Bioorganic & Medicinal Chemistry 18 (2010) 6603–6612

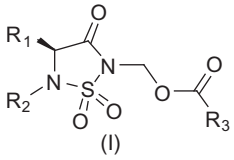
Contents lists available at ScienceDirect

Bioorganic & Medicinal Chemistry

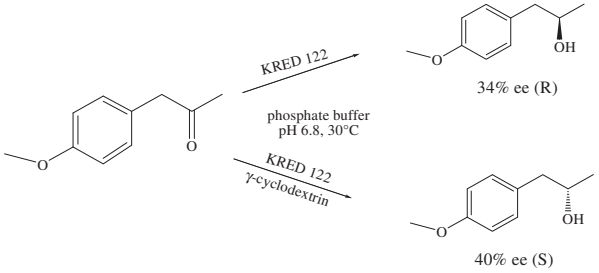
journal homepage: www.elsevier.com/locate/bmc

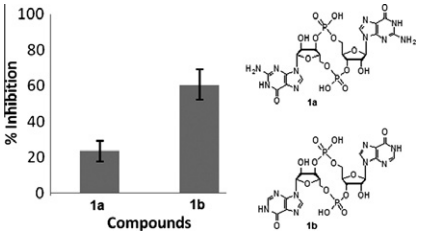
Bioorganic & Medicinal Chemistry Volume 18, Issue 18, 2010

Contents

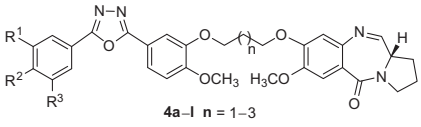


A series of compounds based on the 1,2,5-thiadiazolidin-3-one 1,1 dioxide scaffold were synthesized and used to probe the S′ subsites of human neutrophil elastase and proteinase 3.





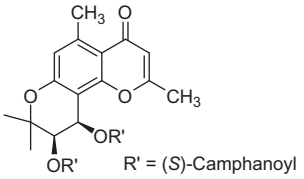
Cyclic di-inosinylic acid demonstrates a stronger inhibition on Slr1143, a diguanylate cyclase of *Synechocystis* sp. than c-di-GMP and is a potential inhibitor for biofilm formation.



4a–l n = 1–3

4a–c R^1 = H; R^2 = F; R^3 = H
4d–f R^1 = H; R^2 = CF_3; R^3 = H
4g–i R^1 = OCH_3; R^2 = OCH_3; R^3 = OCH_3
4j–l R^1 = H; R^2 = OCH_3; R^3 = OCH_3

New class of 2,5-diaryloxadiazole–pyrrolobenzodiazepine conjugates have been prepared and evaluated for their anticancer activity. Further, some of the biological assays related to mechanism aspects have also been carried out.



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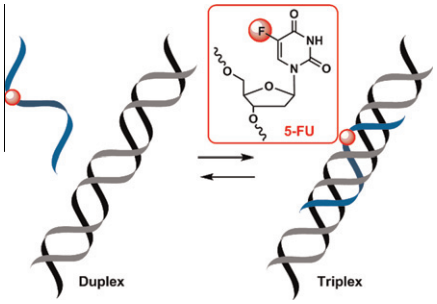
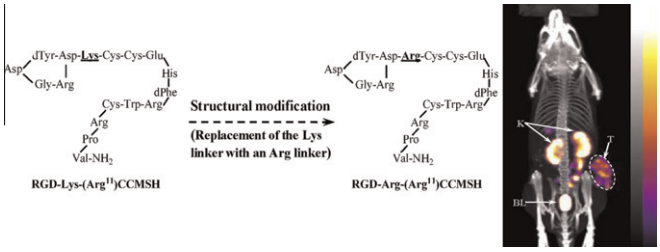
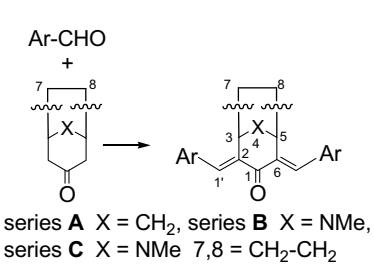
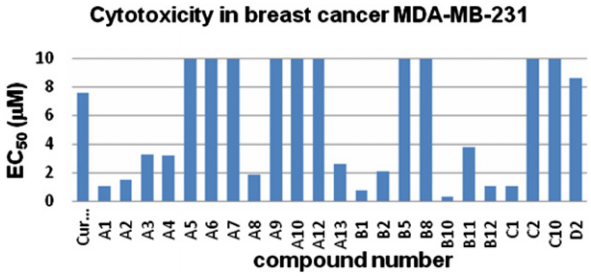
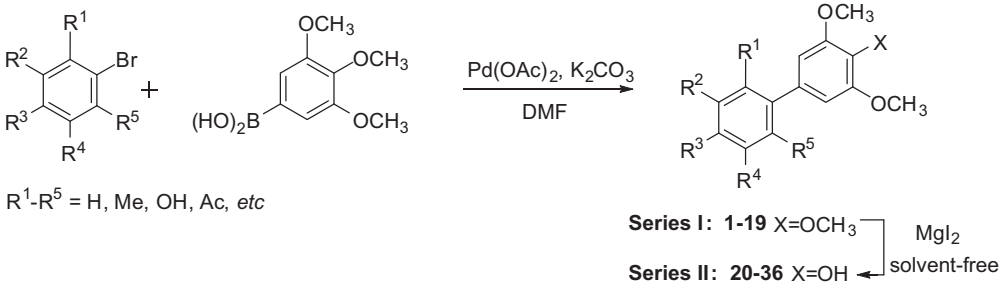


