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Chemistry

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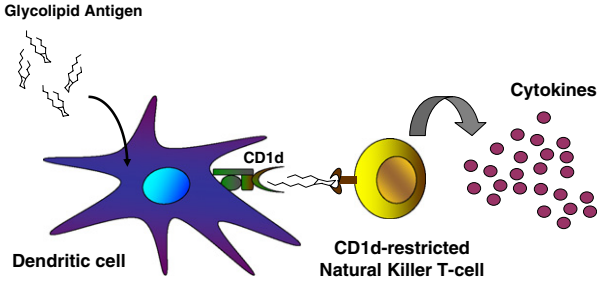
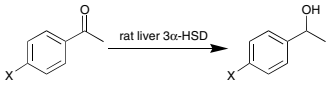
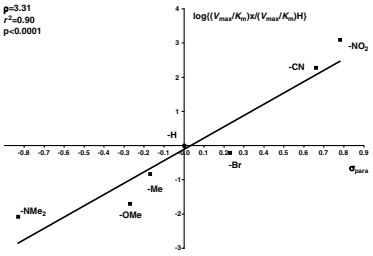
Contents

REVIEW



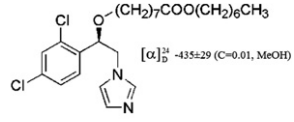
ARTICLES



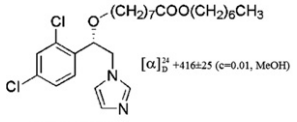


We report the substituent effects on the mechanism of reduction of acetophenone derivatives that were contained in the number of the structure of the drug, using rat liver 3α-HSD.

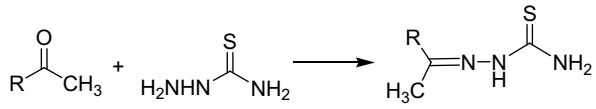
The preparation of both enantiomers of 8-[1-(2,4-dichlorophenyl)-2-imidazol-1-yl-ethoxy] octanoic acid heptyl ester (JM-8686) has been achieved. The inhibitory activity and binding affinity of both enantiomers toward allene oxide synthase are described.



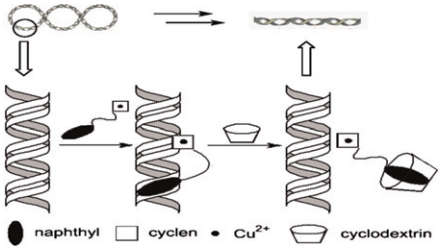
(*R*)-JM-8686

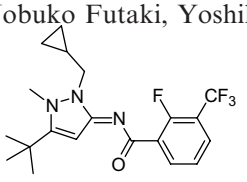


(*S*)-JM-8686



A series of 1-(1-arylethylidene)thiosemicarbazide compounds and their analogues were synthesized and characterized by ^{1}H NMR, MS. Their tyrosinase inhibitory activities were investigated by an assay based on the catalyzing ability of tyrosinase for the oxidation of L-DOPA, comparing with 4-methoxycinnamic acid and arbutin.

