Bioorganic & Medicinal Chemistry 16 (2008) 7525–7534

Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry

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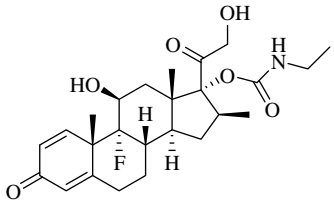
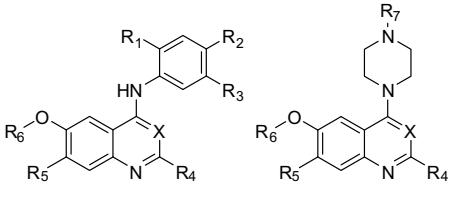
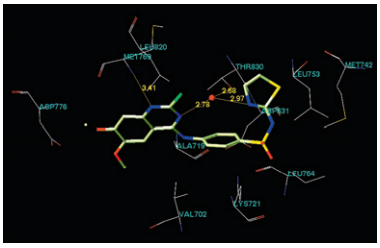
Bioorganic & Medicinal Chemistry Vol. 16, No. 16, 2008

Contents

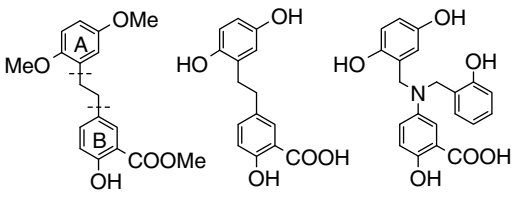
ARTICLES





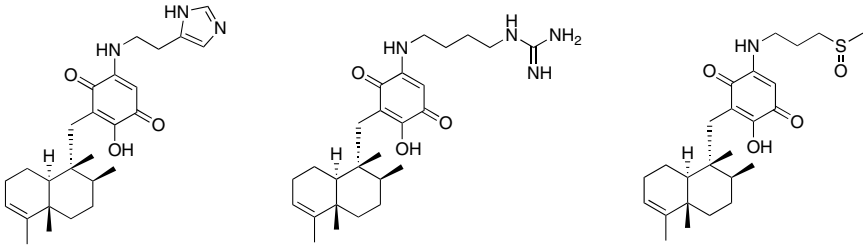


Compound **3b** in the ATP binding site of EGFR-TK

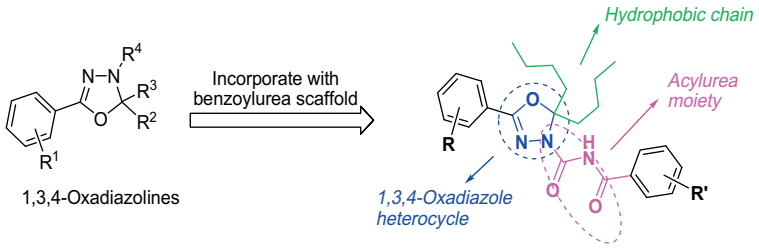


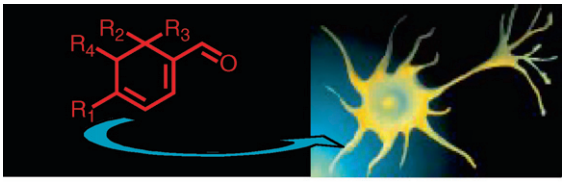
1 (SDZ LAP 977) antimitotic | **2** TK inhibitor | Lavendustin A TK inhibitor

The SAR of A-ring modified analogues of a novel class of antiproliferative agents and studies on the mode of action of compound **1** are described and discussed.