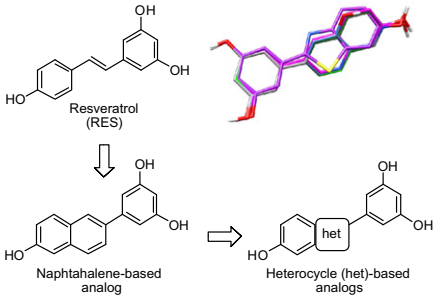


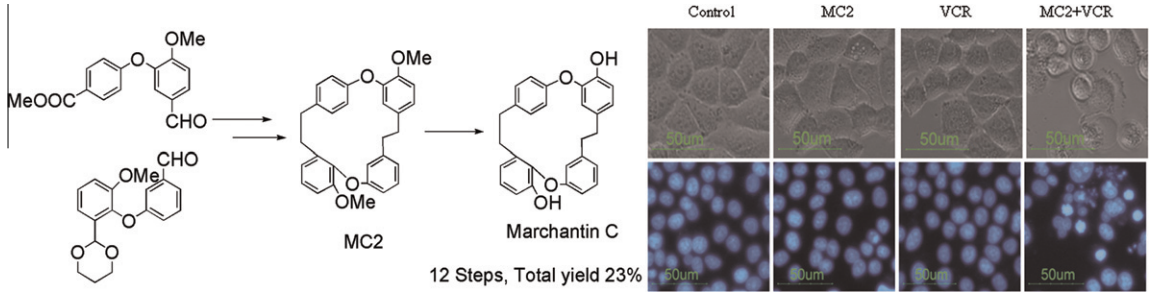
The replacement of the Lys linker with an Arg linker exhibited a profound effect in reducing the non-specific renal uptake of ^{99m}Tc-RGD-Arg-(Arg^{11})CCMSH, as well as increasing the tumor uptake of ^{99m}Tc-RGD-Arg-(Arg^{11})CCMSH compared to ^{99m}Tc-RGD-Lys-(Arg^{11})CCMSH.