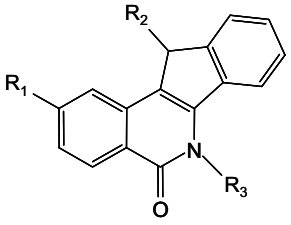


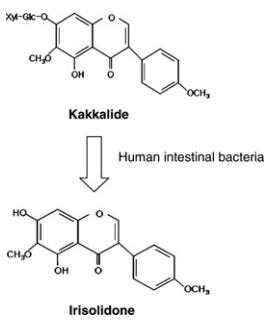


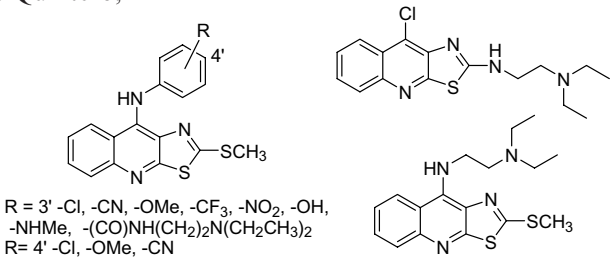
6b CB_2 IC_{50} = 2.9 nM

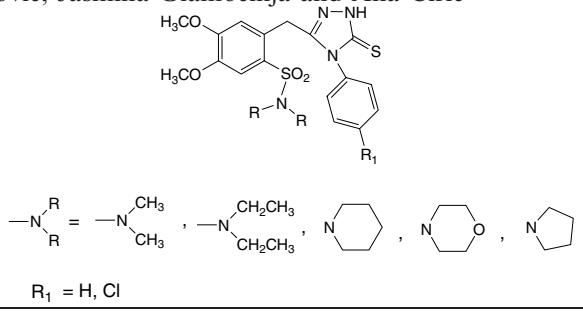
Compound **6b** (CBS0550) had high affinity for the human CB_2 receptor (CB_2 IC_{50} = 2.9 nM, EC_{50} = 1.8 nM, E_{max} = 85%), and orally administered compound **6b** significantly reversed mechanical hyperalgesia in the Randall–Selitto model of inflammatory pain in rats.

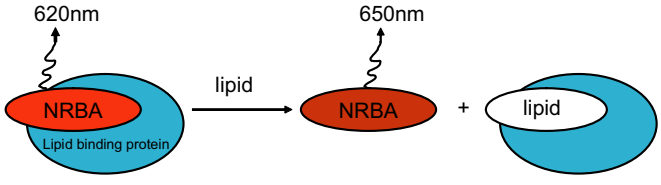
Acute myelocytic leukemia may eventually be treated with agents that induce terminal differentiation. In this study we investigated a possible enhancement of indeno[1,2-*c*]isoquinolines on all-*trans* retinoic acid-induced differentiation of human myeloid leukemia cells.

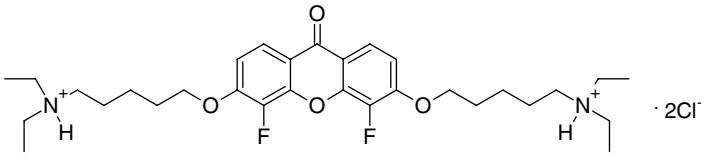




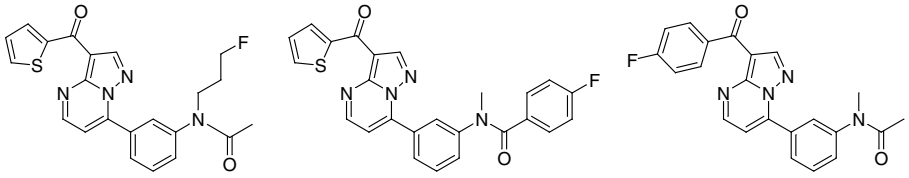






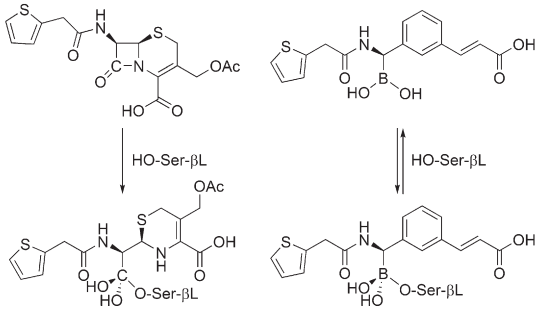


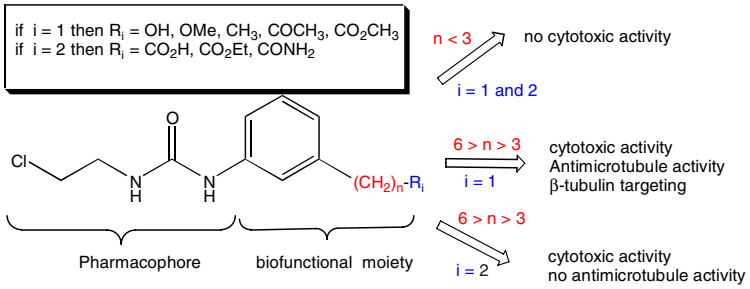
In this study, the synthesis and the heme-binding ability of a fluorine-containing xanthone are presented. 3,6-Bis-(ω-*N*,*N*-diethylaminoamyloxy)-4,5-difluoroxanthone was designed to have enhanced affinity to heme and increased antimalarial potency.