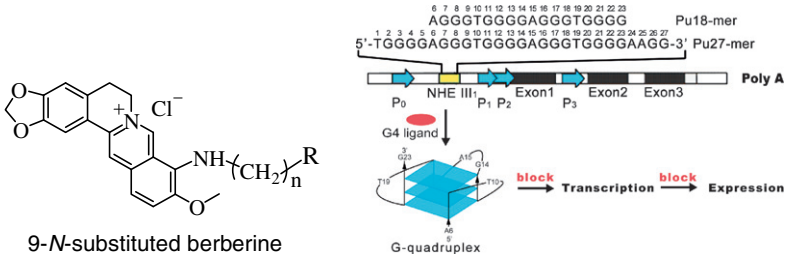


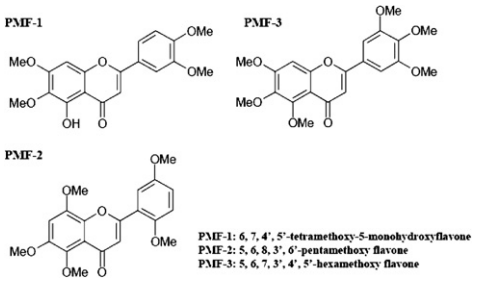
nakijiquinone G (**1**) nakijiquinone H (**2**) nakijiquinone I (**3**)



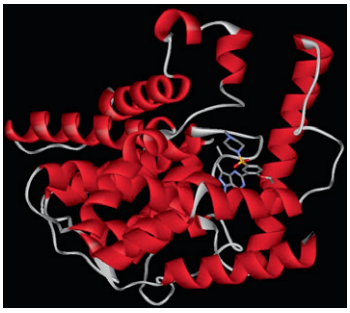


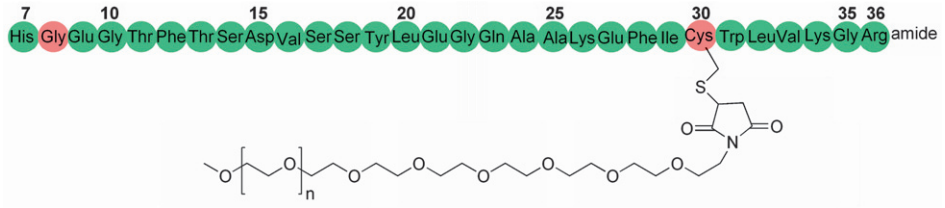
CYCLOHEXADIENAL-BASED ACTIVATORS OF NEURITE OUTGROWTH



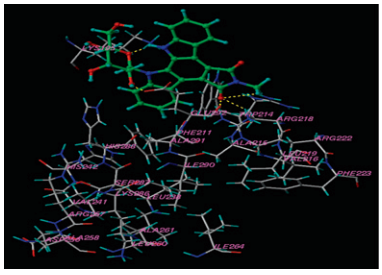


Polymethoxy flavones (PMFs) are present in fruit tissues of *Citrus* species. In this study, PMFs suppressed the antigen-induced degranulation in rat basophilic leukemia RBL-2H3 cells. Interestingly, the inactivation of Syk by PMF-combination treatment was enhanced compared with PMF-single treatment. But the inhibitory effect of degranulation by PMF-combination treatment was not associated with the suppression of Ca^{2+} influx.

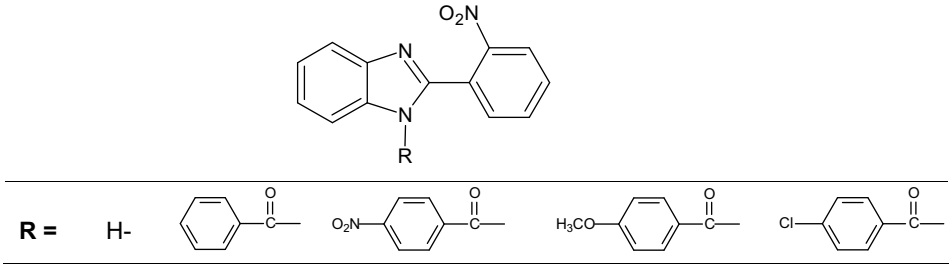


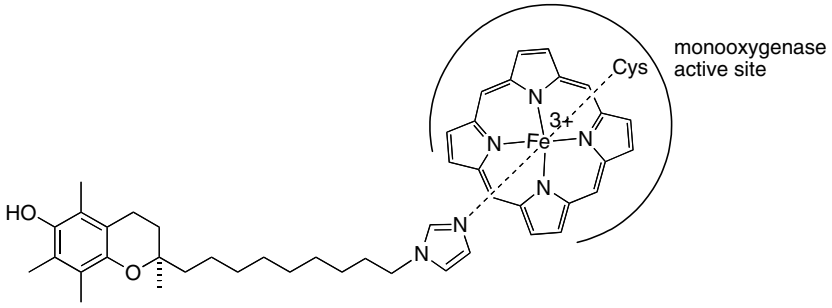


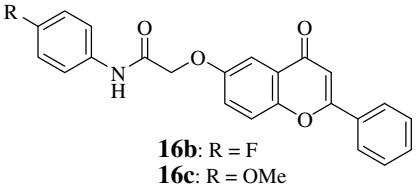
mPEG-MAL-Gly_8-Cys_{30}-GLP-1(7~36)-NH_2