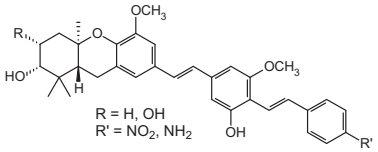




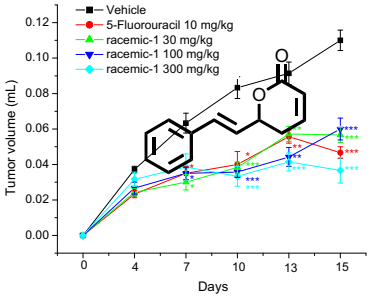


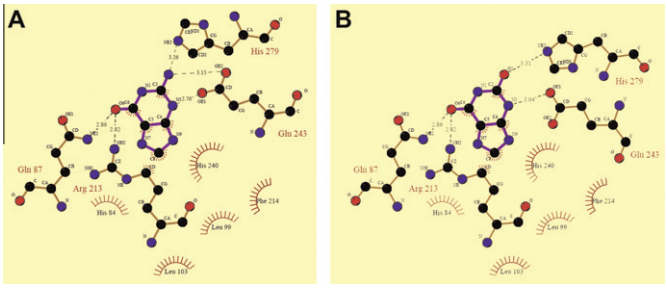


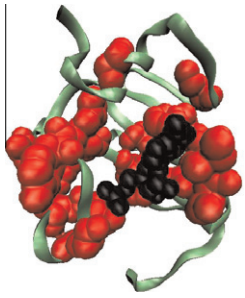


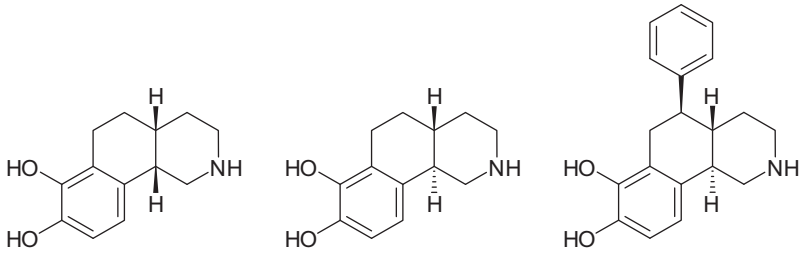


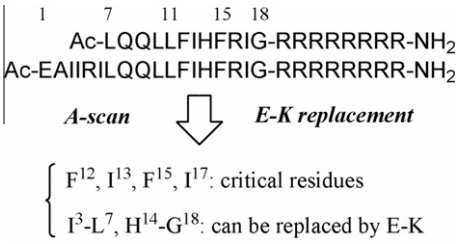
The synthesis of several new fluorescent analogs of schweinfurthins B and F is described, along with assays that demonstrates that these compounds retain potent and differential activities against select human cancer cell lines. Use of fluorescence microscopy shows differences between the localization of the active and relatively inactive schweinfurthin analogs.



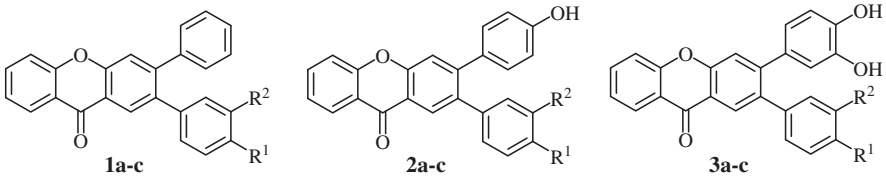




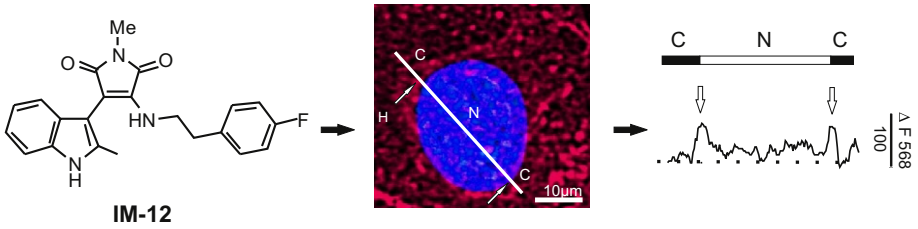




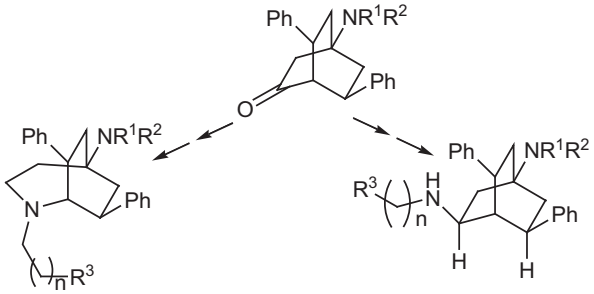
SAR studies of peptidic integrase inhibitors derived from HIV gene products are reported.



