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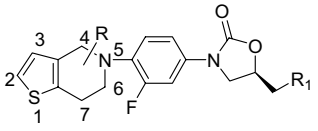
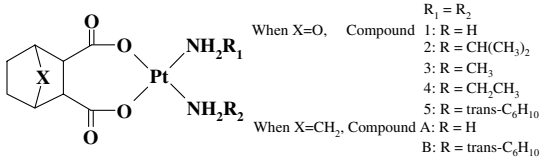
Contents

ARTICLES

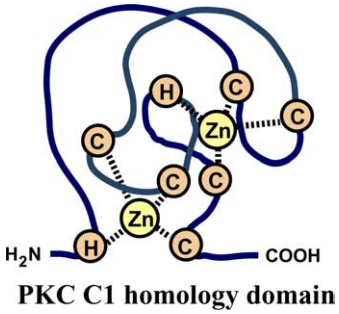


We have synthesized and evaluated antibacterial activity of a number of 4,5,6,7-tetrahydro-thieno[3,2-*c*]pyridine substituted oxazolidinones against several Gram-positive pathogens. Effect of various substituents on 5-position of the oxazolidinone ring has been studied.

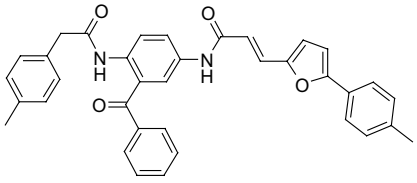


The structure–activity requirement of the PP2A-inhibiting demethylcantharidin for the circumvention of cisplatin cross-resistance demonstrated by the novel TCM–Pt compounds is discussed.

A C1 peptide library of nonPKC-type phorbol ester receptors has been established.

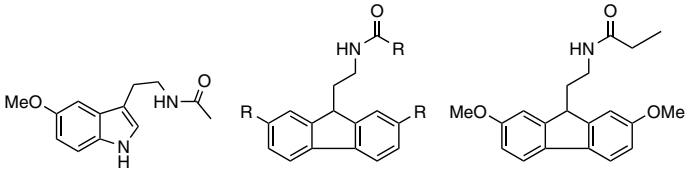


PKC C1 homology domain



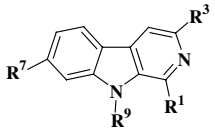
We have designed arylfurylacryl-substituted benzophenones as non-thiol farnesyltransferase inhibitors utilizing a novel aryl binding site of farnesyltransferase. These compounds display activity in the low nanomolar range.

Compound **2b** demonstrated full agonism at both human MT_1 and MT_2 receptors and demonstrated chronobiotic activity in both acute and chronic rat models, producing an acute phase advance of 32 min at 1 mg/kg and chronically entraining free-running rats with a mean effective dose of 0.23 mg/kg. This compound was significantly less efficacious than melatonin in constricting human coronary artery.

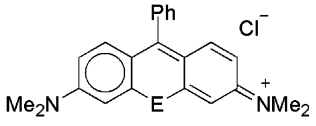


1 *Melatonin* **2** **2b**

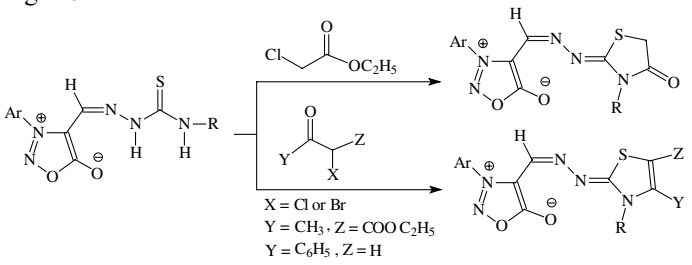
A series of novel 9-substituted β-carboline derivatives was synthesized from the starting material harmine and L-tryptophan, respectively. Cytotoxic activities, acute toxicities, and antitumor effects of these compounds were investigated.

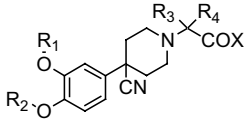


2a-2g $R^1=CH_3$ $R^3=H$ $R^7=OCH_3$
4a-4d $R^1=R^3=R^7=H$
5a-5d $R^1=R^7=H$ $R^3=COOCH_3$
6a-6f $R^1=R^7=H$ $R^3=COOC_2H_5$
7a-7d $R^1=R^7=H$ $R^3=COOC_4H_9$
8a-8f $R^1=R^7=H$ $R^3=COOH$
$R^9=CH_3$, C_2H_5, n-C_4H_9, $CH_2C_6H_5$, $CH_2C_6F_5$, $(CH_2)_3C_6H_5$

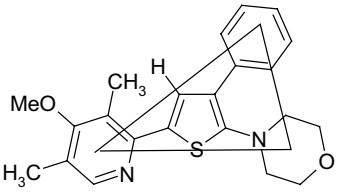


TMR-O, E = O
TMR-S, E = S
TMR-Se, E = Se

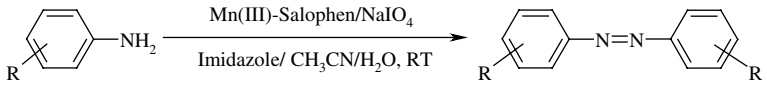


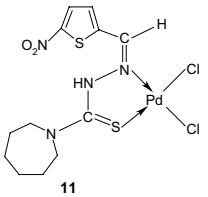


Synthesis and biological evaluation of piperidine derivatives is reported.



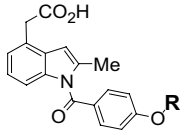
We report the synthesis and pharmacological evaluation of some novel 2-morpholino-3-aryl-5-substituted thiophenes, as possible anti-inflammatory leads. The studies culminate in the generation of a novel pharmacophore, which will enable the designing of better anti-inflammatory agents.