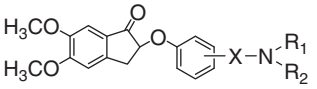
The tryptophan residue (Trp214) and the lysine residue (Lys195) of HSA are in close proximity to the pentenyl moiety of JDC-108, suggesting the existence of hydrophobic interaction between them.



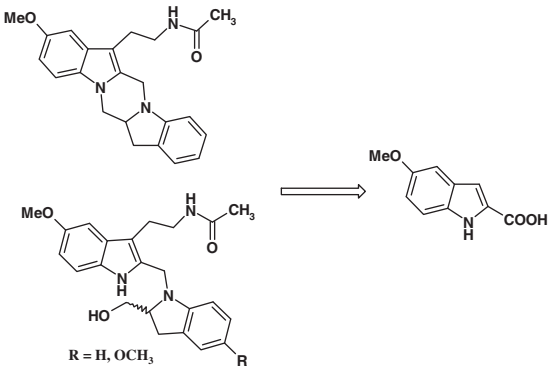


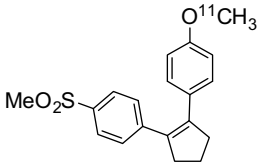


16b: R = F
16c: R = OMe



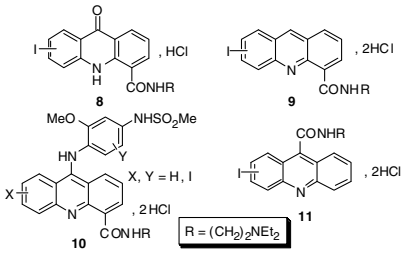
The design, synthesis and biological profile of a series of 2-phenoxy-indan-1-one derivatives as potent AChE inhibitors are described.

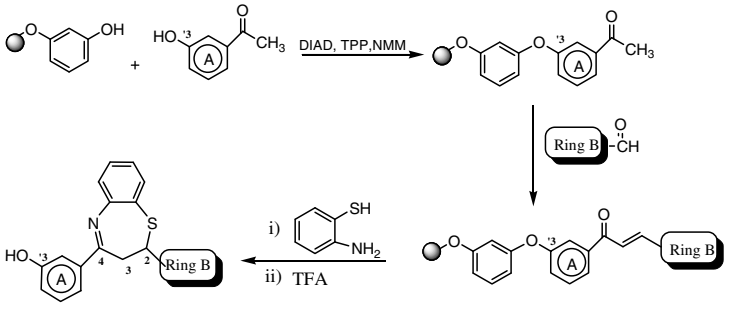


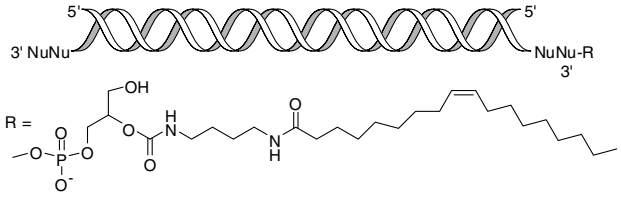


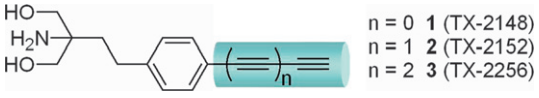
[^{11}C]5

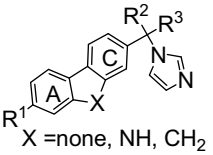
The radiosynthesis and radiopharmacological evaluation of 1-[^{11}C]methoxy-4-(2-(4-(methanesulfonyl)phenyl)cyclopent-1-enyl)-benzene **[^{11}C]5** as novel PET radiotracer for imaging of COX-2 expression is described. Radiotracer **[^{11}C]5** was evaluated in vitro using various pro-inflammatory and tumor cell lines. Tumor uptake was demonstrated by dynamic small animal PET studies in a mouse tumor xenograft model.

