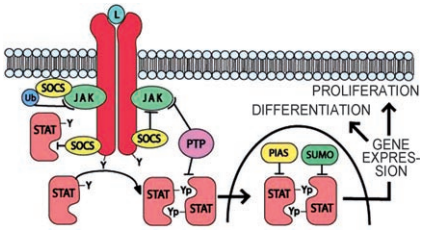
COVER PICTURE

The cover picture shows the evolutionary development of peptidic, peptidomimetic, and small-molecule inhibitors of the oncogenic signal transducer and activator of transcription 3 (Stat3) protein dimerization and biological function. For details, see the Minireview by S. Fletcher, J. Turkson, and P. T. Gunning on p. 1159 ff.

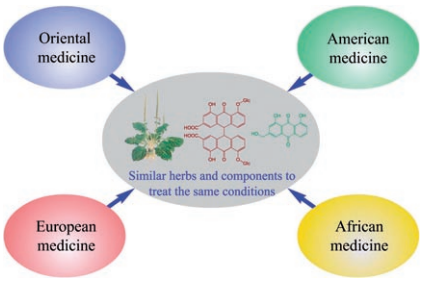
NEWS

MINIREVIEWS



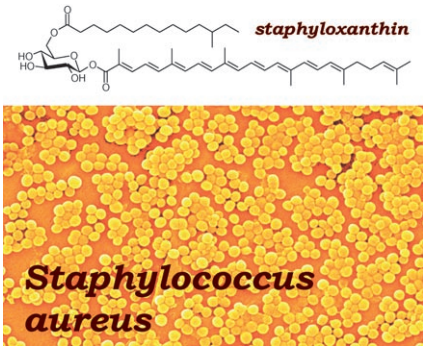
Molecular approaches towards Stat3 inhibition: Stat3 inhibition represents an exciting new approach to the treatment of cancer. The advances in the direct molecular inhibition of Stat3 are highlighted through discussion of the various inhibitors currently under investigation, including peptide sequences, peptidomimetics, small molecules and platinum-based agents.

ESSAY



Applying a biological theory to a medicinal problem. By analyzing the phylogenies of Chinese, Western, and other medicinal systems and probing their chemical space, the phenomenon of convergent evolution has been found to exist within medicines.

HIGHLIGHTS

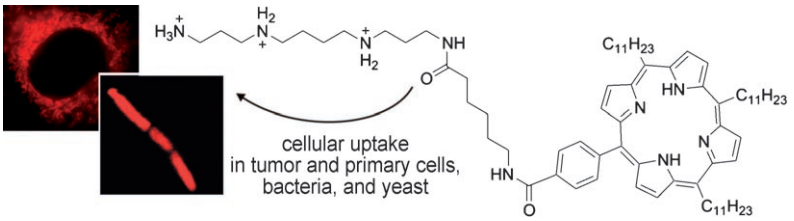


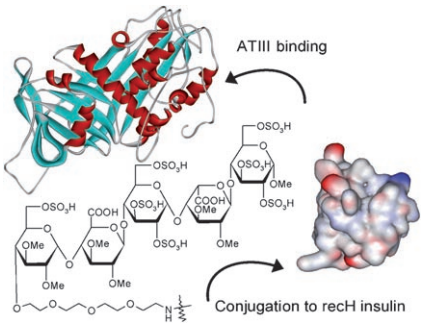
A new, non-traditional antibacterial approach that targets the carotenoid pigment staphyloxanthin in *S. aureus* demonstrates that future anti-infective therapy could eventually be boosted by bacterial virulence factor neutralization.