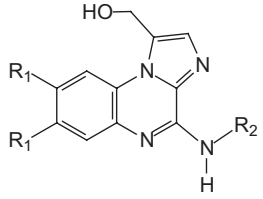
11

A series of palladium(II) complexes were synthesized from 5-nitrothiophene-2-carboxaldehyde thiosemicarbazone derivatives and their in vitro anti-amoebic activity was evaluated against (*HK-9*) strain of *Entamoeba histolytica* by using microdilution method. Among all the complexes, **11** exhibited better anti-amoebic activity.

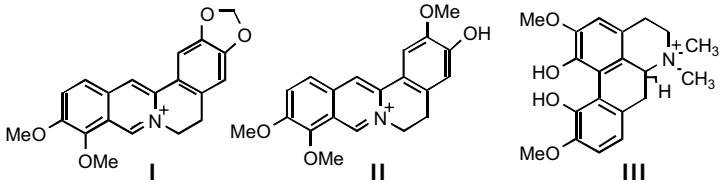


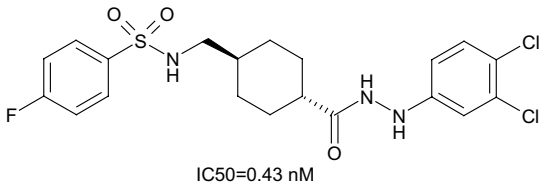
3c, 3o-r, and 3t-v

A series of *N*-(*p*-alkoxy)benzoyl-2-methylindole-4-acetic acids **3c**, **3o**–**r**, and **3t**–**v** were discovered as highly potent DP receptor antagonists.

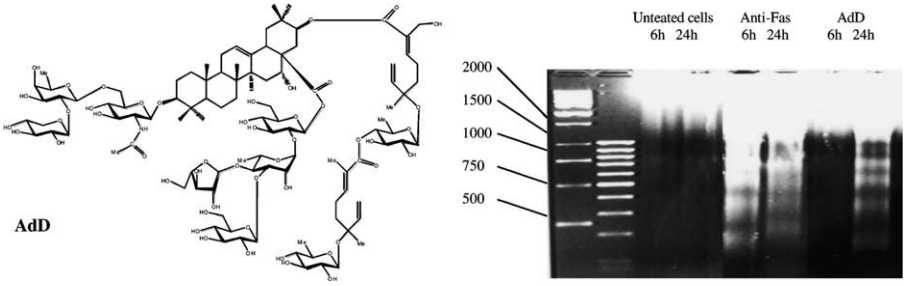


The preparation and biological evaluation of a series of 4-alkylamino-1-hydroxymethylimidazo[1,2-*a*]quinoxalines as adenosine A_1 receptor antagonists are described. 4-Cyclopentylamino-7,8-dichloro-1-hydroxymethylimidazo[1,2-*a*]quinoxaline shows potent adenosine A_1 receptor inhibitory activity, having K_i = 7 nM.



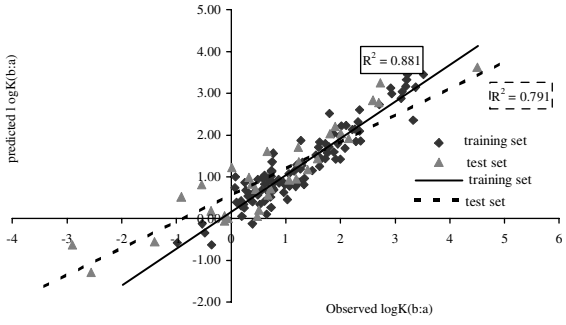


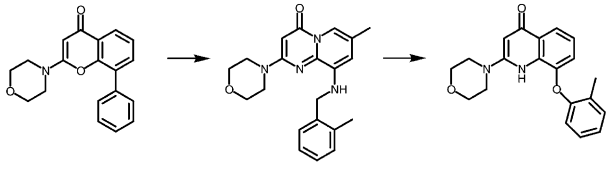
NPY is the most potent orexigenic agent known to man, with NPY Y1 and NPY Y5 being the receptor subtypes that are most likely responsible for centrally-mediated NPY-induced feeding responses. Based on the aforementioned, novel hydrazide derivatives were prepared for the purpose of searching new NPY Y5 receptor antagonists. Many of the compounds exhibited nanomolar binding affinity for this receptor, affording *trans*-*N*-{4-[*N*′-(3,4-dichlorophenyl)-hydrazinocarbonyl]cyclohexylmethyl}-4-fluorobenzenesulfonamide, which showed the best activity ($IC_{50} = 0.43$ nM).



DNA ladder observed in the case of 1 μM AdD-treated cells after 24 h.

A QSPR treatment has been applied to a data set that consists of 100 diverse organic compounds to relate the logarithmic function of rat blood:air, saline:air and olive oil:air partition coefficients with theoretical molecular and fragment descriptors. Three QSPR models with squared correlation coefficients of 0.881, 0.926, and 0.922, respectively, were obtained.





Targets:	p110α, p110β, p110δ, p110γ DNA-PK, mTOR, CK2 PI3KC2β, PI3KC2γ	p110α, p110β, p110δ DNA-PK PI3KC2β	p110β, p110δ DNA-PK

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

2004: Overlaps of the eight known aldolase alpha-beta barrels in 2-deoxyribose-5-phosphate aldolase (DERA). Ribbon model for DERA is shown in green, with key Lys residues capable of Schiff base formation highlighted in stick figure. Reactive Lys167 is shown in yellow. DeSantis, G.; Liu, J.; Clark, D. P.; Heine, A.; Wilson, I. A.; and Wong, C.-H. *Bioorganic & Medicinal Chemistry* **2003**, *11*, 43–52.

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