Bioorganic & Medicinal Chemistry 17 (2009) 2941–2949

Contents lists available at ScienceDirect

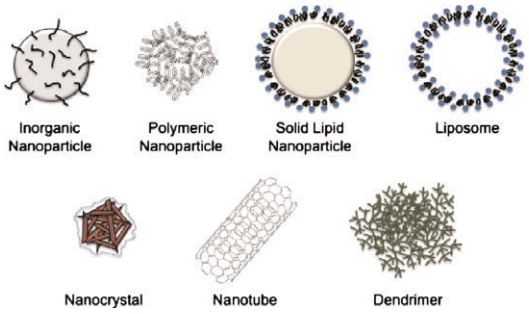
Bioorganic & Medicinal Chemistry

journal homepage: www.elsevier.com/locate/bmc

Bioorganic & Medicinal Chemistry Vol. 17, No. 8, 2009

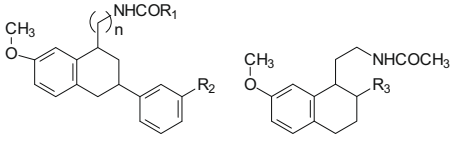
Contents

REVIEW



Nanoparticles exploit biological pathways to achieve payload delivery of small molecules to cellular and intracellular targets. Synthetic strategies, including surface, porosity, stealthing, and size modifications, can be utilized to refine the pharmacokinetic properties of nanoparticles and allow for efficient delivery.

ARTICLES



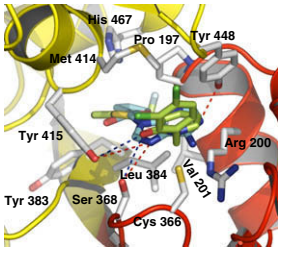
R_1 = CH_3, C_2H_5, n-C_3H_7,c-C_4H_7, CH_2Br, CH_2I, C_3H_6Cl, $CH{=}CH_2$, $CH_2CH{=}CH_2$,

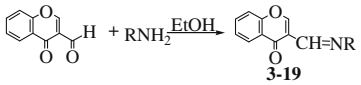
NHCOR$_1$ = pyrrolidinone

R_2 = H, $NHCOCH_3$, CF_3, OCH_3

R_3 = C_6H_5, $CH_2C_6H_5$

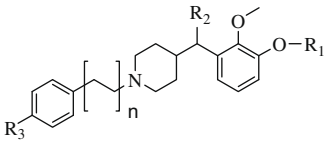
n = 1, 2



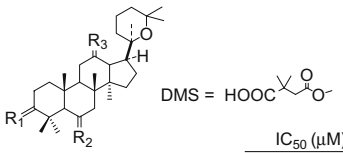


R = alkyl or aryl

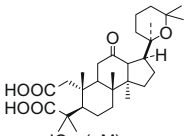
A series of substituted Schiff bases of 3-formylchromone have been synthesized and screened against thymidine phosphorylase enzyme. Results were astounding and several leads were obtained for further optimization.



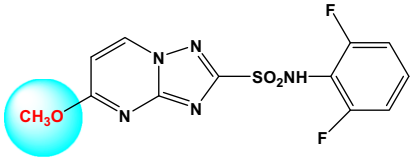
Synthesis of various MDL 100907 derivatives as potential ^{18}F-radioligands for PET molecular imaging.

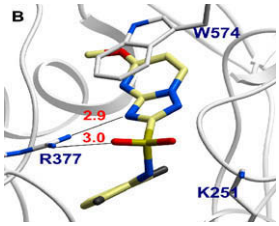


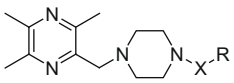
R1	R2	R3	IC50 (μM) HIV PR	HCV PR
H, β DMS	H, H	H, β OH	2.7	30.4
H, β OH	H, α DMS	H, β OH	2.7	>166.0
H, β DMS	H, α OH	H, β OH	3.9	>166.0
H, β DMS	H, α DMS	H, β OH	5.4	1.8



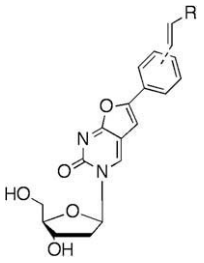
IC50 (μM) HIV PR	HCV PR
22.8	9.1



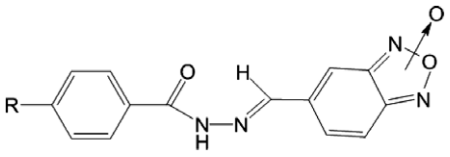




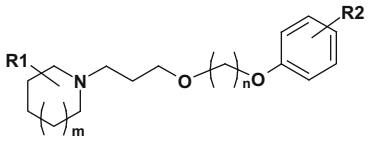
A series of novel acylpiperazinyl Ligustrazine derivatives was designed and synthesized. Their protective effects on damaged ECV-304 cells and antiplatelet aggregation activities were reported.



