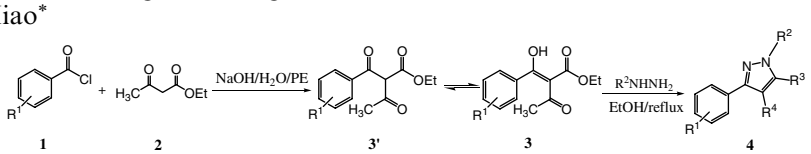





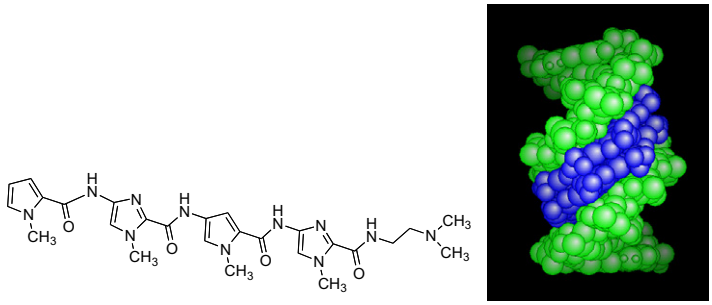



Six novel multi-pyrazole derivatives have been synthesized and characterized by IR, $^1$H NMR, mass spectroscopy, and element analysis. Ethyl 3-(*o*-chlorophenyl)-5-methyl-1-phenyl-1*H*-pyrazole-4-carboxylate (MPD) at low concentration (25 μM) increased VEC viability and inhibited VEC apoptosis through down-regulating the levels of integrin β4, p53, and ROS increased by deprivation of serum and FGF-2 in vascular endothelial cells.

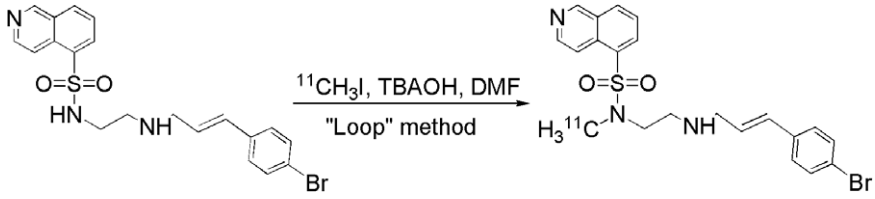



**3a: $R^1$ = *o*-Cl**
**3b: $R^1$ = *o*-$NO_2$**
**3c: $R^1$ = *p*-$NO_2$**
**3d: $R^1$ = *p*-$CH_3$**

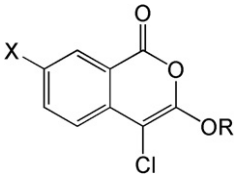
**4a: $R^1$ = *o*-Cl, $R^2$ = H, $R^3$ = $CH_3$, $R^4$ = $CO_2Et$**
**4b: $R^1$ = *o*-$NO_2$, $R^2$ = H, $R^3$ = $CH_3$, $R^4$ = $CO_2Et$**
**4c: $R^1$ = *p*-$NO_2$, $R^2$ = H, $R^3$ = $CH_3$, $R^4$ = $CO_2Et$**
**4d: $R^1$ = *o*-Cl, $R^2$ = Ph, $R^3$ = $CH_3$, $R^4$ = $CO_2Et$**
**4e: $R^1$ = *o*-$NO_2$, $R^2$ = Ph, $R^3$ = OH, $R^4$ = H**
**4f: $R^1$ = *p*-$CH_3$, $R^2$ = H, $R^3$ = OH, $R^4$ = H**



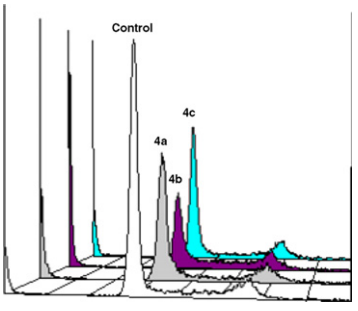


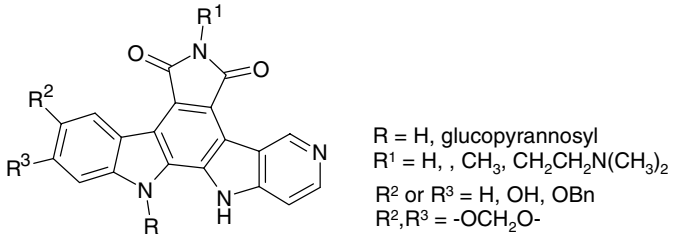




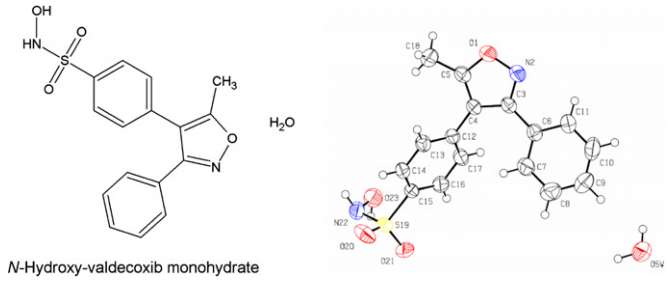





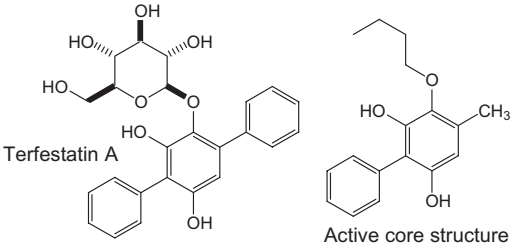







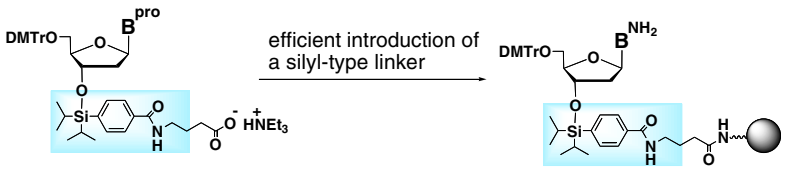






From SAR study of 25 derivatives of terfestatin A, auxin signaling inhibitor, the essential active core structure of terfestatin A was identified.






We developed new loading reagents with a new silyl-type linker for DNA synthesis. These reagents could increase the efficiency of introduction of 3′-terminal deoxyribonucleoside components into polymer supports to a level of 17–29 μmol/g.

## 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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