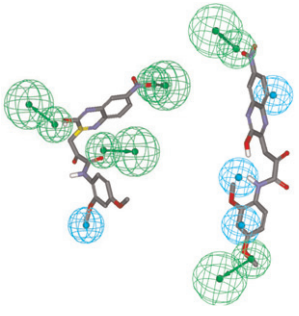


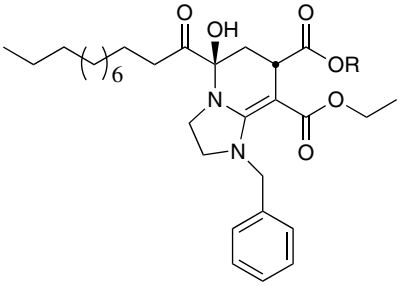
5b **16a** **25**

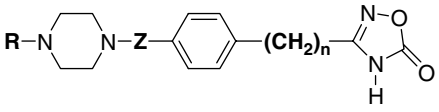
A series of potent fluorinated analogues of the pyrazolopyrimidine Indiplon has been synthesized and evaluated in vitro as potential agents for imaging the $GABA_A$ receptor by means of positron emission tomography (PET).



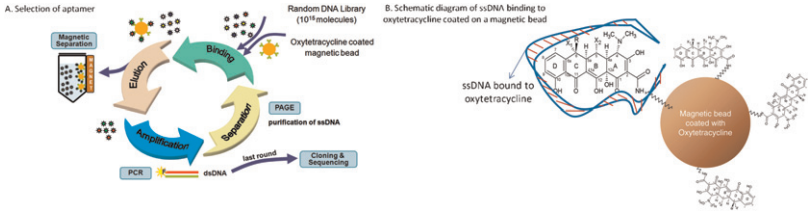


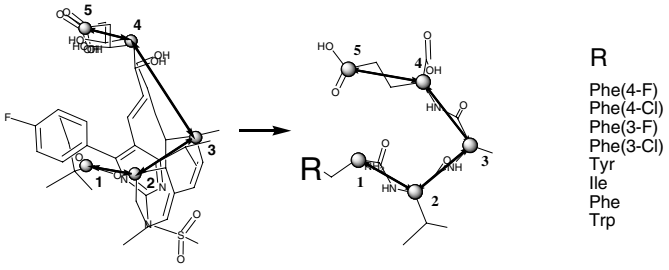




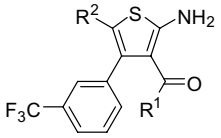


Z = CO, CH_2, deleted.
n = 0, 1, 2, 3.
R = alkyl chain.



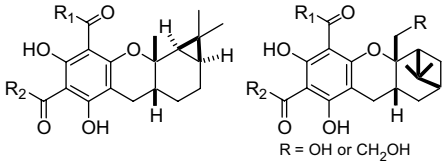


Simvastatin and Rosuvastatin Active peptide backbone



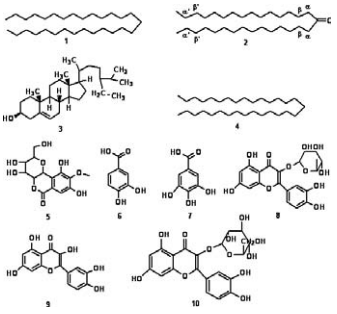
R^1 = Ph, OEt

Two series of 5-substituted 2-amino-4-(3-trifluoromethylphenyl)thiophenes were prepared and evaluated as allosteric enhancers at the A_1 adenosine receptor. More specifically, the structure–activity relationships of the 5-position were explored in series of 2-amino-4-(3-trifluoromethylphenyl)thiophenes with 3-benzoyl and 3-ethoxycarbonyl functionality.