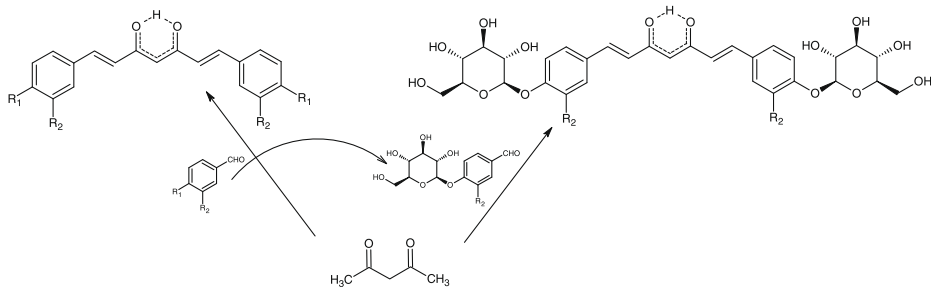
Nanomolar potency versus VZV for *para* systems only. *Ortho* and *meta* poorly active.

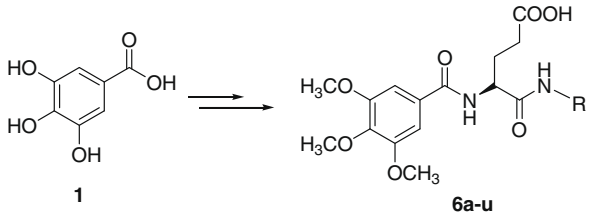


A new series of 14 4-substituted [*N*′-(benzofuroxan-5-yl)methylene] benzohydrazides with structure analogous of nifuroxazide were synthesized and tested against standard and multidrug-resistant *Staphylococcus aureus* strains.

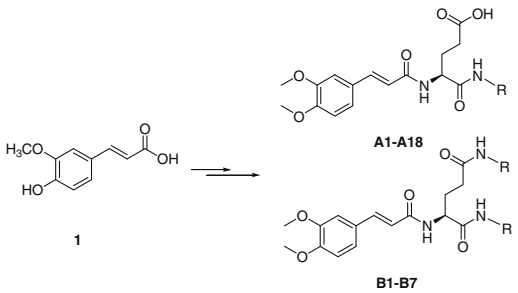


New diethers **4–16** were prepared and evaluated for their in vitro binding affinities at human histamine H_3 receptor. The 3-methylpiperidine derivative **11** (R^1 = 3-CH_3, m = 1, n = 3, R^2 = 4-Cl) is the most potent compound (K_i value of 3.2 nM) in this series.

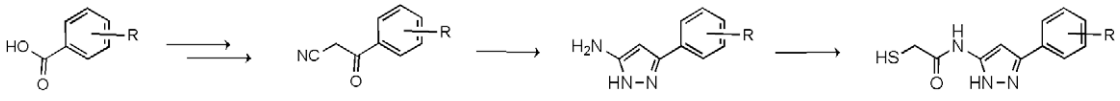




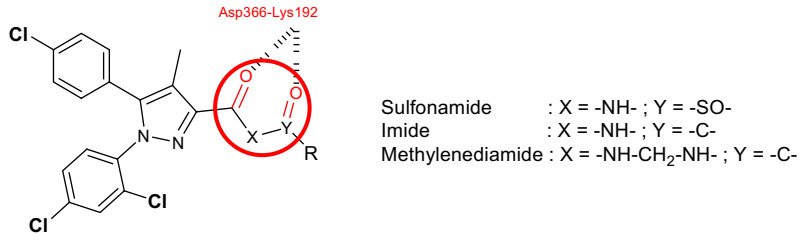
A series of novel L-*iso*-glutamine derivatives (**6a**–**u**) based on the antineoplaston AS2-5 scaffold were synthesized and evaluated for their in vitro enzymatic inhibitory activities against aminopeptidase N (APN) and MMP-2.



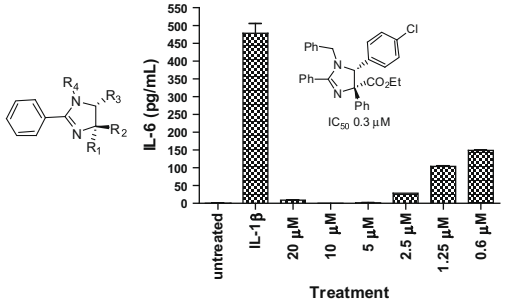
A series of novel L-*iso*-glutamine derivatives (**A1**–**A18** and **B1**–**B7**) based on the antineoplaston AS2–5 scaffold were synthesized and evaluated for their in vitro enzymatic inhibitory activities against aminopeptidase N (APN, CD13) and MMP-2.