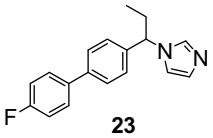












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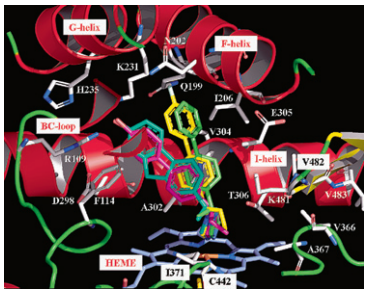
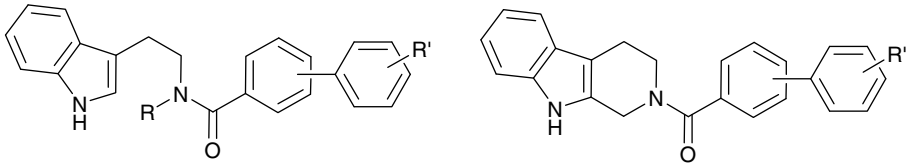
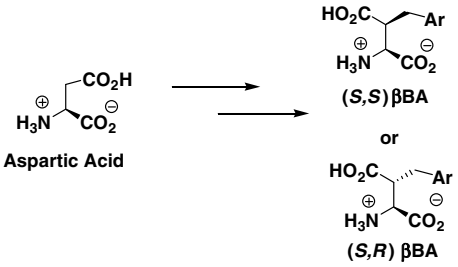
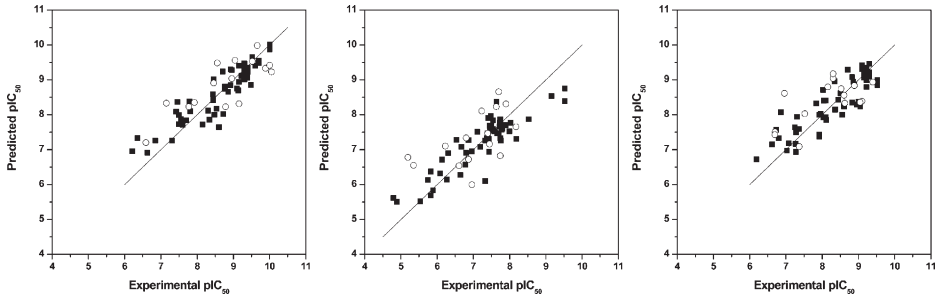


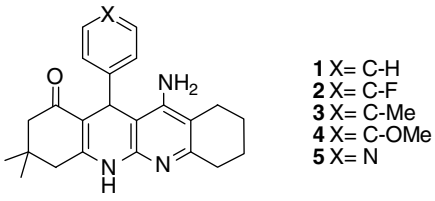


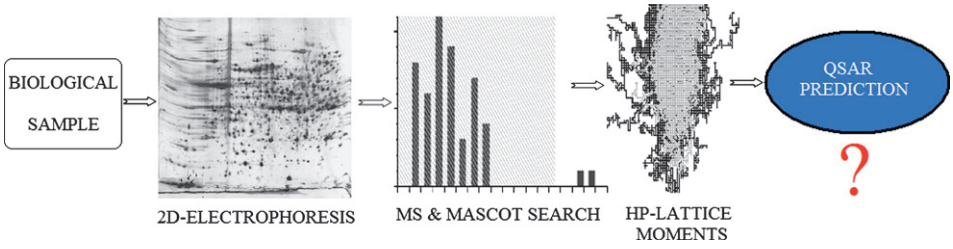
R = H, Me
R' = *o,m,p*-alkyl/aryl, F, OMe