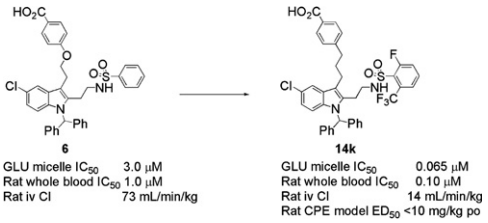


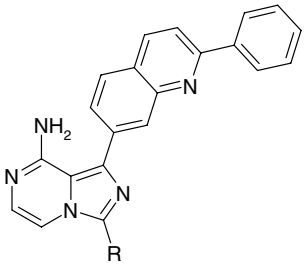
R = OH or CH_2OH

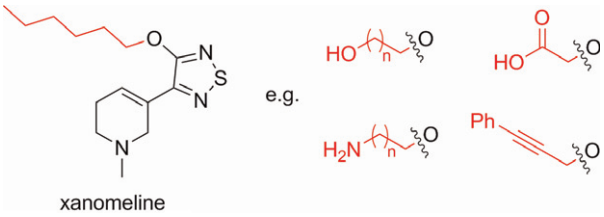
Several new *S*-euglobals were synthesized from suitably substituted phloroglucinol and different monoterpenes via a three-component reaction involving Knoevenagel condensation followed by [4+2] cycloaddition. Out of 16, nine analogues were found to exhibit antileishmanial activity. Robustadials also showed moderate antileishmanial activity and weak antimalarial activity against *Plasmodium falciparum*. Few analogues also showed antibacterial activity.



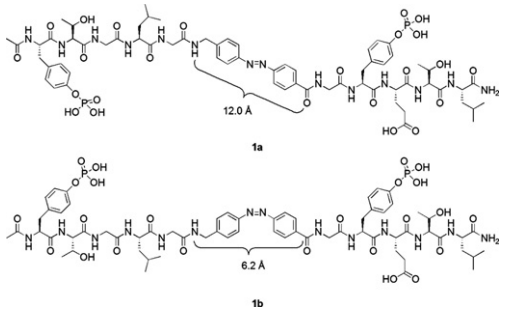
Ten compounds were isolated from ethanol extracts of *Saxifraga stolonifera* plant and were identified as *n*-$C_{31}H_{64}$ (**1**), (*n*-$C_{17}H_{35})_2CO$ (**2**), β-sitosterol (**3**), *n*-$C_{29}H_{60}$ (**4**), Bergenin (**5**), Protocatechuic acid (**6**), Gallic acid (**7**), Quercitrin 3-*O*-α-L-rhamnoside (**8**), Quercetin (**9**), and Quercetin 3-*O*-β-D-glucopyranoside (**10**). Among them, *n*-$C_{31}H_{64}$ (**1**), (*n*-$C_{17}H_{35})_2CO$ (**2**), β-sitosterol (**3**), and *n*-$C_{29}H_{60}$ (**4**) were isolated from this plant for the first time. The anticancer activities of *S. stolonifera* constituents on human gastric carcinoma cell line BGC-823 were evaluated by MTT assay and microscopic observation, DNA fragmentation, and flow cytometry analysis assay. It was found that quercetin from *S. stolonifera* is a potential agent capable of inducing apoptosis in BGC-823 cells.

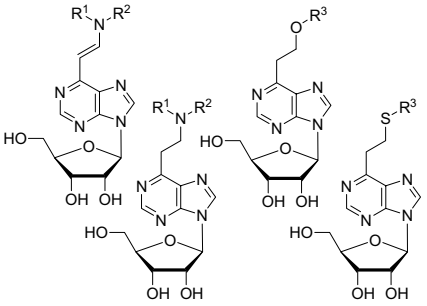


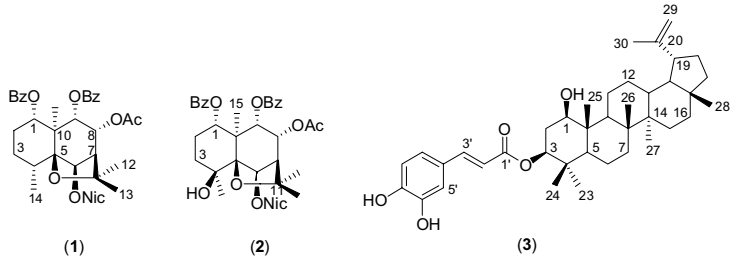




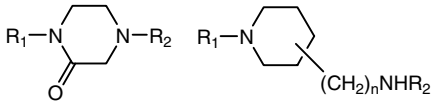
The native γ-ITAM peptide and the photoswitchable ITAM mimics. The indicated distances are between the SH2 binding epitopes.