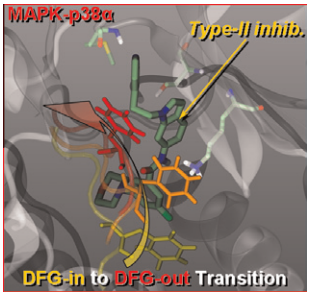
Several 2,3-diarylxanthones were tested for their scavenging activity for ROS (O_2·-, H_2O_2, $^1O_2$, HOCl and ROO· and RNS (·NO and $ONOO^-$). Structure–activity studies indicate the importance of the number of hydroxyl groups as well as a catechol unit for the scavenging effects.

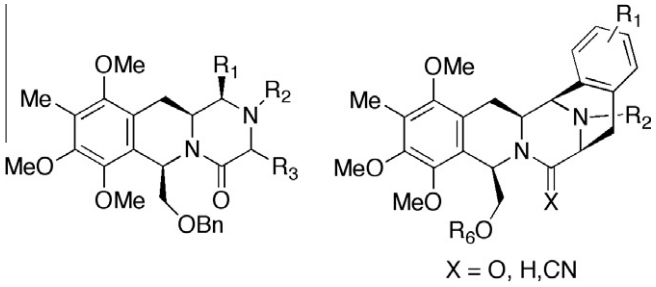


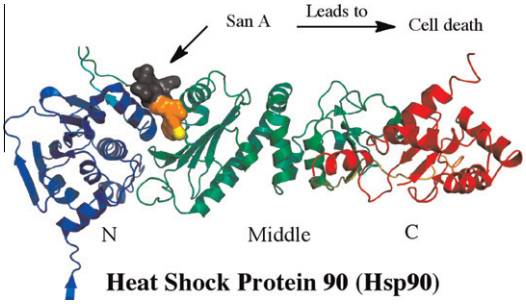
IM-12 enhances canonical Wnt signalling in human neural progenitor cells, for example, shown by a peri-nuclear accumulation of β-catenin demonstrated by immunocytochemistry.

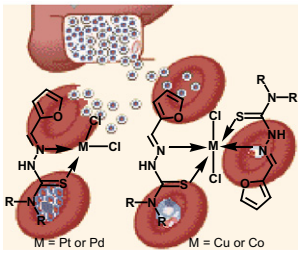


Compounds of both series exhibit promising in vitro activity against a multiresistant strain of *Plasmodium falciparum*. Some of the 2-azabicyclo-nonane derivatives showed antimalarial activity in a mouse model.