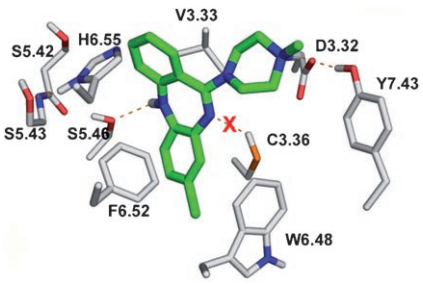
COMMENTARY

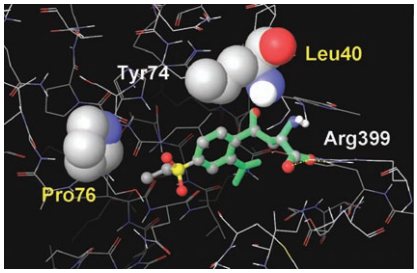
CONFERENCE REPORT

COMMUNICATIONS

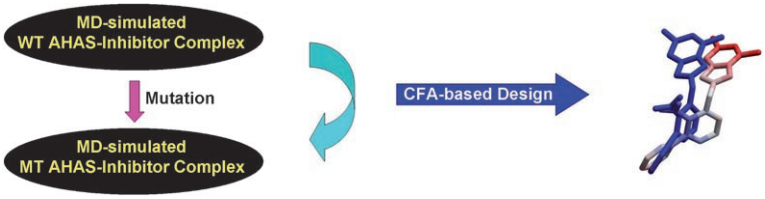




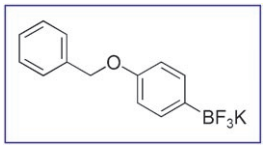




We report a novel and more efficient synthesis of *S*-ESBA and an analysis of it inhibitory activity toward recombinant human KAT II. The data are discussed in light of the crystal structure of human KAT II and on the basis of conserved and nonconserved residues of species-specific orthologs of KAT II (human, rat, and mouse).

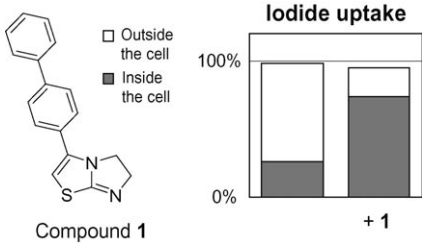


Rational design: A series of 2-aroxyl-1,2,4-triazolo[1,5-*c*]pyrimidine derivatives were computationally designed (see scheme) and synthesized as conformationally flexible AHAS inhibitors. These compounds could find use as new leads for combating drug resistance.

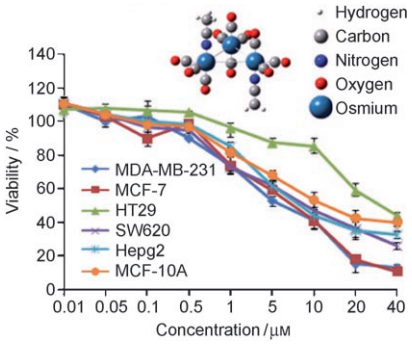


The structure-based design of sodium/iodide symporter (NIS) inhibitors identified new active compounds. The organotrifluoroborate shown was found to inhibit iodide uptake with an IC_{50} value of 0.4 μM on rat-derived thyroid cells. The biological activity is rationalized by the presence of the BF_3^- ion as a minimal binding motif for substrate recognition at the iodide binding site.

FULL PAPERS



A step toward selective cancer cell destruction using radioiodide therapy was achieved with the discovery of 3-biphenyl-5,6-dihydroimidazo[2,1-*b*]thiazole (Compound **1**). This compound is a powerful iodide-sequestering agent that blocks radioiodide release from cells, and thus enhances $^{131}I^-$ residence time and killing efficacy.



Putting the 'Os' in apoptosis: Osmium carbonyl clusters were found to induce apoptosis in four cancer cell lines, namely, ER+ breast carcinoma (MCF-7), ER− breast carcinoma (MDA-MB-231), metastatic colorectal adenocarcinoma (SW620), and hepatocarcinoma (Hep G2). The metal clusters are more cytotoxic towards these cancer cells than they are towards normal epithelial cells.

Supporting information on the WWW (see article for access details).

A video clip is available as Supporting Information on the WWW (see article for access details).

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BOOKS

SERVICE

All the Tables of Contents may be found on the WWW under: http://www.chemmedchem.org

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