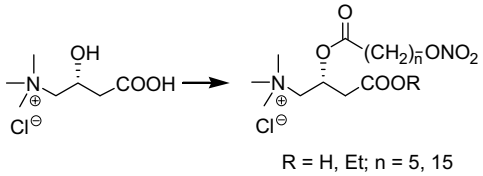




(1) (2) (3)

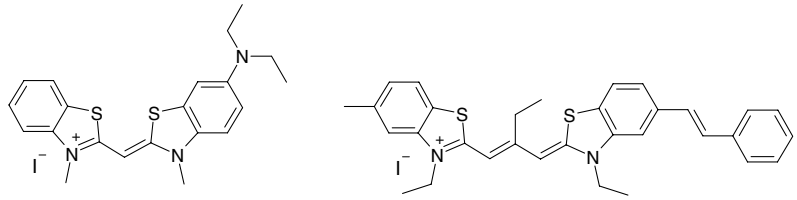


A series of 2-oxopiperazine, 4-aminomethyl-, 3-amino- and 3-aminomethylpiperidine have been synthesized and tested in the mouse passive-avoidance test. The compounds display minimal effective doses in the range 0.3–10 mg/kg.

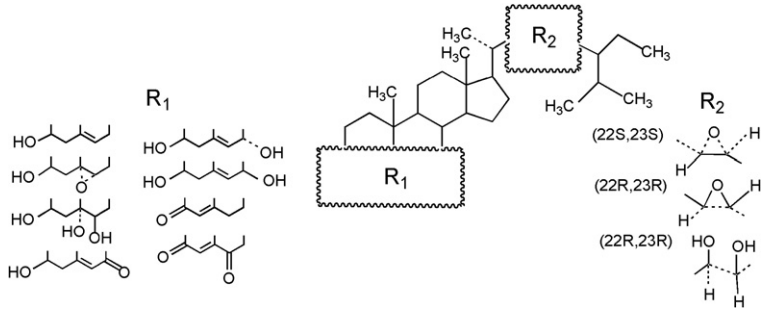


R = H, Et; n = 5, 15

The synthesis of carnitine nitro-derivatives, their biotransformation in biological fluids and in red blood cells and the interaction of carnitine nitrates with the plasma membrane carnitine transporter has been described.

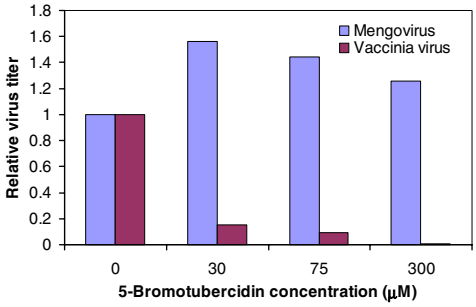


Firstly, fluorescent cyanine dyes (monomethine T-284 and trimethine SH-516) are proposed for selective fluorescent detection of fibrillar α-synuclein. Studies of interaction mode of dyes with aggregated protein are presented.



Flavonoids are known to possess a moderate inhibitory activity against aromatase, this enzyme being an interesting target for hormone-dependent breast cancer treatment. In this paper, new 7,8-benzoflavanones were synthesized and tested for their activity toward aromatase inhibition. 7,8-Benzoflavanones were found to exhibit high inhibitory potency against aromatase.

The growth and RNA synthesis of mengovirus were completely resistant to concentrations of 5-bromotubercidin which strongly inhibited the growth of the host cell, of DNA virus vaccinia and of the DNA-dependent RNA synthesis of uninfected cells.



OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

The transport reactions of the gastric H^+/K^+-ATPase comprise the binding of Mg^{2+}-ATP and H^+ or hydronium ($H3O^+$) ions to the pump, which is then phosporylated and protons or hydronium ions are exported out of the cell. During this phosphorylation reaction, K^+ binds to the outward conformation of the pump, and is channeled into the cell. The binding of potassium-competitive acid blockers (P-CABs) to the gastric H^+/K^+-ATPase results in an effective inhibition of acid secretion. P-CABs are currently evaluated as a new therapeutic class for the treatment of acid-related diseases [Palmer, A. M.; Münch, G.; Brehm, C.; Zimmerman, P. J.; Buhr, W.; Feth, M. P.; Simon, W. A. *Bioorg. Med. Chem.* **2008,** *16,* 1511–1530].

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