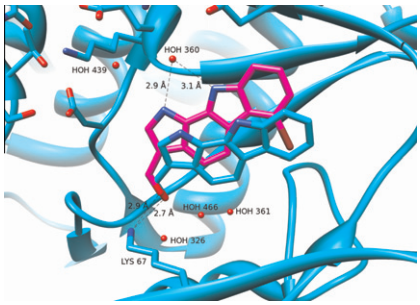


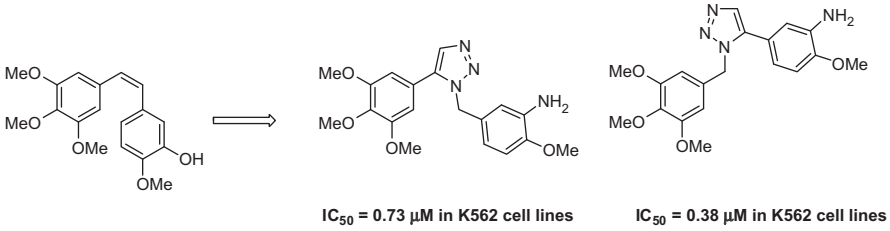


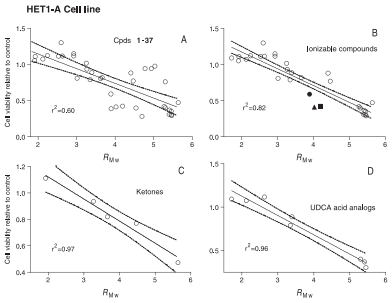


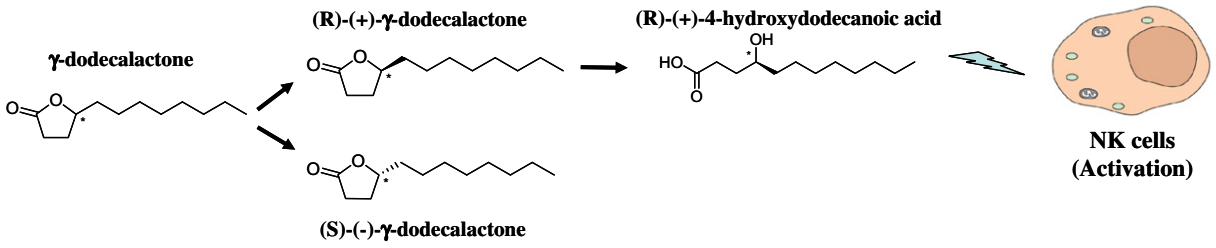


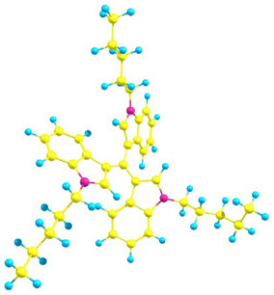
Antiparasitic metals: a set of promising metal-based antiprotozoal agents were explored. As a result, structure–activity relationships data were collected and steps were made towards identification of new antiplasmodium (**NT1Cu**, IC_{50} = 4.6 μM) and antiamoebic (**NT2Pd**, IC_{50} = 0.6 μM) prototypes, which are able to reduce the proliferation of these parasites at concentrations that are not cytotoxic to mammalian cells.

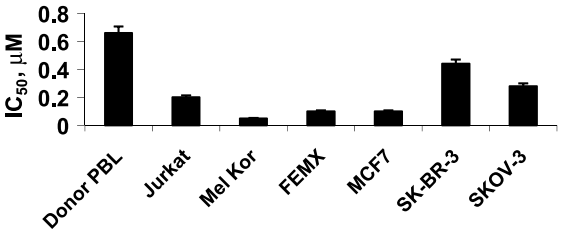


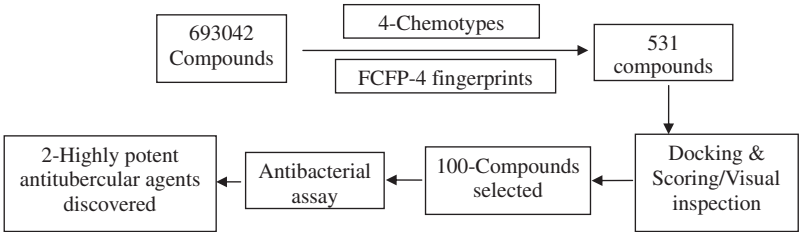


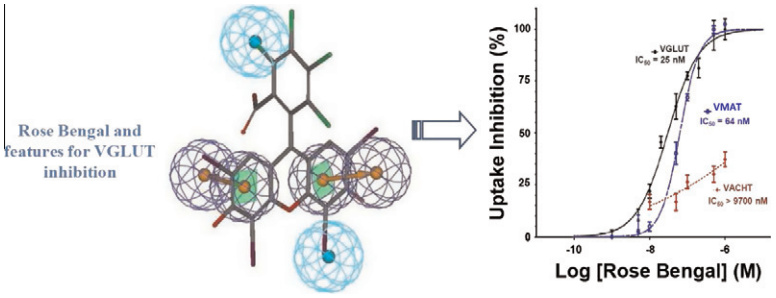


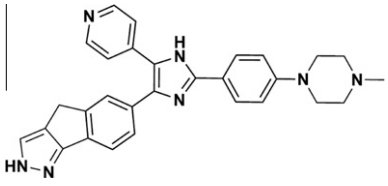












1j IC_{50} (BRAF) = 0.24 μM; IC_{50} (pERK) = 0.58 μM; GI_{50} (SRB) = 0.87 μM.

OTHER CONTENTS

*Corresponding author
Supplementary data available via ScienceDirect

COVER

Docking pose of a tricyclic pyrazole inhibitor in BRAF [Niculescu-Duvaz, D.; Niculescu-Duvaz, I.; Suijkerbuijk, B. M. J. M.; Ménard, D.; Zambon, A.; Nourry, A.; Davies, L.; Manne, H. A.; Friedlos, F.; Ogilvie, L.; Hedley, D.; Takle, A. K.; Wilson, D. M.; Pons, J-F.; Coulter, T.; Kirk, R.; Cantarino, N.; Whittaker, S.; Marais, R.; Springer, C. J. *Bioorg. Med. Chem.* **2010**, *18,* 6934–6952].

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ISSN 0968-0896