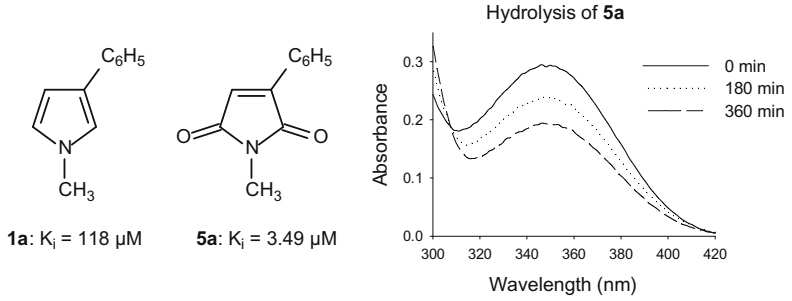


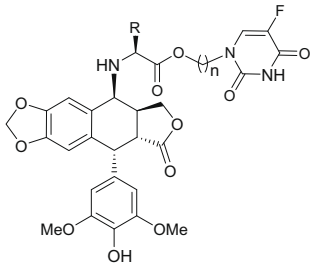
Botulinum neurotoxin serotype A, interferes with neurotransmitter release via a metalloprotease-mediated process. We designed a novel series of inhibitors active against the enzyme. SAR studies revealed components essential for low micromolar activity.



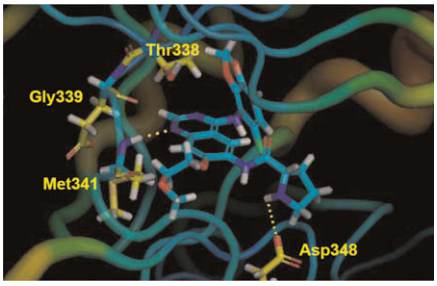
Novel CB1 receptor antagonists, including diketone group as hydrogen bonding acceptor, were identified and the classical QSAR study was performed to search for the compound with an optimized CB1 binding affinity.



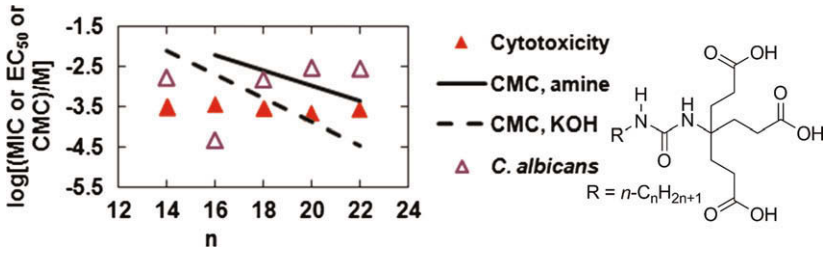


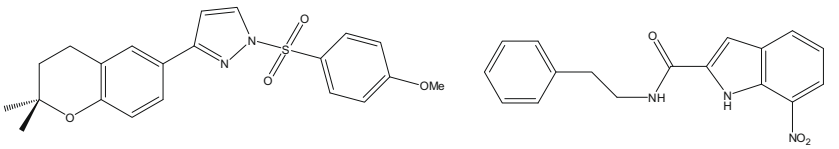


The novel conjugates **10**–**21** showed superior or comparable inhibitions against HL-60, K562, A-549 and AGS to VP-16, and better water solubility. Compound **21** also showed interaction with calf thymus DNA, and was stable in human plasma.



Here, selective candidate Src inhibitors were screened by structure-based virtual screening.

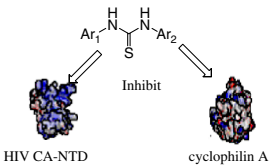




CCT129954
IC_{50} - 3.0, 12.0 μM, Ca^{2+} - 30 μM

CCT129957
IC_{50} - 1.0, 3.0, 5.0 μM, Ca^{2+} - 12, 18 μM

Phospholipase C-γ (PLC-γ) is as a potential biological target for anticancer drug therapy. Virtual high throughput screening was performed using ~5.3×10^5 compounds to identify hits. The most potent compounds according to a biochemical assay were in the low single digit micro-molar range which translated into a GC_{50} of ~15 μM for a functional assay in cells. About 30% of the compounds tested were active (IC_{50} < 50 μM) and were structurally diverse.



A series of compounds were prepared to inhibit viral replication by delaying the capsid assembly rate in vitro, and inhibiting PPIase activity of cyclophilin A to disrupt the uncoating process of viral life cycle.

OTHER CONTENTS

*Corresponding author
ⓘ+ Supplementary data available via ScienceDirect

COVER

Binding of two sulfonamides to carbonic anhydrase II (CA). Adduct in magenta shows 2-(hydrazinocarbonyl)-3-phenyl-1*H*-indole-5-sulfonamides and the one in gold a thiabendazole-5-sulfonamide which strongly inhibit *Caenorhabditis elegans* CAH-4b, with the possibility of leading to new antihelmintics [Güzel, Ö.; Innocenti, A.; Hall, R. A.; Scozzafava, A.; Mühlschlegel, F. A.; Supuran, C. T. *Bioorg. Med. Chem.* **2009,** *17,* 3212–3215].

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ISSN 0968-0896