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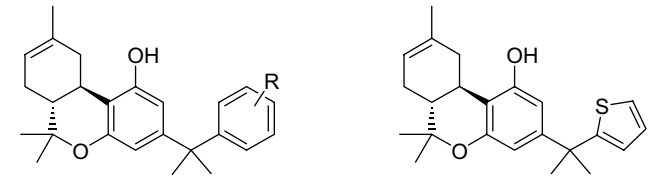
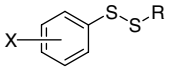
Contents

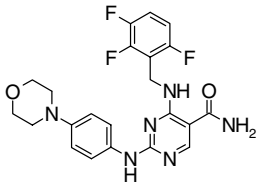
ARTICLES



R = halo, alkyl, nitrile, or acetamino

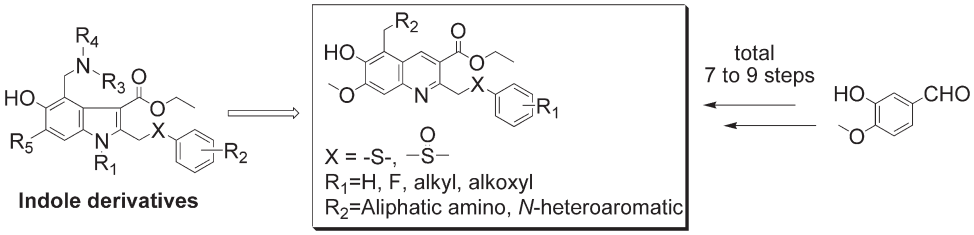


A series of aryl–alkyl disulfides have been identified to have strong antibacterial activity against *Staphylococcus aureus* (including MRSA) and *Bacillus anthracis*, and act as inhibitors of fatty acid biosynthesis FabH protein.

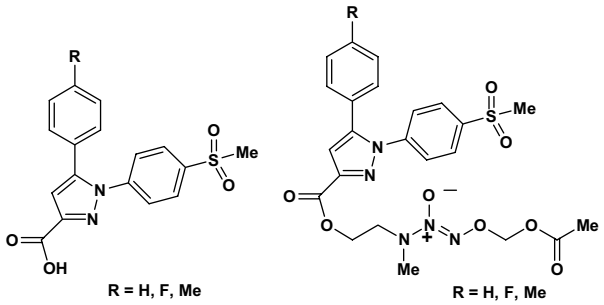


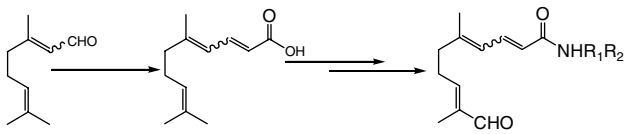
25y

The novel 4-benzylamino-2-[(4-morpholin-4-ylphenyl)amino]pyrimidine-5-carboxamide derivative **25y** (YM-341619, AS1617612) potently inhibited STAT6 activation and Th2 dierentiation with IC_{50} values of 0.70 and 0.28 nM, respectively, and showed an oral bioavailability of 25% in mouse.



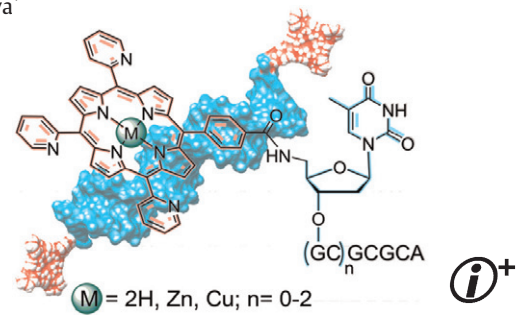
A series of novel ethyl 6-hydroxyquinoline-3-carboxylates were designed and synthesized, and their inhibitory activities against hepatitis B virus (HBV) were compared to that of lamivudine in HepG2.2.15 cells.

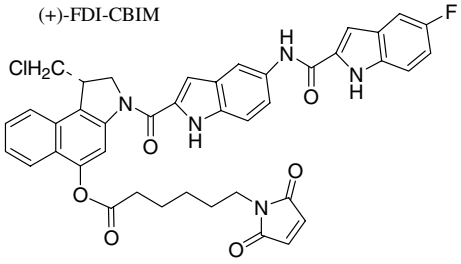


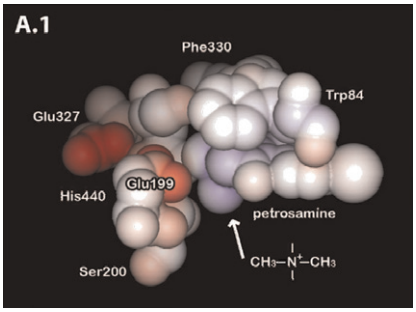


Potent amides as efflux pump inhibitors targeting NorA system of *Staphylococcus aureus* have been prepared from citral and citronellal and shown to posses better potentiating activity than known EPIs.