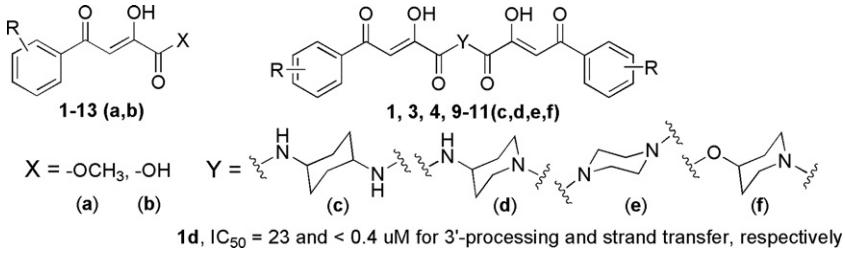
A library of selective inhibitors of CDK4 based on a tryptamine or β-carboline biphenyl carbonyl amides have been synthesised using the Suzuki–Miyaura cross-coupling reaction. The compounds were designed in view an observed π-stacking pocket within the active site of a CDK4 homology model.





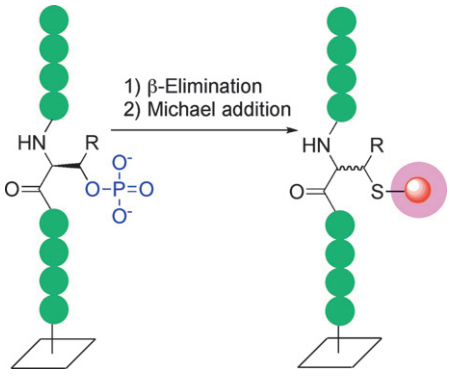


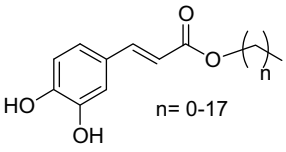




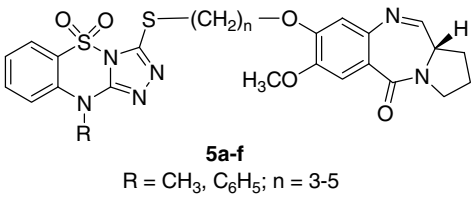
A new class of ADK dimer inhibitors of HIV-1 integrase was designed and synthesized by employing conformationally constrained diamine as linker. The substituent on the phenyl portion and the orientation of the linker were investigated with respect to the potency-enhancing effect.

We report the development of an efficient fluorescence assay for serine/threonine kinases using a peptide array. Our approach is based on chemical reactions specific to phosphoserine and phosphothreonine residues.

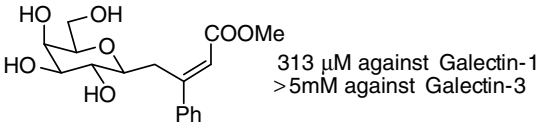


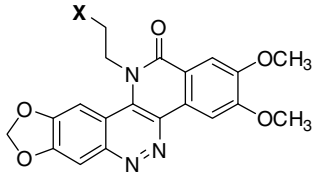


Caffeic acid ester derivatives were prepared and their inhibitory effects on NO production in murine macrophage RAW264.7 cells were tested.

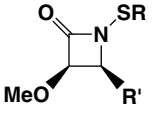


Novel triazolobenzothiadiazine–PBD conjugates were synthesized and exhibited significant anticancer activity against lung, breast, oral, colon, cervix, prostate and ovarian cancer cell lines.

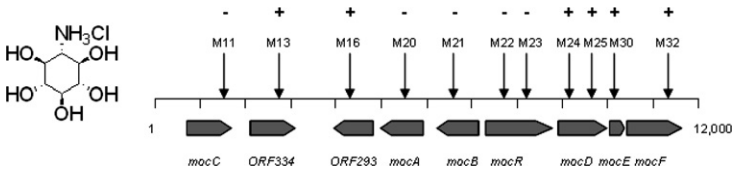




X = $NHCH_3$, $NBnCH_3$, $NHCH(CH_3)_2$, $NBnCH(CH_3)_2$, $NCH_3)CH_2CH_2N(CH_3)_2$, $NH(CH(CH_2OH)_3$, OH, or Imidazole



Investigations into the antifungal properties of *N*-thiolated β-lactams are described.



SIA was prepared from *myo*-inositol and fully characterized after 7 steps in 32% overall yield. Studies with bacterial mutant strains identified the *mocABCR* genes as essential for the catabolism of SIA.

*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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ISSN 0968-0896