End-capped 5′-porphyrin- and 5′-metalloporphyrin–DNA conjugates have been synthesized. Spectroscopic and HPLC properties of all conjugates have been studied. Unexpected partial metallation of free-base porphyrin–DNA conjugates has been observed during the DNA cleavage and deprotection.

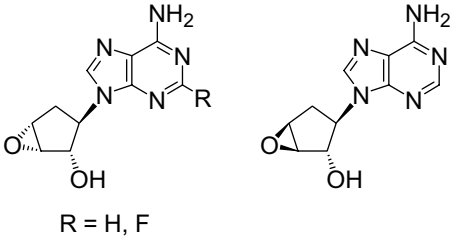




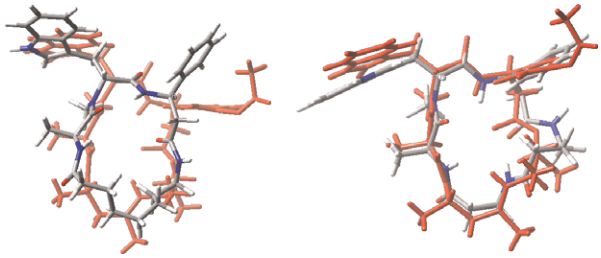


$$\text{Cu(I)-complex} + O_2 \rightleftharpoons \text{Cu(II)-complex} + O_2^{\cdot-}$$

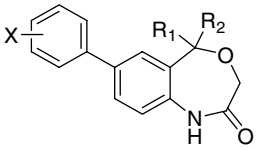
Cu^{2+} and GSH molecules react swiftly to form a Cu(I)–glutathione complex. First time evidence is presented showing the ability of such complex to reduce molecular oxygen into superoxide radicals.



R = H, F

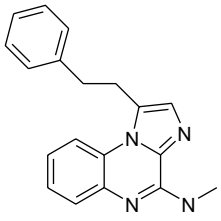


A new collection of simplified analogues of the actin-binding natural cyclodepsipeptide Jaspamide was designed and synthesized. The macrocycle closure has been accomplished through classic peptide bond formation or microwave supported RCM reaction.

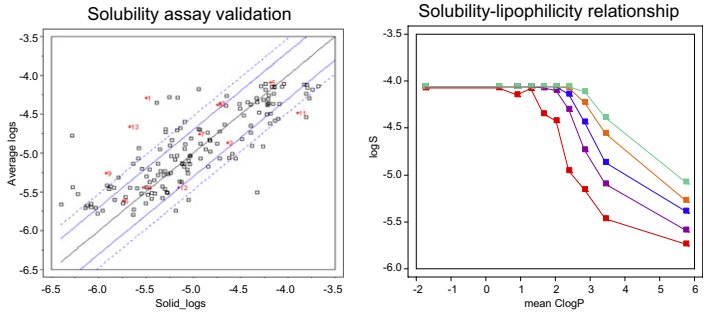


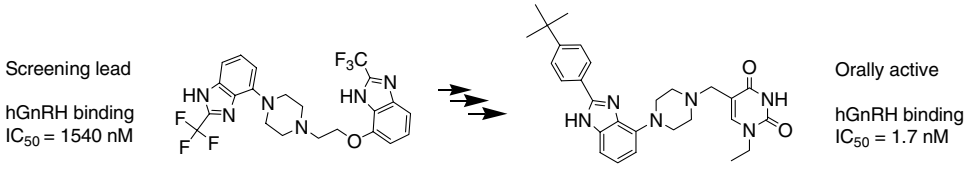
A series of novel 7-aryl benzo[1,4]oxazepin-2-ones were evaluated as progesterone receptor (PR) modulators. Several analogs were potent PR antagonists and active in the rat uterine decidualization model at 3 mg/kg when dosed orally.

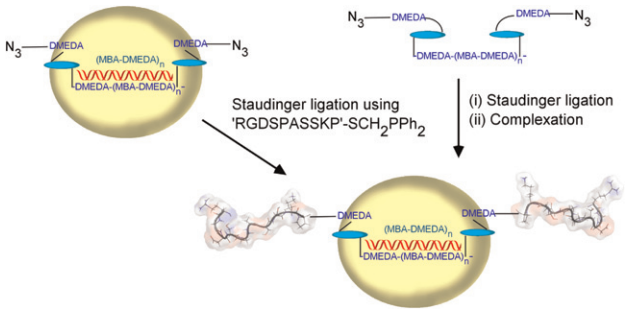
EAPB0203 bearing phenethyl as substituent at position 1 and methylamine at position 4 showed the highest activity on human melanoma cell lines compared to fotemustine and imiquimod used as references. In vivo, **EAPB0203** treatment schedules caused a significant decrease in tumor size compared to vehicle control and fotemustine treatments.



EAPB0203
IC_{50} = 1.57 ± 0.56 μM







OTHER CONTENTS

*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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